Use these links to rapidly review the document
TABLE OF CONTENTS
PART III
INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

Table of Contents

UNITED STATES
SECURITIES AND EXCHANGE COMMISSION
Washington, D.C. 20549

FORM 10-K

(Mark One)

☒ **ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

For the fiscal year ended December 31, 2017

Or

☐ **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

For the transition period from to

Commission file number 001-37990

LEAP THERAPEUTICS, INC.
(Exact name of registrant as specified in its charter)

Delaware	**27-4412575**
State or other jurisdiction of incorporation or organization	(I.R.S. Employer Identification No.)
47 Thorndike Street, Suite B1-1 Cambridge, MA	
(Address of principal executive offices)	**02141** (Zip Code)

Registrant's telephone number, including area code **(617) 714-0360**

Securities registered pursuant to Section 12(b) of the Act:

Title of each class	**Name of each exchange on which registered**
Common Stock, par value $0.001 per share	The NASDAQ Stock Market LLC (Nasdaq Global Market)

Securities registered pursuant to Section 12(g) of the Act: **None**

Indicate by check mark if the registrant is a well-known seasoned issuer, as defined in Rule 405 of the Securities Act. ☐ Yes ☒ No

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Act. ☐ Yes ☒ No

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. ☒ Yes ☐ No

Indicate by check mark whether the registrant has submitted electronically and posted on its corporate website, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T (§232.405 of this chapter) during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). ☒ Yes ☐ No

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this Form 10-K. ☐

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, a smaller reporting company, or an

emerging growth company. See definitions of "large accelerated filer," "accelerated filer", "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act. (Check one):

Large accelerated filer ☐	Accelerated filer ☐	Non-accelerated filer ☐ (Do not check if a smaller reporting company)	Smaller reporting company ☒ Emerging growth company ☒

If an emerging growth company, indicate by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided pursuant to Section 13(a) of the Exchange Act. ☐

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Exchange Act). ☐ Yes ☒ No

The aggregate market value of the voting and non-voting common equity held by non-affiliates of the registrant, based on the closing price for such stock as reported on the NASDAQ Global Market on June 30, 2017, the last business day of the registrant's most recently completed second quarter, was: $21.5 million.

As of February 21, 2018 there were 12,354,014 shares of the registrant's common stock, par value $0.001 per share, outstanding.

DOCUMENTS INCORPORATED BY REFERENCE:

Portions of the registrant's definitive proxy statement for its 2018 Annual Meeting of Stockholders, which is expected to be filed with the Securities and Exchange Commission not later than 120 days after the end of the registrant's fiscal year ended December 31, 2017, are incorporated by reference into Part III of this Annual Report on Form 10-K. With the exception of the portions of the registrant's definitive proxy statement for its 2018 Annual Meeting of Stockholders that are expressly incorporated by reference into this Annual Report on Form 10-K, such proxy statement shall not be deemed filed as part of this Annual Report on Form 10-K.

TABLE OF CONTENTS

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS AND INDUSTRY DATA

This Annual Report on Form 10-K contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933 and Section 21E of the Securities Exchange Act of 1934, which reflect our current views with respect to, among other things, our operations and financial performance. In some cases, you can identify forward-looking statements by terminology such as "anticipate," "believe," "estimate," "expect," "intend," "may," "plan," "predict," "project," "will" or the negative of such terms or other comparable terminology. Forward-looking statements appear in a number of places throughout this Annual Report and include statements regarding our intentions, beliefs, projections, outlook, analyses or current expectations concerning, among other things, our ability and plan to develop and commercialize DKN-01 and TRX518; status, timing and results of pre-clinical studies and clinical trials; the potential benefits of DKN-01 and TRX518; the timing of our development programs and seeking regulatory approval of DKN-01 and TRX518; our ability to obtain and maintain regulatory approval; our estimates of expenses and future revenues and profitability; our estimates regarding our capital requirements and our needs for additional financing; our estimates of the size of the potential markets for DKN-01 and TRX518; our ability to attract collaborators with acceptable development, regulatory and commercial expertise; the benefits to be derived from any collaborations, license agreements, and other acquisition efforts, including those relating to the development and commercialization of DKN-01 and TRX518; sources of revenues and anticipated revenues, including contributions from any collaborations or license agreements for the development and commercialization of products; our ability to create an effective sales and marketing infrastructure if we elect to market and sell DKN-01 and TRX518 directly; the rate and degree of market acceptance of DKN-01 and TRX518; the timing and amount of reimbursement for DKN-01 and TRX518; the success of other competing therapies that may become available; the manufacturing capacity for DKN-01 and TRX518; our intellectual property position; our ability to maintain and protect our intellectual property rights; our results of operations, financial condition, liquidity, prospects, and growth and strategies; the industry in which we operate; and the trends that may affect the industry or us.

By their nature, forward-looking statements involve risks and uncertainties because they relate to events, competitive dynamics and industry change, and depend on the economic circumstances that may or may not occur in the future or may occur on longer or shorter timelines than anticipated. Although we believe that we have a reasonable basis for each forward-looking statement contained in this Annual Report, we caution you that forward-looking statements are not guarantees of future performance and that our actual results of operations, financial condition and liquidity, and the development of the industry in which we operate may differ materially from the forward-looking statements contained in this Annual Report. In addition, even if our results of operations, financial condition and liquidity, and events in the industry in which we operate are consistent with the forward-looking statements contained in this Annual Report, they may not be predictive of results or developments in future periods. You should carefully read this Annual Report and the documents that we have filed as exhibits to this Annual Report completely.

You should refer to Item 1A. Risk Factors in this Annual Report for a discussion of important factors that may cause our actual results to differ materially from those expressed or implied by our forward-looking statements. As a result of these factors, we cannot assure you that the forward-looking statements in this Annual Report will prove to be accurate. Furthermore, if our forward-looking statements prove to be inaccurate, the inaccuracy may be material. In light of the significant uncertainties in these forward-looking statements, you should not regard these statements as a representation or warranty by us or any other person that we will achieve our objectives and plans in any specified timeframe, or at all. Any forward-looking statements that we make in this Annual Report speaks only as of the date of such statement, and, except to the extent required by applicable law, we undertake no obligation to update such statements to reflect events or circumstances after the date of

this Annual Report or to reflect the occurrence of unanticipated events. You should, therefore, not rely on these forward-looking statements as representing our views as of any date subsequent to the date of this Annual Report. Comparisons of results for current and any prior periods are not intended to express any future trends or indications of future performance, unless expressed as such, and should only be viewed as historical data.

DKN-01 and TRX518 are investigational drugs undergoing clinical development and have not been approved by the U.S. Food and Drug Administration (the "FDA"), nor been submitted to the FDA for approval. DKN-01 and TRX518 have not been, and may never be, approved by any regulatory agency or marketed anywhere in the world. Statements contained in this Annual Report should not be deemed to be promotional.

We obtained the industry, market and competitive position data in this Annual Report from our own internal estimates and research as well as from industry and general publications and research surveys and studies conducted by third parties. Industry publications and surveys generally state that the information contained therein has been obtained from sources believed to be reliable. We believe this data is accurate in all material respects as of the date of this Annual Report.

INTRODUCTORY COMMENT

References to Leap

Throughout this Annual Report on Form 10-K, the "Company," "Leap," "Leap Therapeutics," "we," "us," and "our," except where the context requires otherwise, refer to Leap Therapeutics, Inc. and its consolidated subsidiaries, and "our board of directors" refers to the board of directors of Leap Therapeutics, Inc.

PART I

BUSINESS

Corporate Information

We were incorporated in the state of Delaware as Dekkun Corporation on January 3, 2011 and changed our name to HealthCare Pharmaceuticals, Inc. effective May 29, 2014, and then to Leap Therapeutics, Inc. effective November 16, 2015. During 2015, HealthCare Pharmaceuticals Pty Ltd ("HCP Australia") was formed and is our wholly owned subsidiary.

On December 10, 2015, we entered into a merger agreement with GITR Inc. ("GITR"), an entity under common control, whereby a wholly owned subsidiary was merged with GITR and the surviving name of the wholly owned subsidiary was GITR Inc.

On August 29, 2016, we entered into a definitive merger agreement with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel, and M-Co Merger Sub Ltd. ("Merger Sub"), a wholly owned subsidiary of the Company which provided for the merger of Macrocure with and into Merger Sub, with Macrocure continuing after the merger as a wholly owned subsidiary of the Company. In connection with the merger, we applied to be listed on the NASDAQ Global Market. NASDAQ approved the listing, and trading in our common stock commencing on January 24, 2017, under the trading symbol "LPTX". On February 1, 2017, Macrocure's name was changed to Leap Therapeutics Ltd.

The mailing address of Leap's principal executive office is 47 Thorndike Street, Suite B1-1, Cambridge, MA 02141. Leap's telephone number is 617-714-0360. Leap's website address is *www.leaptx.com* (the information contained therein or linked thereto shall not be considered incorporated by reference in this Form 10-K).

Overview

We are a biopharmaceutical company developing novel therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways and by harnessing the immune system to attack cancer cells. Our strategy is to identify, acquire, and develop molecules that will rapidly translate into high impact therapeutics that generate durable clinical benefit and enhanced patient outcomes.

Our two clinical stage programs are:

- *DKN-01:* A monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. DKK1 is a protein that regulates the Wnt signaling pathways and enables tumor cells to profilerate and spread, as well as suppresses the immune system from attacking the tumor. When DKN-01 binds to DKK1, an anti-tumor effect can be generated. DKN-01-based therapies have generated responses and clinical benefit in several patient populations. We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, biliary tract cancer, or gynecologic cancers and we are initiating a trial in hepatocellular carcinoma in 2018. We are focused on two parallel development strategies involving targeted patient populations with Wnt pathway alterations and as a combination with other cancer immunotherapy agents.

- *TRX518:* A monoclonal antibody targeting the glucocorticoid-induced tumor necrosis factor-related receptor, or GITR. GITR is a receptor found on the surface of a wide range of immune cells. GITR stimulation activates tumor fighting white blood cells and decreases the activity of potentially tumor-protective immunosuppressive cells. TRX518 has been specifically engineered to enhance the immune system's anti-tumor response by activating GITR signaling without causing the immune cells to be destroyed. We are conducting two clinical trials of TRX518 in patients with advanced solid tumors and have recently begun enrolling combination

therapy arms utilizing TRX518 with gemcitabine chemotherapy or with cancer immunotherapies known as PD-1 antagonists.

We intend to apply our extensive experience identifying and developing transformational products to aggressively develop these antibodies and build a pipeline of programs that has the potential to change the practice of cancer medicine.

Market

Cancer is the general name for a group of more than 100 diseases in which cells grow and divide out of control. Over 14 million people in the United States have cancer. The National Cancer Institute, or NCI, estimated that approximately 1.6 million people developed cancer and that nearly 600,000 people died of cancer in 2016. While progress has been made from the War on Cancer to the Human Genome Project, and despite advances in early detection and new cancer cell targeted treatments, cancer generally remains an incurable disease.

Esophageal and Gastric Cancer (EGC)

Esophageal and esophageal-gastric junction cancer, or EC, and gastric cancer, or GC, are malignancies of the upper digestive tract. The American Cancer Society, or ACS, estimates that there are about 17,000 new patients diagnosed in the United States with EC and 28,000 new patients with GC each year. The World Cancer Research Fund, or WCRF, estimates that there are over 450,000 EC patients and 950,000 GC patients diagnosed each year worldwide. EC patients have difficulty swallowing and often have pain while swallowing. Substantial weight loss can result from reduced appetite, poor nutrition and having an active cancer. Pain may be severe, occur almost daily, and be worsened by swallowing any form of food. The disruption of normal swallowing can lead to aspiration of food content, nausea, vomiting and an increased risk of pneumonia. The tumor itself may be irritable and bleed, which can either cause spitting up with blood or blood in the bowels. Compression of local structures in the esophagus occurs in advanced disease, leading to problems such as upper airway obstruction. Many people diagnosed with esophageal cancer or gastric cancer have late-stage disease, because people usually do not have significant symptoms until the tumor is fairly large. In advanced stages, the cancer frequently spreads into the liver or lungs. EC and GC patients have few treatment options, and patients have a 5-year survival rate of 18.8% and 30.6%, respectively. The frequently-used therapies in patients who have not had many previous courses of treatment have very low, typically less than 15%, objective response rates, defined as patients with a greater than 30% reduction in tumor volume as determined by the Response Evaluation Criteria in Solid Tumors, known as RECIST. Published data has demonstrated that paclitaxel monotherapy generated a response rate of between 5 and 9% in EC patients who had received prior chemotherapy and 16% when used in second-line patients only in patients with GC.

Biliary Tract Cancer

Biliary tract cancer is a cancer that starts in the bile duct, a thin tube about 4 to 5 inches long that reaches from the liver to the small intestine. The major function of the bile duct is to move a fluid called bile from the liver and gallbladder to the small intestine, where it helps digest the fats in food. The Cholangiocarcinoma Foundation estimates that approximately 6,000 patients will be diagnosed with biliary tract cancer in the United States each year, with publications estimating that nearly 200,000 patients are diagnosed worldwide each year. The majority of biliary tract cancer cases are diagnosed with advanced stage disease with a 5-year survival rate of less than 10%. The standard treatment option for advanced patients is systemic chemotherapy and supportive care. Published data demonstrated that gemcitabine and cisplatin combination chemotherapy in patients with advanced biliary tract cancer generated a clinical benefit rate, representing patients with either an objective response or stable

disease as determined by RECIST of 68.3% to 81.4%, median progression-free survival of 6 to 8 months, and median overall survival of 11.2 to 11.7 months.

Hepatocellular Carcinoma

Hepatocellullar carcinoma, or HCC, is the major form of liver cancer. The National Cancer Institute estimates there will be approximately 40,000 cases of liver cancer in the U.S. this year with nearly 30,000 deaths. According to the American Cancer Society, more than 700,000 people are diagnosed with liver cancer each year throughout the world, accounting for more than 600,000 deaths each year. To date, very few effective treatment options for HCC patients exist and multityrosine-kinase inhibitors are the only available systemic therapies for the management of advanced cases with a survival benefit of only 3 months. HCC has a high frequency of activated Wnt/β-catenin signaling alterations estimated at 11-37% per The Cancer Genome Atlas and are associated with poor outcomes.

Gynecologic Cancers

There are numerous forms of gynecologic cancers, but two of the largest types are cancers of the uterus or ovaries. According to the National Cancer Institute, there are more than 61,000 patients diagnosed with uterine cancer and 22,000 patients diagnosed with ovarian cancer each year in the United States. There are currently very few treatment options for these patients, typically consisting of chemotherapy, local radiation therapy, and hormonal agents and poor treatment outcomes. Patients with endometrioid cancers have a high frequency of β-catenin signaling alterations estimated at approximiately 30% of cases per The Cancer Genome Atlas and are often associated as driver mutations of rapid disease progression and poor outcomes.

Cancer Therapies and New Targets

Older, established cancer therapies, or chemotherapies, target rapidly dividing cells. While chemotherapies can attack and kill cancer cells, these drugs also attack and destroy rapidly dividing non-cancer normal cells and, unfortunately, are associated with unwanted side effects. Even though outcomes can often be improved by giving a cancer patient two or more chemotherapies in combination, physicians and patients desire new drugs with greater efficacy and fewer side effects. Recently, a revolution in the understanding of cancer biology has generated compelling new anti-cancer targets that are based on fundamental mechanisms used by cancer cells to grow, spread, and survive, which are:

- cell signaling pathways that promote tumor growth, and
- evading detection and avoiding destruction by the immune system.

Cancer Cell Signaling

Cancer cells often hijack proteins that are involved in cell signaling pathways, the complex communication system that governs basic cellular functions and activities, such as cell division, cell movement, cell responses to specific stimuli, and even cell death. By blocking signals that tell cancer cells to grow and divide uncontrollably, to generate new blood vessels, a process referred to as angiogenesis, or to spread to other parts of the body, a process referred to as metastasis, a new generation of cancer therapies is seeking to help stop cancer progression, which could lead to cancer cell death. By focusing on cellular signaling pathways and molecules that are used by cancer cells, these targeted cancer therapies may be more effective than other types of treatment, including chemotherapy, and less harmful to normal cells. Several small molecule and monoclonal antibodies that target cell signaling pathways have now been approved by the FDA as cancer therapies for specific patient populations.

Cancer Immunotherapy

The immune system has evolved a dynamic ability to identify and attack cells which pose a danger to the body. Often these dangerous cells are foreign, or non-self, cells, but a person's own cells can become a danger, such as in cancer. Ideally, the immune system identifies cancer cells as dangerous and removes them before they can grow into tumors. However, cancer cells can evade or suppress the body's natural immune response by secreting anti-inflammatory molecules and by using receptors on the cell membrane of either immune system cells or cancer cells known as immune checkpoints. Recently approved cancer therapies known as checkpoint inhibitors, such as nivolumab, pembrolizumab, and atezolizumab, are designed to block checkpoint receptors, such as Programmed Cell Death protein-1, or PD-1, or its ligand, PD-L1, and prevent the cancer cell from evading the natural immune response, thus enabling the immune system to mount an attack on the tumor. While there are several FDA-approved checkpoint inhibitors, there is a consensus in the scientific and medical communities that there remains room for improvement in response rate and efficacy. In many cases, the lack of efficacy has been attributed to an insufficient immune response.

Our Approach

Our approach to treating cancer patients seeks to enhance the effectiveness of approved chemotherapies and immune checkpoint inhibitors by:

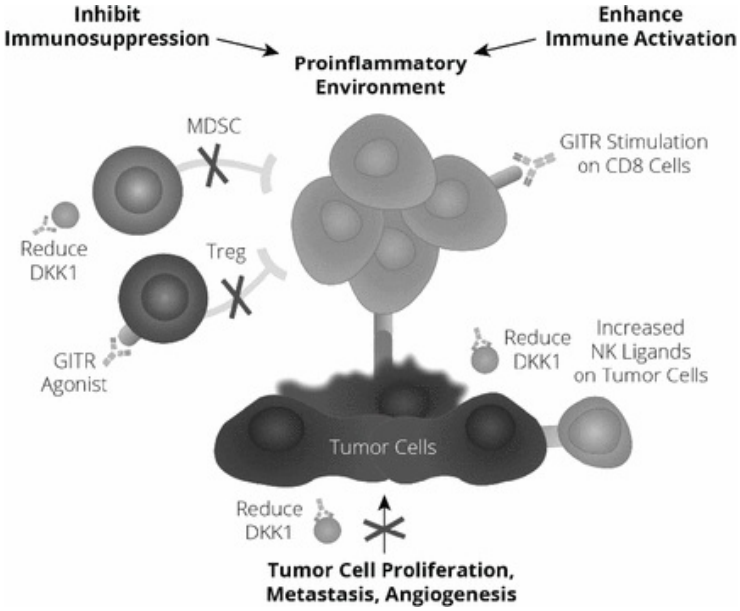
- altering cell signaling pathways that promote tumor growth and spreading;
- stimulating the immune cells that could attack the tumor; and
- inhibiting immune suppression that would prevent an attack on the tumor.

Altering cell signaling. An important set of signaling pathways in cancer cells are known as the canonical and non-canonical Wnt pathways. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway and modulates the non-canonical Wnt signaling pathways. Changes in these Wnt pathways can lead to the expression of several cancer-causing genes and factors associated with cell growth, angiogenesis, and metastasis. We believe that a monoclonal antibody that reduces free DKK1 could shift canonical and non-canonical signaling to healthy levels, thereby resulting in a direct anti-tumor effect as well as a local anti-angiogenic effect in the diseased tissue. These mechanisms could enhance or complement the anti-tumor mechanisms used by chemotherapies or other therapies targeted at different cell signaling pathways.

Stimulating anti-tumor immune cells. A potential way to enhance an immune response against a tumor is by activating tumor-attacking immune cells directly through specific receptors, such as GITR, known as costimulatory receptors. Monoclonal antibodies that stimulate immune cells through these costimulatory receptors are referred to as agonist antibodies and are designed to induce or augment an immune response that may have been insufficient, suppressed, or non-existent. This strategy is expected to overcome mechanisms that would prevent these immune cells from attacking a tumor. Agonist antibodies that costimulate the immune system have the potential to be combined with chemotherapy or checkpoint inhibitors to generate a more robust anti-tumor immune response.

Inhibiting immune suppression. The human immune system has the ability to recognize and protect its own cells and tissues. Certain kinds of white blood cells, such as T regulatory cells and myeloid-derived suppressor cells, serve to prevent other cells from attacking the body. In the case of cancer, these cells may fail to recognize the danger posed by the tumor and suppress the activity of potentially tumor-fighting white blood cells. We believe that using a monoclonal antibody to signal through GITR could inhibit the immunosuppressive activities of T regulatory cells. In addition, cancer cells promote these suppressor cells by producing anti-inflammatory molecules, such as DKK1. We believe that monoclonal antibodies that reduce the levels of anti-inflammatory molecules, such as DKK1, in the tumor microenvironment could result in the inhibition of immune suppressor cells and create a pro-inflammatory environment to enhance the immune system activity against the tumor.

By targeting novel pathways and immune cell types, our therapies are designed to be ideal to combine with existing drugs and have the potential to significantly increase the survival and quality of life of cancer patients. The figure below illustrates the biology underlying our approach:



Our Products, Clinical Programs and Pipeline

The following table summarizes our current product pipeline and clinical studies.

DKN-01

Dickkopf-related protein 1, or DKK1, is a cell secreted protein that research has found plays a crucial role in embryonic development. DKK1 binds to specific cell surface receptors and affects the signaling of key cellular pathways, known as the canonical and non-canonical Wnt signaling pathways. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway and modulates the non-canonical Wnt signaling pathways. Changes in these pathways can lead to the expression of several

cancer-causing genes and factors associated with cell growth, angiogenesis, and metastasis. DKK1 also has a role in suppressing the immune system from effectively targeting and clearing the cancer.

Published data indicates that DKK1 expression levels are significantly higher in many cancers, including esophageal cancer, or EC, biliary tract cancer, and non-small cell lung cancer, or NSCLC. In addition, elevated DKK1 expression is associated with worse overall survival for patients with EC, biliary tract cancer and NSCLC. Researchers have shown that when the DKK1 protein is added in certain animal models, the cancer grows larger.

Recent publications have also demonstrated a role for DKK1 in maintaining an environment around a tumor that suppresses the immune system's ability to clear the tumor and to prevent metastasis. DKK1 has been shown to activate the suppressive effects of myeloid-derived suppressor cells, or MDSC, a type of white blood cell that can potently block other immune system cells. Other published data has shown that metastatic tumor cells with stem cell-like features avoid the immune system by overexpressing DKK1 and secreting it out of the cell. Secreted DKK1 can then down-regulate certain molecules on tumor cells known as natural killer cell activating ligands, or NK ligands, that would activate the immune system, causing these cancer cells to remain invisible to and evade the immune system. Additional data has shown that DKK1 is expressed by suppressive T cells known as regulatory T cells and is critical to their suppressive function. Through these multiple activities, research has shown that DKK1 helps protect the cancer cells from being targeted by the immune system.

Preclinical studies that we and others have conducted demonstrated that using an anti-DKK1 antibody led to clinical benefits in xenograft cancer models. The anti-DKK1 antibody is believed to shift canonical and non-canonical Wnt signaling to healthy levels, thereby resulting in a direct anti-tumor effect as well as a local anti-angiogenic effect in the diseased tissue. In another model, blocking DKK1 activity using an anti-DKK1 antibody was shown by researchers to impede the suppressive effects of tumor-protecting MDSC and increased the activity of anti-tumor white blood cells in the tumor microenvironment. In these models, researchers demonstrated that an anti-DKK1 antibody allowed the immune system to recognize and attack the cancer cells. We believe that the more selective and local the activity is to the tumor, the more likely a drug will be safe and well tolerated and a potential combination partner to other anti-cancer drugs.

DKN-01 is a high affinity, neutralizing monoclonal antibody targeting DKK1. We have shown that DKN-01 reduces free DKK1 levels and has demonstrated an anti-tumor effect in preclinical models. DKN-01 is currently being tested in clinical trials for patients with EGC in combination with paclitaxel or pembrolizumab or as a monotherapy, in patients with biliary tract cancer in combination with gemcitabine and cisplatin, and in gynecologic malignancies in combination with paclitaxel or as a monotherapy. DKN-01 has previously been tested as a monotherapy in patients with NSCLC and in a pilot study in patients with multiple myeloma in combination with lenalidomide and dexamethasone.

Phase 1 Monotherapy Studies

First-in-human study

Our first-in-human study of DKN-01 was a single ascending dose Phase 1 trial in patients with low bone density. DKN-01 was administered by intravenous infusion at doses from 7 mg to 300 mg and as a subcutaneous injection at a dose of 44 mg. Eight subjects were treated per cohort, five of whom received DKN-01 and three of whom received placebo, for a total of 48 subjects in six cohorts. There were no clinically significant safety signals observed with increasing doses of DKN-01 and all reported adverse events were mild in severity.

Advanced Solid Tumors or Multiple Myeloma Study

We conducted study P100, a two-part dose-finding Phase 1 study, to establish the safety, maximum tolerated dose, and antitumor activity of DKN-01 as a monotherapy for patients with advanced malignancies. Other endpoints were progression free survival, or PFS, overall response rate, or ORR, and overall survival, or OS. Part A of the study was a dose escalation designed to evaluate increasing doses of DKN-01 between 75 mg and 600 mg administered weekly or biweekly in a 28 day cycle. Part B of the study was an expansion cohort designed to evaluate the activity of DKN-01 as a single agent in patients with advanced NSCLC. For Part B, DKN-01 was administered to refractory NSCLC patients at 300 mg on days 1 and 15 of each 28 day cycle.

We enrolled 32 patients in Parts A and B, 24 of whom were patients with NSCLC. DKN-01 was well tolerated with no dose limiting toxicities, or DLTs, or serious adverse events, or SAEs, that were deemed by the physician to be related to DKN-01 treatment or treatment-emergent adverse events or TEAEs, which lead to study discontinuation. All of the treatment-related adverse events were Grade 1 or Grade 2, the two lowest severity levels. TEAEs were generally those typically observed in cancer patients; and the most frequently reported treatment-related TEAEs were fatigue (25%) and nausea (9.4%).

Monotherapy administration of DKN-01 in patients with refractory NSCLC demonstrated clinical activity, with a clinical benefit rate of 45.9%, including one NSCLC patient (4.2%) with more than a 30% reduction in the size of their tumor, referred to as a partial response or PR. In the Part B group of NSCLC patients who were dosed at a level of 300 mg every two weeks, the clinical benefit rate was 47.4%, including the patient with the partial response (5.3%). Median PFS in the evaluable Part B NSCLC patients was 2.2 months and median OS was 6.6 months. Data from this study was presented at the American Society of Clinical Oncology, or ASCO, Annual Meeting in 2014.

We believe that DKN-01 may be a targeted treatment for NSCLC and that the clinical profile supports further NSCLC development in combination with other anti-cancer agents, including chemotherapies and immune checkpoint inhibitors.

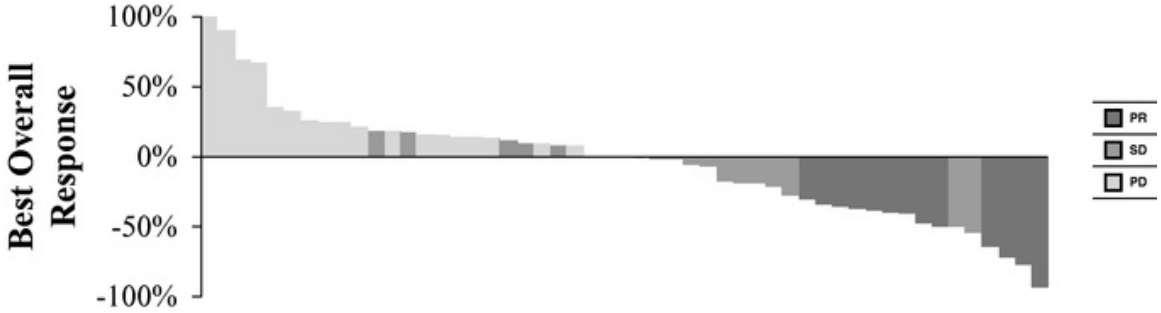
P102—Esophagogastric Cancer (EGC)

Published studies and our internal preclinical studies have demonstrated that the expression of DKK1 is more prominent in EC tissues when compared with the adjacent normal esophageal tissues. We believe that these studies support the hypothesis that DKK1 might be a key regulator in the progression of EC and a potential therapeutic target.

We are conducting study P102, a multi-part Phase 1/2 study of DKN-01 as a monotherapy and in combination with paclitaxel or KEYTRUDA® (pembrolizumab) in advanced EGC patients, all of whom have had previous treatment with standard therapies. Many of these subjects have had multiple lines of prior therapy and/or rapidly growing tumors, representing a difficult to treat population. The study is intended to establish the safety and activity of DKN-01 as a monotherapy and in combination with paclitaxel or pembrolizumab and has the secondary endpoints of ORR, PFS, and OS.

In Part A, we enrolled nine subjects in two cohorts to evaluate 150 mg and 300 mg of DKN-01 dosed every other week along with weekly paclitaxel at the standard dose. In Part B, we enrolled 20 subjects who received 300 mg of DKN-01 in combination with paclitaxel. Part C and Part D each will enroll patients with a specific subtype of EC, either adenocarcinoma or squamous cell carcinoma, respectively, up to a total of 60 patients, and will be treated with DKN-01 and paclitaxel. Part E may enroll up to 20 gastric cancer patients with genetically defined Wnt pathway alterations. Part F of the study will enroll approximately 67 patients, and will be tested in combination with pembrolizumab in patients that are naïve to or refractory to prior anti-PD-1/PD-L1 treatment. There is also a sub-study of DKN-01 as a monotherapy, which may enroll up to 40 patients.

We have been collecting the results of our EGC study on an ongoing basis, and preliminary data has been presented at scientific and investor conferences. The data presented in late 2017 included 50 patients with advanced esophageal and gastroesophageal junction cancers who had received between 1 and 7 prior lines of therapy. The best overall response data for each patient are presented in a figure below. We have observed clinical activity of DKN-01 plus paclitaxel in these patients, as 26% of patients achieved a partial response and 34% patients achieved a best overall response of stable disease, representing a total disease control rate of 60%. When analyzed by prior taxane experience, patients had a response rate of 40.9% and 12.5%, a disease control rate of 72.7% and 45.8%, and a median progression-free survival of 17.0 and 9.7 weeks, in taxane-naïve patients and taxane-experienced patients, respectively. A patient on DKN-01 monotherapy, who had previously been treated with prior immunotherapies including anti-PD-L1 and an inhibitor of IDO, achieved a partial response by central imaging analysis and has been on therapy for over one year.



We continue to enroll patients in the study, with a primary focus on Part F evaluating the combination of DKN-01 and KEYTRUDA® (pembrolizumab).

One of our goals is to identify biomarkers or genetic alterations that could define a patient population more likely to respond to treatment with DKN-01. In the study to-date, four patients evaluated with genetic testing on pre-treatment biopsies were found to have activating/stabilizing mutations of beta-catenin, which is a molecule in the Wnt signaling pathway implicated in oncogenesis, metastasis, and immune suppression. Of these 4 patients, 2 achieved partial responses and 1 had prolonged stable disease. One patient had a response exceeding 2.5 years, of which 1.5 years was on DKN-01 monotherapy with continued reduction in the tumor burden.

To-date, DKN-01-based therapies in EGC appear to be tolerable. There have been no new emerging safety concerns observed in this study. The majority of adverse events have been Grade 1 and 2 in severity.

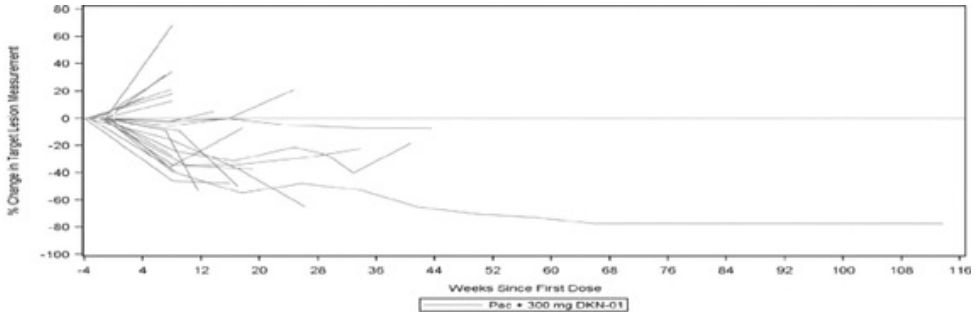
We believe that the results from this study support the continued development of DKN-01 in EGC patients in combination with chemotherapies and immune checkpoint inhibitors. Additional data from this study is expected starting in mid-2018.

P103—Biliary Tract Cancer

We have initiated study P103, a two-part Phase 1/2 study of DKN-01 in combination with gemcitabine and cisplatin in patients with advanced biliary tract cancer. Patients enrolled in this study had not received prior therapy to treat their advanced or metastatic disease. We evaluated two dose levels, 150 mg and 300 mg, of DKN-01 in Part A, and then we selected the 300mg dose for further study in Part B.

Preliminary data from this study were presented at the ASCO 2017 Annual Meeting. At the selected 300mg DKN-01 dose level, 7 of 22 evaluable patients (32%) experienced a partial response and 21 patients experienced a partial response or stable disease, representing a disease control rate of

96%. There were no reported DKN-01 related serious adverse events. The percentage change in target lesion measurements for each patient over time is depicted below.



Preliminary data on progression-free survival (PFS) has been obtained from the Part A and initial Part B patients treated at the 300 mg DKN-01 dose level. The preliminary median PFS of DKN-01 combination therapy was 9.4 months, while PFS for standard of care agents has been reported at six to eight months. At the time of the analysis, a median overall survival was not yet reached. Part B of this study was expanded include an 24 additional patients to confirm the activity of the combination and to enhance biomarker collection and analysis. Mature efficacy data from this study will be calculated from the total cohort of 51 patients and is expected to be available in 2018.

We believe that the results from this study support the continued development of DKN-01 in biliary tract cancer patients in combination with other anti-cancer agents, including chemotherapies and immune checkpoint inhibitors.

P204—Gynecologic Malignancies

We have initiated study P204, a Phase 2 basket study of DKN-01 as a monotherapy and in combination with paclitaxel in patients with advanced endometrioid uterine, or EEC and endometrioid ovarian, or EOC cancers. The study consists of four dosing groups (depicted below) and will enroll up to 94 patients following a Simon 2-Stage design in each group. The primary objective in each independent study group is to determine the OR. Secondary objectives in each independent study group are to determine additional measurements of efficacy, such as OS and PFS, and to evaluate the safety of the study treatment regimen. These malignancies have a high percentage (~30%) of patients with mutations in the Wnt pathway. The study is designed to enroll at least 50% of patients whose tumors have predefined mutations in the Wnt pathway. Interim preliminary data anticipated in the second half of 2018.

P204 Study Groups	Planned n
Group 1: EEC DKN-01 mono	21
Group 2: EEC DKN-01 + pac	31
Group 3: EOC DKN-01 mono	21
Group 4: EOC DKN-01 + pac	21

Investigator-Initiated and Collaborative Group Studies

As part of our strategy to advance the development of DKN-01 in a cost-effective manner and on a global basis, we intend to work with key opinion leaders and cooperative groups to initiate and

conduct clinical trials in targeted patient populations and in combination with other therapies. We currently have established relationships for two investigator-initiated or collaborative group studies:

DIAL-1—Hepatocellular Carcinoma

An investigator-initiated Phase 1/2 study of DKN-01 as a monotherapy and in combination with sorafenib will be conducted in patients with hepatocellular carcinoma, a type of liver cancer that has a high percentage of patients with Wnt pathway alterations. The study will be led by principal investigators Markus Moehler, M.D., Ph.D, Professor of Gastrointestinal Oncology, and Jens Marquardt, M.D., Lichtenberg Professor for Molecular Hepatocarcinogenesis, Johannes-Gutenberg University in Mainz, Germany. In order to be eligible for this study, patients will be required to have documented activation of the Wnt pathway in tumor tissue through a predefined biomarker assay. The study includes dose escalation and dose expansion cohorts, evaluating a range of dose levels of DKN-01. The study will first evaluate patients on DKN-01 monotherapy until documented progression, and then will evaluate the combination of DKN-01 with sorafenib. The primary objective of the study is to establish the recommended Phase 2 dose of DKN-01 as a monotherapy and as a combination with sorafenib in patients with HCC through the evaluation of safety and tolerability. Additionally, the primary objective includes to assess the time to progression in treatment naïve patients with advanced HCC after treatment with DKN-01 monotherapy and in combination with sorafenib. This study is expected to enroll approximately 70 patients and begin enrolling patients in 1H-2018.

DINAMIC—Esophagogastic and Biliary Tract Cancer

The European Organisation for Research and Treatment of Cancer (EORTC) is planning to conduct a study that will evaluate DKN-01 in combination with atezolizumab (TECENTRIQ®) +/- paclitaxel in advanced esophagogastric malignancies and DKN-01 + atezolizumab in advanced biliary tract cancers. The study will enroll three cohorts, with Cohort 1 being DKN-01 in combination with atezolizumab and paclitaxel in advanced esophagogastric cancer, Cohort 2 being DKN-01 in combination with atezolizumab in advanced esophagogastric cancer, and Cohort 3 being DKN-01 in combination with atezolizimab in advanced biliary tract cancer. This study is expected to initiate in 2018.

TRX518

The human immune system has the ability to adapt to and attack foreign cells, or non-self cells, and in doing so it recognizes danger with the goal of protecting the body from harm. It has been well established that cancer cells develop mechanisms to suppress the body's natural immune response and evade destruction by immune cells. Activating signals to augment an immune response in cancer, or costimulation, is a strategy that is being explored by using agonist antibodies targeting activating receptors on immune cells. This strategy is expected to overcome suppressive mechanisms that would prevent these immune system cells from attacking a tumor. Agonist antibodies that costimulate the immune system have the potential to be combined with established therapies such as chemotherapy or checkpoint inhibitors to enable the immune system to yield a robust anti-tumor immune response.

We believe glucocorticoid-induced tumor necrosis factor-related receptor, or GITR, is an ideal target for costimulation as it is an activating receptor present on a wide range of naive and activated immune system cells, including CD4+ and CD8+ T effector cells, T regulatory cells, natural killer cells, granulocytes, mast cells and monocytes/macrophages. The expression and activation of GITR has been shown to enhance an antigen-specific inflammatory response. Preclinical studies demonstrated that GITR activation led to robust clinical benefits in multiple animal models. GITR agonist antibodies have been found to be effective in combination with chemotherapies, checkpoint inhibitors, and vaccines in various preclinical cancer and vaccine models.

TRX518 is a high affinity GITR agonist monoclonal antibody that binds to GITR and generates a signal in the target cell. We expect TRX518 binding to GITR to generate a sufficient signal to enhance the activity of anti-tumor immune system cells and impede the activity of immune system cells that protect the tumor. TRX518 was specifically engineered with a modification in its amino acid backbone sequence, or Fc region, to prevent binding to certain complementary receptors on other immune system cells, or Fc receptors, that would lead to the killing of GITR-expressing cells. We believe that depleting GITR-expressing cells would be harmful in that it would limit efficacy and create a theoretical risk of breakthrough autoimmune disease. Our goal in designing TRX518 was to optimize the efficacy and safety profile of the antibody, as our preclinical studies confirmed activity without Fc receptor binding and demonstrated comparable efficacy to Fc receptor binding intact antibodies.

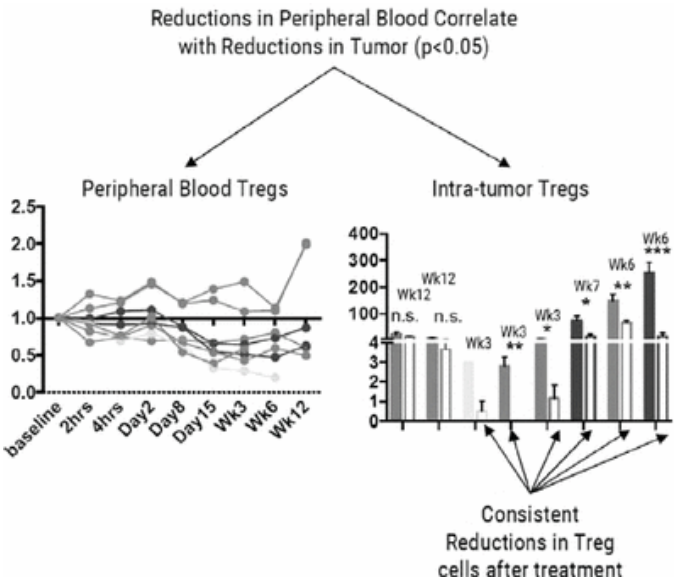
In a recent publication, an Fc inactive GITR agonist antibody was evaluated against an Fc intact GITR agonist antibody, alone and in combination with radiation, in a model of murine glioblastoma. The results demonstrated that the Fc inactive GITR agonist antibody was effective in the model in combination with radiation, whereas the Fc active GITR agonist was not effective, either alone or in combination with radiation. We believe that the removal of Fc function represents an advantage to our GITR agonist antibody and a differentiator from other competing GITR agonist antibodies.

Single Ascending Dose Monotherapy

We are conducting study 001, a Phase 1 study of TRX518 as a monotherapy in adults with refractory solid tumors, initially to evaluate the safety of increasing single doses between 0.0001 mg/kg and 8.0 mg/kg and subsequently in later Study Parts to explore multi-dose therapy at q 2 week intervals at doses between 0.25 mg/kg to 1 mg/kg. Exploratory objectives include evaluating for immune system responses to tumor antigens and demonstrating evidence of biological activity. The single dose portion of the study has been completed.

In the single-dose study, no maximum tolerated dose was reached for the single administration of TRX518, with few related treatment-emergent adverse events, all Grade 1 and 2, and no reported autoimmune events. We have observed doses where all the GITR receptors have been bound by TRX518, and this binding lasts for at least several days. We believe that binding at this level is essential to generating sufficient signaling and agonist activity. There have been signs of immune system activation and biological activity in some patients, including evidence of T regulatory cell modulation in the blood and in tumors. Initial biomarker data from this study was presented at the Society for Immunotherapy of Cancer (SITC) in November 2016 and at the American Association for Cancer Research 2017 Annual Meeting (shown below). We believe that the favorable profile and kinetics of TRX518 in this first-in-human, single-dose safety study enabled advancing development to multi-dose studies.

Regulatory T Cells

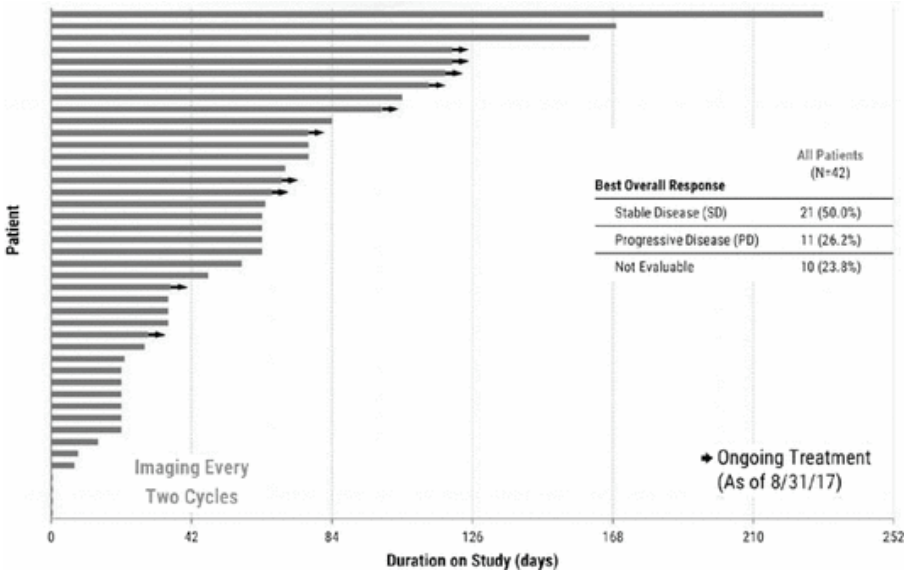


Multiple Dose and Combination Studies

We are conducting study 003, a multi-part, multiple dose Phase 1 study of TRX518 as a monotherapy or in combination in adults with advanced solid tumors. Part A of the study is designed to evaluate the safety of escalating doses of TRX518 between 1.0 mg/kg and 4.0 mg/kg. The initial three cohorts were administered as weekly doses to the patient over a three week cycle. Additional cohorts evaluated the strategy of using a larger initial dose and lower subsequent doses once every three weeks to patients. Part B is designed to be an expansion cohort of up to 20 patients that will use the preferred dosing strategy identified during Part A. Additional objectives include evaluating for objective responses, survival, and demonstrating evidence of immune system activity.

The dose escalation phase, Part A, was completed, and Part B has finished enrolling patients. As of August 31 2017, 50% of patients experienced a best response of stable disease, 26% had progressive disease, and 24% were non-evaluable for response. Multiple patients had reductions in tumor burden, and some patients had durable stable disease up to ~8 months on TRX518 monotherapy. Individual patient durations on study are depicted in the figure below. Signs of pharmacodynamic activity including CD8+ T cell activation have been observed. Patient follow-up, biopsy, and biomarker analysis are ongoing, and data is expected to be available in Q2 2018.

Stable Disease Achieved in 50% of Patients on TRX518



The study was amended to include Study Parts C, D, and E, that evaluate TRX518 in combination with gemcitabine chemotherapy or in combination with KEYTRUDA® (pembrolizumab) or Opdivo® (nivolumab), anti-PD-1 therapies marketed by Merck (known as MSD outside the United States and Canada) and Bristol-Myers Squibb, respectively.

The combination arms evaluating TRX518 with gemcitabine includes both dose escalation and dose confirmation cohorts and are designed to evaluate the safety, pharmacokinetics/pharmacodynamics, and efficacy of the combination. This combination study part will enroll patients who have metastatic or locally advanced, incurable solid malignancies for which gemcitabine is clinically appropriate (e.g., non-small cell lung, breast, ovarian, pancreatic, and renal cancer) and will enroll approximately 32 patients.The combination arms evaluating TRX518 with pembrolizumab or nivolumab includes both dose escalation and dose confirmation cohorts and are designed to evaluate the safety, pharmacokinetics/pharmacodynamics, and efficacy of the combinations. The study will enroll patients who have received treatment with pembrolizumab or nivolumab for □4 months with a best response of stable disease and plans to continue treatment in accordance with package insert; or are not currently taking, but eligible for treatment with, pembrolizumab or nivolumab in accordance with the approved indications for each. The TRX518 + PD-1 antagonist studies will each enroll approximately 32 patients.

These combination arms are open for enrollment and interim data is expected to be available in the second half of 2018.

Intellectual Property

We strive to protect and enhance the proprietary technology, inventions and improvements that are commercially important to our business, including seeking, maintaining and defending patent rights. We also rely on confidential know-how that may be important to the development of our business. We protect our confidential know-how as trade secrets and through confidentiality agreements and invention assignment agreements with our employees, consultants, scientific advisors and others. We additionally expect to rely on regulatory protection afforded through data exclusivity as well as patent term extensions, where available.

Our commercial success may depend in part on our ability to obtain and maintain patent and other proprietary protection for commercially important technology, inventions and know-how related to our business; to defend and enforce our patents; to preserve the confidentiality of our know-how and trade secrets; and to operate without infringing the valid enforceable patents and proprietary rights of third parties.

Our ability to prevent third parties from making, using, selling, offering to sell or importing competing products to ours, including a competitor to either of DKN-01 or TRX518, depends on the validity, enforceability and/or scope of our patents. We have several patents and patent applications relating to each of DKN-01 and TRX518 and their therapeutic uses, and possess substantial know-how relating to the development and commercialization of DKN-01 and TRX518. We cannot be sure that any of our pending patent applications or future patent filings will lead to the issuance of new patents, nor can we be sure that any of our existing patents or any patents that may be granted to us in the future will be adequate to protect our market.

We plan on pursuing in-licensing opportunities to develop, strengthen and maintain our proprietary position in our field. We expect to use trademark protection for our products as they are marketed.

Patents

We exclusively license from Eli Lilly and Company, or Lilly, rights under 20 issued patents and 6 pending patent applications, all of which belong to the same patent family. The patents and applications in this patent family are directed to the composition of matter and use of DKN-01, and include (i) one issued U.S. Patent, (ii) issued patents in the following jurisdictions: Australia, Canada, China, Eurasia, Europe, Gulf Cooperation Council, India, Israel, Japan, Lebanon, Macao, Mexico, New Zealand, Pakistan, Singapore, South Africa, Taiwan, Ukraine and South Korea and (iii) pending applications in the following jurisdictions: Argentina, Brazil, Europe, Hong Kong, Venezuela and Thailand. The standard 20-year term for patents in this family would expire in 2030. The U.S. patent will expire 87 days after the standard term due to patent term adjustment. Patent term extensions for delays in marketing approval may also extend the terms of patents in this family.

We own one pending international application filed under the Patent Cooperation Treaty (PCT) directed to the use of a biomarker in patients receiving DKN-01 therapy. The PCT is an international patent law treaty that provides a unified procedure for filing a single initial patent application to seek patent protection for an invention simultaneously in each of the member states. Although a PCT application is not itself examined and cannot issue as a patent, it allows the applicant to seek protection in any of the member states through national-phase applications. Any patents that may issue in the United States based on the pending PCT Application will expire in 2037, absent any terminal disclaimer, patent term adjustment due to administrative delays by the USPTO or patent term extension under the Hatch-Waxman Act. Any patents issued in foreign jurisdictions will likewise expire in 2037.

We own 55 patents and 11 pending patent applications relating to TRX518 and uses thereof. The patents and applications primarily fall into two families. The standard 20-year term for U.S. patents in the first family would expire in 2026 and in the second family would expire in 2028 provided that all maintenance fee payments are timely paid and no terminal disclaimers are filed. Patent term extensions for delays in marketing approval may also extend the terms of patents in these two families. The various patent applications and patents covering TRX-518 include claims directed to compositions of matter (antibodies and antigen-binding fragments), pharmaceutical compositions, methods for inducing or enhancing an immune response, methods of treating a subject having a cancerous tumor, combination therapies, and uses of antibodies and antigen-binding fragments. Patent applications and patents claiming these subject matters have been filed and/or granted in the following jurisdictions: United States, Australia, Canada, Europe (Austria, Belgium, Denmark, Finland, France, Germany,

Ireland, Italy, Netherlands, Portugal, Spain, Sweden, Switzerland, United Kingdom), Hong Kong, India and Japan.

Patent Term

The base term of a U.S. patent is 20 years from the filing date of the earliest-filed non-provisional patent application from which the patent claims priority. The term of a U.S. patent can be lengthened by patent term adjustment, which compensates the owner of the patent for administrative delays at the United States Patent and Trademark Office (USPTO). In some cases, the term of a U.S. patent is shortened by a terminal disclaimer that reduces its term to that of an earlier-expiring U.S. patent.

The term of a U.S. patent may be eligible for patent term extension under the Drug Price Competition and Patent Term Restoration Act of 1984, referred to as the Hatch-Waxman Act or Hatch-Waxman Amendment, to account for at least some of the time a product is under development and regulatory review after the patent is granted. With regard to a product for which FDA approval is the first permitted marketing of the active ingredient, the Hatch-Waxman Act allows for extension of protection of one U.S. patent that includes at least one claim covering the composition of matter of an FDA-approved product, an FDA-approved method of treatment using the product, and/or a method of manufacturing the FDA-approved product. The extended protection cannot exceed the shorter of five years beyond the non-extended expiration of the patent or 14 years from the date of the FDA approval of the product. Some foreign jurisdictions, including Europe, have patent extension provisions (e.g., supplementary protection certificates), which allow for extension of the protection of a patent that covers a drug approved by the applicable foreign regulatory agency. In the future, if and when each of DKN-01 or TRX518 receives FDA approval, we expect to apply for patent term extension to extend the protection of one of our U.S. patents covering DKN-01, or its use, and one of our U.S. patents covering TRX518, its use, or a method of manufacturing this product. We also may pursue extensions in foreign jurisdictions where applicable.

Lilly License Agreement

On January 3, 2011, we entered into a license agreement with Lilly, pursuant to which Lilly granted us an exclusive license for certain intellectual property rights relating to pharmaceutically active compounds that may be useful in the treatment of bone healing, cancer and, potentially, other medical conditions. Such license includes a right to sublicense, under certain Lilly intellectual property rights to further develop and commercialize, on a worldwide basis, pharmaceutical products containing such licensed compounds.

Pursuant to the Lilly Agreement, we granted to Lilly 657,614 shares of common stock and agreed to pay Lilly a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term, with certain adjustments to be made to the royalty rate in connection with third person intellectual property, sales of competing products, and sales of biosimilar or generic products. We have not yet paid any royalties to Lilly pursuant to this agreement.

The royalty term, with respect to each country in which a product is sold, on a country-by-country and product-by-product basis, begins on first commercial sale of the product in the country and the later of (i) the tenth anniversary of the first date of commercial sale of the product in the country, (ii) expiration of the last-to-expire issued patent included within the patents licensed under the Lilly Agreement having a valid claim covering the sale of the product, and (iii) the expiration of any data exclusivity period for the product in the country.

The term of the Lilly Agreement began on January 3, 2011 and, unless earlier terminated pursuant to the termination provisions described below, will continue on a country-by-country basis until we have no remaining royalty or other payment obligations in a specific country. Upon expiration in a given

country, the licenses granted with respect to such country shall become fully paid up, perpetual and irrevocable.

Either party may terminate the Lilly Agreement with immediate effect if the other party enters into bankruptcy or takes similar action. We may terminate the Lilly Agreement (i) at any time without cause upon ninety (90) days written notice to Lilly or (ii) upon material breach of the Lilly Agreement by Lilly upon ninety (90) days written notice to Lilly, unless Lilly cures such breach or violation during such ninety (90) day period. Lilly may terminate the agreement (i) upon our material breach of the Lilly Agreement upon ninety (90) days written notice to us, unless we cure such breach or violation during such ninety (90) day period or (ii) if we challenge, or materially assist any third person to challenge, the validity or enforceability of the licensed intellectual property that is the subject of the Lilly Agreement upon thirty (30) days written notice to us, unless we cure such breach or violation during such thirty (30) day period.

If Lilly terminates the Lilly Agreement or if we terminate the Lilly Agreement without cause, (i) all rights under the licensed intellectual property rights will terminate and immediately and automatically revert to Lilly, (ii) any sublicense will be assigned by us to Lilly so that such sublicense becomes a direct license between Lilly and such sublicensee, (iii) subject to certain limitations, we will be required to grant to Lilly an irrevocable, non-exclusive, perpetual, fully paid up license under all patent rights developed or acquired by us during the term of the Lilly Agreement that relate to the Lilly licensed intellectual property, (iv) subject to certain limitations, we will be required to grant to Lilly an irrevocable, non-exclusive, perpetual, fully paid up license to the results of data from all preclinical and clinical studies of any compound or product covered by the Lilly Agreement, (v) subject to certain limitations, we will be required to take all steps necessary to permit Lilly to commence marketing product covered by the Lilly Agreement, and (vi) we will be required to assign or re-assign to Lilly all Lilly patents covered by the Lilly Agreement and that were assigned by Lilly to us. If we terminate the Lilly Agreement for material breach by Lilly or Lilly's bankruptcy, the licenses will remain in full force and effect and we will remain liable for the payment of all royalty obligations under the Lilly Agreement. However, in this case, we may offset against such royalties any damages that we are entitled to for breach of the Lilly Agreement by Lilly.

The Lilly Agreement also contains certain standard representations and warranties and certain standard confidentiality and indemnification provisions.

Lonza License Agreement

On May 28, 2015, we entered into a license agreement with Lonza Sales AG, pursuant to which Lonza granted us a world-wide, non-exclusive license for certain intellectual property rights relating to a gene expression system, solutions of nutrients used in mammalian cell culture and related know-how and patent rights to use, test, develop, manufacture, market, sell offer for sale, distribute, import and export DKN-01. Such license includes a right to sublicense to (i) a competing contract manufacturer solely for the purpose of such manufacturer producing DKN-01 and (ii) our affiliates and strategic partners solely for undertaking commercial activities.

In exchange for the license and sublicense described above, we agreed to pay to Lonza a low single-digit royalty calculated as a percentage of net sales on DKN-01. In addition, in connection with DKN-01 manufactured by Lonza, or a strategic partner of Lonza, we agreed to pay (i) an annual payment to Lonza beginning on the date of initiation of phase 1 clinical trials for DKN-01 and (ii) an increased annual payment to Lonza beginning on the date of initiation of phase 2 clinical trials for DKN-01, for so long as Lonza, or a strategic partner of Lonza, manufactures DKN-01. In connection with DKN-01 manufactured by any other party, we agreed to pay (i) an annual amount to Lonza per sublicense beginning on the commencement date of such sublicense and continuing for so long as the sublicense exists and (ii) a low single-digit royalty calculated as a percentage of net sales of DKN-01. All royalty amounts are subject to certain adjustments if, on a country-by-country basis, the

manufacture and/or sale of DKN-01 are not protected by a valid claim. All royalty obligations will expire on a country-by-country basis upon the later of (i) the expiration, revocation or complete rejection of all valid claims covering product in such country or (ii) ten (10) years from first commercial sale of DKN-01 in such country.

The Lonza Agreement will remain in force in each country of the world until either the expiration of the last valid patent claim or for so long as the know-how is identified and remains secret and substantial, whichever is later. Upon expiration of the Lonza Agreement with respect to DKN-01 in a particular country, the licenses granted under the Lonza Agreement with respect to DKN-01 in that country will become fully paid and royalty free.

Either party may terminate the Lonza Agreement (i) if the other party commits a breach of the Lonza Agreement and such breach is not cured within forty-five (45) days of receiving notice of the breach (or thirty (30) days in the case of payment defaults) or (ii) if the other party is unable to pay its debts and enters into compulsory or voluntary liquidation or enters into a bankruptcy or takes other similar action. We may terminate the Lonza Agreement by giving sixty (60) days written notice to Lonza. Lonza may, at its option, immediately terminate any or all of the licenses granted under the Lonza Agreement if we knowingly oppose any patent application within the patent rights granted or dispute the validity of any patent within under the Lonza Agreement or assist any third party to do so. Termination of the Lonza Agreement will terminate all licenses granted under the Lonza Agreement.

The Lonza Agreement also contains certain standard confidentiality and indemnification provisions.

Competition

The biotechnology and pharmaceutical industries are characterized by continuing technological advancement and significant competition. While we believe that our product candidates, technology, knowledge, experience and scientific resources provide us with competitive advantages, we face competition from major pharmaceutical and biotechnology companies, academic institutions, governmental agencies and public and private research institutions, among others. Any product candidates that we successfully develop and commercialize will compete with existing therapies and new therapies that may become available in the future. Key product features that would affect our ability to effectively compete with other therapeutics include the efficacy, safety and convenience of our products and the ease of use and effectiveness of any companion diagnostics. The level of generic competition and the availability of reimbursement from government and other third-party payors will also significantly affect the pricing and competitiveness of our products. Our competitors also may obtain FDA or other regulatory approval for their products more rapidly than we may obtain approval for ours, which could result in our competitors establishing a strong market position before we are able to enter the market.

Many of the companies against which we may compete have significantly greater financial resources and expertise in research and development, manufacturing, preclinical testing, conducting clinical trials, obtaining regulatory approvals and marketing approved products than we do. Smaller or early-stage companies may also prove to be significant competitors, particularly through collaborative arrangements with large and established companies. For example, Novartis, Merck, and Pfizer are all currently developing or have previously been developing anti-DKK1 monoclonal antibodies. Additionally, Merck, Novartis, Bristol-Myers Squibb, AstraZeneca, and Incyte are all developing a GITR agonist monoclonal antibody. These competitors also compete with us in recruiting and retaining qualified scientific and management personnel and establishing clinical trial sites and patient registration for clinical trials, as well as in acquiring technologies complementary to, or necessary for, our programs.

Manufacturing and Distribution

We do not have, and we do not currently plan to acquire or develop, the facilities or capabilities to manufacture clinical trial material for use in human clinical trials or finished drug product for commercialization. We depend on third-party contract manufacturers, or CMOs, for the production of clinical trial material for our studies. Our bulk drug substance, or DS, is produced at our CMOs, Patheon Biologics and Lonza, which are required to comply with the FDA's Current Good Manufacturing Practice, or cGMP, regulations. Our finished drug product is produced at a contract fill/ finisher provider, which is also required to comply with cGMP regulations. We have personnel with significant technical, manufacturing, analytical, quality and project management experience to oversee our third-party CMOs and to manage manufacturing and quality data and information for regulatory compliance purposes.

We must manufacture drug product for clinical trial use in compliance with cGMP. The cGMP regulations include requirements relating to organization of personnel, buildings and facilities, equipment, control of components and drug product containers and closures, production and process controls, packaging and labeling controls, holding and distribution, laboratory controls, records and reports, and returned or salvaged products. Our third-party CMOs are also subject to periodic inspections of facilities by the FDA and other authorities, including procedures and operations used in the testing and manufacture of our products to assess our compliance with applicable regulations. Failure to comply with statutory and regulatory requirements subjects a manufacturer to possible legal or regulatory action, including warning letters, the seizure or recall of products, injunctions, consent decrees placing significant restrictions on or suspending manufacturing operations and civil and criminal penalties. These actions could have a material impact on the availability of our products. CMOs often encounter difficulties involving production yields, quality control and quality assurance, as well as shortages of qualified personnel.

We have not yet established a sales, marketing or product distribution infrastructure because our lead candidates are still in early clinical development. We eventually may, however, choose to build (or obtain through strategic acquisition) our own sales and marketing team to commercialize some or all of our products if they receive FDA approval and if it is in our long-term interests. We may also choose to enter into distribution agreements with larger strategic partners with their own robust distribution channels.

Government Regulation and Product Approval

Government authorities in the United States, at the federal, state, and local level, and in other countries, extensively regulate, among other things, the research, development, testing, approval, manufacture, packaging, storage, recordkeeping, labeling, advertising, promotion, distribution, post-approval monitoring and reporting, marketing, import, and export of biopharmaceutical products such as those we are developing. In addition, manufacturers of biopharmaceutical products participating in Medicaid and Medicare are required to comply with mandatory price reporting, discount, and rebate requirements. The processes for obtaining regulatory approvals in the United States and in foreign countries, along with subsequent compliance with applicable statutes and regulations, require the expenditure of substantial time and financial resources. The following is a summary of the primary government regulations applicable to our business.

FDA Regulation

In the United States, the Food and Drug Administration, or FDA, regulates biologics under the Federal Food, Drug, and Cosmetic Act, or FDCA, the Public Health Services Act, or PHSA, and their implementing regulations. Any product we may develop must be cleared by the FDA before it is

marketed in the United States. The process required by the FDA before product candidates may be marketed in the United States generally involves the following:

- completion of preclinical laboratory tests, animal studies, and formulation studies in compliance with the FDA's GLP regulations;
- submission to the FDA of an Investigational New Drug application, or IND, which must become effective before human clinical trials may begin;
- approval by an Institutional Review Board, or IRB, for each clinical site, or centrally, before each trial may be initiated;
- adequate and well-controlled human clinical trials to establish the safety and efficacy of the proposed product candidates for its intended use, performed in accordance with GCPs;
- development of manufacturing processes to ensure the product candidate's identity, strength, quality, and purity;
- submission to the FDA of a Biologics License Application, or BLA;
- satisfactory completion of a FDA advisory committee review, if applicable;
- satisfactory completion of an FDA inspection of the manufacturing facility or facilities at which the products are produced to assess compliance with cGMPs, and to assure that the facilities, methods, and controls are adequate to preserve the therapeutics' identity, strength, quality, and purity, as well as satisfactory completion of an FDA inspection of selected clinical sites and selected clinical investigators to determine GCP compliance; and
- FDA review and approval of the BLA to permit commercial marketing for particular indications for use.

Preclinical Studies and IND Submission

The testing and approval process of product candidates requires substantial time, effort, and financial resources. Satisfaction of FDA pre-market approval requirements typically takes many years and the actual time required may vary substantially based upon the type, complexity, and novelty of the product or disease. Preclinical studies include laboratory evaluation of chemistry, pharmacology, toxicity, and product formulation, as well as animal studies to assess potential safety and efficacy. Such studies must generally be conducted in accordance with the FDA's GLPs. Prior to commencing the first clinical trial with a product candidate, an IND sponsor must submit the results of the preclinical tests and preclinical literature, together with manufacturing information, analytical data, any available clinical data or literature, and proposed clinical study protocols among other things, to the FDA as part of an IND.

An IND automatically becomes effective 30 days after receipt by the FDA, unless the FDA, within the 30-day time period, notifies the applicant of safety concerns or questions related to one or more proposed clinical trials and places the trial on a clinical hold. In such a case, the IND sponsor and the FDA must resolve any outstanding concerns before the clinical trial can begin. Clinical holds also may be imposed by the FDA at any time before or during trials due to safety concerns or non-compliance. As a result, submission of an IND may not result in FDA authorization to commence a clinical trial. A separate submission to an existing IND must also be made for each successive clinical trial conducted during product development.

Clinical Trials

Clinical trials involve the administration of the investigational product to human subjects under the supervision of qualified investigators in accordance with federal regulations and GCP requirements, which include the requirements that all research subjects provide their informed consent in writing for

their participation in any clinical trial, as well as review and approval of the study by an IRB. Investigators must also provide certain information to the clinical trial sponsors to allow the sponsors to make certain financial disclosures to the FDA. Clinical trials are conducted under protocols detailing, among other things, the objectives of the trial, the trial procedures, the parameters to be used in monitoring safety, the effectiveness criteria to be evaluated, and a statistical analysis plan. A protocol for each clinical trial, and any subsequent protocol amendments, must be submitted to the FDA as part of the IND. In addition, an IRB at each study site participating in the clinical trial or a central IRB must review and approve the plan for any clinical trial, informed consent forms, and communications to study subjects before a study commences at that site. An IRB considers, among other things, whether the risks to individuals participating in the trials are minimized and are reasonable in relation to anticipated benefits and whether the planned human subject protections are adequate. The IRB must continue to oversee the clinical trial while it is being conducted. Once an IND is in effect, each new clinical protocol and any amendments to the protocol must be submitted to the IND for FDA review, and to the IRB for approval. Progress reports detailing the results of the clinical trials must also be submitted at least annually to the FDA and the IRB and more frequently if serious adverse events or other significant safety information is found.

The FDA may order the temporary, or permanent, discontinuation of a clinical trial at any time, or impose other sanctions, if it believes that the clinical trial either is not being conducted in accordance with FDA requirements or presents an unacceptable risk to the clinical trial patients. An IRB may also require the clinical trial at the site to be halted, either temporarily or permanently, for failure to comply with the IRB's requirements or if the trial poses an unexpected serious harm to subjects, or may impose other conditions. We may also discontinue clinical trials as a result of risks to subjects, a lack of favorable results, or changing business priorities.

Information about certain clinical trials, including a description of the study and study results, must be submitted within specific timeframes to the National Institutes of Health, or NIH, for public dissemination on their clinicaltrials.gov website.

Additionally, some clinical trials are overseen by an independent group of qualified experts organized by the clinical trial sponsor, known as a data safety monitoring board or committee. This group regularly reviews accumulated data and advises the study sponsor regarding the continuing safety of trial subjects, potential trial subjects, and the continuing validity and scientific merit of the clinical trial. The data safety monitoring board receives special access to unblinded data during the clinical trial and may advise the sponsor to halt the clinical trial if it determined there is an unacceptable safety risk for subjects or on other grounds, such as no demonstration of efficacy.

The manufacture of investigational biologics for the conduct of human clinical trials is subject to cGMP requirements. Investigational biologics and active ingredients imported into the United States are also subject to regulation by the FDA relating to their labeling and distribution. Further, the export of investigational products outside of the United States is subject to regulatory requirements of the receiving country as well as U.S. export requirements under the FDCA.

In general, for purposes of BLA approval, human clinical trials are typically conducted in three sequential phases, which may overlap or be combined.

- *Phase 1*—Studies are initially conducted in healthy human volunteers or subjects with the target disease or condition and test the product candidate for safety, dosage tolerance, target engagement, mechanism of action, absorption, metabolism, distribution, and excretion. If possible, Phase 1 trials may also be used to gain an initial indication of product effectiveness.

- *Phase 2*—Controlled studies are conducted in limited subject populations with a specified disease or condition to evaluate preliminary efficacy, identify optimal dosages, dosage tolerance and schedule, possible adverse effects and safety risks, and expanded evidence of safety.

- *Phase 3*—These adequate and well-controlled clinical trials are undertaken in expanded subject populations, generally at geographically dispersed clinical trial sites, to generate enough data to provide statistically significant evidence of clinical efficacy and safety of the product for approval, to establish the overall risk-benefit profile of the product, and to provide adequate information for the labeling of the product. Typically, two Phase 3 trials are required by the FDA for product approval.

The FDA may also require, or companies may conduct, additional clinical trials for the same indication after a product is approved. These so-called Phase 4 studies may be made a condition to be satisfied after approval. The results of Phase 4 studies can confirm the effectiveness of a product candidate and can provide important safety information.

Phase 1, Phase 2, and Phase 3 clinical trials may not be completed successfully within any specified period, or at all. Regulatory authorities, an IRB, or the sponsor may suspend or discontinue a clinical trial at any time on various grounds, including a finding that the subjects are being exposed to an unacceptable health risk, the clinical trial is not being conducted in accordance with the FDA's or the IRB's requirements, the product has been associated with unexpected serious harm to the subjects, or based on evolving business objectives or competitive climate.

Concurrent with clinical trials, companies usually complete additional animal studies and must also develop additional information about the chemistry and physical characteristics of the product candidate as well as finalize a process for manufacturing the product in commercial quantities in accordance with cGMP requirements. The manufacturing process must be capable of consistently producing quality batches of the product candidate and, among other things, must develop methods for testing the identity, strength, quality, potency, and purity of the final product. Additionally, appropriate packaging must be selected and tested, and stability studies must be conducted to demonstrate that the product candidate does not undergo unacceptable deterioration over its shelf life.

During the development of a new therapeutic, a sponsor may be able to request a Special Protocol Assessment, or SPA, the purpose of which is to reach agreement with the FDA on the Phase 3 clinical trial protocol design and analysis that will form the primary basis of product approval and an efficacy claim as well as preclinical carcinogenicity trials and stability studies. An SPA may only be modified with the agreement of the FDA and the trial sponsor or if the director of the FDA reviewing division determines that a substantial scientific issue essential to determining the safety or efficacy of the product was identified after the testing began. An SPA is intended to provide assurance that, in the case of clinical trials, if the agreed upon clinical trial protocol is followed, the clinical trial endpoints are achieved, and there is a favorable risk-benefit profile, the data may serve as the primary basis for an efficacy claim in support of a BLA. However, SPA agreements are not a guarantee of an approval of a product candidate or any permissible claims about the product candidate. In particular, SPAs are not binding on the FDA if, among other reasons, previously unrecognized public health concerns arise during the performance of the clinical trial, other new scientific concerns regarding the product candidate's safety or efficacy arise, or if the sponsoring company fails to comply with the agreed upon clinical trial protocol.

BLA Submission, Review by the FDA, and Marketing Approval

Assuming successful completion of the required clinical and preclinical testing, the results of product development, including chemistry, manufacture, and controls, non-clinical studies, and clinical trial results, including negative or ambiguous results as well as positive findings, are all submitted to the FDA, along with the proposed labeling, as part of a BLA requesting approval to market the product for one or more indications. In most cases, the submission of a BLA is subject to a substantial application user fee. These user fees must be paid at the time of the first submission of the application, even if the application is being submitted on a rolling basis. Fee waivers or reductions are available in certain circumstances. One basis for a waiver of the application user fee is if the applicant employs fewer than 500 employees, including employees of affiliates, the applicant does not have an approved marketing application for a product that has been introduced or delivered for introduction into interstate commerce, and the applicant, including its affiliates, is submitting its first marketing application. Product candidates that are designated as orphan drugs, which are further described below, are also not subject to application user fees unless the application includes an indication other than the orphan indication.

In addition, under the Pediatric Research Equity Act, or PREA, a BLA or supplement to a BLA for a new active ingredient, indication, dosage form, dosage regimen, or route of administration, must contain data that are adequate to assess the safety and effectiveness of the product for the claimed indications in all relevant pediatric subpopulations, and to support dosing and administration for each pediatric subpopulation for which the product is safe and effective. The FDA may, on its own initiative or at the request of the applicant, grant deferrals for submission of some or all pediatric data until after approval of the product for use in adults, or full or partial waivers from the pediatric data requirements.

The FDA also may require submission of a risk evaluation and mitigation strategy, or REMS, to ensure that the benefits of the biologic outweigh the risks. The REMS plan could include medication guides, physician communication plans, and elements to assure safe use, such as restricted distribution methods, patient registries, or other risk minimization tools. An assessment of the REMS must also be conducted at set intervals. Following product approval, a REMS may also be required by the FDA if new safety information is discovered and the FDA determines that a REMS is necessary to ensure that the benefits of the biologic outweigh the risks.

Once the FDA receives an application, it has 60 days to review the BLA to determine if it is substantially complete to permit a substantive review, before it accepts the application for filing. The FDA may request additional information rather than accept a BLA for filing. In this event, the application must be resubmitted with the additional information. The resubmitted application is also subject to review before the FDA accepts it for filing. Once the submission is accepted for filing, the FDA begins an in-depth substantive review.

Under the goals and policies agreed to by the FDA under the Prescription Drug User Fee Act, or PDUFA, the FDA has set the review goal of completing its review of 90% of all applications within ten months from the 60-day filing date for its initial review of an initial BLA. Such deadlines are referred to as the PDUFA date. The PDUFA date is only a goal, thus, the FDA does not always meet its PDUFA dates. The review process and the PDUFA date may also be extended if the FDA requests or the sponsor otherwise provides substantial additional information or clarification regarding the submission.

The FDA may also refer certain applications to an advisory committee. An advisory committee is typically a panel that includes clinicians and other experts, which review, evaluate, and make a recommendation as to whether the application should be approved and under what conditions. The FDA is not bound by the recommendations of an advisory committee, but it considers such recommendations carefully when making decisions.

The FDA reviews applications to determine, among other things, whether a product is safe, pure and potent and whether the manufacturing methods and controls are adequate to assure and preserve the product's identity, strength, quality, safety, potency, and purity. Before approving a BLA, the FDA typically will inspect the facility or facilities where the product is manufactured, referred to as a Pre-Approval Inspection. The FDA will not approve an application unless it determines that the manufacturing processes and facilities, including contract manufacturers and subcontractors, are in compliance with cGMP requirements and adequate to assure consistent production of the product within required specifications. Additionally, before approving a BLA the FDA will inspect one or more clinical trial sites to assure compliance with GCPs.

The approval process is lengthy and difficult and the FDA may refuse to approve a BLA if the applicable regulatory criteria are not satisfied or may require additional clinical data or other data and information. Even if such data and information are submitted, the FDA may ultimately decide that the BLA does not satisfy the criteria for approval. Data obtained from clinical trials are not always conclusive and the FDA may interpret data differently than an applicant interprets the same data.

After evaluating the BLA and all related information, including the advisory committee recommendation, if any, and inspection reports regarding the manufacturing facilities and clinical trial sites, the FDA may issue an approval letter, or, in some cases, a Complete Response Letter, or CRL. If a CRL is issued, the applicant may either: resubmit the BLA, addressing all of the deficiencies identified in the letter; withdraw the application; or request an opportunity for a hearing. A CRL indicates that the review cycle of the application is complete and the application is not ready for approval and describes all of the specific deficiencies that the FDA identified in the BLA. A CRL generally contains a statement of specific conditions that must be met in order to secure final approval of the BLA, and may require additional clinical or preclinical testing in order for the FDA to reconsider the application. The deficiencies identified may be minor, for example, requiring labeling changes; or major, for example, requiring additional clinical trials. Even with submission of this additional information, the FDA ultimately may decide that the application does not satisfy the regulatory criteria for approval. If and when those conditions have been met to the FDA's satisfaction, the FDA may issue an approval letter. An approval letter authorizes commercial marketing of the product with specific prescribing information for specific indications.

Even if the FDA approves a product, it may limit the approved indications for use of the product, require that contraindications, warnings, or precautions be included in the product labeling, including a boxed warning, require that post-approval studies, including Phase 4 clinical trials, be conducted to further assess a product's safety and efficacy after approval, require testing and surveillance programs to monitor the product after commercialization, or impose other conditions, including distribution restrictions or other risk management mechanisms under a REMS which can materially affect the potential market and profitability of the product. The FDA may also not approve label statements that are necessary for successful commercialization and marketing.

After approval, some types of changes to the approved product, such as adding new indications, manufacturing changes, and additional labeling claims, are subject to further testing requirements and FDA review and approval. The FDA may also withdraw the product approval if compliance with the pre- and post-marketing regulatory standards are not maintained or if problems occur after the product reaches the marketplace. Further, should new safety information arise, additional testing, product labeling, or FDA notification may be required.

Biosimilars, Orphan Drugs, and Exclusivity

The Biologics Price Competition and Innovation Act of 2009, or BPCIA, creates an abbreviated approval pathway for biological products shown to be highly similar to or interchangeable with an FDA-licensed reference biological product. Biosimilarity sufficient to reference a prior FDA-approved product requires a high similarity to the reference product notwithstanding minor differences in clinically inactive components, and no clinically meaningful differences between the biological product and the reference product in terms of safety, purity, and potency. Biosimilarity must be shown through analytical studies, animal studies, and at least one clinical trial, absent a waiver by FDA. There must be no difference between the reference product and a biosimilar in conditions of use, route of administration, dosage form, and strength. A biosimilar product may be deemed interchangeable with a prior approved product if it meets the higher hurdle of demonstrating that it can be expected to produce the same clinical results as the reference product and, for products administered multiple times, the biologic and the reference biologic may be switched after one has been previously administered without increasing safety risks or risks of diminished efficacy relative to exclusive use of the reference biologic. Complexities associated with the larger, and often more complex, structures of biological products, as well as the process by which such products are manufactured, pose significant hurdles to implementation which are still being evaluated by the FDA.

A reference biologic is granted 12 years of exclusivity from the time of first licensure of the reference product, and no application for a biosimilar can be submitted for four years from the date of

licensure of the reference product. However, certain changes and supplements to an approved BLA, and subsequent applications filed by the same sponsor, manufacturer, licensor, predecessor in interest, or other related entity do not qualify for the twelve year exclusivity period.

The Orphan Drug Act provides incentives for the development of products intended to treat rare diseases or conditions, which generally are diseases or conditions affecting less than 200,000 individuals annually in the United States, or affecting more than 200,000 in the United States and for which there is no reasonable expectation that the cost of developing and making the product available in the United States will be recovered from United States sales. Additionally, sponsors must present a plausible hypothesis for clinical superiority to obtain orphan designation if there is a product already approved by the FDA that is intended for the same indication and that is considered by the FDA to be the same as the already approved product. This hypothesis must be demonstrated to obtain orphan exclusivity. If granted, prior to product approval, Orphan Designation entitles a party to financial incentives such as opportunities for grant funding towards clinical study costs, tax advantages, and user-fee waivers. In addition, if a product receives FDA approval for the indication for which it has orphan designation, the product is generally entitled to orphan exclusivity, which means the FDA may not approve any other application to market the same product for the same indication for a period of seven years, except in limited circumstances, such as a showing of clinical superiority over the product with orphan exclusivity.

Special FDA Expedited Review and Approval Programs

The FDA has various programs, including Fast Track designation, priority review, and breakthrough designation, that are intended to expedite or simplify the process for the development and FDA review of certain products that are intended for the treatment of serious or life threatening diseases or conditions, and demonstrate the potential to address unmet medical needs or present a significant improvement over existing therapy. The purpose of these programs is to provide important new therapeutics to patients earlier than under standard FDA review procedures.

To be eligible for a Fast Track designation, the FDA must determine, based on the request of a sponsor, that a product is intended to treat a serious or life threatening disease or condition and demonstrates the potential to address an unmet medical need. The FDA will determine that a product will fill an unmet medical need if the product will provide a therapy where none exists or provide a therapy that may be potentially superior to existing therapy based on efficacy, safety, or public health factors. If Fast Track designation is obtained, sponsors may be eligible for more frequent development meetings and correspondence with the FDA. In addition, the FDA may initiate review of sections of an application before the application is complete. This "rolling review" is available if the applicant provides and the FDA approves a schedule for the remaining information. In some cases, a Fast Track product may be eligible for accelerated approval or priority review.

The FDA may give a priority review designation to products that are intended to treat serious conditions and, if approved, would provide significant improvements in the safety or effectiveness of the treatment, diagnosis, or prevention of serious conditions. A priority review means that the goal for the FDA is to review an application within six months, rather than the standard review of ten months under current PDUFA guidelines, of the 60-day filing date.

Drug or biological products studied for their safety and effectiveness in treating serious or life-threatening illnesses and that provide meaningful therapeutic benefit over existing treatments may receive accelerated approval, which means the FDA may approve the product based upon a surrogate endpoint that is reasonably likely to predict clinical benefit, or on a clinical endpoint that can be measured earlier than irreversible morbidity or mortality, that is reasonably likely to predict an effect on irreversible morbidity or mortality or other clinical benefit, taking into account the severity, rarity, or prevalence of the condition and the availability or lack of alternative treatments. A drug or biologic

candidate approved on this basis is subject to rigorous post-marketing compliance requirements, including the completion of Phase 4 or post-approval clinical trials to confirm the effect on the clinical endpoint. Failure to conduct required post-approval studies, or confirm a clinical benefit duringpost-marketing studies, will allow the FDA to withdraw the drug or biologic from the market on an expedited basis. All promotional materials for drug or biologic candidates approved under accelerated regulations are subject to prior review by the FDA.

Moreover, under the provisions of the Food and Drug Administration Safety and Innovation Act, or FDASIA, enacted in 2012, a sponsor can request designation of a product candidate as a "breakthrough therapy." A breakthrough therapy is defined as a product that is intended, alone or in combination with one or more other products, to treat a serious or life-threatening disease or condition, and preliminary clinical evidence indicates that the product may demonstrate substantial improvement over existing therapies on one or more clinically significant endpoints, such as substantial treatment effects observed early in clinical development. Products designated as breakthrough therapies are eligible for the Fast Track designation features as described above, intensive guidance on an efficient development program beginning as early as Phase 1 trials, and a commitment from the FDA to involve senior managers and experienced review staff in a proactive collaborative, cross-disciplinary review.

Even if a product qualifies for one or more of these programs, the FDA may later decide that the product no longer meets the conditions for qualification or decide that the time period for FDA review or approval will not be shortened.

Post-approval Requirements

Any products manufactured or distributed pursuant to FDA approvals are subject to pervasive and continuing regulation by the FDA, including, among other things, requirements related to manufacturing, recordkeeping, and reporting, including adverse experience reporting, shortage reporting, and periodic reporting, product sampling and distribution, advertising, marketing, promotion, certain electronic records and signatures, and post-approval obligations imposed as a condition of approval, such as Phase 4 clinical trials, REMS, and surveillance to assess safety and effectiveness after commercialization.

After approval, most changes to the approved product, such as adding new indications or other labeling claims are subject to prior FDA review and approval. There also are continuing annual user fee requirements for any marketed products and the establishments at which such products are manufactured, as well as new application fees for supplemental applications with clinical data. In addition, manufacturers and other entities involved in the manufacture and distribution of approved therapeutics are required to register their establishments with the FDA and certain state agencies, list their products, and are subject to periodic announced and unannounced inspections by the FDA and these state agencies for compliance with cGMP and other requirements, which impose certain procedural and documentation requirements upon a company and its third-party manufacturers. Manufacturers must continue to expend time, money, and effort in the areas of production and quality-control to maintain compliance with cGMPs. Regulatory authorities may withdraw product approvals or request product recalls if a company fails to comply with regulatory standards, if it encounters problems following initial marketing, or if previously unrecognized problems are subsequently discovered.

Changes to the manufacturing process are strictly regulated and often require prior FDA approval or notification before being implemented. FDA regulations also require investigation and correction of any deviations from cGMP and specifications, and impose reporting and documentation requirements upon the sponsor and any third-party manufacturers that the sponsor may decide to use. Accordingly, manufacturers must continue to expend time, money, and effort in the area of production and quality control to maintain cGMP compliance.

Moreover, the enacted Drug Quality and Security Act, or DQSA, imposes obligations on manufacturers of biopharmaceutical products related to product tracking and tracing. Among the requirements of this legislation, manufacturers are required to provide certain information regarding the products to individuals and entities to which product ownership is transferred, will be required to label products with a product identifier, and are required to keep certain records regarding the product. The transfer of information to subsequent product owners by manufacturers will eventually be required to be done electronically. Manufacturers must also verify that purchasers of the manufacturers' products are appropriately licensed. Further, under this legislation, manufactures will have product investigation, quarantine, disposition, and notification responsibilities related to counterfeit, diverted, stolen, and intentionally adulterated products that would result in serious adverse health consequences of death to humans, as well as products that are the subject of fraudulent transactions or which are otherwise unfit for distribution such that they would be reasonably likely to result in serious health consequences or death. Similar requirements additionally are and will be imposed through this legislation on other companies within the biopharmaceutical product supply chain, such as distributors and dispensers.

Adverse event reporting and submission of periodic reports, including annual reports and deviation reports, are required following FDA approval of a BLA. Later discovery of previously unknown problems with a product, including adverse events of unanticipated severity or frequency, or with manufacturing processes, or failure to comply with regulatory requirements, may result in significant regulatory actions. Such actions may include refusal to approve pending applications, license suspension or revocation, withdrawal of an approval, imposition of a clinical hold or termination of clinical trials, warning letters, untitled letters, cyber letters, modification of promotional materials or labeling, provision of corrective information, imposition of post-market requirements including the need for additional testing, imposition of distribution or other restrictions under a REMS, product recalls, product seizures or detentions, refusal to allow imports or exports, total or partial suspension of production or distribution, FDA debarment, injunctions, fines, consent decrees, corporate integrity agreements, debarment from receiving government contracts, and new orders under existing contracts, exclusion from participation in federal and state healthcare programs, restitution, disgorgement, or civil or criminal penalties, including fines and imprisonment, and result in adverse publicity, among other adverse consequences.

Other Regulation

In addition to any FDA restrictions on marketing and promotion of drugs and devices, other federal and state laws restrict our business practice including, without limitation, anti-kickback and false claims laws, data privacy and security laws, as well as transparency laws regarding payment or other items of value provided to healthcare providers. Future legislative proposals to reform healthcare may also impact us.

We are also governed by other federal, state and local laws of general applicability, such as laws regulating working conditions, employment practices, as well as environmental protection.

Research and Development Expenses

Our total research and development expenses were $22.5 million and $23.3 million, during the years ended December 31, 2017 and 2016, respectively. See Part II—Item 7—"Management's Discussion and Analysis of Financial Condition and Results of Operations" of this Annual Report on Form 10-K for additional detail regarding our research and development activities.

Employees

As of February 21, 2018, we had 22 employees, including 20 full-time employees and 2 part time employees. We also use the services of consultants on a regular basis. None of our employees are represented by labor unions or covered by collective bargaining agreements. We consider our employee relations to be good.

Web Availability

We make available free of charge through our website, www.leaptx.com, our Annual Report on Form 10-K, other reports that we file with the Securities and Exchange Commission and any amendments to the reports filed or furnished pursuant to Sections 13(a) and 15(d) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), as well as certain of our corporate governance policies, including the charters for the audit, compensation and nominating and governance committees of our board of directors and our code of ethics and corporate governance guidelines. We make these reports available as soon as reasonably practicable after they are filed with or furnished to the SEC. The information contained on, or that can be accessed through our website is not a part of or incorporated by reference into this Annual Report on Form 10-K. We will also provide to any person without charge, upon request, a copy of any of the foregoing materials. Any such request must be made in writing to us at: Leap Therapeutics, Inc. c/o Investor Relations, 41 Thorndike Street, Suite B1-1, Cambridge, MA 02141.

Item 1A. Risk Factors.

The following risk factors and other information included in this Annual Report on Form 10-K should be carefully considered. The risks and uncertainties described below are not the only ones we face. Additional risks and uncertainties not presently known to us or that we presently deem less significant may also impair our business operations. Please see the "Special Note Regarding Forward-Looking Statements and Industry Data" at the beginning of this Annual Report on Form 10-K for a discussion of some of the forward-looking statements that are qualified by these risk factors. If any of the following risks occur, our business, financial condition, results of operations and future growth prospects could be materially and adversely affected.

Risks Related to Leap's Financial Position and Capital Needs

We have incurred significant losses since our inception and anticipate that we will continue to incur losses in the future.

We are a clinical-stage biopharmaceutical company. Investment in biopharmaceutical product development is highly speculative because it entails substantial upfront capital expenditures and significant risk that our two product candidates, DKN-01 and TRX518, or any other products will fail to gain regulatory approval or become commercially viable. We have only two clinical-stage product candidates, which are at the early stages of clinical development. We do not have any products approved by regulatory authorities for marketing and have not generated any revenue from product sales. We incur significant research, development and other expenses related to our ongoing operations.

As a result, we are not profitable and have incurred losses in every reporting period since our inception in 2011. For the year ended December 31, 2017, we reported a net loss of $29.7 million, and had an accumulated deficit of $130.4 million at December 31, 2017.

We expect to continue to incur significant expenses and operating losses for the foreseeable future. We anticipate these losses to increase as we continue the research and development of, and seek regulatory approvals for DKN-01 and TRX518, and we potentially begin to commercialize DKN-01 and TRX518, if they receive regulatory approval. We may encounter unforeseen expenses, difficulties, complications, delays and other unknown factors that may adversely affect our business. The size of our future net losses will depend, in part, on the rate of future growth of our expenses and our ability to generate revenues. If either or both of DKN-01 or TRX518 fails in clinical trials or does not gain regulatory approval, or if approved, fails to achieve market acceptance, we may never become profitable. Even if we achieve profitability in the future, we may not be able to sustain profitability in subsequent periods.

We currently have no source of product revenue and may never become profitable.

We have not generated any revenues, and we have no commercial products. Our ability to generate revenue from product sales and achieve profitability will depend upon our ability to successfully gain regulatory approval and commercialize DKN-01 or TRX518 or other product candidates that we may in-license or acquire in the future. Even if we are able to successfully achieve regulatory approval, we do not know when we will generate revenue from product sales, if at all. Our ability to generate revenue from product sales from any product candidates also depends on a number of additional factors, including our ability to:

- successfully complete development activities, including enrollment of study participants and completion of the necessary clinical trials;
- complete and submit new drug applications, or NDAs, or biologics license applications, or BLAs, to the FDA and obtain regulatory approval for indications for which there is a commercial market;

- complete and submit applications to, and obtain regulatory approval from, foreign regulatory authorities;
- make or have made commercial quantities of our products at acceptable cost levels;
- develop a commercial organization capable of manufacturing, sales, marketing and distribution for any products we intend to sell ourselves in the markets in which we choose to commercialize on our own;
- find suitable partners to help us market, sell and distribute our approved products in other markets; and
- obtain adequate pricing, coverage and reimbursement from third parties, including government and private payors.

In addition, because of the numerous risks and uncertainties associated with product development, including that DKN-01 or TRX518 may not advance through development or achieve the endpoints of applicable clinical trials, we are unable to predict the timing or amount of increased expenses, or when or if we will be able to achieve or maintain profitability. Even if we are able to complete the development and regulatory process for DKN-01 and/or TRX518, we anticipate incurring significant costs associated with commercializing these products, including in building the requisite sales and marketing capabilities to sell such products (which itself may pose financial and operational risks).

Even if we are able to generate revenues from the sale of our products, we may not become profitable and will need to obtain additional funding to continue operations. If we fail to become profitable or are unable to sustain profitability on a continuing basis, and we are not successful in obtaining additional funding, then we may be unable to continue our operations at planned levels.

We will require additional capital to fund our operations and if we fail to obtain necessary financing, we may be unable to complete the development and potential commercialization of DKN-01 or TRX518 or acquire other products.

Our operations have consumed substantial amounts of cash since inception. We expect to continue to spend substantial amounts to advance the clinical development of DKN-01 and TRX518 and launch and commercialize these product candidates, if we receive regulatory approval. We will require additional capital for the further development and potential commercialization. If we are unable to raise capital when needed or on attractive terms, we could be forced to delay, reduce or eliminate our research and development programs or any future commercialization efforts.

We believe that our cash and cash equivalents will enable us to fund our operating expenses and capital expenditure requirements for at least the next 12 months. We have based this estimate on assumptions that may prove to be wrong, and we could deploy our available capital resources sooner than we currently expect. Our future funding requirements, both near and long-term, will depend on many factors, including, but not limited to the:

- initiation, progress, timing, costs and results of pre-clinical studies and clinical trials for our product candidates;
- costs and timing of additional clinical trial and commercial manufacturing activities;
- clinical development plans we establish for DKN-01, TRX518, and any other future product candidates;
- number and characteristics of any new product candidates that we in-license and develop;

- outcome, timing and cost of regulatory review by the FDA and comparable foreign regulatory authorities, including the potential for the FDA or comparable foreign regulatory authorities to require that we perform more studies than those that we currently expect;
- costs of filing, prosecuting, defending and enforcing any patent claims and maintaining and enforcing other intellectual property rights;
- effect of competing product candidates and market developments; and
- costs and timing of establishing sales, marketing and distribution capabilities for any product candidates for which we may receive regulatory approval.

If we are unable to fund our operations or otherwise capitalize on our business opportunities due to a lack of capital, our ability to become profitable will be compromised.

Raising additional capital may cause dilution to our existing stockholders, restrict our operations or require us to relinquish rights to our product candidates.

Until we can generate substantial revenue from product sales, if ever, we expect to seek additional capital through a combination of private and public equity offerings, debt financings, strategic collaborations and alliances and licensing arrangements. To the extent that we raise additional capital through the sale of equity or convertible debt securities, the ownership interests of existing stockholders will be diluted, and the terms may include liquidation or other preferences that adversely affect the rights of existing stockholders. Debt financing, if available, may involve agreements that include liens or other restrictive covenants limiting our ability to take important actions, such as incurring additional debt, making capital expenditures or declaring dividends. If we are unable to raise additional funds through equity or debt financing when needed, we may be required to delay, limit, reduce or terminate our product development or commercialization efforts or grant rights to develop and market our product candidates that we would otherwise prefer to develop and market ourselves. If we raise additional funds through strategic collaborations and alliances or licensing arrangements with third parties, we may have to relinquish valuable rights to our product candidates in particular countries, or grant licenses on terms that are not favorable to us.

Future utilization of net operating loss carryfowards may be limited.

As of December 31, 2017, we had federal, state and foreign net operating loss carryforwards of $81.6 million, $63.5 million and $70.0 million, respectively, which begin to expire in 2036. We may be able to utilize our net operating loss carryforwards to reduce future federal and state income tax liabilities. However, these net operating losses are subject to various limitations under Section 382 of the U.S. Internal Revenue Code of 1986, as amended ("IRC"). In accordance with Section 382 of the IRC, a change in equity ownership of greater than 50% of the Company within a three-year period can result in an annual limitation on the Company's ability to utilize its net operating loss carryforwards that were created during tax periods prior to the change in ownership. A change in ownership may result from the issuance of common or preferred shares of the Company, among other events.

We rely on a Research & Development Incentive program to finance our operations in Australia, which could be amended or changed.

We have also financed our business operations through R&D Incentive income. The research and development tax incentive is one of the key elements of Australian Government's support for Australia's innovation system and if eligible, provides the recipient with a refundable tax offset for research and development activities. For the year ended December 31, 2017 we recorded research and development incentive income receivable of $1.7 million, representing the applicable percentage of our expected eligible expenses net of our current Australia tax liability. For the 2017 financial year, the

refundable research and development rate was 43.5% of eligible expenses rather than the 45% rate of our 2016 fiscal year. There are proposals to cap the total refundable payments to Australian $2 million on an annual basis. There can be no assurance that we will continue to qualify and be eligible for such incentives or that the Australian Government will continue to provide incentives, offset, grants and rebates on similar terms or at all.

A large majority of the Company's shares are held by a few stockholders, some of whom are affiliated with members of the Company's management and our board of directors. As these principal stockholders substantially control the Company's corporate actions, our other stockholders may face difficulty in exerting any influence over matters not supported by these principal stockholders.

The Company's principal stockholders include HealthCare Ventures VIII, L.P., HealthCare Ventures IX, L.P. and HealthCare Ventures Strategic Fund, L.P. (the "Funds") and Eli Lilly and Company. Each of Christopher Mirabelli, our Chief Executive Officer, Douglas Onsi, our Chief Financial Officer, Augustine Lawlor, our Chief Operating Officer, John Littlechild, a director, and James Cavanaugh, a director, are affiliated with the Funds and may be deemed to have an indirect beneficial ownership interest in the stock owned by the Funds. As of February 21, 2018, these principal stockholders collectively owned approximately 65% of the Company's then outstanding shares of common stock. These stockholders, acting individually or as a group, may be able to exert control over matters such as electing directors, amending the Company's certificate of incorporation or bylaws, and approving mergers or other business combinations or transactions. In addition, because of the percentage of ownership and voting concentration in these principal stockholders, elections of the Company's board of directors may be within the control of these stockholders. While all of the Company's stockholders are entitled to vote on matters submitted to the Company's stockholders for approval, the concentration of shares and voting control presently lies with these principal stockholders. As such, it would be difficult for stockholders to propose and have approved proposals not supported by these principal stockholders. There can be no assurance that matters voted upon by the Company's officers and directors in their capacity as stockholders will be viewed favorably by all stockholders of the Company's company. The stock ownership of the Company's principal stockholders may discourage a potential acquirer from seeking to acquire shares of the Company's common stock which, in turn, could reduce the Company's stock price or prevent the Company's stockholders from realizing a premium over the Company's stock price.

Risks Related to Our Business and Industry

Clinical testing is expensive and can take many years to complete, and its outcome is inherently uncertain. Failure can occur at any time during the clinical trial process.

The results of preclinical studies, preliminary study results, and early clinical trials of our product candidates may not be predictive of the results of later-stage clinical trials or the ultimately completed trial. For instance, while we have preliminary study results for our clinical studies of DKN-01 in esophageal cancer and biliary tract cancer, as well as our two clinical studies of TRX518, these studies are still ongoing and the ultimate study results may be different than the preliminary ones we have seen to date. Moreover, while we have seen preliminary favorable results in individual study subjects, these results may not be representative of the ultimate study population. Finally, the clinical trials conducted to date for DKN-01 and TRX518 are relatively small, open-label, uncontrolled studies. Preliminary and final results from such studies may not be representative of study results that are found in larger, controlled, blinded, and more long term studies.

Product candidates in later stages of clinical trials may fail to show the desired safety and efficacy traits despite having progressed through preclinical studies and initial clinical trials. Preclinical studies may also reveal unfavorable product candidate characteristics, including safety concerns. In some instances, there can be significant variability in safety or efficacy results between different clinical trials

of the same product candidate due to numerous factors, including changes in trial procedures set forth in protocols, the impact of an active comparator arm, differences in the size and type of the patient populations, changes in and adherence to the clinical trial protocols, changes in medical prescribing practices, and the rate of dropout among clinical trial participants.

Our future clinical trial results may not be successful. A number of companies in the biopharmaceutical industry have suffered significant setbacks in advanced clinical trials, notwithstanding promising results in earlier trials. Moreover, should there be a flaw in a clinical trial, it may not become apparent until the clinical trial is well advanced. Further, because we currently plan to develop our product candidates for use with established oncology products, the design, implementation, and interpretation of the clinical trials necessary for marketing approval may be more complex than if we were developing our product candidates alone.

We may also experience numerous unforeseen events during, or as a result of, clinical trials that could delay or adversely affect our existing or future development programs, including:

- we may have delays in identifying and adding new investigators or clinical trial sites, we may experience delays in reaching, or fail to reach, agreement on acceptable clinical trial contracts or clinical trial protocols with prospective trial sites and our CROs or we may experience a withdrawal of clinical trial sites;
- the number of patients required for clinical trials of our product candidates may be larger than we anticipate, enrollment in these clinical trials may be slower than we anticipate or participants may drop out of these clinical trials at a higher rate than we anticipate;
- clinical trials of our product candidates may produce negative or inconclusive results, or our studies may fail to reach the necessary level of statistical significance, and we may decide to conduct additional clinical trials or abandon product development programs;
- we may not be able to demonstrate that a product candidate provides an advantage over current standards of care or current or future competitive therapies in development.
- the cost of clinical trials of our product candidates may be greater than we anticipate or we may have insufficient funds for a clinical trial;
- the supply or quality of the clinical trial material of our product candidates may be insufficient or inadequate to conduct clinical trials; and
- there may be changes to the therapeutics or their regulatory status which we are administering in combination with our product candidates or changes to standard of care, which require that we change our study design, or otherwise halt, discontinue or delay our clinical studies. This occurred for a multiple myeloma study that we were conducting. In that case, the standard of care changed such that we were no longer able to recruit study subjects under the study protocol.

The regulatory approval processes of the FDA and comparable foreign authorities are lengthy, time consuming and inherently unpredictable, especially for an early-stage company such as ours. If we are not able to obtain, or if there are delays in obtaining, required regulatory approvals, we will not be able to commercialize our product candidates as expected, and our ability to generate revenue will be materially impaired.

Because we are at the early stages of the clinical and regulatory development of our product candidates, the time required to obtain approval for them from the FDA and comparable foreign authorities is unpredictable but typically takes many years following the commencement of clinical trials and depends upon numerous factors, including the substantial discretion of the regulatory authorities.

In addition, approval policies, regulations, or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions. These may require us to amend our clinical trial protocols, conduct additional studies that require regulatory or institutional review board, or IRB, approval, or otherwise cause delays in the approval or rejection of an application. We have not obtained regulatory approval for any product candidate and it is possible that none of our existing product candidates or any product candidates we may seek to develop in the future, will ever obtain regulatory approval. Moreover, we have only completed early studies and enrolled limited numbers of patients for both DKN-01 and TRX518. Both DKN-01 and TRX518 will require additional preclinical and clinical development, as well as additional manufacturing development before we will be able to submit marketing applications to FDA. Moreover, should FDA determine that a companion diagnostic device is required for use of our product candidates or should we decide to pursue the development of a companion diagnostic device for the use of our product candidates, further development work would be required for such a device, including, possibly the approval of an Investigational Device Exemption for the study of such a device from FDA, compliance with FDA's device regulations, and either FDA clearance or approval of the device for commercial use. Such development would potentially take additional time and be subject to the risk of FDA non-approval or clearance of the diagnostic. Any delay in obtaining or failure to obtain required approvals could materially adversely affect our ability or that of any of our future collaborators to generate revenue from the particular product candidate, which likely would result in significant harm to our financial position and adversely impact our stock price.

Our product candidates and the activities associated with their development and commercialization, including their design, testing, manufacture, safety, efficacy, recordkeeping, labeling, storage, approval, advertising, marketing, promotion, sale, and distribution, are subject to comprehensive regulation by the FDA and other regulatory agencies in the United States and by the EMA, and similar regulatory authorities outside the United States and Europe. Failure to obtain marketing approval for a product candidate will prevent us from commercializing the product candidate. We have no experience in filing and supporting the applications necessary to gain marketing approvals and expect to rely on third-party clinical research organizations, or CROs, and consultants to assist us in this process. Securing marketing approval requires the submission of extensive preclinical and clinical data and supporting information to regulatory authorities for each therapeutic indication to establish the product candidate's safety, purity, and potency for that indication. Securing marketing approval also requires the submission of information about the product manufacturing process to, and inspection of manufacturing facilities and clinical trial sites by, the regulatory authorities.

We may also experience numerous unforeseen events during, or as a result of, clinical trials that could delay or prevent our ability to receive marketing approval or commercialize our product candidates, including:

- regulators or IRBs may not authorize us or our investigators to commence a clinical trial or to conduct a clinical trial at a prospective trial site, we may fail to reach an agreement with regulators or IRBs regarding the scope, design, or implementation of our clinical trials or regulators or IRBs may require that we modify or amend our clinical trial protocols;

- our third-party contractors may fail to comply with regulatory requirements, standard operating procedures or the clinical trial protocol, or meet their contractual obligations to us in a timely manner, or at all, or we may be required to engage in additional clinical trial site monitoring or manufacturing activities;

- we, the regulators, or IRBs may require that we or our investigators suspend or terminate clinical research for various reasons, including noncompliance with regulatory requirements or a finding that the participants are being exposed to unacceptable health risks, undesirable side effects, or other unexpected characteristics of the product candidate, or due to findings of

undesirable effects caused by a chemically or mechanistically similar therapeutic or therapeutic candidate;

- changes in or the enactment of additional statutes or regulations;
- there may be changes in marketing approval or regulatory review policies during the development period rendering our data insufficient to obtain marketing approval;
- we may decide, or regulators may require us, to conduct additional clinical trials, analyses, reports, data, or preclinical trials, or we may abandon product development programs;
- there may be regulatory questions or disagreements regarding interpretations of data and results, or new information may emerge regarding our product candidates, the FDA or comparable foreign regulatory authorities may disagree with our study design or our interpretation of data from preclinical studies and clinical trials or find that a product candidate's benefits do not outweigh its safety risks;
- the FDA or comparable regulatory authorities may disagree with our intended indications;
- the FDA or comparable foreign regulatory authorities may fail to approve or subsequently find fault with the manufacturing processes or our manufacturing facilities for clinical and future commercial supplies;
- the data collected from clinical trials of our product candidates or any additional product candidate may not be sufficient to the satisfaction of the FDA or comparable foreign regulatory authorities to support the submission of a BLA, or other comparable submission in foreign jurisdictions or to obtain regulatory approval in the United States or elsewhere; and
- the FDA or comparable regulatory authorities may take longer than we anticipate to make a decision on our product candidates.

Regulatory authorities have substantial discretion in the approval process and may refuse to accept any application or may decide that our data are insufficient for approval and require additional preclinical, clinical or other studies. In addition, varying interpretations of the data obtained from preclinical and clinical testing could delay, limit or prevent marketing approval of a product candidate. The number and types of preclinical studies and clinical trials that will be required for regulatory approval also varies depending on the product candidate, the disease or condition that the product candidate is designed to address, and the regulations applicable to any particular product candidate.

Approval policies, regulations or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions, and there may be varying interpretations of data obtained from preclinical studies or clinical trials, either of which may cause delays or limitations in the approval or the decision not to approve an application. It is possible that neither of our product candidates nor any product candidates we may seek to develop in the future will ever obtain the appropriate regulatory approvals necessary for us or any future collaborators to commence product sales.

Finally, even if we were to obtain approval, regulatory authorities may approve any of our product candidates for fewer or more limited indications or uses than we request, may contain significant safety warnings, including black box warnings, contraindications, and precautions, may grant approval contingent on the performance of costly post-marketing clinical trials, surveillance, or other requirements, including risk evaluation and mitigation strategies, or REMS, to monitor the safety or efficacy of the product, or may approve a product candidate with a label that does not include the labeling claims necessary or desirable for the successful commercialization of that product candidate. Any of these scenarios could compromise the commercial prospects for our product candidates.

If we experience delays in obtaining approval, if we fail to obtain approval of a product candidate or if the label for a product candidate does not include the labeling claims necessary or desirable for the successful commercialization of that product candidate, the commercial prospects for such product candidate may be harmed and our ability to generate revenues from that product candidate will be materially impaired.

If we experience delays or difficulties in the enrollment of patients in clinical trials, our receipt of necessary regulatory approvals could be delayed or prevented.

We may not be able to initiate or continue conducting clinical trials for our product candidates if we are unable to locate and enroll a sufficient number of eligible patients to participate in these trials as required by the FDA or similar regulatory authorities outside the United States. Some of our competitors have ongoing clinical trials for product candidates that treat the same indications or use the same mechanism of action as our product candidates, and patients who would otherwise be eligible for our clinical trials may instead enroll in clinical trials of our competitors' product candidates. Patient enrollment is affected by other factors including:

- the size and nature of the patient population;
- the severity of the disease under investigation;
- the eligibility criteria for, and design of, the clinical trial in question, including factors such as frequency of required assessments, length of the study and ongoing monitoring requirements;
- the perceived risks and benefits of the product candidate under study, including the potential advantages or disadvantages of the product candidate being studied in relation to other available therapies;
- competition in recruiting and enrolling patients in clinical trials;
- the efforts to facilitate timely enrollment in clinical trials;
- the patient referral practices of physicians;
- effectiveness of publicity created by clinical trial sites regarding the trial;
- patients' ability to comply with the specific instructions related to the trial protocol, proper documentation, and use of the biologic product;
- our inability to obtain or maintain patient informed consents;
- the risk that enrolled patients will drop out before completion or not return for post-treatment follow-up;
- the ability to monitor patients adequately during and after treatment; and
- the proximity and availability of clinical trial sites for prospective patients.

Our inability to enroll a sufficient number of patients for our clinical trials would result in significant delays and could require us to abandon one or more clinical trials altogether.

Enrollment delays in our clinical trials may result in increased development costs for our product candidates, or the inability to complete development of our product candidates, which would materially impair our ability to generate revenues, limit our ability to obtain additional financing and cause the value of our company to decline.

The FDA may determine that any of our current or future product candidates have undesirable side effects that could delay or prevent their regulatory approval or commercialization.

Undesirable side effects caused by our product candidates could cause us, IRBs, and other reviewing entities or regulatory authorities to interrupt, delay, or halt clinical trials and could result in a more restrictive label or the delay or denial of regulatory approval by the FDA or other comparable foreign authorities. For example, if concerns are raised regarding the safety of a new therapeutic as a result of undesirable side effects identified during clinical or preclinical testing, the FDA may order us to cease further development, decline to approve a product candidate or issue a letter requesting additional data or information prior to making a final decision regarding whether or not to approve the biologic. FDA requests for additional data or information can result in substantial delays in the approval of a new biologic.

Undesirable side effects caused by any of our current or future product candidates could also result in denial of regulatory approval by the FDA or other comparable foreign authorities for any or all targeted indications or the inclusion of unfavorable information in our product labeling, such as limitations on the indicated uses for which the products may be marketed or distributed, a label with significant safety warnings, including boxed warnings, contraindications, and precautions, a label without statements necessary or desirable for successful commercialization, or may result in requirements for costly post-marketing testing and surveillance, or other requirements, including REMS, to monitor the safety or efficacy of the products, and in turn prevent us from commercializing and generating revenues from the sale of our current or future product candidates.

If any of our product candidates is associated with serious adverse events or undesirable side effects or have properties that are unexpected, we may need to abandon development or limit development of that product candidate to certain uses or subpopulations in which the undesirable side effects or other characteristics are less prevalent, less severe or more acceptable from a risk-benefit perspective. The therapeutic-related side effects could affect patient recruitment or the ability of enrolled patients to complete the trial or result in potential product liability claims. Any of these occurrences may significantly harm our business, financial condition, results of operations, and prospects.

Failure to obtain marketing approval in international jurisdictions would prevent our product candidates from being marketed abroad.

In order to market and sell our products in the European Union and other jurisdictions, we or our third-party collaborators must obtain separate marketing approvals and comply with numerous and varying regulatory requirements. The approval procedure varies among countries and can involve additional testing, in some cases involving clinical trials involving subjects from the country. The time required to obtain approval may differ substantially from that required to obtain FDA approval. The regulatory approval process outside the United States generally includes all of the risks associated with obtaining FDA approval. In addition, in many countries outside the United States, it is required that the product be approved for reimbursement before the product can be approved for sale in that country. We or these third parties may not obtain approvals from regulatory authorities outside the United States on a timely basis, if at all. Approval by the FDA does not ensure approval by regulatory authorities in other countries or jurisdictions, and approval by one regulatory authority outside the United States does not ensure approval by regulatory authorities in other countries or jurisdictions or by the FDA. However, the failure to obtain approval in one jurisdiction may compromise our ability to obtain approval elsewhere. We may not be able to file for marketing approvals and may not receive necessary approvals to commercialize our products in any market.

Even if our product candidates receive regulatory approval, we will be subject to ongoing obligations and continued regulatory review, which may result in significant additional expense. Additionally, any of our product candidates, if approved, could be subject to labeling and other restrictions and market withdrawal and we may be subject to penalties if we fail to comply with regulatory requirements or experience unanticipated problems with our products.

Any product candidate for which we obtain marketing approval will be subject to extensive and ongoing requirements of and review by the FDA and other regulatory authorities, including requirements related to the manufacturing processes, post-approval clinical data, labeling, packaging, distribution, adverse event reporting, storage, recordkeeping, export, import, advertising, marketing, and promotional activities for such product. These requirements further include submissions of safety and other post-marketing information, including manufacturing deviations and reports, registration and listing requirements, the payment of annual fees for our product candidates, if approved, and the establishments at which they are manufactured, continued compliance with cGMP requirements relating to manufacturing, quality control, quality assurance, and corresponding maintenance of records and documents, requirements regarding the distribution of samples to physicians and recordkeeping, and good clinical practices, or GCPs, for any clinical trials that we conduct post-approval.

Even if marketing approval of a product candidate is granted, the approval may be subject to limitations on the indicated uses and populations for which the product may be marketed or to the conditions of approval, including significant safety warnings, including boxed warnings, contraindications, and precautions that are not desirable for successful commercialization and any requirement to implement a REMS that render the approved product not commercially viable or other post-market requirements or restrictions. Moreover, the FDA and comparable foreign regulatory authorities will continue to closely monitor the safety profile of any product even after approval. If the FDA or comparable foreign regulatory authorities become aware of new safety information after approval of any of our product candidates, they may withdraw approval, require labeling changes or establishment of a REMS or similar strategy, impose significant restrictions on a product's indicated uses or marketing, or impose ongoing requirements for potentially costly post-approval studies or post-market surveillance. Any such restrictions could limit sales of the product.

We and any of our collaborators, including our contract manufacturers, could be subject to periodic unannounced inspections by the FDA to monitor and ensure compliance with cGMPs. Application holders must further notify the FDA, and depending on the nature of the change, obtain FDA pre-approval for product and manufacturing changes. Application fees may apply to certain changes.

In addition, later discovery of previously unknown adverse events or that the product is less effective than previously thought or other problems with our products, manufacturers or manufacturing processes, or failure to comply with regulatory requirements both before and after approval, may yield various results, including:

- restrictions on manufacturing or distribution, or marketing of such products;
- restrictions on the labeling, including required additional warnings, such as black box warnings, contraindications, precautions, and restrictions on the approved indication or use;
- modifications to promotional pieces;
- requirements to conduct post-marketing studies or clinical trials;
- clinical holds or termination of clinical trials;
- requirements to establish or modify a REMS or a comparable foreign authority may require that we establish or modify a similar strategy, that may, for instance, require us to create a Medication Guide outlining the risks of the previously unidentified side effects for distribution

to patients, or restrict distribution of the product, if and when approved, and impose burdensome implementation requirements on us;

- changes to the way the biologic is administered;
- liability for harm caused to patients or subjects;
- reputational harm;
- the product becoming less competitive;
- warning, untitled, or cyber letters;
- suspension of marketing or withdrawal of the products from the market;
- regulatory authority issuance of safety alerts, Dear Healthcare Provider letters, press releases, or other communications containing warnings or other safety information about the biologic;
- refusal to approve pending applications or supplements to approved applications that we submit;
- recalls of products;
- fines, restitution or disgorgement of profits or revenues;
- suspension or withdrawal of marketing approvals;
- refusal to permit the import or export of our products;
- product seizure or detention;
- FDA debarment, debarment from government contracts, and refusal of future orders under existing contracts, exclusion from federal healthcare programs, consent decrees, or corporate integrity agreements; or
- injunctions or the imposition of civil or criminal penalties, including imprisonment.

Any of these events could prevent us from achieving or maintaining market acceptance of the particular product candidate, if approved, or could substantially increase the costs and expenses of commercializing such product, which in turn could delay or prevent us from generating significant revenues from its sale. Any of these events could further have other material and adverse effects on our operations and business and could adversely impact our stock price and could significantly harm our business, financial condition, results of operations, and prospects.

Laws, regulatory policies, and medical practices could change in ways that are not favorable to us.

The FDA's policies may change and additional government regulations may be enacted that could prevent, limit or delay regulatory approval of our product candidates, that could limit the marketability of our product candidates, or that could impose additional regulatory obligations on us if our product candidates are approved. Changes in medical practice and standard of care may also impact the marketability of our product candidates. For instance, because we are currently planning to develop our product candidates for use with other cancer therapies, should there be a change to the regulatory status of the other therapy or should the standard of care change, the marketability of our product candidates would be impacted.

If we are slow or unable to adapt to changes in existing requirements, standards of care, or the adoption of new requirements or policies, or if we are not able to maintain regulatory compliance, we may lose any marketing approval that we may have obtained and be subject to regulatory enforcement action. Should any of the above actions take place, they could adversely affect our ability to achieve or sustain profitability. Further, the cost of compliance with post-approval regulations may have a negative effect on our operating results and financial condition.

Risks Related to the Development and Commercialization of Our Product Candidates

The therapeutic safety and efficacy of DKN-01 and TRX518 is unproven, and we may not be able to successfully develop and commercialize DKN-01 or TRX518.

DKN-01 and TRX518 are novel monoclonal antibodies and their potential benefit as a therapeutic cancer drug is unproven. Our ability to generate revenues from the sales of our products, which we do not expect will occur in the short term, if ever, will depend on successful development and commercialization after approval, if achieved, which is subject to many potential risks. DKN-01 or TRX518 may interact with human biological systems in unforeseen, ineffective or harmful ways. If either DKN-01 or TRX518 is associated with undesirable side effects or has characteristics that are unexpected, we may need to abandon its development or limit development to certain uses or subpopulations in which the undesirable side effects or other characteristics are less prevalent, less severe or more acceptable from a risk-benefit perspective. Many compounds that initially showed promise in early stage testing for treating cancer have later been found to be ineffective in later stage studies or cause side effects that prevented further development of the compound. As a result of these and other risks described herein that are inherent in the development of novel therapeutic agents, we may never successfully develop, enter into or maintain third party licensing or collaboration transactions with respect to, or successfully commercialize DKN-01 or TRX518, in which case we will not achieve profitability and the value of our stock may decline.

The results of pre-clinical studies or early clinical trials are not necessarily predictive of future results, and DKN-01 or TRX518 may not have favorable results in later clinical trials or receive regulatory approval.

Success in pre-clinical studies and early clinical trials does not ensure that later clinical trials will generate adequate data to demonstrate the efficacy and safety of DKN-01 or TRX518. A number of companies in the pharmaceutical and biotechnology industries, including those with greater resources and experience than we have, have suffered significant setbacks in clinical trials, even after seeing promising results in earlier clinical trials. Despite the results reported in earlier preclinical and clinical trials for DKN-01 and TRX518, we do not know whether the clinical trials we may conduct will demonstrate adequate efficacy and safety to result in regulatory approval to market DKN-01 or TRX518 in any particular jurisdiction. If our clinical trials do not produce favorable results, our ability to achieve regulatory approval for DKN-01 or TRX518 will be adversely impacted and the value of our stock may decline.

Our future success is dependent primarily on the regulatory approval and commercialization of DKN-01 and TRX518, which are currently undergoing early stage clinical trials.

We do not have any products that have gained regulatory approval. Currently, our only clinical-stage product candidates are DKN-01 and TRX518. As a result, our business is substantially dependent on our ability to obtain regulatory approval for, and, if approved, to successfully commercialize DKN-01 or TRX518 or other products in a timely manner. We cannot commercialize these products in the U.S. without first obtaining regulatory approval from the FDA; similarly, we cannot commercialize these products outside of the U.S. without obtaining regulatory approval from comparable foreign regulatory authorities. Before obtaining regulatory approvals for the commercial sale of these products for a target indication, we must demonstrate with substantial evidence gathered in pre-clinical studies and well-controlled clinical trials, that these products are safe and effective for use for that target indication and that the manufacturing facilities, processes and controls are adequate. Even if these products were to successfully obtain approval from the FDA and comparable foreign regulatory authorities, any approval might contain significant limitations related to use restrictions for specified age groups, warnings, precautions or contraindications, or may be subject to burdensome post-approval study or risk management requirements. If we are unable to obtain regulatory approval in one or more jurisdictions, or any approval contains significant limitations, we may not be able to obtain sufficient

funding or generate sufficient revenue to continue the development of any other product candidate that we may discover, in-license, develop or acquire in the future. Furthermore, even if we obtain regulatory approval for our products, we will still need to develop a commercial organization or strategy, establish commercially viable pricing and obtain approval for adequate reimbursement from third-party and government payors. If we are unable to successfully commercialize our products, we may not be able to earn sufficient revenues to continue our business.

Our commercial success depends upon attaining significant market acceptance of DKN-01 or TRX518, if approved, among physicians, patients, healthcare payors and the major operators of cancer clinics.

Even if we obtain regulatory approval for DKN-01 or TRX518, DKN-01 or TRX518 may not gain market acceptance among physicians, healthcare payors, patients or the medical community. Market acceptance of DKN-01 or TXR518, if we receive approval, depends on a number of factors, including the:

- efficacy and safety of DKN-01 or TRX518 each as demonstrated in clinical trials and post-marketing experience;
- clinical indications for which DKN-01 or TRX518 is approved;
- acceptance by physicians, major operators of cancer clinics and patients of DKN-01 or TRX518 as a safe and effective treatment;
- potential and perceived advantages of DKN-01 or TRX518 over alternative treatments;
- product labeling or product insert requirements of the FDA or other regulatory authorities;
- timing of market introduction of DKN-01 or TRX518 as well as competitive products;
- cost of treatment in relation to alternative treatments;
- availability of coverage and adequate reimbursement and pricing by third-party payors and government authorities;
- relative convenience and ease of administration; and
- effectiveness of our sales and marketing efforts.

Moreover, if DKN-01 or TRX518 is approved but fails to achieve market acceptance among physicians, patients, or healthcare payors, we may not be able to generate significant revenues, which would compromise our ability to become profitable.

If we are unable to establish effective marketing and sales capabilities or enter into agreements with third parties to market and sell our product candidates, if they are approved, we may be unable to generate product revenues.

We currently do not have a commercial infrastructure for the marketing, sale, and distribution of pharmaceutical products. If approved, in order to commercialize our products, we must build our marketing, sales, and distribution capabilities or make arrangements with third parties to perform these services. We may not be successful in doing so. Should we decide to develop our own marketing capabilities, we will incur substantial expenses prior to product launch or even approval in order to recruit a sales force and develop a marketing and sales infrastructure. If a commercial launch is delayed as a result of FDA requirements or other reasons, we would incur these expenses prior to being able to realize any revenue from sales of our product candidates. Even if we are able to effectively hire a sales force and develop a marketing and sales infrastructure, our sales force and marketing teams may not be successful in commercializing our current or future product candidates.

We have no prior experience in the marketing, sale, and distribution of pharmaceutical products, and there are significant risks involved in the building and managing of a commercial infrastructure. The establishment and development of commercial capabilities, including compliance plans, to market any products we may develop will be expensive and time consuming and could delay any product launch, and we may not be able to successfully develop this capability. We, or our future collaborators, will have to compete with other pharmaceutical and biotechnology companies to recruit, hire, train, manage, and retain marketing and sales personnel.

We may also or alternatively decide to collaborate with a third-party marketing and sales organization to commercialize any approved product candidates, in which event, our ability to generate product revenue may be reduced. To the extent we rely on third parties to commercialize any products for which we obtain regulatory approval, we may receive less revenue than if we commercialized these products ourselves. In addition, we would have less control over the sales efforts of any other third parties involved in our commercialization efforts, and could be held liable if they failed to comply with applicable legal or regulatory requirements.

Even if we are able to commercialize DKN-01 or TRX518, DKN-01 or TRX518 may not receive coverage and adequate reimbursement from third-party payors, which could harm our business.

Our ability to commercialize DKN-01 or TRX518 successfully will depend, in part, on the extent to which coverage and adequate reimbursement for DKN-01 or TRX518 and related treatments will be available from government health administration authorities, private health insurers and other organizations. Government authorities and third-party payors, such as private health insurers and health maintenance organizations, determine which medications they will cover and establish reimbursement levels. We cannot be sure that coverage and adequate reimbursement will be available for DKN-01 or TRX518 and, if reimbursement is available, what the level of reimbursement will be. Coverage and reimbursement may impact the demand for, or the price of, DKN-01 or TRX518, if we obtain marketing approval. If reimbursement is not available or is available only at limited levels, we may not be able to successfully commercialize DKN-01 or TRX518, if we obtain marketing approval.

There may be significant delays in obtaining coverage and reimbursement for newly approved drugs, and coverage may be more limited than the purposes for which the drug is approved by the FDA or comparable foreign regulatory authorities. Moreover, eligibility for coverage and reimbursement does not imply that any drug will be paid for in all cases or at a rate that covers our costs, including research, development, manufacture, sale and distribution. Third-party payors often rely upon Medicare coverage policy and payment limitations in setting their own reimbursement policies. Our inability to obtain coverage and profitable reimbursement rates from both government-funded and private payors for any approved products that we develop could have a material adverse effect on our operating results, our ability to raise capital needed to commercialize products and our overall financial condition.

We face substantial competition, which may result in others discovering, developing or commercializing products before, or more successfully than, we do.

The development and commercialization of new drug products is highly competitive, especially in the oncology space in which we operate. We face competition with respect to DKN-01 and TRX518, and will face competition with respect to any other product candidates that we may seek to develop or commercialize in the future, from major pharmaceutical companies, specialty pharmaceutical companies and biotechnology companies worldwide. There are a number of large pharmaceutical and biotechnology companies that currently market and sell products or are pursuing the development of products for the treatment of cancer. Some of these competitive products and therapies are based on scientific approaches that are the same as or similar to our approach for DKN-01 or TRX518, and others are based on entirely different approaches. For example, there are several companies developing

product candidates that target the same cancer pathways that we are targeting or that are testing product candidates in the same cancer indications that we are testing. For example, Novartis AG, or Novartis, Merck & Co., or Merck, and Pfizer, Inc. are all currently developing or have previously been developing anti-DKK1 monoclonal antibodies. Additionally, Merck, Novartis, Bristol-Myers Squibb Company, AstraZeneca PLC, and Incyte Corporation, are all developing a GITR agonist monoclonal antibody.

More established companies may have a competitive advantage over us due to their greater size, cash flows and institutional experience. Compared to us, many of our competitors may have significantly greater financial, technical and human resources. As a result of these factors, our competitors may obtain regulatory approval of their products before we are able to, which may limit our ability to develop or commercialize DKN-01 or TRX518. Our competitors may also develop drugs that are safer, more effective, more widely used and cheaper than ours, and may also be more successful than us in manufacturing and marketing their products. These appreciable advantages could render DKN-01 or TRX518 non-competitive before we can recover the expenses of development and commercialization.

Our product candidates may face biosimilar competition sooner than anticipated.

The enactment of the Biologics Price Competition and Innovation Act of 2009, or BPCIA, as part of the Affordable Care Act, or ACA, created an abbreviated pathway for the approval of biosimilar and interchangeable biological products. The abbreviated regulatory pathway establishes legal authority for the FDA to review and approve biosimilar biologics, including the possible designation of a biosimilar as "interchangeable" based on its similarity to an existing brand product. Under the BPCIA, an application for a biosimilar product cannot be approved by the FDA until 12 years after the original branded product was approved under a BLA.

We believe our product candidates approved as a biological product under a BLA should qualify for the BPCIA's 12-year period of exclusivity. However, this period of regulatory exclusivity does not apply to companies pursuing regulatory approval via their own traditional BLA, rather than via the abbreviated pathway. Moreover, the extent to which a biosimilar, once approved, will be substituted for any one of our reference products in a way that is similar to traditional generic substitution for non-biological products is not yet clear, and will depend on a number of marketplace and regulatory factors that are still developing.

The law is complex and is still being interpreted and implemented by the FDA. As a result, its ultimate impact, implementation, and meaning are subject to uncertainty. While it is uncertain when such processes intended to implement the BPCIA may be fully adopted by the FDA, any such processes could have a material adverse effect on the future commercial prospects for our biological products. Future proposed budgets, international trade agreements and other arrangements or proposals may also affect periods of exclusivity in the future.

We face potential product liability exposure, and if successful claims are brought against us, we may incur substantial liability for our current or future product candidates and may have to limit their commercialization.

The use of our current or future product candidates in clinical trials, and the sale of any of our product candidates for which we obtain regulatory approval, exposes us to the risk of product liability claims. We face inherent risk of product liability related to the testing of our product candidates in human clinical trials and will face an even greater risk if we commercially sell any product candidates that we may develop. Product liability claims might be brought against us by consumers, healthcare providers or others using, administering or selling our products. If we cannot successfully defend ourselves against these claims, we will incur substantial liabilities or be required to limit

commercialization of our product candidates. Even successful defense would require significant financial and management resources.

While we currently carry insurance that we believe is appropriate for a company at our stage of development, our insurance coverage may not reimburse us or may not be sufficient to reimburse us for any expenses or losses we may suffer. Moreover, insurance coverage is becoming increasingly expensive, and, in the future, we may not be able to maintain insurance coverage at a reasonable cost or in sufficient amounts to protect us against losses due to liability. On occasion, large judgments have been awarded in class action lawsuits based on therapeutics that had unanticipated side effects. A successful product liability claim or series of claims brought against us could cause our stock price to fall and, if judgments exceed our insurance coverage, could decrease our cash and adversely affect our business and our prospects.

Laws and regulations governing international operations may preclude us from developing, manufacturing and selling product candidates outside of the U.S. and require us to develop and implement costly compliance programs.

As we seek to expand our operations outside of the U.S., we must comply with numerous laws and regulations in each jurisdiction in which we plan to operate. The creation and implementation of international business practices compliance programs is costly and such programs are difficult to enforce, particularly where reliance on third parties is required.

The Foreign Corrupt Practices Act, or FCPA, prohibits any U.S. individual or business from paying, offering, authorizing payment or offering of anything of value, directly or indirectly, to any foreign official, political party or candidate for the purpose of influencing any act or decision of the foreign entity in order to assist the individual or business in obtaining or retaining business. The FCPA also obligates companies whose securities are listed in the U.S. to comply with certain accounting provisions requiring such companies to maintain books and records that accurately and fairly reflect all transactions of the corporation, including international subsidiaries, and to devise and maintain an adequate system of internal accounting controls for international operations. The anti-bribery provisions of the FCPA are enforced primarily by the Department of Justice, or DOJ. The Securities and Exchange Commission, or the Commission, is involved with enforcement of the books and records provisions of the FCPA.

Compliance with the FCPA is expensive and difficult, particularly in countries in which corruption is a recognized problem. In addition, the FCPA presents particular challenges in the pharmaceutical industry, because, in many countries, hospitals are operated by the government, and doctors and other hospital employees are considered foreign officials. Certain payments to hospitals in connection with clinical trials and other work have been deemed to be improper payments to government officials and have led to FCPA enforcement actions.

Various laws, regulations and executive orders also restrict the use and dissemination outside of the U.S., or the sharing with certain non-U.S. nationals, of information classified for national security purposes, as well as certain products and technical data relating to those products. Our presence outside of the U.S. will require us to dedicate additional resources to comply with these laws, and these laws may preclude us from developing, manufacturing, or selling DKN-01 or TRX518 outside of the U.S., which could increase our development costs and limit our growth potential.

The failure to comply with laws governing international business practices may result in substantial penalties, including suspension or debarment from government contracting. Violation of the FCPA can result in significant civil and criminal penalties. Indictment alone under the FCPA can lead to suspension of the right to do business with the U.S. government until the pending claims are resolved. Conviction of a violation of the FCPA can result in long-term disqualification as a government contractor. The termination of a government contract or relationship as a result of our failure to satisfy

any of our obligations under laws governing international business practices, which would have a negative impact on our business and harm our reputation and ability to procure government contracts. The Commission also may suspend or bar issuers from trading securities on U.S. exchanges for violations of the FCPA's accounting provisions.

Our relationships with customers and third-party payors will be subject to applicable anti-kickback, fraud and abuse and other healthcare laws and regulations, which could expose us to criminal sanctions, civil penalties, contractual damages, reputational harm and diminished profits and future earnings.

Healthcare providers, physicians and third-party payors will play a primary role in the recommendation and prescription of any product candidates for which we obtain marketing approval. Our future arrangements with third-party payors and customers may expose us to broadly applicable fraud and abuse and other healthcare laws and regulations that may affect the business or financial arrangements and relationships through which we would market, sell and distribute our products. Federal and state healthcare laws and regulations pertaining to fraud and abuse and patients' rights are and will be applicable to our business. Restrictions under applicable federal and state healthcare laws and regulations that may affect our operations (including our marketing, promotion, educational programs, pricing, and relationships with healthcare providers or other entities, among other things) and expose us to areas of risk include the following: (i) the federal healthcare Anti-Kickback Statute; (ii) federal civil and criminal false claims laws and civil monetary penalty laws; (iii) the federal Health Insurance Portability and Accountability Act of 1996, or HIPAA; (iv) HIPAA, as amended by the Health Information Technology for Economic and Clinical Health Act, or HITECH; (v) the federal physician sunshine requirements under the Affordable Care Act; and (vi) analogous state and foreign laws and regulations, such as state anti-kickback and false claims laws and state and foreign laws governing the privacy and security of health information in specified circumstances.

Efforts to ensure that our business arrangements with third parties are compliant with applicable healthcare laws and regulations will involve the expenditure of appropriate, and possibly significant, resources. Nonetheless, it is possible that governmental authorities will conclude that our business practices may not comply with current or future statutes, regulations or case law involving applicable fraud and abuse or other healthcare laws and regulations. If our operations are found to be in violation of any of these laws or any other governmental regulations that may apply to us, we may be subject to significant civil, criminal and administrative penalties, damages, fines, imprisonment, exclusion from government funded healthcare programs, such as Medicare and Medicaid, and the curtailment or restructuring of our operations. If any physicians or other healthcare providers or entities with whom we expect to do business are found to not be in compliance with applicable laws, they may be subject to criminal, civil or administrative sanctions, including exclusions from government funded healthcare programs.

We will need to grow the size of our organization, and we may experience difficulties in managing this growth.

As of February 21, 2018, we had 20 full-time employees and two part-time employees, of whom five hold Ph.D. degrees and one holds an M.D. degree. We will need additional managerial, operational, sales, marketing, financial and other resources. Future growth would impose significant added responsibilities on members of management, including:

- identifying, recruiting, maintaining, motivating and integrating additional employees;
- improving our managerial, development, operational and finance systems; and
- expanding our facilities.

Because of the specialized scientific and managerial nature of our business, we rely heavily on our ability to attract and retain qualified scientific, technical and managerial personnel. The competition for

qualified personnel in the pharmaceutical field is intense and as a result, we may be unable to continue to attract and retain qualified personnel necessary for the development of our business. As our operations expand, we will also need to manage additional relationships with various strategic partners, suppliers and other third parties. Our future financial performance and our ability to develop and commercialize DKN-01 or TRX518, if approved, and to compete effectively will depend, in part, on our ability to manage future growth effectively. Our failure to accomplish any of these tasks could prevent us from successfully growing our company.

We may acquire other assets, form collaborations or make investments in other companies or technologies, that could harm our operating results, dilute our stockholders' ownership, increase our debt or cause us to incur significant expense.

As part of our business strategy, we may pursue acquisitions of assets, including pre-clinical or clinical stage product candidates, or enter into strategic alliances and collaborations to expand our existing programs and operations. We may not identify or complete these transactions in a timely manner, on a cost-effective basis, or at all, and we may not realize the anticipated benefits of any such transaction, any of which could have a detrimental effect on our financial condition, results of operations and cash flows. We may not be able to find suitable acquisition candidates, and if we make any acquisitions, we may not be able to integrate these acquisitions successfully into our existing business and we may incur additional debt or assume unknown or contingent liabilities in connection therewith. Integration of an acquired company or assets may also disrupt ongoing operations, require the hiring of additional personnel and the implementation of additional internal systems and infrastructure, and require management resources that would otherwise focus on developing our existing business. We may not be able to find suitable strategic alliance or collaboration partners or identify other investment opportunities, and we may experience losses related to any such investments.

To finance any acquisitions or collaborations, we may choose to issue debt or shares of our common stock as consideration. Any such issuance of shares would dilute the ownership of our stockholders. If the price of our common stock is low or volatile, we may not be able to acquire other assets or companies or fund a transaction using our stock as consideration. Alternatively, it may be necessary for us to raise additional funds for acquisitions through public or private financings. Additional funds may not be available on terms that are favorable to us, or at all.

Risks Related to Our Dependence on Third Parties

We rely, and expect to continue to rely, on third parties to conduct, supervise, and monitor our preclinical studies and clinical trials, and those third parties may not perform satisfactorily, including failing to meet deadlines for the completion of such trials or failing to comply with regulatory requirements.

We rely on third-party contract research organizations, or CROs, to conduct, supervise, and monitor our preclinical and clinical trials for our product candidates. We expect to continue to rely on third parties, such as CROs, clinical data management organizations, medical institutions, and clinical investigators, to conduct our preclinical studies and clinical trials. While we have agreements governing their activities, we have limited influence over their actual performance and control only certain aspects of their activities. The failure of these third parties to successfully carry out their contractual duties or meet expected deadlines could substantially harm our business, because we may be delayed in completing or unable to complete the clinical trials required to support future approval of our product candidates, or we may not obtain marketing approval for or commercialize our product candidates in timely manner or at all. Moreover, these agreements might terminate for a variety of reasons, including a failure to perform by the third parties. If we need to enter into alternative arrangements, then that could delay our product development activities and adversely affect our business.

Our reliance on these third parties for development activities reduces our control over these activities. Nevertheless, we are responsible for ensuring that each of our studies is conducted in accordance with the applicable protocol, legal, regulatory, and scientific standards, and our reliance on the CROs does not relieve us of our regulatory responsibilities. For example, we will remain responsible for ensuring that each of our clinical trials is conducted in accordance with the general investigational plan and protocols for the trial and for ensuring that our preclinical trials are conducted in accordance with GLPs, as appropriate. Moreover, the FDA and comparable foreign regulatory authorities require us to comply with standards, commonly referred to as GCPs, for conducting, recording, and reporting the results of clinical trials to assure that data and reported results are credible and accurate and that the rights, integrity, and confidentiality of trial participants are protected. Regulatory authorities enforce these requirements through periodic inspections of trial sponsors, clinical investigators, and trial sites. If we or any of our CROs fail to comply with applicable GCPs or other regulatory requirements, we or our CROs may be subject to enforcement or other legal actions, the clinical data generated in our clinical trials may be deemed unreliable and the FDA or comparable foreign regulatory authorities may require us to perform additional clinical trials.

In addition, we will be required to report certain financial interests of our third-party investigators if these relationships exceed certain financial thresholds or meet other criteria. The FDA or comparable foreign regulatory authorities may question the integrity of the data from those clinical trials conducted by investigators who may have conflicts of interest.

We cannot assure you that, upon inspection by a given regulatory authority, such regulatory authority will determine that any of our clinical trials complies with GCP regulations. In addition, our clinical trials must be conducted with product candidates that were produced under cGMP regulations. Our failure to comply with these regulations may require us to repeat clinical trials, which would delay the regulatory approval process. We also are required to register certain clinical trials and post the results of certain completed clinical trials on a government-sponsored database, ClinicalTrials.gov, within specified timeframes. Failure to do so can result in enforcement actions and adverse publicity.

Our CROs may also have relationships with other entities, some of which may be our competitors, for whom they may also be conducting clinical trials or other therapeutic development activities that could harm our competitive position. In addition, our CROs are not our employees, and except for remedies available to us under our agreements with such CROs, we cannot control whether or not they devote sufficient time and resources to our ongoing clinical, non-clinical, and pre-clinical programs. If these third parties do not successfully carry out their contractual duties, meet expected deadlines or conduct our pre-clinical studies or clinical trials in accordance with regulatory requirements or our stated protocols, if they need to be replaced or if the quality or accuracy of the data they obtain is compromised due to the failure to adhere to our protocols, regulatory requirements or for other reasons, our trials may be repeated, extended, delayed, or terminated and we may not be able to obtain, or may be delayed in obtaining, marketing approvals for our product candidates and will not be able to, or may be delayed in our efforts to, successfully commercialize our product candidates, or we or they may be subject to regulatory enforcement actions. As a result, our results of operations and the commercial prospects for our product candidates would be harmed, our costs could increase, and our ability to generate revenues could be delayed. To the extent we are unable to successfully identify and manage the performance of third-party service providers in the future, our business may be materially and adversely affected.

If any of our relationships with these third-party CROs terminate, we may not be able to enter into arrangements with alternative CROs or to do so on commercially reasonable terms. Switching or adding additional CROs involves additional cost and requires management time and focus. In addition, there is a natural transition period when a new CRO commences work. As a result, delays could occur, which could compromise our ability to meet our desired development timelines. Though we carefully manage our relationships with our CROs, there can be no assurance that we will not encounter similar

challenges or delays in the future or that these delays or challenges will not have a material adverse impact on our business, financial condition and prospects, and results of operations.

If the contract manufacturers upon whom we rely fail to produce our product candidates or components in the volumes that we require on a timely basis, or to comply with stringent regulations applicable to biopharmaceutical manufacturers, we may face delays in the development and commercialization of, or be unable to meet demand for, our product candidates and may lose potential revenues.

We do not manufacture any of our product candidates, and we do not currently plan to develop any capacity to do so. We utilize third-party contract manufacturing organizations, or CMOs, to manufacture the clinical trial material of DKN-01 and TRX518 and expect to do so for commercial products, if approved. We do not have any long-term commitments from our CMOs for clinical trial material or guaranteed prices for our product candidates. Any delays in obtaining adequate supplies with respect to our product candidates will delay the development or commercialization of our product candidates.

Our product candidates compete with other products and product candidates for access to contract manufacturing facilities. There are a limited number of CMOs that operate under cGMP regulations and that are both capable of manufacturing for us and willing to do so. If our existing CMOs, or any new third party CMOs that we engage in the future to manufacture our product candidates for our clinical trials, should cease to continue to do so for any reason, we likely would experience delays in obtaining sufficient quantities of our product candidates for us to advance our clinical trials while we identify and qualify replacement suppliers. Further, even if we do establish such collaborations or arrangements, our CMOs may breach, terminate, or not renew these agreements. We may not succeed in our efforts to establish sufficient manufacturing relationships or other alternative arrangements to meet our needs for any of our existing or future product candidates. If for any reason we are unable to obtain adequate supplies of our product candidates, it will be more difficult for us to conduct clinical trials, develop our product candidates and operate our business.

Any problems or delays we experience in preparing for commercial-scale manufacturing of a product candidate or component may result in a delay in FDA approval of the product candidate or may impair our ability to manufacture commercial quantities or such quantities at an acceptable cost, which could result in the delay, prevention, or impairment of clinical development and commercialization of our product candidates and could adversely affect our business. Furthermore, if our commercial CMOs fail to deliver the required commercial quantities of our product candidates on a timely basis and at commercially reasonable prices, we would likely be unable to meet demand for our products and we would lose potential revenues.

The manufacture of pharmaceutical products requires significant expertise and capital investment, including the development of advanced manufacturing techniques and process controls. Manufacturers of therapeutics often encounter difficulties in production, particularly in scaling up initial production. These problems include difficulties with production costs and yields, quality control, including stability of the product candidate and quality assurance testing, shortages of qualified personnel, and compliance with strictly enforced federal, state, and foreign regulations. Our CMOs may not perform as agreed or may have a failure of a manufacturing campaign. Any changes or deviations in a manufacturing process may result in the failure of the product to meet the specifications. If our CMOs were to encounter any of these difficulties, our ability to provide product candidates to patients in our clinical trials and for commercial use, if approved, would be jeopardized. Reliance on third-party CMOs entails exposure to risks to which we would not be subject if we manufactured the product candidate ourselves, including:

- inability to negotiate manufacturing agreements with CMOs under commercially reasonable terms;

- reduced day-to-day control over the manufacturing process for our product candidates as a result of using third-party CMOs for all aspects of manufacturing activities;
- reduced control over the protection of our trade secrets and know-how from misappropriation or inadvertent disclosure;
- termination or nonrenewal of manufacturing agreements with third parties in a manner or at a time that may be costly or damaging to us or result in delays in the development or commercialization of our product candidates; and
- disruptions to the operations of our third-party CMOs caused by conditions unrelated to our business or operations, including the bankruptcy of the CMO.

In addition, all CMOs of our product candidates and therapeutic substances must comply with cGMP requirements enforced by the FDA that are applicable to both finished product and their active components used both for clinical and commercial supply, through its facilities inspection program. Our CMOs must be approved by the FDA pursuant to inspections that will be conducted after we submit our marketing applications to the agency. Our CMOs will also be subject to continuing FDA and other regulatory authority inspections should we receive marketing approval. Further, we, in cooperation with our CMOs, must supply all necessary chemistry, manufacturing, and control documentation in support of a BLA on a timely basis. The cGMP requirements include quality control, quality assurance, and the maintenance of records and documentation. Manufacturers of our product candidates and therapeutic substances may be unable to comply with our specifications, these cGMP requirements and with other FDA, state, and foreign regulatory requirements. Poor control of production processes can lead to the introduction of adventitious agents or other contaminants, or to inadvertent changes in the properties or stability of product candidates that may not be detectable in final product testing. If our CMOs cannot successfully manufacture material that conforms to our specifications and the strict regulatory requirements of the FDA or other regulatory authorities, they will not be able to secure or maintain regulatory approval for their manufacturing facilities. Any such deviations may also require remedial measures that may be costly and/or time-consuming for us or a third party to implement and that may include the temporary or permanent suspension of a clinical trial or commercial sales or the temporary or permanent closure of a facility. Any such remedial measures imposed upon us or third parties with whom we contract could materially harm our business.

While we are ultimately responsible for the manufacture of our product candidates and therapeutic substances, other than through our contractual arrangements, we have little control over our CMOs' compliance with these regulations and standards. If the FDA or a comparable foreign regulatory authority does not approve these facilities for the manufacture of our product candidates or if it withdraws any such approval in the future, we may need to find alternative manufacturing facilities, which would significantly impact our ability to develop, obtain regulatory approval for or market our product candidates, if approved. A failure to comply with these requirements may result in regulatory enforcement actions against our CMOs or us, including fines and civil and criminal penalties, including imprisonment, suspension or restrictions of production, suspension, injunctions, delay or denial of product approval or supplements to approved products, clinical holds or termination of clinical studies, warning or untitled letters, regulatory authority communications warning the public about safety issues with the biologic, refusal to permit the import or export of the products, product seizure, detention, or recall, operating restrictions, suits under the civil False Claims Act, corporate integrity agreements, consent decrees, or withdrawal of product approval. If the safety of any quantities supplied is compromised due to our CMOs' failure to adhere to applicable laws or for other reasons, we may not be able to obtain regulatory approval for or successfully commercialize our product candidates.

Any failure or refusal to supply sufficient quantities of our product candidates would delay, prevent or impair our clinical development or commercialization efforts. Any change in our CMO could be costly because the commercial terms of any new arrangement could be less favorable and

because the expenses relating to the transfer of necessary technology and processes could be significant. There are significant requirements prior to receiving FDA approval for the transfer of manufacturing process for a therapeutic antibody product to a new manufacturing facility.

We also rely on third parties to store and distribute our product candidates for the clinical trials that we conduct. Any performance failure on the part of our distributors could delay clinical development of our product candidates, producing additional losses.

Any collaboration arrangements that we may enter into in the future may not be successful, which could adversely affect our ability to develop and commercialize our product candidates.

For our current or future product candidates, we may in the future determine to collaborate with other pharmaceutical and biotechnology companies for their development and potential commercialization. We face significant competition in seeking appropriate collaborators. Our ability to reach a definitive agreement for collaboration will depend, among other things, upon our assessment of the collaborator's resources and expertise, the terms and conditions of the proposed collaboration and the proposed collaborator's evaluation of a number of factors. Our future collaboration arrangements, if any, may not be successful, and the success of them will depend heavily on the efforts and activities of our collaborators. Collaborators generally have significant discretion in determining the efforts and resources that they will apply to these collaboration arrangements. Disagreements between parties to a collaboration arrangement regarding clinical development and commercialization matters can lead to delays in the development process or commercializing the applicable product candidate and, in some cases, termination of the collaboration arrangement. We may not identify or complete any collaboration in a timely manner, on a cost-effective basis, or at all, and we may not realize the anticipated benefits of any such transaction, any of which could have a detrimental effect on our financial condition, results of operations and cash flows.

Risks Related to Legal and Compliance Matters

If we fail to comply with federal and state healthcare laws, including fraud and abuse and health and other information privacy and security laws, we could face substantial penalties and our business, financial condition, results of operations, and prospects could be adversely affected.

As a biopharmaceutical company, we are subject to many federal and state healthcare laws, including those described in the Government Regulation and Product Approval section. If we or our operations are found to be in violation of any federal or state healthcare law, or any other governmental regulations that apply to us, we may be subject to penalties, including civil, criminal, and administrative penalties, damages, fines, disgorgement, debarment from government contracts, and refusal of orders under existing contracts, exclusion from participation in U.S. federal or state health care programs, corporate integrity agreements, and the curtailment or restructuring of our operations, any of which could materially adversely affect our ability to operate our business and our financial results. If any of the physicians or other healthcare providers or entities with whom we expect to do business, including our collaborators, is found not to be in compliance with applicable laws, it may be subject to criminal, civil or administrative sanctions, including but not limited to, exclusions from participation in government healthcare programs, which could also materially affect our business.

Although an effective compliance program can mitigate the risk of investigation and prosecution for violations of these laws, the risks cannot be entirely eliminated. Moreover, achieving and sustaining compliance with applicable federal and state privacy, security, and fraud laws may prove costly. Any action against us for violation of these laws, even if we successfully defend against it, could cause us to incur significant legal expenses and divert our management's attention from the operation of our business.

Our employees, independent contractors, consultants, commercial partners, principal investigators, CMOs or CROs may engage in misconduct or other improper activities, including noncompliance with regulatory standards and requirements, which could have a material adverse effect on our business.

We are exposed to the risk of fraud or other misconduct. Misconduct by employees, independent contractors, consultants, commercial partners, principal investigators, or CROs could include intentional, reckless, negligent, or unintentional failures to comply with FDA regulations, comply with applicable fraud and abuse laws, provide accurate information to the FDA, report financial information or data accurately or disclose unauthorized activities to us. This misconduct could also involve the improper use or misrepresentation of information obtained in the course of clinical trials, which could result in regulatory sanctions and serious harm to our reputation. It is not always possible to identify and deter this type of misconduct, and the precautions we take to detect and prevent this activity may not be effective in controlling unknown or unmanaged risks or losses or in protecting us from governmental investigations or other actions or lawsuits stemming from a failure to be in compliance with such laws or regulations. If any such actions are instituted against us, and we are not successful in defending ourselves or asserting our rights, those actions could have a significant impact on our business, financial condition, and results of operations, including the imposition of significant fines or other sanctions.

Risks Related to Our Intellectual Property

If we are unable to protect our intellectual property rights or if our intellectual property rights are inadequate to protect our technology and product candidates, our competitive position could be harmed.

Our commercial success will depend in large part on our ability to obtain and maintain patent and other intellectual property protection in the U.S. and other countries with respect to our proprietary technology and products. We rely on patent, trade secret, copyright and trademark laws, and confidentiality, licensing and other agreements with employees and third parties, all of which offer only limited protection. We seek to protect our proprietary position by filing and prosecuting patent applications in the U.S. and abroad related to our novel technologies and products that are important to our business.

The patent positions of biotechnology and pharmaceutical companies generally are highly uncertain, involve complex legal and factual questions and have in recent years been the subject of much litigation. As a result, the issuance, scope, validity, enforceability and commercial value of our patents, including those patent rights licensed to us by third parties, are highly uncertain. The steps we or our licensors have taken to protect our proprietary rights may not be adequate to preclude misappropriation of our proprietary information or infringement of our intellectual property rights, both inside and outside the U.S. Further, the examination process may require us or our licensors to narrow the claims for our pending patent applications and those of our licensors, which may limit the scope of patent protection that may be obtained if these applications issue. The rights already granted under any of our currently issued patents or those licensed to us and those that may be granted under future issued patents may not provide us with the proprietary protection or competitive advantages we are seeking. If we or our licensors are unable to obtain and maintain patent protection for our technology and products, or if the scope of the patent protection obtained is not sufficient, our competitors could develop and commercialize technology and products similar or superior to ours, and our ability to successfully commercialize our technology and products may be adversely affected. It is also possible that we or our licensors will fail to identify patentable aspects of inventions made in the course of our development and commercialization activities before it is too late to obtain patent protection for them.

With respect to patent rights, we do not know whether any of the pending patent applications will result in the issuance of patents that protect our technology or products, or if any of our or our

licensors' issued patents will effectively prevent others from commercializing competitive technologies and products. Patents in the field of therapeutic monoclonal antibodies are frequently limited in scope based on the sequence of amino acids that form the antibody. A portion of our intellectual property portfolio is limited by the amino acid sequence of our product candidates. Other competing companies may have therapeutic antibodies to the same target as our product candidates that have a different amino acid sequence and as a result may not be determined to infringe on patents which are limited by amino acid sequence. Even for those patent applications which are defined by the target of a therapeutic antibody and not limited by an amino acid sequence, we cannot be certain that other companies with antibodies to these targets can otherwise avoid or overcome the claims in our intellectual property.

Our pending applications cannot be enforced against third parties practicing the technology claimed in such applications unless and until a patent issues from such applications. Because the issuance of a patent is not conclusive as to its inventorship, scope, validity or enforceability, issued patents that we own or have licensed from third parties may be challenged in the courts, administrative agencies or patent offices in the U.S. and abroad. Such challenges may result in the loss of patent protection, the narrowing of claims in such patents or the invalidity or unenforceability of such patents, which could limit our ability to stop others from using or commercializing similar or identical technology and products, or limit the duration of the patent protection for our technology and products. Protecting against the unauthorized use of our or our licensors' patented technology, trademarks and other intellectual property rights is expensive, difficult and may in some cases not be possible. In some cases, it may be difficult or impossible to detect third-party infringement or misappropriation of our intellectual property rights, even in relation to issued patent claims, and proving any such infringement may be even more difficult.

Our granted European patents for (i) TRX518 and its uses and (ii) anti-GITR agonist antibodies, including TRX518, in combination with a chemotherapeutic agent for treating cancer, each of which is of significant value to us, have been challenged in European Patent Office Opposition proceedings, and successful challenges could limit our future revenues.

A patent covering TRX518 and its uses was granted to us by the European Patent Office. Three oppositions to this patent were filed by two major pharmaceutical companies and an individual, possibly on behalf of a major pharmaceutical company. Opposition proceedings took place in 2016, and the Opposition Division of the European Patent Office that decided the case issued an interlocutory decision indicating that our patent should be maintained with modified claims that are narrower than the claims as originally granted. Nonetheless, we believe that the claims deemed allowable by the Opposition Division still sufficiently cover TRX518 and its uses. Nonetheless, we have filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. We cannot assure you that our appeal will have any success. Should the decision of the Opposition Division stand in whole or in part, our ability to prevent competition in Europe or to license our intellectual property may be more limited or of lower value than under the broader claims we were originally granted, which could have an adverse effect on our business, financial condition and results of operations. In addition, the cost of the opposition appeal and any further proceedings could be material.

In 2016, a patent covering the use of anti-GITR agonist antibodies, including TRX518, in combination with a chemotherapeutic agent for treating cancer was granted to us by the European Patent Office. In March 2017, notices of opposition to this patent were filed by ten different entities, including several major pharmaceutical companies. We cannot assure you that the Opposition Division will uphold the claims as granted and, if not, our ability to prevent competition in Europe or to license our intellectual property may be more limited, which could have an adverse effect on our business,

financial condition and results of operations. In addition, the cost of the opposition and any further proceedings could be material.

Third parties may initiate legal proceedings alleging that we are infringing their intellectual property rights, the outcome of which would be uncertain and could harm our business.

Our commercial success depends upon our ability to develop, manufacture, market and sell DKN-01 or TRX518. We may become party to, or threatened with, future adversarial proceedings or litigation regarding intellectual property rights with respect to DKN-01 and/or TRX518, including interference, derivation, inter partes review or post-grant review proceedings before the U.S. Patent and Trademark Office. Third parties may assert infringement claims against us based on existing patents or patents that may be granted in the future. If we are found to infringe a third party's intellectual property rights, we could be required to obtain a license from such third party to continue commercializing DKN-01 and/or TRX518. However, we may not be able to obtain any required license on commercially reasonable terms or at all. Under certain circumstances, we could be forced, including by court order, to cease commercializing DKN-01 or TRX518. In addition, in any such proceeding or litigation, we could be found liable for monetary damages. A finding of infringement could prevent us from commercializing DKN-01 or TRX518 or force us to cease some of our business operations, which could materially harm our business. Any claims by third parties that we have misappropriated their confidential information or trade secrets could also have a negative impact on our business.

While DKN-01 and TRX518 are in pre-clinical studies and clinical trials in the United States, we believe that the use of DKN-01 and TRX518 in these preclinical studies and clinical trials falls within the scope of the exemptions provided by 35 U.S.C. Section 271(e) in the U.S., which exempts from patent infringement liability activities reasonably related to the development and submission of information to the FDA. As DKN-01 or TRX518 progresses toward commercialization, the possibility of a patent infringement claim against us may increase. We attempt to ensure that DKN-01 and TRX518, the methods we employ to manufacture them, as well as the methods for their use we intend to promote, do not infringe other parties' patents and other proprietary rights. There can be no assurance they do not, however, and competitors or other parties may assert that we infringe their proprietary rights in any event.

We may not be able to protect our intellectual property rights throughout the world.

Filing, prosecuting and defending patents on DKN-01 or TRX518 and any future product candidates throughout the world could be prohibitively expensive, and our or our licensors' intellectual property rights in some countries outside the U.S. can be less extensive than those in the U.S. In addition, the laws and practices of some foreign countries do not protect intellectual property rights to the same extent as federal and state laws in the U.S. Consequently, we and our licensors may not be able to prevent third parties from practicing our and/or our licensors' inventions in all countries outside the U.S., or from selling or importing products made using our and/or our licensors' inventions in and into the U.S. or other jurisdictions. Competitors may use our technologies in jurisdictions where we have not obtained patent protection to develop their own products, and may export otherwise allegedly infringing products to territories where we or our licensors have patent protection, but where enforcement is not as strong as that in the U.S. These products may compete with our products in jurisdictions where we do not have any issued patents and our patent claims or other intellectual property rights may not be effective or sufficient to prevent them from so competing.

Many companies have encountered significant problems in protecting and defending intellectual property rights in certain foreign jurisdictions. The legal systems of certain countries, particularly certain developing countries, do not favor the enforcement of patents and other intellectual property protection, particularly those relating to biopharmaceuticals, which could make it difficult for us to stop the infringement of our or our licensors' patents or marketing of competing products in violation of our

proprietary rights generally in those countries. Proceedings to enforce our and/or our licensors' patent rights in foreign jurisdictions could result in substantial cost and divert our efforts and attention from other aspects of our business, could put our and our licensors' patents at risk of being invalidated, held unenforceable or interpreted narrowly and our and our licensors' patent applications at risk of not issuing and could provoke third parties to assert claims against us or our licensors. We or our licensors may not prevail in any lawsuits that we or our licensors initiate and the damages or other remedies awarded, if any, may not be commercially meaningful.

The laws of certain foreign countries may not protect our rights to the same extent as the laws of the U.S., and these foreign laws may also be subject to change. For example, methods of treatment and manufacturing processes may not be patentable in certain jurisdictions, and the requirements for patentability may differ in certain countries, particularly developing countries. Furthermore, generic drug manufacturers or other competitors may challenge the scope, validity and/or enforceability of our or our licensors' patents, requiring us or our licensors to engage in complex, lengthy and costly litigation or other proceedings. Generic drug or biosimilar manufacturers may develop, seek approval for, and launch generic or biosimilar versions of our products. Many countries, including some European Union countries, India, Japan and China, have compulsory licensing laws under which a patent owner may be compelled under certain circumstances to grant licenses to third parties. In those countries, we and our licensors may have limited remedies if patents are infringed or if we or our licensors are compelled to grant a license to a third party, which could materially diminish the value of those patents. This could limit our potential revenue opportunities in those countries. Accordingly, our and our licensors' efforts to enforce intellectual property rights around the world may be inadequate to obtain a significant commercial advantage from the intellectual property that we own or license.

Obtaining and maintaining our patent protection depends on compliance with various procedural requirements, document submissions, fee payments and other requirements imposed by governmental patent agencies, and our patent protection could be reduced or eliminated for non-compliance with these requirements.

Periodic maintenance fees on any issued U.S. utility or foreign patent are due to be paid to the USPTO and foreign patent agencies in several stages over the lifetime of the patent. The USPTO and various foreign governmental patent agencies require compliance with a number of procedural, documentary, fee payment and other similar provisions during the patent application process. While an inadvertent lapse can in many cases be cured by payment of a late fee or by other means in accordance with the applicable rules, there are situations in which noncompliance can result in abandonment or lapse of the patent or patent application, resulting in partial or complete loss of the patent right in the relevant jurisdiction. Non-compliance events that could result in abandonment or lapse of a patent or patent application include, but are not limited to, failure to respond to official actions within prescribed time limits, non-payment of fees and failure to properly legalize and submit formal documents. If we or our licensors fail to maintain the patents and patent applications covering our product candidates, our competitive position could be adversely affected.

We may become involved in lawsuits to protect or enforce our intellectual property, which could be expensive, time consuming and unsuccessful and have a material adverse effect on the success of our business.

Competitors may infringe our and/or our licensors' patents or misappropriate or otherwise violate our and/or our licensors' intellectual property rights. To prevent or stop infringement or unauthorized use, litigation may be necessary in the future to enforce and/or defend our intellectual property rights, to protect our trade secrets or to determine the validity and scope of our own intellectual property rights or the proprietary rights of others. Also, third parties may initiate legal proceedings against us or our licensors to challenge the validity, enforceability and/or scope of intellectual property rights we own or control. These proceedings can be expensive and time consuming. Many of our current and potential

competitors have the ability to dedicate substantially greater resources to defend their intellectual property rights than we can. Accordingly, despite our efforts, we may not be able to prevent third parties from infringing upon or misappropriating our intellectual property. Litigation could result in substantial costs and diversion of management resources, which could harm our business and financial results. In addition, in an infringement proceeding, a court may decide that a patent owned by or licensed to us is invalid or unenforceable, or may refuse to stop the other party from using the technology at issue on the grounds that our patents do not cover the technology in question, or other grounds. An adverse result in any litigation proceeding could put one or more of our patents at risk of being invalidated, held unenforceable or interpreted narrowly. Furthermore, because of the substantial amount of discovery required in connection with intellectual property litigation, there is a risk that some of our confidential information could be compromised by disclosure during this type of litigation. There could also be public announcements of the results of hearings, motions or other interim proceedings or developments. If securities analysts or investors perceive these results to be negative, it could have a material adverse effect on the price of shares of our common stock.

Risks Related to Our Being a Public Company

We are an "emerging growth company" and we intend to take advantage of reduced disclosure and governance requirements applicable to emerging growth companies, which could result in our common stock being less attractive to investors.

We are an "emerging growth company," as defined in the JOBS Act, and we intend to take advantage of certain exemptions from various reporting requirements that are applicable to other public companies that are not emerging growth companies including, but not limited to, not being required to comply with the auditor attestation requirements of Section 404 of the Sarbanes-Oxley Act, reduced disclosure obligations regarding executive compensation in our periodic reports and proxy statements, and exemptions from the requirements of holding a nonbinding advisory vote on executive compensation and stockholder approval of any golden parachute payments not previously approved. We cannot predict if investors will find our common stock less attractive because we will rely on these exemptions. If some investors find our common stock less attractive as a result, there may be a less active trading market for our common stock, our stock price may be more volatile and it may be difficult for us to raise additional capital as and when we need it. If we are unable to raise additional capital as and when we need it, our financial condition and results of operations may be materially and adversely affected.

We may take advantage of these reporting exemptions until we are no longer an emerging growth company, which in certain circumstances could be for up to five years. We will remain an "emerging growth company" until the earliest of (a) the last day of the first fiscal year in which our annual gross revenues exceed $1.07 billion, (b) the date that we become a "large accelerated filer" as defined in Rule 12b-2 under the Securities Exchange Act of 1934, as amended, or the Exchange Act, which would occur if the market value of our shares that are held by non-affiliates exceeds $700 million as of the last business day of our most recently completed second fiscal quarter, (c) the date on which we have issued more than $1.0 billion in nonconvertible debt during the preceding three-year period and (d) the last day of our 2022 fiscal year containing the fifth anniversary of the date on which shares of our common stock became publicly traded in the U.S.

If we fail to maintain an effective system of internal control over financial reporting in the future, we may not be able to accurately report our financial condition, results of operations or cash flows, which may adversely affect investor confidence in us and, as a result, the value of our common stock.

The Sarbanes-Oxley Act requires, among other things, that we maintain effective internal controls for financial reporting and disclosure controls and procedures. Beginning with this annual report, we are required, under Section 404 of the Sarbanes-Oxley Act, to furnish a report by management on,

among other things, the effectiveness of our internal control over financial reporting. This assessment will need to include disclosure of any material weaknesses identified by our management in our internal control over financial reporting. A material weakness is a deficiency, or combination of deficiencies, in internal control over financial reporting that results in more than a reasonable possibility that a material misstatement of annual or interim financial statements will not be prevented or detected on a timely basis. Section 404 of the Sarbanes-Oxley Act also generally requires an attestation from our independent registered public accounting firm on the effectiveness of our internal control over financial reporting. However, for as long as we remain an emerging growth company as defined in the JOBS Act, we intend to take advantage of the exemption permitting us not to comply with the independent registered public accounting firm attestation requirement.

Our compliance with Section 404 of the Sarbanes-Oxley Act will require that we incur substantial accounting expense and expend significant management efforts. We currently do not have an internal audit group, and we will need to hire additional accounting and financial staff with appropriate public company experience and technical accounting knowledge. If we are not able to comply with the requirements of Section 404 in a timely manner, or if we or our independent registered public accounting firm identify deficiencies in our internal control over financial reporting that are deemed to be material weaknesses, the market price of our stock could decline and we could be subject to sanctions or investigations by NASDAQ, the SEC or other regulatory authorities, which would require additional financial and management resources.

Our ability to successfully implement our business plan and comply with Section 404 requires us to be able to prepare timely and accurate financial statements. We expect that we will need to continue to improve existing, and implement new operational and financial systems, procedures and controls to manage our business effectively. Any delay in the implementation of, or disruption in the transition to, new or enhanced systems, procedures or controls, may cause our operations to suffer and we may be unable to conclude that our internal control over financial reporting is effective and to obtain an unqualified report on internal controls from our auditors as required under Section 404 of the Sarbanes-Oxley Act. This, in turn, could have an adverse impact on trading prices for our Common Stock, and could adversely affect our ability to access the capital markets.

We cannot assure you that there will not be material weaknesses or significant deficiencies in our internal control over financial reporting in the future. Any failure to maintain internal control over financial reporting could severely inhibit our ability to accurately report our financial condition, results of operations or cash flows. If we are unable to conclude that our internal control over financial reporting is effective, or if our independent registered public accounting firm determines we have a material weakness or significant deficiency in our internal control over financial reporting once that firm begins its Section 404 reviews, we could lose investor confidence in the accuracy and completeness of our financial reports, the market price of our common stock could decline, and we could be subject to sanctions or investigations by the NASDAQ stock market, the Commission or other regulatory authorities. Failure to remedy any material weakness in our internal control over financial reporting, or to implement or maintain other effective control systems required of public companies, could also restrict our future access to the capital markets.

Our disclosure controls and procedures may not prevent or detect all errors or acts of fraud.

We are subject to the periodic reporting requirements of the Exchange Act. Our disclosure controls and procedures are designed to reasonably assure that information required to be disclosed by us in reports we file or submit under the Exchange Act is accumulated and communicated to management, recorded, processed, summarized and reported within the time periods specified in the rules and forms of the Commission. We believe that any disclosure controls and procedures or internal controls and procedures, no matter how well conceived and operated, can provide only reasonable, not absolute, assurance that the objectives of the control system are met.

These inherent limitations include the realities that judgments in decision-making can be faulty, and that breakdowns can occur because of simple error or mistake. Additionally, controls can be circumvented by the individual acts of some persons, by collusion of two or more people or by an unauthorized override of the controls. Accordingly, because of the inherent limitations in our control system, misstatements or insufficient disclosures due to error or fraud may occur and not be detected.

We incur significant costs as a result of operating as a public company, and our management is required to devote substantial time to compliance initiatives.

As a public company, we incur significant legal, accounting and other expenses, and these expenses may increase even more after we are no longer an "emerging growth company." Our management and other personnel need to devote a substantial amount of time to these compliance initiatives. Moreover, we expect these rules and regulations to substantially increase our legal and financial compliance costs and to make some activities more time-consuming and costly. We estimate that we will incur approximately $1.0 to $1.5 million in incremental costs per year associated with being a publicly traded company, although it is possible that our actual incremental costs will be higher than we currently estimate. The increased costs increase our net loss.

Risks Related to our Common Stock

Because we do not anticipate paying any cash dividends on our capital stock in the foreseeable future, capital appreciation, if any, will be your sole source of gain.

We have never declared or paid cash dividends on our capital stock. We currently intend to retain all of our future earnings, if any, to finance the growth and development of our business. As a result, capital appreciation, if any, of our common stock will be your sole source of gain for the foreseeable future.

Sales of a substantial number of shares of our common stock in the public market, including the resale of the shares of common stock issuable upon the exercise of the warrants that were issued in the private placement which we closed on November 14, 2017, could cause our stock price to fall.

Sales of a substantial number of shares of our common stock in the public market could occur at any time. These sales, or the perception in the market that the holders of a large number of shares intend to sell shares, could reduce the market price of our common stock. Prior to the private placement financing, holders of an aggregate of 6,007,947 shares of our common stock had rights, subject to certain conditions, to require us to file registration statements covering their shares or to include their shares in registration statements that we may file for ourselves or other stockholders. On November 14, 2017 in a private placement financing, we issued 2,958,094 shares of common stock and Warrants that are exercisable for an aggregate of 2,958,094 shares of common stock, subject to adjustment as provided in such Warrants. In connection with the closing of the private placement financing, we granted to all Purchasers the right, subject to certain conditions, to require us a file a resale registration statement covering the shares of common stock issued in the financing and the shares of common stock issuable upon exercise of the Warrants issued in the financing. We have registered 3,734,914 shares of these shares of common stock on a registration statement on Form S-3, which was declared effective by the SEC on December 15, 2017, and as a result these registered shares became generally available for immediate resale. Sales of such shares of common stock in the public market, including shares issuable upon exercise of the Warrants, or the perception that such sales might occur, could adversely affect the market price of our Common Stock, and the market value of our other securities, and could result in dilution to shareholders who hold our common stock.

We also have registered all shares of common stock that we may issue under our equity compensation plans on Form S-8. These shares can be freely sold in the public market upon issuance, subject to volume limitations applicable to affiliates under Rule 144 under the Securities Act.

Further, the stockholders have approved the inclusion of a full ratchet anti-dilution feature as a term of the warrants. As a result, if we issue Common Stock, options or Common Stock equivalents at a price less than the exercise price of the warrants, subject to certain customary exceptions, the exercise price of the warrants will be reduced to that lower price. Such a decrease in exercise price may cause holders to exercise the warrants which could result in dilution to our existing stockholders at an accelerated rate.

Future sales and issuances of our common stock or rights to purchase common stock, including pursuant to our equity incentive plan, could result in additional dilution of the percentage ownership of our stockholders and could cause our stock price to fall.

We expect that significant additional capital will be needed in the future to continue our planned operations. To raise capital, we may sell substantial amounts of common stock or securities convertible into or exchangeable for common stock. These future issuances of common stock or common stock-related securities, together with the exercise of stock options, warrants outstanding or granted in the future and any additional shares issued in connection with acquisitions, if any, may result in material dilution to our investors. Such sales may also result in material dilution to our existing stockholders, and new investors could gain rights, preferences and privileges senior to those of holders of our common stock.

Pursuant to our equity incentive plans, our compensation committee is authorized to grant equity-based incentive awards to our directors, executive officers and other employees and service providers. Shares currently available for future grant under our Amended and Restated 2012 Equity Incentive Plan and our 2016 Equity Incentive Plan represent a significant number of shares of Leap common stock and could represent significant dilution to our existing stockholders. Future equity incentive grants and issuances of common stock under our 2016 Equity Incentive Plan may have an adverse effect on the market price of our common stock.

In addition, we may issue additional shares of Common Stock or other equity or debt securities convertible into Common Stock in connection with a future financing, acquisition, employee arrangements or otherwise. Any such issuance could result in substantial dilution to our stockholders and could cause our stock price to decline.

We may become obligated to pay liquidated damages if we fail to maintain effectiveness of the registration statement on Form S-3 for 3,734,914 shares of common stock that we filed in connection with the private placement.

In accordance with the terms of the purchase agreements executed in connection with the private placement, the selling stockholders are entitled to receive liquidated damages upon the occurrence of a number of events relating to filing the Registration Statement, including maintaining an effective registration statement covering the securities being registered. The liquidated damages will be payable upon the occurrence of the event and each monthly anniversary thereof until cured. The amount of liquidated damages payable per 30-day period is equal to 1.0% of the purchase price paid by such Purchaser and may increase to 2.0% under certain circumstances, provided, however, the maximum aggregate liquidated damages payable to a Selling Stockholder is 10% of the aggregate purchase price paid by a Purchaser for the Shares and Warrants pursuant to the Purchase Agreement. We may also be responsible for the actual damages suffered by a Selling Stockholder in certain circumstances.

Our share price may be volatile, which could subject us to securities class action litigation and our stockholders could incur substantial losses.

The market price of shares of our Common Stock could be subject to wide fluctuations in response to many risk factors listed in this section, and others beyond our control, including:

- the results of clinical trials or development activities of our programs, or any future programs we may acquire;
- actual or anticipated fluctuations in our financial condition and operating results;
- failure to meet or exceed financial estimates and projections of the investment community or that we provide to the public;
- issuance of new or updated research or reports by securities analysts;
- fluctuations in the valuation of companies perceived by investors to be comparable to us;
- additions or departures of key management or other personnel;
- disputes or other developments related to proprietary rights, including patents, litigation matters, and our ability to obtain patent protection for our technologies;
- announcement or expectation of additional debt or equity financing efforts;
- sales of our Common Stock by us, our insiders or our other stockholders; and
- general economic and market conditions.

These and other market and industry factors may cause the market price and demand for our common stock to fluctuate substantially, regardless of our actual operating performance, which may limit or prevent investors from readily selling their shares of Common Stock and may otherwise negatively affect the liquidity of our common stock. In addition, the stock market in general, and NASDAQ and emerging growth companies in particular, have experienced extreme price and volume fluctuations that have often been unrelated or disproportionate to the operating performance of these companies. In the past, when the market price of a stock has been volatile, holders of that stock have instituted securities class action litigation against the company that issued the stock. If in the future any of our stockholders brought a lawsuit against us, we could incur significant legal expenses, settlement costs or damage awards that are not covered by, or exceed the limits of, our available directors' and officers' liability insurance, which could adversely impact our financial condition, results of operations or cash flows. Such a lawsuit could also divert the time and attention of our management.

Some provisions of our charter documents and Delaware law may have anti-takeover effects that could discourage an acquisition of us by others, even if an acquisition would be beneficial to our stockholders and may prevent attempts by our stockholders to replace or remove our current management.

Provisions in our certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us or increase the cost of acquiring us, even if doing so would benefit our stockholders, or remove our current management. These include provisions that:

- permit our board of directors to issue up to 10,000,000 shares of preferred stock, with any rights, preferences and privileges as it may designate;
- provide that all vacancies on our board of directors, including as a result of newly created directorships, may, except as otherwise required by law, be filled by the affirmative vote of a majority of directors then in office, even if less than a quorum;

- establish a classified board of directors such that only one of three classes of directors is elected each year;
- provide that directors can only be removed for cause;
- require that any action to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and not be taken by written consent;
- provide that stockholders seeking to present proposals before a meeting of stockholders or to nominate candidates for election as directors at a meeting of stockholders must provide advance notice in writing, and also specify requirements as to the form and content of a stockholder's notice;
- require that the amendment of certain provisions of our certificate of incorporation relating to anti-takeover measures may only be approved by a vote of 66.67% (or, in certain limited circumstances, 75%) of our outstanding capital stock;
- not provide for cumulative voting rights, thereby allowing the holders of a majority of the shares of common stock entitled to vote in any election of directors to elect all of the directors standing for election; and
- provide that special meetings of our stockholders may be called only by the board of directors or by such person or persons designated by a majority of the board of directors to call such meetings.

These provisions may frustrate or prevent any attempts by our stockholders to replace or remove our current management by making it more difficult for stockholders to replace members of our board of directors, who are responsible for appointing the members of our management. Because we are incorporated in Delaware, we are governed by the provisions of Section 203 of the Delaware General Corporation Law, which may discourage, delay or prevent someone from acquiring us or merging with us whether or not it is desired by or beneficial to our stockholders. Under Delaware law, a corporation may not, in general, engage in a business combination with any holder of 15% or more of its capital stock unless the holder has held the stock for three years or, among other things, the board of directors has approved the transaction. Any provision of our certificate of incorporation or bylaws or Delaware law that has the effect of delaying or deterring a change in control could limit the opportunity for our stockholders to receive a premium for their shares of our common stock, and could also affect the price that some investors are willing to pay for our common stock.

Item 1B. Unresolved Staff Comments.

Not applicable.

Item 2. Properties.

We have leased our principal offices in Cambridge, Massachusetts covering approximately 7,667 square feet of space. We assumed this lease effective January 1, 2017, from HealthCare Ventures LLC pursuant to an Assignment and Assumption Agreement, dated as of January 1, 2017. This lease expires on April 30, 2019.

Item 3. Legal Proceedings.

From time to time we may become involved in legal proceedings or be subject to claims that arise in the ordinary course of business. As of the date of this report, and other than as described in the following paragraph, we are not currently a party to any material legal proceedings.

A patent covering TRX518 and its uses was granted to us by the European Patent Office. Three notices of opposition to this patent were filed by two major pharmaceutical companies and an individual, possibly on behalf of a major pharmaceutical company. At the conclusion of the opposition proceedings in 2016, the Opposition Division of the European Patent Office that decided the case issued an interlocutory decision indicating that our patent should be maintained with modified claims that differ from the claims as originally granted. These claims cover the TRX518 antibody and uses of TRX518 in a method of enhancing an immune response in a subject. In July 2016, we filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. The Board of Appeal has not scheduled a date for the appeal hearing.

In 2016, a patent covering the use of TRX518 in combination with a chemotherapeutic agent for treating cancer was granted to us by the European Patent Office. In March 2017, notices of opposition to this patent were filed by ten different entities, including several major pharmaceutical companies. We intend to vigorously defend the patent as granted through opposition proceedings. The Opposition Division has not scheduled a date for the opposition proceedings.

Regardless of outcome, litigation or other legal proceedings can have an adverse impact on us because of enforcement, defense, and/or settlement costs, diversion of management resources, and other factors.

Item 4. Mine Safety Disclosures.

Not applicable.

PART II

Item 5. Market for Registrant's Common Equity, Related Stockholder Matters and Issuer Purchases of Equity Securities

Market Information

Our common stock, par value $0.001 per share, has been publicly traded on the NASDAQ Global Market under the symbol "LPTX" since January 24, 2017. Prior to that time, including fiscal year 2016, the period covered by this Annual Report on Form 10-K, there was no public market for our common stock. The table below provides the high and low intra-day sales prices of our common stock for the periods indicated, as reported by The NASDAQ Global Market.

	High	Low
Year ended December 31, 2017		
Fourth quarter	$ 7.95	$ 4.91
Third quarter	7.95	4.90
Second quarter	9.36	5.40
First quarter (beginning on 1/23/2017)	16.62	5.02

On February 21, 2018, the last reported sales price for our common stock on the NASDAQ Global Market was $6.49 per share.

Holders

As of February 21, 2018, there were approximately 22 holders of record of our common stock. The actual number of stockholders is greater than this number of record holders, and includes stockholders who are beneficial owners, but whose shares are held in street name by brokers and other nominees. This number of holders of record also does not include stockholders whose shares may be held in trust by other entities.

Dividends

We have never declared or paid cash dividends on our common stock, and we do not expect to pay any cash dividends on our common stock in the foreseeable future. We currently intend to retain our future earnings, if any, to fund the development and growth of our business. Payment of future dividends, if any, on our common stock will be at the discretion of our board of directors after taking into account various factors, including our financial condition, operating results, anticipated cash needs, and plans for expansion.

Securities Authorized for Issuance Under Equity Compensation Plans

Information about securities authorized for issuance under our equity compensation plans is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

Recent Sales of Unregistered Securities

Set forth below is information regarding securities issued and options granted by us during the year ended December 31, 2017 that were not registered under the Securities Act of 1933, as amended, or the Securities Act. Also included is the consideration, if any, received by us for any such shares, options and warrants and information relating to the section of the Securities Act, or rule of the SEC, under which exemption from registration was claimed.

Pre-Merger Transactions

During 2014, we issued a convertible promissory note to HealthCare Ventures VIII, L.P., HealthCare Ventures IX, L.P. and HealthCare Strategic Fund, L.P. and the note was thereafter amended on November 2, 2015, December 15, 2015, February 12, 2016, April 28, 2016, June 1, 2016, August 30, 2016, October 13, 2016, and January 5, 2017, such that the maximum principal amount available to us under the note was $31.75 million. The interest rate on the note was eight percent (8%) per annum, commencing to accrue with respect to any principal amount outstanding on the applicable drawdown date of such principal amount per its terms.

No underwriters were involved in the foregoing sales of securities. The securities were issued to investors in reliance upon the exemption from the registration requirements of the Securities Act, as set forth in Section 4(a)(2) under the Securities Act, including in some cases, Regulation D promulgated thereunder, relative to transactions by an issuer not involving any public offering, to the extent an exemption from such registration was required. All purchasers of shares of capital stock described above represented to us in connection with their purchase that they were accredited investors and were acquiring the shares for their own account for investment purposes only and not with a view to, or for sale in connection with, any distribution thereof. The purchasers received written disclosures that the securities had not been registered under the Securities Act and that any resale must be made pursuant to a registration statement or an available exemption from such registration.

Immediately prior to the consummation of the merger with Macrocure in January 2017, the note converted into 1,950,768 shares of Leap common stock.

On January 20, 2017, prior and subject to the consummation of the merger, we entered into a subscription agreement with HealthCare Ventures IX, L.P. ("HCV IX"), pursuant to which HCV IX purchased 1,010,225 shares of our common stock for $10.0 million, at a purchase price per share of $9.90.

PIPE Transaction

On November 14, 2017, Leap entered into purchase agreements with certain existing and new institutional accredited investors and strategic partners pursuant to which, Leap, in a private placement, agreed to issue and sell to the purchasers an aggregate of 2,958,094 shares of unregistered Common Stock at a price per share of $6.085, and issued with each share a warrant (the "Warrants") to purchase one share of Common Stock at an exercise price of $6.085 with an exercise period expiring seven years after closing (the "Term"), for gross proceeds of approximately $18.0 million. If all of the Warrants are exercised at the Exercise Price during the Term, the Company will receive proceeds of approximately $18.0 million in cash and will issue an aggregate of 2,958,094 Warrant Shares. Leap sold the shares and the warrants to the purchasers in reliance on the exemption from the registration requirements of the Securities Act afforded by Section 4(a)(2) of the Securities Act.

Raymond James & Associates, Inc. and Ladenburg Thalmann & Co. Inc. acted as the placement agents for the private placement. Pursuant to the agreement with the placement agents, Leap agreed to pay the placement agents a fee equal to 2.2% of the aggregate gross proceeds from the private placement plus the reimbursement of certain expenses.

The Company will use the net proceeds from the Private Placement for general corporate purposes. The offering raised gross proceeds to the Company in the amount of approximately $18.0 million and net proceeds in the amount of approximately $17.3 million, which is after deducting commissions to the placement agents and estimated expenses of approximately $0.7 million in the aggregate.

Leap, on behalf of certain of the purchasers, registered for resale on a registration statement on Form S-3 (File No. 333-221968) 3,734,914 shares of Common Stock which included (i) 1,867,457 shares

of the Common Stock issued on November 14, 2017 and (ii) an aggregate of 1,867,457 shares of the Common Stock issuable upon exercise of common stock purchase warrants issued on November 14, 2017.

Stock options

In connection with the consummation of the merger, we adopted our Amended and Restated 2012 Equity Incentive Plan and our 2016 Equity Incentive Plan. The Amended and Restated 2012 Equity Incentive Plan amended and restated 2012 Equity Incentive Plan in its entirely. The shares subject to both plans have been registered on a registration statement on Form S-8.

Purchases of Equity Securities

We did not purchase any of our registered equity securities during the period covered by this Annual Report on Form 10-K.

Item 6. Selected Financial Data.

Not applicable.

Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations

You should read the following discussion and analysis of our financial condition and results of operations together with our financial statements and related notes appearing elsewhere in this Annual Report on Form 10-K. In addition to historical information, this discussion and analysis contains forward-looking statements that involve risks, uncertainties and assumptions. Our actual results may differ materially from those anticipated in these forward-looking statements as a result of certain factors. We discuss factors that we believe could cause or contribute to these differences below and elsewhere in this report, including those set forth under Item 1A. "Risk Factors" and under "Cautionary Note Regarding Forward-Looking Statements" in this Annual Report.

Overview

We were incorporated in the state of Delaware as Dekkun Corporation on January 3, 2011 and changed our name to HealthCare Pharmaceuticals, Inc. effective May 29, 2014, and then to Leap Therapeutics, Inc. effective November 16, 2015. During 2015, HealthCare Pharmaceuticals Pty Ltd ("HCP Australia") was formed and is our wholly owned subsidiary.

On December 10, 2015, we entered into a merger agreement with GITR Inc. ("GITR"), an entity under common control, whereby a wholly owned subsidiary was merged with GITR and the surviving name of the wholly owned subsidiary was GITR Inc.

On August 29, 2016, we entered into a definitive merger agreement with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel, and M-Co Merger Sub Ltd. ("Merger Sub"), a wholly owned subsidiary of the Company which provided for the merger of Macrocure with and into Merger Sub, with Macrocure continuing after the merger as a wholly owned subsidiary of the Company. In connection with the merger, the Company applied to be listed on the NASDAQ Global Market. NASDAQ approved the listing, and trading in the Company's common stock commenced on January 24, 2017, under the trading symbol "LPTX". On February 1, 2017, Macrocure's name was changed to Leap Therapeutics Ltd.

We are a biopharmaceutical company developing novel therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways and by harnessing the immune system to attack cancer cells. Our strategy is to identify, acquire, and develop molecules that will rapidly translate into high impact therapeutics that generate durable clinical benefit and enhanced patient outcomes.

Our two clinical stage programs are:

- *DKN-01:* A monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. DKK1 is a protein that regulates the Wnt signaling pathways and enables tumor cells to profilerate and spread, as well as suppresses the immune system from attacking the tumor. When DKN-01 binds to DKK1, an anti-tumor effect can be generated. DKN-01-based therapies have generated responses and clinical benefit in several patient populations. We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, biliary tract cancer, or gynecologic cancers. We are focused on two parallel development strategies involving targeted patient populations with Wnt pathway alterations and as a combination with cancer immunotherapy.

- *TRX518:* A monoclonal antibody targeting the glucocorticoid-induced tumor necrosis factor-related receptor, or GITR. GITR is a receptor found on the surface of a wide range of immune cells. GITR stimulation activates tumor fighting white blood cells and decrease the activity of potentially tumor-protective immunosuppressive cells. TRX518 has been specifically engineered to enhance the immune system's anti-tumor response by activating GITR signaling without causing the immune cells to be destroyed. We are conducting two clinical trials of TRX518 in patients with advanced solid tumors and have recently begun enrolling combination therapy arms utilizing TRX518 in combination with gemcitabine chemotherapy or with cancer immunotherapies known as PD-1 antagonists.

We intend to apply our extensive experience identifying and developing transformational products to aggressively develop these antibodies and build a pipeline of programs that has the potential to change the practice of cancer medicine.

We have devoted substantially all of our resources to development efforts relating to our product candidates, including manufacturing and conducting clinical trials of our product candidates, providing general and administrative support for these operations and protecting our intellectual property. We do not have any products approved for sale and have not generated any revenue from product sales. We have funded our operations primarily through proceeds from our sales of common stock and preferred stock and proceeds from the issuance of notes payable—related party.

We have incurred net losses in each year since our inception in 2011. Our net loss was $29.7 million for the year ended December 31, 2017 and $25.6 million for the year ended December 31, 2016. As of December 31, 2017, we had an accumulated deficit of approximately $130.4 million. Our net losses have resulted primarily from costs incurred in connection with our research and development programs and from general and administrative costs associated with our operations. We expect to continue to incur significant expenses and have increasing operating losses for at least the next several years.

We anticipate that our expenses will increase substantially as we:

- continue the development of our product candidates, DKN-01, including the completion of Phase 1/2 clinical trial activities, and TRX518, including the completion of Phase 1 clinical trial activities;

- seek to obtain regulatory approvals for DKN-01 and TRX518;

- outsource the manufacturing of DKN-01 and TRX518 for clinical trials and any indications for which we receive regulatory approval;

- contract with third parties for the sales, marketing and distribution of DKN-01 and TRX518 for any indications for which we receive regulatory approval;

- maintain, expand and protect our intellectual property portfolio;

- continue our research and development efforts;
- add operational, financial and management information systems and personnel, including personnel to support our product development efforts; and
- operate as a public company.

We do not expect to generate revenue from product sales unless and until we successfully complete development and obtain marketing approval for one or more of our product candidates, which we expect will take a number of years and is subject to significant uncertainty. Accordingly, we will need to raise additional capital prior to the commercialization of DKN-01, TRX518 or any other product candidate. Until such time, if ever, as we can generate substantial revenue from product sales, we expect to finance our operating activities through a combination of equity offerings, debt financings, government or other third-party funding, commercialization, marketing and distribution arrangements and other collaborations, strategic alliances and licensing arrangements. However, we may be unable to raise additional funds or enter into such other arrangements when needed on favorable terms or at all. Our failure to raise capital or enter into such other arrangements as and when needed would have a negative impact on our financial condition and our ability to develop our product candidates.

As of December 31, 2017, we had cash and cash equivalents of $25.7 million. We believe our existing cash and cash equivalents as of December 31, 2017, together with the anticipated receipt of $1.7 million of research and development tax incentive payments, representing the applicable percentage of our expected eligible expenses net of our current Australia tax liability, will enable us to fund our operating expenses and capital expenditure requirements for at least 12 months from issuance of the financial statements included in this Annual Report on Form 10-K. See "—Liquidity and Capital Resources."

Financial Overview

Research and Development Expenses

Our research and development activities have included conducting nonclinical studies and clinical trials, manufacturing development efforts and activities related to regulatory filings for DKN-01 and TRX518. We recognize research and development expenses as they are incurred. Our research and development expenses consist primarily of:

- salaries and related overhead expenses for personnel in research and development functions, including costs related to stock-based compensation;
- fees paid to consultants and CROs for our nonclinical and clinical trials, and other related clinical trial fees, including but not limited to laboratory work, clinical trial database management, clinical trial material management and statistical compilation and analysis;
- costs related to acquiring and manufacturing clinical trial materials; and
- costs related to compliance with regulatory requirements.

We plan to increase our research and development expenses for the foreseeable future as we continue the development of DKN-01, TRX518 and any other product candidates, subject to the availability of additional funding.

Our direct research and development expenses are tracked on a program-by-program basis and consist primarily of internal and external costs, such as employee costs, including salaries and stock-based compensation, other internal costs, fees paid to consultants, central laboratories, contractors and CROs in connection with our clinical and preclinical trial development activities. We use internal resources to manage our clinical and preclinical trial development activities and perform data analysis for such activities.

We participate, through our subsidiary in Australia, in the Australian government's R&D Incentive program, such that a percentage of our eligible research and development expenses are reimbursed by the Australian government as a refundable tax offset and such incentives are reflected as other income. The percentage was 43.5% and 45.0% for the years ended December 31, 2017 and 2016, respectively.

The table below summarizes our research and development expenses incurred by development program and the R&D Incentive income for the years ended December 31, 2017 and 2016:

	Year Ended December 31,	
	2017	2016
	(in thousands)	
Direct research and development by program:		
DKN-01 program	$ 15,288	$ 13,679
TRX518 program	7,215	9,613
Total research and development expenses	$ 22,503	$ 23,292
Australian research and development incentives	$ 1,715	$ 3,129

The successful development of our clinical product candidates is highly uncertain. At this time, we cannot reasonably estimate the nature, timing or costs of the efforts that will be necessary to complete the remainder of the development of any of our product candidates or the period, if any, in which material net cash inflows from these product candidates may commence. This is due to the numerous risks and uncertainties associated with developing drugs, including the uncertainty of:

- the scope, rate of progress and expense of our ongoing, as well as any additional, clinical trials and other research and development activities;
- future clinical trial results; and
- the timing and receipt of any regulatory approvals.

A change in the outcome of any of these variables with respect to the development of a product candidate could result in a significant change in the costs and timing associated with the development of that product candidate. For example, if the FDA or another regulatory authority were to require us to conduct clinical trials beyond those that we currently anticipate will be required for the completion of clinical development of a product candidate, or if we experience significant delays in enrollment in any of our clinical trials, we could be required to expend significant additional financial resources and time on the completion of clinical development.

General and Administrative Expenses

General and administrative expenses consist primarily of salaries and related costs, including stock-based compensation, for personnel in executive, finance and administrative functions. General and administrative expenses also include direct and allocated facility-related costs as well as professional fees for legal, patent, consulting, accounting and audit services.

We anticipate that our general and administrative expenses will increase in the future as we increase our headcount to support our continued research activities and development of our product candidates. We also anticipate that we will incur increased accounting, audit, legal, regulatory, compliance, director and officer insurance costs as well as investor and public relations expenses associated with being a public company.

Interest income

Interest income consists primarily of interest income earned on cash and cash equivalents. Prior to 2017, our interest income was not significant due to nominal cash and investment balances and low interest earned on invested balances. During the year ended December 31, 2017, interest income was $0.2 million.

Interest expense—related party

Interest expense consists of interest accrued on notes payable—related party that we issued during 2017 and 2016, the outstanding amounts of which were due on March 31, 2017. On January 20, 2017, prior and subject to the consummation of our merger with Macrocure, all of our notes payable and accrued interest were converted into 1,950,768 shares of common stock.

Research and development incentive income

Research and development incentive income includes payments under the R&D Incentive program from the government of Australia. The R&D Incentive is one of the key elements of the Australian Government's support for Australia's innovation system. It was developed to assist businesses to recover some of the costs of undertaking research and development. The research and development tax incentive provides a tax offset to eligible companies that engage in research and development activities.

Companies engaged in research and development may be eligible for either:

- a 45% refundable tax offset for entities with an aggregated turnover of less than A$20 million per annum, (the legislative rate for the tax year commencing July, 1 2016 was reduced to 43.5%), or
- a 40% non-refundable tax offset for all other entities (the legislative rate for the tax year commencing July, 1 2016 was reduced to 38.5%).

We recognize as other income the amount we expect to be reimbursed for qualified expenses.

Foreign currency translation adjustment

Foreign currency translation adjustment consists of gains (losses) due to the revaluation of foreign currency transactions attributable to changes in foreign currency exchange rates associated with our Australian subsidiary.

Income taxes

Since our inception, we have not recorded any U.S. federal, state or foreign income tax benefits for the net losses we have incurred in each year, due to our uncertainty of realizing a benefit from those items. As of December 31, 2017, we had federal, state and foreign net operating loss carryforwards of $81.6 million, $63.5 million and $70.0 million, respectively. The federal and state net operating losses expire at various times through 2036, while the foreign net operating losses carryforward indefinitely. We may be able to utilize our net operating loss carryforwards to reduce future federal and state income tax liabilities. However, these net operating losses are subject to various limitations under Internal Revenue Code ("IRC") Section 382, which limits the use of net operating loss carryforwards to the extent there has been an ownership change of more than 50 percentage points. In addition, the net operating loss carryforwards are subject to examination by the taxing authorities and could be adjusted or disallowed due to such exams. Although we have not undergone an IRC Section 382 analysis, it is possible that the utilization of our net operating loss carryforwards may be limited.

As of December 31, 2017, we also had federal and state research and development tax credit carryforwards of $1.8 million and $0.3 million, respectively, which begin to expire in 2031 and 2030, respectively.

There is no provision for income taxes in the United States or Israel, because we have historically incurred operating losses and maintain a full valuation allowance against our deferred tax assets in these jurisdictions. The deferred tax asset recorded in the consolidated balance sheets relates to our Australian operations.

Critical Accounting Policies and Significant Judgments and Estimates

Our management's discussion and analysis of our financial condition and results of operations is based on our consolidated financial statements, which we have prepared in accordance with U.S. Generally Accepted Accounting Principles ("GAAP"). The preparation of these consolidated financial statements requires us to make estimates and assumptions that affect the reported amounts of assets and liabilities and the disclosure of contingent assets and liabilities at the date of the consolidated financial statements, as well as the reported expenses during the reporting periods. We evaluate these estimates and judgments on an ongoing basis. We base our estimates on historical experience and on various other factors that we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Our actual results may differ from these estimates under different assumptions or conditions.

While our significant accounting policies are more fully described in Note 2 to our consolidated financial statements appearing elsewhere in this report, we believe that the following accounting policies are the most critical for fully understanding and evaluating our financial condition and results of operations.

Accrued Research and Development Expenses

As part of the process of preparing consolidated financial statements, we are required to estimate accrued research and development expenses. This process involves communicating with our applicable personnel to identify services that have been performed on our behalf and estimating the level of service performed and the associated cost incurred for the service when we have not yet been invoiced or otherwise notified of actual cost. The majority of our service providers invoice us monthly for services performed. We make estimates of our accrued research and development expenses as of each balance sheet date in our consolidated financial statements based on facts and circumstances known to us. We periodically confirm the accuracy of our estimates with selected service providers and make adjustments, if necessary. To date, we have not adjusted our estimate at any particular balance sheet date by any material amount. Examples of estimated accrued research and development expenses include:

- fees paid to CROs for management of our clinical trial activities;
- fees paid to investigative sites in connection with clinical trials;
- fees paid to contract manufacturers in connection with the production of clinical trial supplies; and
- professional services and fees.

We base our expenses related to clinical trials on our estimates of the services received and efforts expended pursuant to contracts with multiple research institutions and CROs that conduct and manage clinical trials on our behalf. The financial terms of these agreements are subject to negotiation, vary from contract to contract and may result in uneven payment flows. Payments under some of these

contracts depend on factors such as the successful enrollment of patients and the completion of clinical trial milestones. In accruing service fees, we estimate the time period over which services will be performed and the level of effort to be expended in each period. If we do not accurately identify costs that we have begun to incur or if we underestimate or overestimate the level of services performed or the costs of these services, our actual expenses could differ from our estimates.

Stock-Based Compensation

We have issued options to purchase our common stock. We account for stock based compensation in accordance with ASC 718, Compensation—Stock Compensation. ASC 718 establishes accounting for stock-based awards exchanged for employee services. Under the fair value recognition provisions of ASC 718, stock-based compensation cost is measured at the grant date based on the fair value of the award and is recognized as expense over the requisite service or vesting period. Determining the appropriate fair value model and calculating the fair value of stock-based payment awards require the use of highly subjective assumptions, including the expected life of the stock-based payment awards and stock price volatility.

We estimate the grant date fair value of stock options and the related compensation expense, using the Black-Scholes option valuation model. This option valuation model requires the input of subjective assumptions including: (1) expected life (estimated period of time outstanding) of the options granted, (2) volatility, (3) risk-free rate and (4) dividends. In general, the assumptions used in calculating the fair value of stock-based payment awards represent management's best estimates, but the estimates involve inherent uncertainties and the application of management judgment. As a result, if factors change and we use different assumptions, our stock-based compensation expense could be materially different in the future.

Valuation of Warrant Liability

In connection with the November 2018 private placement, we issued warrants to purchase our common stock. The warrants contain full ratchet anti-dilution protection provisions. We classify the warrants as a liability on our consolidated balance sheet because each warrant represents a freestanding financial instrument that, due to the potential variable nature of the exercise price, is not considered to be indexed to our own stock. The warrant liability was initially recorded at fair value upon completion of the private placement and is subsequently remeasured to fair value at each reporting date. Changes in the fair value of the warrant liability are recognized as a component of other income (expense), net in the consolidated statement of operations and comprehensive loss. We will continue to recognize changes in the fair value of the warrant liability until the warrants are exercised, expire or qualify for equity classification.

We determine the fair value of the warrant liability, using a Monte Carlo simulation, which is a statistical method used to generate a defined number of share price paths to develop a reasonable estimate of the range of future expected share prices. We assess these assumptions and estimates at each reporting date as additional information impacting the assumptions is obtained. Estimates and assumptions impacting the fair value measurement include the estimated probability of adjusting the exercise price of the warrants, the number of shares for which the warrants are exercisable, remaining contractual term of the warrants, risk-free interest rate, expected dividend yield and expected volatility of the price of the underlying common shares. We have historically been a private company and lack company-specific historical and implied volatility information of our shares. Therefore, we estimate expected share volatility based on the historical volatility of publicly traded peer companies for a term equal to the remaining contractual term of the warrants. The risk-free interest rate is determined by reference to the U.S. Treasury yield curve for time periods approximately equal to the remaining contractual term of the warrants. We estimated a 0% expected dividend yield based on the fact that we have never paid or declared dividends and do not intend to do so in the foreseeable future. In general,

the assumptions used in calculating the fair value of the warrant liability represent management's best estimates, but the estimates involve inherent uncertainties and the application of management judgment. As a result, if factors change and we use different assumptions, our warrant liability expense could be materially different in the future.

JOBS Act

We are an "emerging growth company", or EGC, as defined in the Jumpstart Our Business Startups Act of 2012 (the "JOBS Act"). The JOBS Act, permits an "emerging growth company" such as us to take advantage of an extended transition period to comply with new or revised accounting standards applicable to public companies until those standards would otherwise apply to private companies. We have elected to use the extended transition period for complying with new or revised accounting standards under Section 102(b)(1) of the JOBS Act. This election allows us to delay the adoption of new or revised accounting standards that have different effective dates for public and private companies until those standards apply to private companies. As a result of this election, our financial statements may not be comparable to companies that comply with public company effective dates.

We may take advantage of these reporting exemptions until we are no longer an emerging growth company, which in certain circumstances could be for up to five years. We will remain an "emerging growth company" until the earliest of (a) the last day of the first fiscal year in which our annual gross revenues exceed $1.07 billion, (b) the date that we become a "large accelerated filer" as defined in Rule 12b-2 under the Securities Exchange Act of 1934, as amended, or the Exchange Act, which would occur if the market value of our shares that are held by non-affiliates exceeds $700 million as of the last business day of our most recently completed second fiscal quarter, (c) the date on which we have issued more than $1.0 billion in nonconvertible debt during the preceding three-year period and (d) the last day of our 2022 fiscal year containing the fifth anniversary of the date on which shares of our common stock became publicly traded in the U.S. As of December 31, 2017, we remain an EGC.

Results of Operations

Comparison of the Years Ended December 31, 2017 and 2016

The following tables summarizes our results of operations for the years ended December 31, 2017 and 2016:

	Year Ended December 31,		
	2017	2016	Change
	(in thousands)		
Operating expenses:			
Research and development	$ 22,503	$ 23,292	$ (789)
General and administrative	9,849	4,012	5,837
Total operating expenses	32,352	27,304	5,048
Loss from operations	(32,352)	(27,304)	(5,048)
Interest income	170	2	168
Interest expense—related party	(121)	(1,233)	1,112
Australian research and development incentives	1,715	3,129	(1,414)
Foreign currency gains (loss)	759	(217)	976
Other expense	(55)	(9)	(46)
Loss before income taxes	(29,884)	(25,632)	(4,252)
Benefit from income taxes	157	—	157
Net loss	$ (29,727)	$ (25,632)	$ (4,095)

Research and Development Expenses

	Year Ended December 31,		Increase (Decrease)
	2017	2016	
	(in thousands)		
Direct research and development by program:			
DKN-01 program	$ 15,288	$ 13,679	$ 1,609
TRX518 program	7,215	9,613	(2,398)
Total research and development expenses	$ 22,503	$ 23,292	$ (789)

Research and development expenses were $22.5 million for the year ended December 31, 2017, compared to $23.3 million for the year ended December 31, 2016. The decrease of $0.8 million was primarily due to a decrease of $5.8 million in manufacturing costs related to clinical trial material, a decrease of $0.2 million in consulting fees associated with research and development activities and a decrease of $0.1 million in payroll and other related expenses. These decreases were partially offset by an increase of $3.0 million in clinical trial costs, an increase of $1.8 million in stock based compensation expense and an increase of $0.5 million due to our use of a research laboratory.

General and Administrative Expenses

General and administrative expenses were $9.8 million for the year ended December 31, 2017, compared to $4.0 million for the year ended December 31, 2016. The increase of $5.8 million in general and administrative expenses was primarily due to an increase of $3.8 million in stock based compensation expense and an increase of $1.1 million in payroll and other related expenses due to an increase in headcount related to general and administrative full-time employees during the year ended December 31, 2017 as compared to 2016. In addition, insurance costs increased $0.7 million in connection with becoming a public company in 2017, and there was an increase in rent expense and consulting fees associated with corporate and business development activities of $0.1 million and $0.1 million, respectively.

Interest Income

We recorded interest income of $0.2 million for the year ended December 31, 2017, compared to an immaterial amount for the year ended December 31, 2016. The increase in interest income is primarily due to the increase in cash and cash equivalents during the year ended December 31, 2017 as compared to 2016.

Interest Expense—Related Party

We recorded interest expense—related party of $0.1 million for the year ended December 31, 2017, compared to $1.2 million for the year ended December 31, 2016 related to borrowings under our note payable—related party. On January 20, 2017, in connection with and prior to the completion of the merger with Macrocure, the outstanding note payable and accrued interest was converted into 1,950,768 shares of common stock.

Australian Research and Development Incentives

We recorded R&D incentive income of $1.7 million and $3.1 million for the years ended December 31, 2017 and 2016, respectively, based upon the applicable percentage of eligible research and development activities under the Australian Incentive Program, net of our Australia tax liability, which expenses included the cost of manufacturing of clinical trial material.

We perform certain supporting research and development activity outside of Australia when there are no Australian facilities that support the activity ("Overseas research and development activities"). In October 2017, the Commonwealth of Australia issued us a favorable ruling on our Overseas research and development activities, considering such activities to be eligible research and development activities under the Australian Incentive Program. As such, we recorded $0.7 million of R&D incentive income during the year ended December 31, 2017 for our Overseas research and development activities performed during the year ended December 31, 2016.

During the year ended December 31, 2017, we received $3.2 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2016 research and development activities of our Australian subsidiary, HCP Australia.

The remaining R&D incentive receivable has been recorded as "Research and development incentive receivable" in the consolidated balance sheets.

Foreign Currency Gains (Loss)

We recorded foreign currency gains of $0.8 million for the year ended December 31, 2017, compared to a loss of $0.2 million for the year ended December 31, 2016. The increase in foreign currency gains is due to the changes in Australian dollar exchange rate related to activities of the Australian entity.

Other Expense

We recorded other expense of $0.1 million for the year ended December 31, 2017, compared to an immaterial amount for the year ended December 31, 2016.

Liquidity and Capital Resources

Since our inception, we have incurred significant operating losses. We have not yet commercialized any of our product candidates, which are in various phases of clinical trials, and we do not expect to generate revenue from sales of any product for several years, if at all. We have funded our operations to date with proceeds from the sale of common stock and preferred stock and notes payable—related party.

As of December 31, 2017, we had cash and cash equivalents of $25.7 million. During the year ended December 31, 2017, we issued common stock in connection with our Subscription Agreement and Private Placement for gross proceeds of $10.0 million and $18.0 million, respectively, and received $21.2 million in cash as a result of our merger with Macrocure. During the year ended December 31, 2017 and 2016, we issued $0.8 million and $25.9 million, respectively, of notes payable—related party. The notes had a stated annual interest rate of 8%, and the outstanding principal balance and accrued interest were payable on March 31, 2017. In January 2017, all of the outstanding notes and related accrued interest were converted into shares of our common stock in connection with the closing of the merger with Macrocure.

We expect that our cash and cash equivalents of $25.7 million at December 31, 2017, together with the receipt of $1.7 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2017 and 2016 research and development activities of our Australian subsidiary, HealthCare Pharmaceuticals Pty Ltd, will be sufficient to fund our operating expenses for at least the next 12 months from issuance of the financial statements included in this Annual Report on Form 10-K. In addition, we will seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If we do not obtain additional funding or development program cost-sharing, we would be forced to

delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, which would adversely affect our business prospects. The inability to obtain funding, as and when needed, would have a negative impact on Leap's financial condition and our ability to pursue our business strategies.

Cash Flows

The following table summarizes our sources and uses of cash for each of the periods presented:

	Year Ended December 31,	
	2017	2016
	(in thousands)	
Cash used in operating activities	$ (22,137)	$ (25,337)
Cash used in investing activities	(64)	(144)
Cash provided by financing activities	47,763	25,618
Effect of exchange rate changes on cash and cash equivalents	(618)	251
Net increase in cash and cash equivalents	$ 24,944	$ 388

Operating activities. Net cash used in operating activities for the year ended December 31, 2017 was primarily related to our net loss from the operation of our business of $29.7 million and net changes in working capital, including an increase in deferred tax assets of $0.2 million, an increase in prepaid expenses and other assets of $0.1 million and a noncash change in the fair value of the warrant liability of $0.5 million, partially offset by noncash stock compensation expense of $5.6 million, an increase in accounts payable and accrued expenses of $1.1 million, a decrease in research and development incentive receivable of $1.5 million and noncash interest expense of $0.1 million. The increase in accounts payable and accrued expenses were due to timing of vendor invoicing and payments.

Net cash used in operating activities for the year ended December 31, 2016 was primarily related to our net loss from the operation of our business of $25.6 million and net changes in working capital, including increases in research and development incentive receivable and prepaid expenses and other assets of $3.1 million and $0.2 million, respectively, partially offset by an increase in accounts payable and accrued expenses of $2.3 million and noncash interest expense of $1.2 million. The increases in accounts payable and accrued expenses were due to increased spending on our research and development programs as well as the timing of vendor invoicing and payments.

Investing Activities. Net cash used in investing activities during the years ended December 31, 2017 and 2016 was related to purchases of equipment.

Financing Activities. Net cash provided by financing activities for the year ended December 31, 2017 consisted of $21.2 million in proceeds from the issuance of common stock in connection with the merger with Macrocure, $10.0 million and $18.0 million in proceeds from the issuance of our common stock in connection with the Subscription Agreement and Private Placement, respectively, and $0.8 million in proceeds from notes payable—related party, partially offset by payments of $2.2 million for offering costs.

Net cash provided by financing activities for the year ended December 31, 2016 consisted of $25.9 million in proceeds from notes payable—related party, partially offset by payments of $0.3 million for offering costs.

Capital Requirements

We expect our expenses to increase substantially in connection with our ongoing activities, particularly as we advance the preclinical activities and clinical trials of our product candidates in development. In addition, we expect to incur additional costs associated with operating as a public company.

Our expenses will also increase as we:

- pursue the clinical development of our most advanced product candidates, DKN-01 and TRX518;
- seek to identify and develop additional product candidates;
- maintain, expand and protect our intellectual property portfolio;
- expand our operational, financial and management systems and increase personnel, including personnel to support our clinical development, manufacturing and commercialization efforts and our operations as a public company; and
- increase our product liability and clinical trial insurance coverage as we initiate our clinical trials and commercialization efforts.

Additional funding may not be available at the time needed on commercially reasonable terms, if at all.

Contractual Obligations and Commitments

The following table summarizes our contractual obligations as of December 31, 2017 and the effects that such obligations are expected to have on our liquidity and cash flows in future periods:

	Payments due by period				
	Total	Less than 1 year	1 - 3 years	3 - 5 years	More than 5 Years
Research commitments(1)	$ 1,519	$ 1,519	$ —	$ —	$ —
Operating lease commitments(2)	$ 402	$ 300	$ 102	$ —	$ —
Total	$ 1,921	$ 1,819	$ 102	$ —	$ —

(1) Represents non-cancellable commitments under manufacturing agreements with vendors to manufacture TRX518 and DKN-01 for use in clinical trials.

(2) Represents operating lease commitments for our office space at 47 Thorndike Street in Cambridge, Massachusetts through April 30, 2019.

Pursuant to the Lilly Agreement, we agreed to pay Lilly a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term. As the product candidate has not been approved for sale, we have not yet paid any royalties to Lilly pursuant to this agreement and do not know whether or when royalties may ultimately become payable.

Pursuant to the Lonza Agreement, we agreed to pay Lonza a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term. As the product candidate has not been approved for sale, we have not yet paid any royalties to Lonza pursuant to this agreement and do not know whether or when royalties may ultimately become payable.

On January 23, 2017, in connection with our merger with Macrocure, we entered into a royalty agreement with Leap Shareholder Royalty Vehicle, LLC, a special purpose vehicle formed for the specific purpose of entering into the royalty agreement. Pursuant to the royalty agreement, we agreed

to pay to the special purpose vehicle (i) 5% of our net sales of products incorporating its TRX518 compound and (ii) 2% of our net sales of products incorporating its DKN-01 compound. As these product candidates have not been approved for sale, we have not yet paid any royalties to the special purpose vehicle pursuant to this agreement and do not know whether or when royalties may ultimately become payable.

Off-Balance Sheet Arrangements

We did not have during the periods presented, and we do not currently have, any off-balance sheet arrangements, as defined in the rules and regulations of the Securities and Exchange Commission.

Recently Issued Accounting Pronouncements

We have reviewed all recently issued standards and have determined that, other than as disclosed in Note 2 to our consolidated financial statements included in this Annual Report on Form 10-K, such standards will not have a material impact on our financial statements or do not otherwise apply to our operations.

Item 7A. Quantitative and Qualitative Disclosures about Market Risks

Market risk represents the risk of loss that may impact our financial position due to adverse changes in financial market prices and rates. Our market risk exposure is primarily the result of fluctuations in interest rates and foreign exchange rates.

Interest Rate Risk

We are exposed to interest rate risk in the ordinary course of our business. Our cash and cash equivalents are held in highly liquid, readily available checking and money market accounts. As a result, these amounts are not materially affected by changes in interest rates and we do not believe that a 10% change in interest rate would materially impact these amounts.

As of December 31, 2016, we had outstanding $30.3 million of notes payable—related party and accrued interest. The notes bore interest at a fixed rate equal to 8.0% per annum. In January 2017, all of the outstanding notes and related accrued interest were converted into shares of our common stock in connection with the closing of the merger with Macrocure.

Foreign Currency Exchange Risk

All of our employees and the majority of our major operations are currently located in the United States. We contract for manufacturing operations outside the United States through contract manufacturing organizations. The functional currency of our foreign subsidiary in Australia is the Australian dollar, and the R&D Tax Incentive payment is received from the Australian government in Australian dollars, although the majority of the Australian subsidiary's contracts are denominated in U.S. dollars. We have engaged in contracts with contractors or other vendors in a currency other than the U.S. dollar, including our services agreement with Lonza Sales AG which is denominated in British pounds. As a result, we are subject to foreign currency risks with respect to the Australian dollar and the British pound which could have the effect of increasing our expenses or reducing the amounts collected under the R&D Tax Incentive from the amounts recorded at the time of the transaction.

Item 8. Financial Statements and Supplementary Data.

Our financial statements required by this Item, together with the report of our independent registered public accounting firm, appear on pages F-1 through F-26 of this Annual Report on Form 10-K and are incorporated herein by reference.

Item 9. Changes in and Disagreements With Accountants on Accounting and Financial Disclosure.

None.

Item 9A. Controls and Procedures.

Evaluation of Disclosure Controls and Procedures

We maintain disclosure controls and procedures that are designed to ensure that information required to be disclosed in the reports that we file or submit under the Securities and Exchange Act of 1934 is (1) recorded, processed, summarized, and reported within the time periods specified in the SEC's rules and forms and (2) accumulated and communicated to our management, including our President and Chief Executive Officer, who is our principal executive officer, and Chief Financial Officer, who is also our principal financial and accounting officer, as appropriate, to allow timely decisions regarding required disclosure.

As of December 31, 2017, our management, with the participation of our principal executive officer and principal financial and accounting officer, evaluated the effectiveness of our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e) under the Securities and Exchange Act of 1934). Our management recognizes that any controls and procedures, no matter how well designed and operated, can provide only reasonable assurance of achieving their objectives, and management necessarily applies its judgment in evaluating the cost-benefit relationship of possible controls and procedures. Our principal executive officer and principal financial and accounting officer have concluded based upon the evaluation described above that, as of December 31, 2017, our disclosure controls and procedures were effective at the reasonable assurance level.

Management's Report on Internal Control Over Financial Reporting

This Company's management is responsible for establishing and maintaining adequate internal control over financial reporting (as defined in Rules 13a-15(f) and 15d-15(f) of the Exchange Act). Internal control over financial reporting is a process designed under the supervision and with the participation of our management, including the individual serving as our principal executive officer and principal financial officer, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with accounting principles generally accepted in the United States of America. Management conducted an assessment of the effectiveness of the Company's internal control over financial reporting based on the criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission in Internal Control—Integrated Framework (2013 Framework). Based on this assessment, our management concluded that, as of December 31, 2017, our internal control over financial reporting was effective based on those criteria.

Attestation Report on Internal Control Over Financial Reporting

This Annual Report on Form 10-K does not include an attestation report of our independent registered public accounting firm due to the deferral allowed under the JOBS Act for emerging growth companies.

Changes in Internal Control Over Financial Reporting

There were no changes to our internal control over financial reporting that occurred during the period covered by this report that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. Other Information.

Not applicable.

PART III

Item 10. Directors, Executive Officers and Corporate Governance.

The information required by this Item is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

Item 11. Executive Compensation.

The information required by this Item is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

Item 12. Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters.

The information required by this Item is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

Item 13. Certain Relationships and Related Transactions, and Director Independence.

The information required by this Item is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

Item 14. Principal Accounting Fees and Services.

The information required by this Item is set forth in our Proxy Statement for the 2018 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2017, and is incorporated into this Annual Report on Form 10-K by reference.

PART IV

Item 15. Exhibits, Financial Statement Schedules.

(a)(1) Financial Statements

The financial statements listed below are filed as part of this Annual Report on Form 10-K.

(a)(2) Financial Statement Schedules

All financial schedules have been omitted because the required information is either presented in the Consolidated Financial Statements or the Notes thereto or is not applicable or required.

(a)(3) Exhibits

The exhibits required by Item 601 of Regulation S-K and Item 15(b) of this Annual Report on Form 10-K are listed in the Exhibit Index immediately preceding the exhibits and are incorporated herein by reference.

EXHIBIT INDEX

Exhibit No.	Description
3.1	Third Amended and Restated Certificate of Incorporation of Leap Therapeutics, Inc. (filed as Exhibit 3.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
3.2	Amended and Restated By-laws of Leap Therapeutics, Inc. (filed as Exhibit 3.4 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016 and attached as Annex D to the prospectus which forms part of such registration statement).
4.1	Form of Common Stock Certificate of the Registrant (incorporated by reference to Exhibit 4.1 to Amendment No. 2 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 16, 2016).
4.2	Amended and Restated Stockholders' Agreement, between Leap and its stockholders, effective as of December 10, 2015 (incorporated by reference to Exhibit 4.2 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
4.3	Registration Rights Agreement, by and among Leap and certain stockholders, dated as of January 23, 2017 (incorporated by reference to Exhibit 3.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).

Exhibit No.	Description
4.4	Amendment No. 2 to Warrant, by and among Macrocure, Leap and certain warrant holders, dated as of January 23, 2017 (incorporated by reference to Exhibit 4.4 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
4.5	Form of Warrant, dated as of November 14, 2017 by and among Leap Therapeutics, Inc. and the Holders identified on the schedule thereto (incorporated by reference to Exhibit 4.1 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).
10.1†	Amended and Restated 2012 Equity Incentive Plan of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 10.1 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.2	Form of Stock Option Grant Notice and Stock Option Agreement under Leap's Amended and Restated 2012 Equity Incentive Plan, as amended (incorporated by reference to Exhibit 10.2 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.3†	2016 Equity Incentive Plan of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 10.2 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.4	Form of Stock Option Grant Notice and Stock Option Agreement under Leap's 2016 Equity Incentive Plan, as amended (incorporated by reference to Exhibit 10.3 to Amendment No. 1 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 2, 2016).
10.5	Summary Translation of Macrocure 2008 Stock Option Plan stockholders (incorporated by reference to Exhibit 10.3 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.6	Macrocure 2013 Share Incentive Plan (incorporated by reference to Exhibit 10.4 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.7	Amendment No. 1 to Macrocure 2013 Share Incentive Plan (incorporated by reference to Exhibit 10.5 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.8‡	License Agreement, between Eli Lilly and Company and Dekkun Corporation, effective as of January 3, 2011 (incorporated by reference to Exhibit 10.4 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
10.9‡	License Agreement, by and between Lonza Sales AG and Healthcare Pharmaceuticals, Inc., dated as of May 28, 2015 (incorporated by reference to Exhibit 10.5 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
10.10	Royalty Agreement, between Leap Therapeutics, Inc. and Leap Shareholder Royalty Vehicle, Inc. (incorporated by reference to Exhibit 10.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).

Exhibit No.	Description
10.11	Letter Agreement, between Leap Shareholder Royalty Vehicle, Inc. and certain Leap stockholders (incorporated by reference to Exhibit 10.2 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
10.12†	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Christopher K. Mirabelli, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.7 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.13†	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Douglas E. Onsi, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.8 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.14†	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Augustine Lawlor, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.9 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.15	Form of Indemnification Agreement (filed as Exhibit 10.10 to Amendment No. 1 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 2, 2016).
10.16	Subscription Agreement, between Leap and HealthCare Ventures IX, L.P., dated as of January 23, 2017 (incorporated by reference to Exhibit 10.4 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
10.17	Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of March 30, 2012 (filed as Exhibit 10.26 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.18	Amendment to Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of June 30, 2015 (incorporated by reference to Exhibit 10.27 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.19	First Amendment to Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of January 4, 2016 (incorporated by reference to Exhibit 10.28 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.20	Consent to Assignment and Assumption of Lease, by and between Bulfinch Square Limited Partnership, Healthcare Ventures LLC, and Leap Therapeutics, Inc., dated as of December 19, 2016 and Assignment (incorporated by reference to Exhibit 10.29 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.21	Form of Purchase Agreement, dated as of November 14, 2017, by and among Leap Therapeutics, Inc. and the purchasers identified on the schedule thereto (incorporated by reference to Exhibit 10.1 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).

Exhibit No.	Description
10.22	Placement Agency Agreement, dated as of November 14, 2017, by and between Leap Therapeutics, Inc., Raymond James & Associates, Inc. and Ladenburg Thalmann & Co. Inc. (incorporated by reference to Exhibit 10.2 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).
10.23	Voting Agreement, dated as of November 14, 2017, by and among Leap Therapeutics, Inc., HealthCare Ventures VIII, L.P., HealthCare Ventures IX, L.P. and HealthCare Ventures Strategic Fund, L.P. (incorporated by reference to Exhibit 10.3 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).
21.1*	Subsidiaries of Leap Therapeutics, Inc.
23.1*	Consent of EisnerAmper LLP related to Leap Therapeutics, Inc. financial statements.
31.1*	Certification of Principal Executive Officer Required Under Rule 13a-14(a) and 15d-14(a) of the Securities Exchange Act of 1934, as amended.
31.2*	Certification of Principal Financial Officer Required Under Rule 13a-14(a) and 15d-14(a) of the Securities Exchange Act of 1934, as amended.
32.1***	Principal Executive Officer Certification and Principal Financial Officer Certification Pursuant to 18 U.S.C. Section 1350, as Adopted Pursuant to Section 906 of the Sarbanes-Oxley Act of 2002.
101*	The following materials from Leap Therapeutics, Inc.'s Annual Report on Form 10-K for the year ended December 31, 2017, formatted in XBRL (Extensible Business Reporting Language): (i) Consolidated Balance Sheets at December 31, 2017 and 2016, (ii) Consolidated Statements of Operations for the year ended December 31, 2017 and December 31, 2016, (iii) Consolidated Statements of Redeemable Convertible Preferred Stock and Shareholders' Equity (Deficit) at December 31, 2017 and December 31, 2016 (iv) Consolidated Statements of Cash Flows for the year ended December 31, 2017 and December 31, 2016, and (v) Notes to Condensed Consolidated Financial Statements, tagged as blocks of text.

* Exhibits filed herewith

** This exhibit shall not be deemed "filed" for purposes of Section 18 of the Securities Exchange Act of 1934 or otherwise subject to the liabilities of the Section, nor shall it be deemed incorporated by reference in any filings under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended, whether made before or after the date hereof and irrespective of any general incorporation language in any filing.

† Indicates management contract or compensation plan

‡ Indicates confidential treatment has been granted by the Securities and Exchange Commission with respect to specific portions of this exhibit. Such portions have been omitted and have been filed separately with the Securities and Exchange Commission as part of an application for confidential treatment pursuant to Rule 406 of the Securities Act of 1933, as amended.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

LEAP THERAPEUTICS, INC.

By: /s/ CHRISTOPHER K. MIRABELLI, PH.D.

February 23, 2018

Name: Christopher K. Mirabelli, Ph.D.
Title: *President, Chief Executive Officer and Chairman of the Board of Directors*

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated.

NAME	TITLE	DATE
/s/ CHRISTOPHER K. MIRABELLI, PH.D. Christopher K. Mirabelli, Ph.D.	Chief Executive Officer, President and Chairman of the Board (Principal Executive Officer)	February 23, 2018
/s/ DOUGLAS E. ONSI Douglas E. Onsi	Chief Financial Officer, Treasurer and Secretary (Principal Financial and Accounting Officer)	February 23, 2018
/s/ JAMES CAVANAUGH, PH.D. James Cavanaugh, Ph.D.	Director	February 23, 2018
/s/ JOHN LITTLECHILD John Littlechild	Director	February 23, 2018
/s/ THOMAS DIETZ, PH.D. Thomas Dietz, Ph.D.	Director	February 23, 2018
/s/ JOSEPH LOSCALZO, M.D., PH.D. Joseph Loscalzo, M.D., Ph.D.	Director	February 23, 2018
/s/ NISSIM MASHAICH Nissim Mashaich	Director	February 23, 2018
/s/ WILLIAM LI, M.D. William Li, M.D.	Director	February 23, 2018

INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of
Leap Therapeutics, Inc.

Opinion on the Financial Statements

We have audited the accompanying consolidated balance sheets of Leap Therapeutics, Inc. and Subsidiaries (the "Company") as of December 31, 2017 and 2016, and the related consolidated statements of operations, comprehensive loss, redeemable convertible and convertible preferred stock and stockholders' equity (deficiency), and cash flows for each of the years then ended and the related notes (collectively referred to as the "financial statements"). In our opinion, the financial statements present fairly, in all material respects, the consolidated financial position of the Company as of December 31, 2017 and 2016, and the consolidated results of their operations and their cash flows for each of the years then ended, in conformity with accounting principles generally accepted in the United States of America.

Basis for Opinion

These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on the Company's financial statements based on our audits. We are a public accounting firm registered with the Public Company Accounting Oversight Board (United States) ("PCAOB") and are required to be independent with respect to the Company in accordance with the U.S. federal securities laws and the applicable rules and regulations of the Securities and Exchange Commission and the PCAOB.

We conducted our audits in accordance with the standards of the PCAOB. Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement, whether due to error or fraud. The Company is not required to have, nor were we engaged to perform, an audit of its internal control over financial reporting. As part of our audits we are required to obtain an understanding of internal control over financial reporting but not for the purpose of expressing an opinion on the effectiveness of the Company's internal control over financial reporting. Accordingly, we express no such opinion.

Our audits included performing procedures to assess the risks of material misstatement of the financial statements, whether due to error or fraud, and performing procedures that respond to those risks. Such procedures included examining, on a test basis, evidence regarding the amounts and disclosures in the financial statements. Our audits also included evaluating the accounting principles used and significant estimates made by management, as well as evaluating the overall presentation of the financial statements. We believe that our audits provide a reasonable basis for our opinion.

/s/ EisnerAmper LLP

We have served as the Company's auditor since 2014.

Philadelphia, Pennsylvania
February 23, 2018

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED BALANCE SHEETS

(In thousands, except share and per share amounts)

	December 31, 2017	December 31, 2016
Assets		
Current assets:		
Cash and cash equivalents	$ 25,737	$ 793
Research and development incentive receivable	1,744	3,053
Prepaid expenses and other current assets	177	183
Total current assets	27,658	4,029
Property and equipment, net	135	119
Deferred offering costs	—	1,402
Deferred tax asset	158	—
Other assets	1,111	907
Total assets	$ 29,062	$ 6,457
Liabilities, Convertible Preferred Stock and Stockholders' Equity (Deficiency)		
Current liabilities:		
Accounts payable	$ 2,622	$ 3,225
Accrued expenses	3,461	2,658
Notes payable and accrued interest—related party	—	30,274
Total current liabilities	6,083	36,157
Non current liabilities:		
Warrant liability	11,862	—
Total liabilities	17,945	36,157
Commitments and contingencies (Note 13)		
Convertible preferred stock, 0 and 42,500,000 shares authorized as of December 31, 2017 and 2016		
Series A redeemable convertible preferred stock, $0.001 par value; 0 and 9,000,000 shares designated as of December 31, 2017 and 2016, respectively; 0 and 9,000,000 shares issued and outstanding as of December 31, 2017 and 2016, respectively; liquidiation preference of $0 and $11,800 as of December 31, 2017 and 2016, respectively	—	11,800
Series B convertible preferred stock, $0.001 par value; 0 and 21,500,000 shares designated as of December 31, 2017 and 2016, respectively; 0 and 21,500,000 shares issued and outstanding as of December 31, 2017 and 2016, respectively; liquidation preference of $0 and $28,189 as of December 31, 2017 and 2016, respectively	—	28,189
Series C convertible preferred stock, $0.001 par value; 0 and 12,000,000 shares designated as of December 31, 2017 and 2016, respectively; 0 and 11,781,984 shares issued and outstanding as of December 31, 2017 and 2016, respectively; liquidation preference of $0 and $30,542 as of December 31, 2017 and 2016, respectively	—	30,542
Stockholders' equity (deficiency):		
Common stock, $0.001 par value; 100,000,000 and 58,500,000 shares authorized as of December 31, 2017 and 2016, respectively; 12,354,014 and 0 shares outstanding as of December 31, 2017 and 2016, respectively	12	—
Additional paid-in capital	141,770	145
Accumulated other comprehensive income (loss)	(268)	294
Accumulated deficit	(130,397)	(100,670)
Total stockholders' equity (deficiency)	11,117	(100,231)
Total liabilities, convertible preferred stock and stockholders' equity	$ 29,062	$ 6,457

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF OPERATIONS

(In thousands, except share and per share amounts)

	Year Ended December 31	
	2017	2016
Operating expenses:		
Research and development	$ 22,503	$ 23,292
General and administrative	9,849	4,012
Total operating expenses	32,352	27,304
Loss from operations	(32,352)	(27,304)
Interest income	170	2
Interest expense—related party	(121)	(1,233)
Australian research and development incentives	1,715	3,129
Foreign currency gains (loss)	759	(217)
Other expense, net	(55)	(9)
Loss before income taxes	(29,884)	(25,632)
Benefit from income taxes	157	—
Net loss	(29,727)	$ (25,632)
Accretion of preferred stock to redemption value	(244)	
Net loss attributable to common stockholders	$ (29,971)	
Net loss per share		
Basic	$ (3.27)	
Diluted	$ (3.31)	
Weighted average common shares outstanding		
Basic	9,161,844	
Diluted	9,188,587	

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF COMPREHENSIVE LOSS

(In thousands)

	Year Ended December 31,	
	2017	2016
Net loss	$ (29,727)	$ (25,632)
Other comprehensive loss:		
Foreign currency translation adjustments	(562)	295
Comprehensive loss	$ (30,289)	$ (25,337)

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF REDEEMABLE CONVERTIBLE AND CONVERTIBLE PREFERRED STOCK AND STOCKHOLDERS' EQUITY (DEFICIENCY)

(In thousands, except share amounts)

	Series A Redeemable Convertible Preferred Stock,		Series B Convertible Preferred Stock,		Series C Convertible Preferred Stock,		Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive Loss	Accumulated Deficit	Total Stockholders' Equity (Deficiency)
	Shares	Amount	Shares	Amount	Shares	Amount	Shares	Amount				
Balances at December 31, 2015	9,000,000	$ 11,080	21,500,000	$ 26,512	11,781,984	$ 28,289	—	—	100	(1)	(70,388)	(70,289)
Accretion to redemption value	—	720	—	1,677	—	2,253	—	—	—	—	(4,650)	(4,650)
Foreign currency translation adjustment	—	—	—	—	—	—	—	—	—	295	—	295
Stock-based compensation	—	—	—	—	—	—	—	—	45	—	—	45
Net loss	—	—	—	—	—	—	—	—	—	—	(25,632)	(25,632)
Balances at December 31, 2016	9,000,000	11,800	21,500,000	28,189	11,781,984	30,542	—	—	145	294	(100,670)	(100,231)
Accretion to redemption value	—	37	—	90	—	117	—	—	(244)	—	—	(244)
Conversion of notes payable —related party and accrued interest into common stock	—	—	—	—	—	—	1,950,768	2	31,143	—	—	31,145
Conversion of convertible preferred stock to common stock	(9,000,000)	(11,837)	(21,500,000)	(28,279)	(11,781,984)	(30,659)	3,174,523	3	70,772	—	—	70,775
Issuance of common stock in connection with the Subscription Agreement, net of issuance costs of $1,018	—	—	—	—	—	—	1,010,225	1	8,981	—	—	8,982
Issuance of common stock in connection with merger with Macrocure, net of offering costs of $1,331	—	—	—	—	—	—	3,256,898	3	19,927	—	—	19,930
Issuance of common stock upon exercise of stock options	—	—	—	—	—	—	3,506	—	20	—	—	20
Issuance of common stock in connection with the Private Placement, net of issuance costs of $236	—	—	—	—	—	—	2,958,094	3	5,426	—	—	5,429
Foreign currency translation adjustment	—	—	—	—	—	—	—	—	—	(562)	—	(562)
Stock-based compensation	—	—	—	—	—	—	—	—	5,600	—	—	5,600
Net loss	—	—	—	—	—	—	—	—	—	—	(29,727)	(29,727)

Balances at December 31, 2017	—	$ —	—	$ —	—	$ —	12,354,014	12	$ 141,770	$ (268)	$ (130,397)	$ 11,117

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF CASH FLOWS

(In thousands)

	Year Ended December 31,	
	2017	2016
Cash flows from operating activities:		
Net loss	$ (29,727)	$ (25,632)
Adjustments to reconcile net loss to net cash used in operating activities:		
Depreciation expense	48	25
Stock-based compensation expense	5,600	45
Non-cash interest expense—related party	121	1,233
Change in fair value of warrant liability	(473)	—
Changes in operating assets and liabilities, net of impact of assumed net assets of Macrocure:		
Prepaid expenses and other assets	(99)	(222)
Deferred tax assets	(158)	—
Research and development incentive receivable	1,458	(3,053)
Accounts payable and accrued expenses	1,093	2,267
Net cash used in operating activities	(22,137)	(25,337)
Cash flows from investing activities:		
Purchases of property and equipment	(64)	(144)
Net cash used in investing activities	(64)	(144)
Cash flows from financing activities:		
Proceeds from issuance of common stock in connection with merger with Macrocure	21,165	—
Proceeds from issuance of common stock in connection with Subscription Agreement	10,000	—
Proceeds from issuance of common stock in connection with Private Placement	18,000	—
Proceeds from notes payable—related party	750	25,900
Proceeds from the exercise of stock options	20	—
Payment of offering costs	(2,172)	(282)
Net cash provided by financing activities	47,763	25,618
Effect of exchange rate changes on cash and cash equivalents	(618)	251
Net increase in cash and cash equivalents	24,944	388
Cash and cash equivalents at beginning of period	793	405
Cash and cash equivalents at end of period	$ 25,737	$ 793
Supplemental disclosure of non-cash financing activities:		
Accretion of preferred stock to redemption value	$ 244	$ 4,650
Deferred offering costs included in accounts payable and accrued expenses	$ 131	$ 1,120
Conversion of notes payable—related party and accrued interest convertible preferred stock into common stock	$ 31,145	$ —
Conversion of convertible preferred stock into common stock	$ 70,775	$ —
Value of net assets acquired in connection with merger with Macrocure, excluding cash	$ 96	$ —

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements

(Amounts in thousands, except share and per share amounts)

1. Nature of Business, Basis of Presentation and Liquidity

Nature of Business

Leap Therapeutics, Inc. was incorporated in the state of Delaware as Dekkun Corporation on January 3, 2011 and changed its name to HealthCare Pharmaceuticals, Inc. effective May 29, 2014, and then to Leap Therapeutics, Inc. effective November 16, 2015 (the "Company"). During 2015, HealthCare Pharmaceuticals Pty Ltd ("HCP Australia") was formed and is a wholly owned subsidiary of the Company. During 2017, the Company merged with Macrocure Ltd. (now "Leap Therapeutics Ltd.") and its wholly owned subsidiary Macrocure, Inc.

The Company is a biopharmaceutical company acquiring and developing novel therapeutics at the leading edge of cancer biology. The Company's approach is designed to target compelling tumor-promoting and immuno-oncology pathways to generate durable clinical benefit and enhanced outcomes for patients. The Company's programs are monoclonal antibodies that target key cellular pathways that enable cancer to grow and spread and specific mechanisms that activate the body's immune system to identify and attack cancer.

Basis of Presentation

The accompanying consolidated financial statements of the Company include the accounts of its wholly owned subsidiaries and have been prepared in conformity with accounting principles generally accepted in the United States of America. All inter-company accounts and transactions are eliminated upon consolidation.

Merger with Macrocure Ltd.

The Company entered into a definitive merger agreement (the "Merger Agreement"), dated as of August 29, 2016, with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel, and M-Co Merger Sub Ltd. ("Merger Sub"), a wholly owned subsidiary of the Company which provided for the merger of Macrocure with and into Merger Sub, with Macrocure continuing after the merger as a wholly owned subsidiary of the Company. Following the merger, the Company changed Macrocure's name to Leap Therapeutics Ltd. Pursuant to the Merger Agreement, the existing equity holders of the Company invested an additional $10,000 at the closing of the transaction. On January 23, 2017, the Company issued 3,256,898 shares of its common stock in exchange for 100% of the outstanding ordinary shares of Macrocure Ltd. upon consummation of the merger (see Note 3).

Reverse Stock Split

On January 20, 2017, the Company effected a 1-for-19.86754 reverse stock split of its issued and outstanding shares of common stock and a proportional adjustment to the existing conversion ratios for each series of the Company's Preferred Stock. Accordingly, all share and per share amounts for all periods presented in the accompanying financial statements and notes thereto have been adjusted retroactively, where applicable, to reflect this reverse stock split and adjustment of the preferred stock conversion ratios.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

1. Nature of Business, Basis of Presentation and Liquidity (Continued)

Private Placement of Common Stock

On November 14, 2017, the Company entered into the Private Placement to issue an aggregate of 2,958,094 shares of unregistered common stock, at a price per share of $6.085, each share issued with a warrant to purchase one share of common stock at an exercise price of $6.085 with an exercise period expiring seven years after closing, for gross proceeds of approximately $18,000 (see Notes 7 and 9).

Liquidity

Since inception, the Company has been engaged in organizational activities, including raising capital, and research and development activities. The Company does not yet have a product that has been approved by the Food and Drug Administration (the "FDA"), has not generated any revenues and has not yet achieved profitable operations, nor has it ever generated positive cash flows from operations. There is no assurance that profitable operations, if achieved, could be sustained on a continuing basis. Further, the Company's future operations are dependent on the success of the Company's efforts to raise additional capital, its research and commercialization efforts, regulatory approval, and, ultimately, the market acceptance of the Company's products.

In accordance with ASC 205-40, Going Concern, the Company has evaluated whether there are conditions and events, considered in the aggregate, that raise substantial doubt about the Company's ability to continue as a going concern within one year after the date that the consolidated financial statements are issued. As of December 31, 2017 the Company had an accumulated deficit of $130,397. During the year ended December 31, 2017, the Company incurred a loss of $29,727 and used $22,137 of cash in operations. The Company expects to continue to generate operating losses in the foreseeable future. The Company expects that its cash and cash equivalents of $25,737 at December 31, 2017, together with the receipt of $1,744 of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2017 research and development activities of the Company's Australian subsidiary, HealthCare Pharmaceuticals Pty Ltd, net of the current Australia tax liability, will be sufficient to fund its operating expenses for at least the next 12 months from issuance of the financial statements. In addition, the Company will seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If the Company does not obtain additional funding or development program cost-sharing, the Company would be forced to delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, which would adversely affect its business prospects. The inability to obtain funding, as and when needed, would have a negative impact on the Company's financial condition and ability to pursue its business strategies.

2. Summary of Significant Accounting Policies

Principles of Consolidation

The accompanying consolidated financial statements include the accounts of the Company and its wholly owned subsidiaries. All intercompany accounts and transactions are eliminated upon consolidation.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

Use of Estimates

The presentation of consolidated financial statements in conformity with accounting principles generally accepted in the United States of America ("GAAP") requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities and disclosure of contingent assets and liabilities at the date of the consolidated financial statements and the reported amounts of revenues and expenses during the reporting period. Actual results could differ from those estimates.

Cash and Cash Equivalents

The Company considers all highly liquid investments with maturities of three months or less when purchased to be cash equivalents.

Research and Development Expense

Research and development costs are expensed as incurred. Research and development expenses include personnel costs associated with research and development activities, including noncash share-based compensation and costs for third-party contractors to perform research, conduct clinical trials and manufacture drug supplies and materials. The Company accrues for costs incurred by external service providers, including contract research organizations and clinical investigators, based on its estimates of service performed and costs incurred. These estimates include the level of services performed by the third parties, patient enrollment in clinical trials, administrative costs incurred by the third parties, and other indicators of the services completed. Based on the timing of amounts invoiced by service providers, the Company may also record payments made to those providers as prepaid expenses that will be recognized as expense in future periods as the related services are rendered.

Research and development incentive income and receivable

The Company recognizes other income from Australian research and development incentives when there is reasonable assurance that the income will be received, the relevant expenditure has been incurred, and the consideration can be reliably measured. The research and development incentive is one of the key elements of the Australian Government's support for Australia's innovation system and is supported by legislative law primarily in the form of the Australian Income Tax Assessment Act 1997 as long as eligibility criteria are met.

Management has assessed the Company's research and development activities and expenditures to determine which activities and expenditures are likely to be eligible under the research and development incentive regime described above. At each period end management estimates the refundable tax offset available to the Company based on available information at the time. This estimate is also reviewed by external tax advisors on an annual basis.

Under the program, a percentage of eligible research and development expenses incurred by the Company through its subsidiary in Australia are reimbursed. The percentage was 43.5% and 45.0% for the years ended December 31, 2017 and 2016, respectively.

The research and development incentive receivable represents an amount due in connection with the above program. The Company has recorded a research and development incentive receivable of

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

$1,744 and $3,053 as of December 31, 2107 and 2016, respectively, in the consolidated balance sheets and other income from Australian research and development incentives of $1,715 and $3,129, in the consolidated statements of operations for the years ended December 31, 2017 and 2016, respectively, related to refundable research and development incentive program payments in Australia.

The following table shows the change in the research and development incentive receivable from January 1, 2016 to December 31, 2017:

Balance at January 1, 2016	$ —
Australian research and development incentive income	3,129
Foreign currency translation	(76)
Balance at December 31, 2016	3,053
Australian research and development incentive income	1,715**(1)**
Refund for 2016 eligible expenses	(3,245)
Foreign currency translation	221
Balance at December 31, 2017	$ 1,744

(1) Certain supporting research and development activity is performed outside of Australia when there are no Australian facilities that support the activity ("Overseas research and development activities"). In October 2017, the Commonwealth of Australia issued the Company a favorable ruling on its Overseas research and development activities, considering such activities to be eligible research and development activities under the Australian Incentive Program. As such, the Company recorded Australian research and development incentives during the year ended December 31, 2017 for its Overseas research and development activities performed during the year ended December 31, 2016 of $717.

Concentration of Credit Risk

Financial instruments which potentially subject the Company to credit risk consist principally of cash and cash equivalents. All cash and cash equivalents are held in United States financial institutions and money market funds. At times, the Company may maintain cash balances in excess of the federally insured amount.

Income Taxes

The company accounts for income taxes using the asset and liability method. Under the asset and liability method, deferred income taxes are recognized for differences between the financial reporting and tax bases of assets and liabilities at enacted statutory tax rates in effect for the years in which the differences are expected to reverse. The effect on deferred taxes of a change in tax rates is recognized in income in the period that includes the enactment date. Valuation allowances are established when necessary to reduce deferred tax assets to the amount expected to be realized.

The company follows accounting guidance concerning provisions for uncertainty in income tax positions. This guidance clarifies the accounting for income taxes by prescribing a minimum probability

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

threshold that in uncertain tax position must meet before a financial statement benefit is recognized. The minimum threshold is defined as a tax position that is more likely than not to be sustained upon examination by the applicable taxing authority, of any related appeals or litigation processes, based on the technical merits of the position. The tax benefit to be recognized is measured as the largest amount of benefit that is greater than 50% likely of being realized upon ultimate settlement.

The Company recognizes accrued interest and penalties associated with uncertain tax position as part of the income tax provision. There were no uncertain tax positions or income tax related interest and penalties recorded for the years ended December 31, 2017 and 2016. The income tax returns of the Company for the year ended December 31, 2014 and subsequent years are subject to examination by the Internal Revenue Service and other taxing authorities, generally for three years after the work filed.

Foreign Currency Translation

The financial statements of the Company's foreign subsidiary are measured using the local currency as the functional currency. Assets and liabilities of this subsidiary are translated into U.S. dollars at exchange rates as of the consolidated balance sheet date. Equity is translated at historical exchange rates. Revenues and expenses are translated into U.S. dollars at average rates of exchange in effect during the year. The resulting cumulative translation adjustments have been recorded as a separate component of stockholders' deficiency. Foreign currency transaction gains and losses are included in the results of operations.

Property and Equipment

Property and equipment are stated at cost less accumulated depreciation. Depreciation expense is recognized using the straight-line method over the estimated useful life of each asset. Computer equipment is depreciated over three years. Laboratory equipment, office equipment and furniture and fixtures are depreciated over five years. Leasehold improvements are amortized over the shorter of the lease term or the estimated useful life of the asset. Upon retirement or sale, the cost of assets disposed of and the related accumulated depreciation are removed from the accounts and any resulting gain or loss is included in loss from operations. Expenditures for repairs and maintenance are charged to expense as incurred.

Impairment of Long-Lived Assets

Long-lived assets consist of property and equipment. Long-lived assets to be held and used are tested for recoverability whenever events or changes in business circumstances indicate that the carrying amount of the assets may not be fully recoverable. Factors that the Company considers in deciding when to perform an impairment review include significant underperformance of the business in relation to expectations, significant negative industry or economic trends and significant changes or planned changes in the use of the assets. If an impairment review is performed to evaluate a long-lived asset group for recoverability, the Company compares forecasts of undiscounted cash flows expected to result from the use and eventual disposition of the long-lived asset group to its carrying value. An impairment loss would be recognized when estimated undiscounted future cash flows expected to result from the use of an asset group are less than its carrying amount. The impairment loss would be based on the excess of the carrying value of the impaired asset group over its fair value, determined based on

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

discounted cash flows. To date, the Company has not recorded any impairment losses on long-lived assets.

Deferred Offering Costs

The Company capitalizes certain legal, professional accounting and other third-party fees that are directly associated with in-process equity financings as deferred offering costs until such financings are consummated. After consummation of the equity financing, these costs are recorded in stockholders' equity (deficiency) as a reduction of additional paid-in capital generated as a result of the offering.

Other Assets

Other assets as of December 31, 2017 and 2016, consist of $1,111 and $907, respectively, of deposits made by the Company with certain service providers that are to be applied to future payments due under the service agreements or returned to the Company if not utilized.

Fair Value of Financial Instruments

Certain assets and liabilities are carried at fair value under GAAP. Fair value is defined as the exchange price that would be received for an asset or paid to transfer a liability (an exit price) in the principal or most advantageous market for the asset or liability in an orderly transaction between market participants on the measurement date. Valuation techniques used to measure fair value must maximize the use of observable inputs and minimize the use of unobservable inputs. Financial assets and liabilities carried at fair value are to be classified and disclosed in one of the following three levels of the fair value hierarchy, of which the first two are considered observable and the last is considered unobservable:

- Level 1—Quoted prices in active markets for identical assets or liabilities.
- Level 2—Observable inputs (other than Level 1 quoted prices), such as quoted prices in active markets for similar assets or liabilities, quoted prices in markets that are not active for identical or similar assets or liabilities, or other inputs that are observable or can be corroborated by observable market data.
- Level 3—Unobservable inputs that are supported by little or no market activity and that are significant to determining the fair value of the assets or liabilities, including pricing models, discounted cash flow methodologies and similar techniques.

During the years presented, the Company has not changed the manner in which it values assets and liabilities that are measured at fair value using Level 3 inputs. The Company recognizes transfers between levels of the fair value hierarchy as of the end of the reporting period. There were no transfers within the hierarchy during the years ended December 31, 2017 and 2016.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

A summary of the assets and liabilities carried at fair value in accordance with the hierarchy defined above is as follows (in thousands):

	Total	Level 1	Level 2	Level 3
December 31, 2017				
Assets:				
Cash equivalents	$ 25,737	$ 25,737	$ —	$ —
Total assets	$ 25,737	$ 25,737	$ —	$ —
Liabilities:				
Warrant liability	$ 11,862	$ —	$ —	$ 11,862
Total liabilities	$ 11,862	$ —	$ —	$ 11,862
December 31, 2016				
Assets:				
Cash equivalents	$ 793	$ 793	$ —	$ —
Total assets	$ 793	$ 793	$ —	$ —

Cash equivalents of $25,737 and $793 as of December 31, 2017 and 2016, respectively, consisted of overnight investments and money market funds and are classified within Level 1 of the fair value hierarchy because they are valued using quoted market prices in active markets.

The carrying value of the research and development incentive receivable, accounts payable and accrued liabilities approximate their fair value due to the short-term nature of these assets and liabilities. Management believes that the Company's debt as of December 31, 2016 (see Note 6) bears interest at the prevailing market rate for instruments with similar characteristics and, accordingly, the carrying value approximates its fair value.

A roll-forward of the recurring fair value measurements of the warrant liability categorized with Level 3 inputs are as follows (in thousands):

	Warrant Liability
Balance—December 31, 2016	$ —
Initial fair value	12,335
Change in fair value	(473)
Balance—December 31, 2017	$ 11,862

The warrant liability in the table above is composed of the fair value of warrants to purchase common shares that the Company issued in connection with the Private Placement (see Notes 7 and 9). The fair value of the warrant liability was determined based on significant inputs not observable in the market, which represents a Level 3 measurement within the fair value hierarchy. The Company utilized a Monte Carlo simulation, which is a statistical method used to generate a defined number of share price paths to develop a reasonable estimate of the range of the future expected share prices, to value the warrant liability. The Monte Carlo simulation incorporated assumptions and estimates to value the

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

warrant liability. Estimates and assumptions impacting the fair value measurement included the estimated probability of adjusting the exercise price of the warrants, the number of shares for which the warrants will be exercisable, the remaining contractual term of the warrants, the risk-free interest rate, the expected dividend yield, and the expected volatility of the price of the underlying common shares.

The Company historically has been a private company and lacks company-specific historical and implied volatility information of its shares. Therefore, it estimated its expected share volatility based on the historical volatility of publicly traded peer companies for a term equal to the remaining contractual term of the warrants. The risk-free interest rate was determined by reference to the U.S. Treasury yield curve for time periods approximately equal to the remaining contractual term of the warrants. The Company estimated a 0% expected dividend yield based on the fact that the Company has never paid or declared dividends and does not intend to do so in the foreseeable future.

Segment Information

The Company manages its operations as a single segment for the purposes of assessing performance and making operating decisions. The Company's singular focus is developing novel, targeted drugs for the treatment of cancer. Substantially all of the Company's tangible assets are held in the United States.

Patent Costs

All patent related costs incurred in connection with filing and prosecuting patent applications are expensed as incurred due to the uncertainty about the recovery of the expenditure. Amounts incurred are classified as general and administrative expenses.

Stock-Based Compensation

The Company measures all stock options and other stock-based awards granted to employees based on the fair value on the date of the grant and recognizes compensation expense of those awards, net of estimated forfeitures, over the requisite service period, which is generally the vesting period of the respective award. Generally, the Company issues stock options to employees with only service-based vesting conditions and records the expense for these awards using the straight-line method.

The Company measures stock-based awards granted to consultants and nonemployees based on the fair value of the award on the date on which the related service is complete. Compensation expense is recognized over the period during which services are rendered by such consultants and nonemployees until completed. At the end of each financial reporting period prior to completion of the service, the fair value of these awards is remeasured using the then current fair value of the Company's common stock and updated assumption inputs in the Black-Scholes option-pricing model.

Stock-based compensation is classified in the accompanying consolidated statements of operations based on the function to which the related services are provided. The Company recognizes compensation expense for only the portion of awards that are expected to vest. In developing a forfeiture rate estimate, the Company has considered its historical experience to estimate pre-vesting forfeitures for service-based awards. The impact of a forfeiture rate adjustment will be recognized in full in the period of adjustment, and if the actual forfeiture rate is materially different from the

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

Company's estimate, the Company may be required to record adjustments to stock-based compensation expense in future periods.

The fair value of each stock option grant is estimated on the date of grant using the Black-Scholes option-pricing model. The Company historically has been a private company and lacks company-specific historical and implied volatility information. Therefore, it estimates its expected stock volatility based on the historical volatility of a publicly traded set of peer companies and expects to continue to do so until such time as it has adequate historical data regarding the volatility of its own traded stock price. The expected term of the Company's stock options has been determined utilizing the "simplified" method for awards that qualify as "plain-vanilla" options. The expected term of stock options granted to nonemployees is equal to the contractual term of the option award. The risk-free interest rate is determined by reference to the U.S. Treasury yield curve in effect at the time of grant of the award for time periods approximately equal to the expected term of the award. Expected dividend yield is based on the fact that the Company has never paid cash dividends on common stock and does not expect to pay any cash dividends in the foreseeable future.

Net Loss per Share

Basic net loss per share is computed using the weighted average number of common shares outstanding during the period. Diluted net loss per share is computed using the weighted average number of common shares outstanding during the period and, if dilutive, the weighted average number of potential shares of common stock, including the assumed exercise of stock options. There were no common shares outstanding during the year ended December 31, 2016 and, and accordingly, basic and diluted net loss per share is not presented for that period.

Reclassification

Certain prior period amounts have been reclassified for consistency with the current period presentation. These reclassifications had no effect on previously reported results of operations.

During the three months ended March 31, 2017, the Company made a policy election to classify foreign exchange gains and losses as other income (expense), rather than general and administrative expenses, in its consolidated statement of operations on a prospective basis. The reclassification had no impact on the Company's previously reported financial position or cash flows.

Redeemable Convertible Preferred Stock

On January 20, 2017, in connection with and prior to the completion of the merger with Macrocure, (a) all of the Company's outstanding shares of convertible preferred stock were converted into 3,174,523 shares of common stock.

Warrant Liability

In connection with entering into the Private Placement (Note 9), the Company issued a warrant to purchase common stock with each share of common stock sold in the Private Placement. The Company classified the warrants as a liability on its consolidated balance sheet because each warrant represents a freestanding financial instrument that is not indexed to the Company's own shares. The warrant liability

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

was initially recorded at fair value upon entering into the Private Placement agreement and is subsequently remeasured to fair value at each reporting date. Changes in the fair value of the warrant liability are recognized as a component of other income (expense), net in the consolidated statement of operations. Changes in the fair value of the warrant liability will continue to be recognized until the warrants are exercised, expire or qualify for equity classification.

If the Company issues shares to discharge the liability, the derivative financial liability is derecognized and common stock and additional paid-in capital are recognized on the issuance of those shares. Warrants are valued using the Monte Carlo simulation model. If the terms of warrants that initially require the warrant to be classified as a liability lapse, the liability is reclassified out of financial liabilities into equity at its fair value on that date. The cash proceeds received from exercises of warrants are recorded in common stock and additional paid-in capital.

New Accounting Pronouncements

In May 2014, the FASB issued ASU No. 2014-09, Revenue from Contracts with Customers (Topic 606) ("ASU 2014-09"), which supersedes existing revenue recognition guidance under GAAP. The standard's core principle is that a company will recognize revenue when it transfers promised goods or services to customers in an amount that reflects the consideration to which the Company expects to be entitled in exchange for those goods or services. The standard defines a five-step process to achieve this principle, and will require companies to use more judgment and make more estimates than under the current guidance. The Company expects that these judgments and estimates will include identifying performance obligations in the customer contract, estimating the amount of variable consideration to include in the transaction price and allocating the transaction price to each separate performance obligation. ASU 2014-09 also requires additional disclosure about the nature, amount, timing and uncertainty of revenue and cash flows arising from customer contracts. Topic 606, as amended, is effective for the Company for its annual periods beginning after December 15, 2018 and for interim periods within those fiscal years. Early adoption of the standard is permitted for annual periods beginning after December 15, 2016. The Company is currently evaluating the impact that the adoption that this standard will have on its consolidated financial statements, if and when it generates revenue.

In February 2016, FASB issued ASU 2016-02, Leases (Topic 842). FASB issued this update to increase transparency and comparability among organizations by recognizing lease assets and lease liabilities on the balance sheet and disclosing key information about leasing arrangements. The updated guidance is effective for the Company for annual periods beginning after December 15, 2020, including interim periods within those fiscal years. Early adoption of the update is permitted. The Company is evaluating the impact of the adoption of this update on its consolidated financial statements and disclosures.

In March 2016, the FASB issued ASU 2016-09, Compensation—Stock Compensation (Topic 718): Improvements to Employee Share-Based Payment Accounting. The new standard involves several aspects of the accounting for share-based payment transactions, including the income tax consequences, classification of awards as either equity or liabilities and classification on the statement of cash flows. The new standard will be effective for the Company on January 1, 2018. The Company is evaluating the impact of the adoption of this update on its consolidated financial statements and disclosures.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

In August 2016, the FASB issued ASU No. 2016-15, Statement of Cash Flows: Classification of Certain Cash Receipts and Cash Payments ("ASU 2016-15"), to address diversity in practice in how certain cash receipts and cash payments are presented and classified in the statement of cash flows. The standard is effective for the Company for annual periods beginning after December 15, 2018, including interim periods within those fiscal years. The Company is currently evaluating the impact that the adoption of ASU 2016-15 will have on its consolidated financial statements.

In May 2017, the FASB issued ASU No. 2017-09, *Compensation—Stock Compensation (Topic 718): Scope of Modification Accounting* ("ASU 2017-09"), which clarifies when to account for a change to the terms or conditions of a share-based payment award as a modification. Under the new guidance, modification accounting is required only if the fair value, the vesting conditions, or the classification of the award (as equity or liability) changes as a result of the change in terms or conditions. The standard is effective for annual periods beginning after December 15, 2017, including interim periods within those fiscal years. Early adoption is permitted. The Company is currently evaluating the impact that the adoption of ASU 2017-09 will have on its consolidated financial statements.

In July 2017, the FASB issued ASU No. 2017-11, *Earnings Per Share (Topic 260), Distinguishing Liabilities from Equity (Topic 480), and Derivatives and Hedging (Topic 815)* ("ASU 2017-11"), which changes the classification analysis of certain equity-linked financial instruments (or embedded features) with down round features. The amendments require entities that present earnings per share ("EPS") in accordance with Topic 260 to recognize the effect of the down round feature when triggered with the effect treated as a dividend and as a reduction of income available to common shareholders in basic EPS. The new standard is effective for fiscal years beginning after December 15, 2018, including interim periods within those fiscal years. The Company does not expect that the adoption of ASU 2017-11 will have a material impact on its consolidated financial statements.

3. Merger with Macrocure and Related Transactions

Merger with Macrocure Ltd.

The Company entered into a definitive merger agreement (the "Merger Agreement"), dated as of August 29, 2016, with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel, and M-Co Merger Sub Ltd. ("Merger Sub"), a wholly owned subsidiary of the Company which provided for the merger of Macrocure with and into Merger Sub, with Macrocure continuing after the merger as a wholly owned subsidiary of the Company.

On January 23, 2017, the Company issued 3,256,898 shares of its common stock, net of fractional shares paid in cash, in exchange for 100% of the outstanding ordinary shares of Macrocure Ltd. upon consummation of the merger. Pursuant to the terms of the merger agreement, each holder of Macrocure's ordinary shares received approximately 0.1815 shares of the Company's common stock, plus cash in lieu of fractional shares based on a value of the Company's common stock of $9.90 per share. The exchange ratio was based on a final net cash calculation, as of the closing, of $21,875. The merger was accounted for as an in-substance recapitalization of the Company, as the transaction was, in essence, an exchange of shares of the Company's common stock (and options and warrants exercisable therefor) for cash. Apart from cash, the net assets acquired were $96, and all Macrocure employees were terminated as of the effective time of the merger. Macrocure's cash and nominal assets and

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

3. Merger with Macrocure and Related Transactions (Continued)

liabilities were measured and recognized at their fair values as of the date of the merger, and combined with the assets, liabilities and results of operations of the Company.

All Macrocure stock options granted under the Macrocure stock option plans (whether or not then exercisable) and all warrants to purchase Macrocure ordinary shares that were outstanding prior to the effective time of the merger became options and warrants, respectively, to purchase the Company's common stock equal to the number of ordinary shares of Macrocure issuable upon exercise of such stock options and warrants multiplied by the exchange ratio, with a corresponding exercise price equal to the exercise price of such stock options or warrants divided by the exchange ratio. All outstanding and unexercised Macrocure stock options and warrants assumed by the Company may be exercised solely for shares of the Company's common stock.

Vesting of all unvested Macrocure equity awards issued and outstanding was accelerated at the effective time of the merger, and all such equity awards issued and outstanding at the time of the merger remained issued and outstanding. For accounting purposes, since the acceleration of vesting was negotiated in contemplation of the merger, the remaining unrecognized compensation expense associated with the original grant date fair value of the awards of $280 was recognized as a charge in the Company's consolidated statement of operations for the year ended December 31, 2017. In addition, the exercise period for all Macrocure options outstanding at the effective time of the merger was extended beyond the respective periods provided in the original awards. The Company recorded a charge of $504 in connection with the extension of the exercise periods in the consolidated statement of operations for the year ended December 31, 2017 equal to the difference in the fair value of the options immediately prior to and immediately following the modification of the exercise period.

In connection with the merger, the Company applied to be listed on the NASDAQ Global Market. NASDAQ approved the listing, and trading in the Company's common stock commenced on January 24, 2017, under the trading symbol "LPTX".

Recapitalization and Amendments to Certificate of Incorporation

On January 20, 2017, in connection with and prior to the completion of the merger with Macrocure, (a) all of the Company's outstanding shares of convertible preferred stock were converted into 3,174,523 shares of common stock, (b) the outstanding note payable and accrued interest was converted into 1,950,768 shares of common stock, and (c) the Company amended and restated its certificate of incorporation and bylaws to, among other things: (i) authorize 100,000,000 shares of common stock; (ii) eliminate all references to the previously existing series of the Company's preferred stock; (iii) authorize 10,000,000 shares of undesignated preferred stock that may be issued from time to time by the Company's board of directors and (iv) effect a one for 19.86754 reverse stock split of the Company's common stock outstanding immediately prior to the filing of the amended and restated certificate of incorporation.

Subscription Agreement

On January 20, 2017, prior and subject to the consummation of the merger, the Company and HealthCare Ventures IX, L.P. ("HCV IX") entered into a subscription agreement pursuant to which HCV IX purchased 1,010,225 shares of the Company's common stock for $10,000, at a purchase price per share of $9.90.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

3. Merger with Macrocure and Related Transactions (Continued)

Stock Option Grants

On January 20, 2017, in connection with the consummation of the merger with Macrocure, the Company made an option grant to each of three executives to purchase 330,303 of shares of common stock, for a total of 990,909 shares of common stock, pursuant to its Amended and Restated 2012 Equity Incentive Plan. The options were granted at an exercise price $9.90 per share. The options will vest 33% on the first anniversary of the date of grant, and thereafter in equal monthly installments over a period of two years, generally subject to the executive's continued employment.

Royalty Agreement and Letter Agreement

On January 23, 2017, immediately prior to the merger, the Company entered into a royalty agreement with Leap Shareholder Royalty Vehicle, LLC, a Delaware limited liability company (the "Royalty Vehicle"), a special purpose vehicle formed for the specific purpose of entering into the royalty agreement. In connection with the transactions contemplated by the merger agreement, the Company declared a special distribution of certain royalty rights to each of its holders of common stock outstanding immediately prior to the effective time of the merger. These holders collectively beneficially owned or controlled 100% of the Company's outstanding common stock at the time of the merger. Pursuant to the royalty agreement, the Company will pay to the special purpose vehicle (i) 5% of the Company's net sales of products incorporating its TRX518 compound and (ii) 2% of the Company's net sales of products incorporating its DKN-01 compound. The royalty agreement has an indefinite term, and neither the Company nor the special purpose vehicle has the right to terminate. The Company accounted for the royalty rights as a contingent liability.

4. Property and Equipment, Net

Property and equipment, net consisted of the following:

	December 31,	
	2017	2016
Computer office equipment	$ 51	$ —
Leasehold improvements	69	69
Lab equipment	58	45
Furnitures and fixtures	30	30
	208	144
Less: accumulated depreciation	(73)	(25)
Property and equipment, net	$ 135	$ 119

Depreciation expense was $48 and $25 for the years ended December 31, 2017 and 2016, respectively.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

5. Accrued Expenses

Accrued expenses consist of the following:

	December 31,	
	2017	2016
Clinical trials	$ 2,116	$ 2,545
Professional fees	390	88
Payroll and related expenses	955	25
Accrued expenses	$ 3,461	$ 2,658

6. Notes Payable—Related Party

During 2014, the Company entered into a convertible promissory note with a stockholder, and made multiple drawdowns under the note throughout 2014 and 2015. The note accrued interest at a rate of 8% per year until the principal of the note was either repaid or otherwise converted. During 2016, the Company made additional drawdowns under the convertible promissory note totaling $25,900, and as of December 31, 2016, the Company owed $29,000 aggregate principal and $1,274 of accrued interest in connection with the promissory note. Interest expense from the related-party note was $121 and $1,233 for the years ended December 31, 2017 and 2016, respectively. The accrued interest as of December 31, 2016 of $1,274 is included in note payable and accrued interest-related party in the accompanying consolidated balance sheet as of December 31, 2016.

On January 13, 2017, the Company received aggregate proceeds of $750 from an amendment and restatement of the promissory note. On January 20, 2017, in accordance with its terms and in connection with and prior and subject to the consummation of the merger with Macrocure, the outstanding note payable, including principal and accrued interest totaling $31,145, was converted into 1,950,768 shares of common stock.

7. Warrants

As of December 31, 2017, outstanding warrants to purchase common stock consisted of the following:

	December 31, 2017			
Date Exercisable	Number of Shares Issuable	Exercise Price	Exercisable for	Classification
1/23/2017	54,516	$ 0.01	Common Stock	Equity
11/14/2017	2,958,094	6.085	Common Stock	Liability
	3,012,610			

2017 Warrants

On November 14, 2017, in connection with the Private Placement (see Note 9), the Company issued immediately exercisable warrants to purchase 2,958,094 shares of common stock to investors. The warrants have an exercise price of $6.085 per share, and expire on November 14, 2024.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

7. Warrants (Continued)

The warrants contain full ratchet anti-dilution protection provisions. Company classifies the warrants as a liability on its consolidated balance sheet because each warrant represents a freestanding financial instrument that, due to the potential variable nature of the exercise price, is not considered to be indexed to the Company's own shares. The warrant liability was initially recorded at fair value upon entering into the Private Placement and is subsequently remeasured to fair value at each reporting date. Changes in the fair value of the warrant liability are recognized as a component of other income (expense), net in the Company's consolidated statement of operations. Changes in the fair value of the warrant liability will continue to be recognized until the warrants are exercised, expire or qualify for equity classification.

The fair value of the warrant liability was determined to be $12,335 on the date of issuance. The Company remeasured the liability as of December 31, 2017 and determined that the fair value of the warrant liability was $11,862, resulting in income of $473 recorded within other income (expense), net in the consolidated statements of operations for the year ended December 31, 2017.

8. Preferred Stock

On January 3, 2011, the Company entered into an agreement to issue up to 9,000,000 shares of Series A Convertible Preferred Stock ("Series A Stock") in consideration for the grant of a license to certain intellectual property (see Note 13). The issuance of shares was subject to the satisfaction of certain conditions set forth in the Series A Convertible Preferred Stock Purchase Agreement. From 2011 through 2016, the Company issued the 9,000,000 shares of Series A Stock in four tranches, upon the consummation of the corresponding Series B Convertible Redeemable Preferred Stock ("Series B Stock") tranche closings.

During the period from January 3, 2011 through December 31, 2013, the Company sold 15,000,000 shares of Series B Stock for gross proceeds of $15,000. In accordance with the terms of the Series A Convertible Preferred Stock Purchase Agreement, the Company issued 6,279,300 shares of Series A Stock to the licensor in connection with these closings valued at approximately $6,279 on the dates of grant, which was recognized as research and development expense.

On April 17, 2015, the Company completed an additional tranche closing of the sale of its Series B Stock and issued the remaining 6,500,000 authorized shares of Series B Stock. Of the shares issued, 4,532,098 were issued in consideration for the conversion of notes payable and accrued interest totaling approximately $4,532 (see Note 6), and the remaining 1,967,902 shares were sold for gross proceeds of approximately $1,968. In accordance with the terms of the Series A Convertible Preferred Stock Purchase Agreement, the Company issued 2,720,700 shares of Series A Stock to the licensor contemporaneously with the Series B Stock tranche closing. These shares were valued at approximately $2,721 on the date of grant and included in research and development expense.

On April 24, August 25, and December 19, 2014, GITR completed three tranche closings resulting in the issuance of 2,687,765 shares of Series C Stock for net proceeds of $1,807. On February 5 and April 24, 2015, GITR completed two tranche closings resulting in the issuance of 2,835,040 shares of Series C Stock for net proceeds of approximately $1,922.

The powers, terms, conditions, preferences, rights and privileges of the Series A Stock, Series B Stock and Series C Stock when outstanding were as set forth below. In connection with the

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Preferred Stock (Continued)

consummation of the Macrocure merger, all outstanding shares of the Company's convertible preferred stock were converted into shares of common stock.

Voting

The holders of Series A Stock, Series B Stock and the Series C Stock are entitled to vote, together with the holders of common stock as one class, on all matters as to which common stockholders are entitled to vote. In any such vote, each share of such preferred stock shall entitle the holder thereof to the number of votes per share that equals the number of shares of common stock into which each such share of such preferred stock is then convertible. In addition, the holders of a majority in voting power of the Series C Stock and Series B Stock, voting together as a separate class, have the exclusive right to elect three members of the Board of Directors of the Company.

Preferences

The Company's Series C Stock and Series B Stock ranks, as to dividends and upon liquidation, equally with each other and senior and prior to the Company's Series A Stock and common stock and to all other classes or series of stock issued by the Company, and the Series A Stock ranks, as to dividends and upon liquidation, junior to the Series C Stock, Series B Stock and senior and prior to the Company's common stock, in each instance, except as otherwise approved by the affirmative vote or consent of the holders of a majority of the voting power of the shares of Series C Stock and Series B Stock then outstanding, voting together as a separate class.

Dividends

Whenever any dividend is declared or paid on the Series B Stock or Series C Stock, the Board of Directors shall also declare and pay a dividend on the same terms, at the same rate and in like kind upon each share of the Series A Stock then outstanding, so that all outstanding shares of Series A Stock, Series B Stock and Series C Stock will participate equally with each other ratably per share.

The holders of shares of Series B Stock are entitled to receive, if, when and as declared or paid by the Board of Directors on any shares of Series B Stock, dividends at the rate of 8% of the applicable Original Purchase Price per share per year, which will accrue on a quarterly basis commencing on the original issuance date applicable to each share of Series B Stock equal in right to the payment of dividends and other distributions on the Series C Stock and prior in right to the payment of dividends and other such distributions on any other class of securities of the Company. Dividends are payable, as accrued, whether or not declared, (i) on any liquidation, (ii) upon any event of sale, (iii) upon any redemption date, or (iv) upon the conversion of the Series B Stock into common stock, on such shares so converted. Whenever any dividend is declared or paid on: (i) any shares of the common stock, the Board of Directors shall also declare and pay a dividend on the same terms, at the same rate and in like kind upon each share of the Series B Stock then outstanding so that all outstanding shares of Series B Stock will participate in such dividend ratably with such shares of common stock; or (ii) any shares of Series A Stock or Series C Stock, the Board of Directors shall also declare and pay a dividend on the Series B Stock on the same terms, at the same or equivalent rate, based on the number of shares of common stock into which the Series A Stock or Series C Stock, as applicable, is

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Preferred Stock (Continued)

then convertible, if applicable, or, otherwise, the relative liquidation preference per share, as compared with the Series B Stock then outstanding.

The holders of shares of Series C Stock shall be entitled to receive, if, when and as declared or paid by the Board of Directors on any shares of Series C Stock, dividends at the rate of 8% of the applicable Original Purchase Price per share per annum, which will accrue on a quarterly basis commencing on the original issuance date applicable to each share of Series C Stock equal in right to the payment of dividends and other distributions on the Series B Stock and prior in right to the payment of dividends and other such distributions on any other class of securities of the Company. Dividends are payable, as accrued, whether or not declared, (i) on any liquidation, (ii) upon any event of sale, (iii) upon any redemption date, or (iv) upon the conversion of the Series C Stock into common stock, on such shares so converted. Whenever any dividend is declared or paid on: (i) any shares of the common stock, the Board of Directors shall also declare and pay a dividend on the same terms, at the same rate and in like kind upon each share of the Series C Stock then outstanding so that all outstanding shares of Series C Stock will participate in such dividend ratably with such shares of common stock; or (ii) any shares of Series A Stock or Series B Stock, the Board of Directors shall also declare and pay a dividend on the Series C Stock on the same terms, at the same or equivalent rate, based on the number of shares of common stock into which the Series A Stock or Series B Stock, as applicable, is then convertible, if applicable, or, otherwise, the relative liquidation preference per share, as compared with the Series C Stock then outstanding.

Cumulative unpaid dividends on the Series A, Series B and Series C Stock totaled approximately $2,800, $6,689 and $2,383, respectively, as of December 31, 2016 and have been accreted in the carrying amounts of the Series A, Series B and Series C Stock, respectively, in the accompanying consolidated balance sheet as of that date.

Liquidation Rights

In the event of any liquidation, dissolution or winding-up of the affairs of the Company (collectively, a "Liquidation"), (i) the holders of shares of Series C Stock and Series B Stock shall be entitled to receive out of the assets of the Company, before any payment shall be made to the holders of Series A Stock then outstanding, the holders of common stock or any other class or series of stock ranking on Liquidation junior to such Series C Stock and Series B Stock, an amount per share equal to the Original Purchase Price applicable thereto, plus an amount equal to any accrued but unpaid dividends thereon; and (ii) after the distribution to the holders of Series C and Series B Stock of the full amount which they are entitled to receive, the holders of Series A Stock shall be entitled to receive out of the assets of the Company, before any payment shall be made to the holders of common stock or any other class or series of stock ranking on Liquidation junior to such Series A Stock, an amount per share equal to the applicable Original Purchase Price plus an amount equal to any declared but unpaid dividends thereon.

In the event of any Liquidation, after payments shall have been made first to the holders of Series C and Series B Stock and the holders of Series A Stock of the full amount to which they shall be entitled, the holders of common stock as a class, shall be entitled to share ratably with the holders of Series A, B and C Stock in all remaining assets of the Company legally available for distribution to its stockholders. For purposes of calculating the amount of any payment to be paid upon any such

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Preferred Stock (Continued)

Liquidation, each share of Series A Stock, Series B Stock and Series C Stock shall be deemed to be that number of shares of common stock into which it is then convertible.

Redemption

At the request of the holder or holders of not less than $66^{2}/_{3}\%$ of the voting power of shares of Series C Stock and Series B Stock then outstanding, voting together as a separate class, made at any time after December 10, 2020, the Company shall redeem, at a redemption price per share equal to the Original Purchase Price of the Series C Stock or Series B Stock, as applicable, plus an amount equal to any accrued but unpaid dividends thereon, up to 25% of the Series C Stock or Series B Stock, as applicable, owned of record by the requesting holders at the time that such request is made, and in each subsequent year thereafter, upon the anniversary of the redemption date, up to 25% of the shares of Series C Stock or Series B Stock, as applicable, that were owned of record by the requesting holders on the redemption date plus up to that number of shares of Series C Stock or Series B Stock, as applicable, that the requesting holders could have required the Company to have redeemed in the year or years following the redemption date, but elected not to have redeemed.

In the event of and simultaneously with the closing of an event of sale, as defined, the Company shall redeem all of the shares of Series A Stock, Series B Stock and Series C Stock then outstanding for a cash amount per share defined as the Special Liquidation Price. In the event the event of sale involves consideration that does not consist of cash, then the Special Liquidation Price may be paid with such consideration having a value equal to the Special Liquidation Price. To the extent there is any cash consideration in connection with an event of sale, the cash consideration will first be applied to satisfy the Special Liquidation Price prior to the payment thereof to any stockholders of the Company. The Special Liquidation Price would be equal to that amount per share which would be received by each Series A, Series B and Series C stockholder if, in connection with an event of sale, all the consideration paid in exchange for the assets or the shares of capital stock of the Company were actually paid to and received by the Company and the Company was immediately thereafter liquidated and its assets distributed.

Conversion

Any holder of Series A Stock, Series B Stock or Series C Stock has the right to convert any or all of its shares into fully paid and nonassessable shares of common stock. For each share of preferred stock so converted, the rate of conversion would equal the quotient of the applicable Original Purchase Price for such preferred stock divided by the Conversion Price for such preferred stock, subject to adjustment. The Original Purchase Price and Conversion Price of the Series A Stock, Series B Stock and Series C Stock was $1.00, $1.00 and $2.39 per share, respectively, during all periods presented.

If the Company issues or sells any shares of common stock, preferred stock or options for a consideration per share less than the applicable Conversion Price in effect immediately prior to the issuance (a "Dilutive Issuance"), the Conversion Price for the preferred stock in effect immediately prior to each such Dilutive Issuance shall automatically be lowered to a price determined by a formula defined in the Company's articles of incorporation. If the number of shares of common stock outstanding is increased or decreased by a stock dividend payable in shares of common stock, by a combination of the outstanding shares of common stock or by a subdivision or split-up of shares of

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Preferred Stock (Continued)

common stock, then the Conversion Price applicable to each series of preferred stock shall be appropriately increased or decreased so that the number of shares of common stock issuable on conversion of each share of preferred stock shall be increased in proportion to such increase or decrease in outstanding shares of common stock.

Approval Rights

The Company may not, without the affirmative approval of the holders of shares representing at least a majority of voting power of the Series B Stock and Series C Stock then outstanding, complete certain transactions, including among others, selling the Company, acquiring another entity, declaring or paying dividends, or incurring any indebtedness in excess of $500.

Merger with Macrocure Ltd.

On January 20, 2017, prior and subject to the consummation of the merger with Macrocure, all outstanding shares of the Company's convertible preferred stock were converted into 3,174,523 shares of common stock.

9. Common Stock

There were no shares of common stock issued or outstanding as of December 31, 2016.

Each share of common stock entitles the holder to one vote on all matters submitted to a vote of the Company's stockholders. Common stockholders are entitled to receive dividends, as may be declared by the board of directors, if any, subject to the preferential dividend rights of the preferred stockholders. Through December 31, 2017, no dividends have been declared.

As of December 31, 2017, the Company had reserved shares of common stock for the exercise of outstanding stock options and warrants, and the number of shares remaining for grant under the Company's 2012 Equity Incentive Plan (see Note 10).

Merger with Macrocure Ltd.

On January 20, 2017, in connection with and prior to the completion of the merger with Macrocure, (a) all of the Company's outstanding shares of convertible preferred stock were converted into 3,174,523 shares of common stock, (b) the outstanding note payable and accrued interest was converted into 1,950,768 shares of common stock, and (c) the Company amended and restated its certificate of incorporation and bylaws to, among other things: (i) authorize 100,000,000 shares of common stock; (ii) eliminate all references to the previously existing series of the Company's preferred stock; (iii) authorize 10,000,000 shares of undesignated preferred stock that may be issued from time to time by the Company's board of directors and (iv) effect a one for 19.86754 reverse stock split of the Company's common stock outstanding immediately prior to the filing of the amended and restated certificate of incorporation.

Private Placement of Common Stock

On November 14, 2017, the Company entered into purchase agreements (collectively, the "Purchase Agreements") with certain accredited institutional investors (collectively, the "Purchasers"),

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

9. Common Stock (Continued)

each with substantially similar terms and conditions. Pursuant to the Purchase Agreements, the Company agreed to issue and sell to the Purchasers an aggregate of 2,958,094 shares of unregistered common stock at a price per share of $6.085, for gross proceeds of $18,000 (the "Private Placement"). Each common share was issued with a detachable warrant to purchase one share of common stock at an exercise price of $6.085 per share, expiring seven years after the closing of the Purchase Agreements. The common shares issued in the Private Placement have the same rights and privileges as all other issued and outstanding common shares.

The gross proceeds of $18,000 were first allocated to the fair value of the warrants of $12,335 with the remaining proceeds of $5,665 being allocated to the common stock. After giving effect to issuance costs related to the Private Placement, net proceeds were $17,250. If all of the Warrants are exercised in cash at the stated exercise price during the term, the Company will receive additional proceeds of approximately $18,000 and will issue an aggregate of 2,958,094 shares of common stock (the "Warrant Shares").

The Company incurred $750 of issuance costs associated with the Private Placement which consisted of legal, consulting and regulatory fees. The costs were allocated between the issuance of the common stock and warrants on a pro rata basis with the allocation of the proceeds, with approximately 68% of the costs allocated to the warrants and approximately 32% allocated to the common stock. The issuance costs associated with the common stock totaled $236 and were recorded as a reduction to additional paid-in capital on the consolidated balance sheet. The issuance costs associated with the warrants totaled $514 and were recorded within other expense on the consolidated statement of operations.

HealthCare Ventures IX, L.P. and Eli Lilly and Company, each a holder of more than 5% of the Company's outstanding common stock, also purchased common stock and warrants in the Private Placement. Each of HealthCare Ventures IX, L.P. and Eli Lilly and Company agreed to purchase the common stock and warrants on the same terms and conditions as the other Purchasers in the Private Placement. Three of the Company's directors and executive officers are affiliated with HealthCare Ventures IX, L.P. and its affiliates.

Pursuant to the terms of the Purchase Agreements, the Company was obligated to prepare and file with the SEC a registration statement on Form S-3 (the "Registration Statement") to register for resale the shares and the Warrant Shares on or prior to the date 30 days following the closing of the Private Placement, and use its best commercially reasonable efforts, subject to receipt of necessary information from the Purchasers, to cause the SEC to declare the Registration Statement effective within 60 days following the closing of the Private Placement or, if the Registration Statement is selected for review by the SEC, within 90 days following the closing of the Private Placement. In order to comply with such obligation, the Company initially filed a registration statement for the resale of up to 3,734,914 shares of the shares and Warrant Shares issued in the Private Placement on December 8, 2017. Two of the Purchasers opted not to register their shares or Warrant Shares and therefore, such shares and Warrant Shares were excluded from the Registration Statement. The SEC declared this Registration Statement, as amended, effective on December 15, 2017.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

10. Stock-Based Compensation

Equity Incentive Plans

In September 2012, the Company adopted the 2012 Equity Incentive Plan, as amended (the "Plan"), which provides designated employees of the Company and its affiliates, certain consultants and advisors who perform services for the Company and its affiliates, and nonemployee members of the Board of Directors of the Company and its affiliates with the opportunity to receive grants of incentive stock options, nonqualified stock options and stock awards. As of December 31, 2017, the aggregate number of shares of common stock of the Company that may be issued under the Plan was 61,483. As of December 31, 2017, no shares were available for future grant under the Plan.

On January 20, 2017, the Company's stockholders approved the amended and restated 2012 Equity Incentive Plan (the "2012 Plan"), which amended and restated the Plan and was effective in connection with the completion of the Company's merger with Macrocure. A total of 1,387,204 shares of common stock were reserved for issuance under the 2012 Plan. As of December 31, 2017, no shares remained available for future grant under the 2012 Plan.

On January 20, 2017, the Company's stockholders approved the 2016 Equity Incentive Plan (the "2016 Plan"), which was effective in connection with the completion of the Company's merger with Macrocure. The number of shares of common stock issuable pursuant to outstanding awards granted under the 2016 Plan may not exceed the number that is equal to the sum of (i) 854,321 shares of common stock plus (ii) the number of shares of common stock (not to exceed 103,023 shares) subject to out-of-the-money options issued by Macrocure prior to the closing of the merger and assumed by the Company pursuant to the merger agreement upon consummation of the merger that expire unexercised. Beginning on January 1, 2018, the number of shares of common stock authorized for issuance pursuant to the 2016 Plan will be increased each January 1 by an amount equal to four percent (4%) of the Company's outstanding common stock as of the end of the immediately preceding calendar year or such other amount as determined by the compensation committee of the Company's Board of Directors.

In connection with the merger with Macrocure in January 2017, the Company assumed the Macrocure 2013 Share Incentive Plan (the "2013 Plan"), the Macrocure 2008 Stock Option Plan (the "2008 Plan") and all stock options outstanding under each of the 2013 Plan and the 2008 Plan immediately prior to the consummation of the merger. By virtue of the terms of the Merger Agreement and the 2013 Plan or the 2008 Plan, as applicable, each stock option outstanding immediately prior to the consummation of the merger was automatically converted into a stock option exercisable for a number of shares of the Company's common stock calculated based on the exchange ratio and the exercise price per share of such outstanding stock option.

The Company could also make awards of restricted stock under the 2016 Plan. Restricted stock may be issued under the Equity Plan for such consideration, in cash, other property or services, or any combination thereof, as is determined by the Board of Directors. During the restriction period applicable to the shares of restricted stock, such shares shall be subject to limitations on transferability, subject to forfeiture or repurchase by the Company and/or subject to other terms and conditions. Upon lapse of such restrictions, the stock certificates representing shares of common stock shall be delivered to the grantee.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

10. Stock-Based Compensation (Continued)

A summary of activity under the Plan is as follows:

	Options	Weighted Average Exercise Price Per Share	Weighted Average Remaining Life in Years	Aggregate Intrinsic Value
Outstanding at December 31, 2015	59,274	$ 4.95	7.90	
Forfeited	(6,046)	$ 5.14		
Outstanding at December 31, 2016	53,228	$ 4.93	7.00	$ 213
Granted	2,220,858	$ 12.51		
Exercised	(3,506)	$ 5.55		
Forfeited	(12,959)	$ 5.78		
Outstanding at December 31, 2017	2,257,621	$ 12.38	8.66	$ 68
Options exercisable at December 31, 2017	716,316	$ 19.62	7.35	$ 65
Options vested and expected to vest at December 31, 2017	2,257,621	$ 12.38	8.66	$ 68

During the years ended December 31, 2017 and 2016 the Company recognized $5,600 and $45 respectively, of stock-based compensation expense.

The assumptions that the Company used to determine the grant-date fair value of stock options granted to employees and directors were as follows, presented on a weighted average basis:

	Year Ended December 31, 2017
Expected volatility	68.7%
Weighted average risk-free interest rate	2.1%
Expected dividend yield	0.0%
Expected term (in years)	6.6

The Company did not grant any stock options during 2016.

Stock options generally vest 25% on the one-year anniversary of the date of grant and quarterly thereafter during the subsequent three years. The options expire ten years from the grant date. As of December 31, 2017, there was approximately $6,834 of unrecognized compensation cost related to non-vested stock options, which is expected to be recognized over a remaining weighted-average period of approximately 2.57 years.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

10. Stock-Based Compensation (Continued)

Stock-based compensation expense was classified in the consolidated statements of operations as follows:

	Year Ended December 31,	
	2017	2016
Research and development	$ 1,834	$ 35
General and administrative	3,766	10
Total	$ 5,600	$ 45

11. Income Taxes

The Company had federal, state and foreign net operating loss carryforwards of approximately $81,614, $63,520 and $69,970, respectively, as of December 31, 2017. The U.S. tax losses expire at various times through 2036. The foreign losses are primarily from Israel and have an indefinite carryforward.

The Company may be able to utilize its net operating loss carryforwards to reduce future federal and State income tax liabilities. However, these net operating losses are subject to various limitations under Internal Revenue Code section 382, which limit the use of net operating loss carryforwards to the extent there has been in ownership change of more than 50 percentage points. In addition, the net operating loss carryforwards are subject to examination by the taxing authorities and could be adjusted or disallowed due to such exams. Although the Company has not undergone an IRC section 382 analysis, it is possible that the utilization of the Company's net operating loss carryforwards may be limited.

In addition, the Company has federal and state research and development tax credits of approximately $1,799 and $293, respectively, that begin expiring in 2031 for federal tax purposes and 2030 for state tax purposes.

There is no provision for income taxes in the United States or Israel, because the Company has historically incurred operating losses and maintains a full valuation allowance against its deferred tax assets in these jurisdictions. The deferred tax asset recorded in the consolidated balance sheets relates to the Company's Australian operations.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

11. Income Taxes (Continued)

A summary of the Company's current and deferred expense for income tax is as follows:

	Year Ended December 31,	
	2017	2016
Current expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	—	—
Total current expense (benefit):	$ —	$ —
Deferred expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	(157)	—
Total deferred expense (benefit):	$ (157)	$ —
Total income tax expense (benefit):	$ (157)	$ —

A reconciliation of the Company's statutory income tax rate to the Company's effective income tax rate is as follows:

	Year Ended December 31,	
	2017	2016
Federal statutory income tax rate	34.00%	34.00%
State taxes, net of federal benefit	4.42%	—
Permanent differences	(2.27)%	(6.50)%
Tax credits	3.45%	—
Tax law change	(37.65)%	—
Foreign rate differential	(0.02)%	—
Valuation allowance	(3.11)%	(31.90)%
Other	1.68%	4.40%
	0.50%	0.00%

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

11. Income Taxes (Continued)

The significant components of the company's deferred tax assets as of December 31, 2017 and 2016 were as follows:

	Year Ended September 30,	
	2017	2016
Federal net operating loss carryforwards	$ 33,232	$ 18,258
State net operating loss carryforwards	4,014	1,858
Stock Options	1,348	43
Federal research tax credits	1,799	1,308
State research tax credits	231	119
License fees	1,659	2,616
Accrued expenses	29	599
Other	182	31
Total deferred tax assets	$ 42,494	$ 24,832
Valuation allowance	(42,336)	(24,832)
Net deferred tax asset (liability)	$ 158	$ —

As of December 31, 2017 and 2016, the Company had provided a full valuation allowance against its net deferred tax assets, except for its Australian deferred tax assets, because realization of any future tax benefit cannot be reasonably assured. The valuation allowance increased during the years ended December 31, 2017 and 2016, by $17,504 and $9,060, respectively.

On December 22, 2017, President Trump signed into law H.R. 1, "An Act to provide for reconciliation pursuant to titles II and V of the concurrent resolution on the budget for fiscal year 2018" (this legislation was formerly called the "Tax Cuts and Jobs Act" and is referred to herein as the "The Act"). The Act provides for significant changes in the U.S. Internal Revenue Code of 1986, as amended. The Act contains provisions with separate effective dates but is generally effective for taxable years beginning after December 31, 2017.

The Act has the following effects on our income tax expense for the year ending December 31. 2017:

- The Act imposes a tax on post-1986 earnings of non-U.S. affiliates that have not been repatriated for purposes of US federal income tax ("toll charge"), with those earnings taxed at rates of 15.5% for earnings reflected by cash and cash equivalent items and 8% for other assets. We estimate that we will not owe any cash taxes as a result of this change in law due to being able to use our current year tax loss in the U.S. to offset the income from the toll charge.

- Under Financial Accounting Standards Board Accounting Standards Codification (ASC) Topic 740, Income Taxes ("ASC 740"), we are required to revalue any deferred tax assets or liabilities in the period of enactment of change in tax rates. The Act lowers our US corporate income tax rate from 34% to 21%. The revaluation of our U.S. deferred tax assets and liabilities will reduce our net U.S. deferred tax assets by approximately $11.3 million and is reflected in our tax provision for the year ended December 31, 2017.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

11. Income Taxes (Continued)

The Act will fully affect us in 2018 as certain other of its provisions related to the taxation of non-U.S. activity on a current basis will impact our results, particularly the "global intangible low-taxed income" tax that imposes a tax on earnings that are not subject to tax by non-U.S. jurisdictions above a certain minimum rate. Similar to the toll charge noted above, we do not expect the other provisions to result in cash taxes payable due to application of our tax losses in the US.

The Securities and Exchange Commission issued Staff Accounting Bulletin No. 118 ("SAB 118") on December 23, 2017. SAB 118 provides a one-year measurement period from a registrant's reporting period that includes The Act's enactment date to allow the registrant sufficient time to obtain, prepare and analyze information to complete the accounting required under ASC 740.

The ultimate impact of The Act on our reported results and beyond, particularly the impact of the toll charge, may differ from the estimates provided herein, possibly materially, due to, among other things, changes in interpretations and assumptions we have made, guidance that may be issued, and other actions we may take as a result of the U.S. Tax Act different from that presently contemplated.

The Company follows the authoritative guidance on accounting for and disclosure of uncertainty in tax positions, which requires the Company to determine whether a tax position of the Company is more likely than not to be sustained upon examination, including resolution of any related appeals of litigation processes, based on the technical merits of the position. For tax positions meeting the more likely than not threshold, the tax amount recognized in the financial statements is reduced by the largest benefit that has a greater than 50% likelihood of being realized upon the ultimate settlement with the relevant taxing authority.

The Company files tax returns as prescribed by the tax laws of the jurisdictions in which it operates. In the normal course of business the Company is subject to examination by federal and state jurisdictions, where applicable. There are currently no pending tax examinations. The earliest tax years that may be subject to examination by jurisdiction are 2013 for both federal and state purposes. The Company's policy is to record interest and penalties related to income taxes as part of the tax provision. There were no interest and penalties pertaining to uncertain tax positions for the years ended December 31, 2017 or 2016.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

12. Net Loss Per Share

There were no common shares outstanding during the year ended December 31, 2016, and accordingly, the Company has not presented basic and diluted net loss per share for this period. Basic and diluted net loss per share for the year ended December 31, 2017 was calculated as follows:

	Year Ended December 31, 2017
Numerator:	
Net loss	$ (29,727)
Accretion of preferred stock to redemption value	(244)
Net loss attributable to common stockholders for basic loss per share	$ (29,971)
Less change in fair value of warrant liability	$ 473
Net loss attributable to common stockholders for diluted loss per share	$ (30,444)
Denominator:	
Weighted average number of common shares outstanding—basic	9,161,844
Assumed conversion of dilutive securities:	
Private Placement Warrants	26,743
Denominator for diluted loss per share—adjusted weighted average shares	9,188,587
Net loss per share attributable to common stockholders—basic	$ (3.27)
Net loss per share attributable to common stockholders—diluted	$ (3.31)

The Company's potentially dilutive securities include stock options, warrants and convertible preferred stock. These securities were excluded from the computation of diluted net loss per share for the year ended December 31, 2017 and would have been excluded from the computation for the year ended December 31, 2016, as the effect would be to reduce the net loss per share. The following table includes the potential common shares, presented based on amounts outstanding at each period end, that were excluded from the computation of diluted net loss per share attributable to common stockholders for the periods indicated because including them would have had an anti-dilutive effect:

	Year Ended December 31,	
	2017	2016
Options to purchase common stock	2,257,621	53,228
Warrants assumed from Macrocure	54,516	—
Convertible preferred stock and accrued dividends (as converted to common stock)	—	3,273,508
	2,312,137	3,326,736

In addition to the potentially dilutive securities noted above, as of December 31, 2016, the Company had outstanding notes payable—related party for which principal and unpaid accrued interest

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

12. Net Loss Per Share (Continued)

due under the notes will automatically be converted into the class of the Company's stock issued in the Company's next qualified financing, as defined, based on a conversion price equal to the price per share paid by the investors in the financing. Because the necessary conditions for conversion of the notes had not been met during the year ended December 31, 2016, these notes were excluded from the table above. On January 20, 2017, in connection with and prior to the completion of the merger with Macrocure, the Company's Charter and Bylaws were amended to reflect the conversion of all outstanding shares of the Company's convertible preferred stock into 3,174,523 shares of common stock, and to reflect the conversion of the outstanding note payable and accrued interest into 1,950,768 shares of common stock

13. Commitments and Contingencies

Lease Agreement—Effective January 1, 2017, the Company entered into an assignment agreement to assume an operating lease for its office space in Cambridge, Massachusetts. Annual rent under the lease, exclusive of operating expenses and real estate taxes, was $289 for the 12-month period ending July 31, 2017, increasing to $297 for the 12-month period ending July 31, 2018 and increasing to $305 for the period ending April 30, 2019. The lease agreement expires April 30, 2019, and the Company has the option to extend the term through April 30, 2022

Manufacturing Agreements—The Company is party to manufacturing agreements with vendors to manufacture TRX518 and DKN-01, our lead product candidates, for use in clinical trials. As of December 31, 2017, noncancelable commitments under these agreements totaled $1,519.

License and Service Agreements—On January 3, 2011, the Company entered into a license agreement with Eli Lilly and Company ("Lilly") to grant a license to the Company for certain intellectual property rights relating to pharmaceutically active compounds that may be useful in the treatment of bone healing, cancer and, potentially, other medical conditions. The Company issued 9,000,000 shares of Series A Stock to Lilly as described in Note 8 in consideration for the grant of the license. As defined in the license agreement, the Company would be required to pay royalties to Lilly based upon a percentage in the low single digits of net sales of developed products, if and when achieved. However, there can be no assurance that clinical or commercialization success of developed products will occur, and no royalties have been paid or accrued through December 31, 2017.

License Agreement—On May 28, 2015, the Company entered into a license agreement with Lonza Sales AG ("Lonza"), pursuant to which Lonza granted the Company a world-wide, non-exclusive license for certain intellectual property relating to a gene expression system for manufacturing DKN-01. As defined in the license agreement, the company would be required to pay royalties to Lonza based on a percentage in the low single digits of net sales of DKN-01, if and when achieved. However, there can be no assurance that clinical or commercialization success will occur, and no royalties have been paid or accrued through December 31, 2017.

Legal Proceedings—At each reporting date, the Company evaluates whether or not a potential loss amount or a potential range of loss is probable and reasonably estimable under the provisions of the authoritative guidance that addresses accounting for contingencies. The Company expenses as incurred the costs related to its legal proceedings.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

13. Commitments and Contingencies (Continued)

A patent covering TRX518 and its uses was granted to the Company by the European Patent Office. Three notices of opposition to this patent were filed by two major pharmaceutical companies and an individual, possibly on behalf of a major pharmaceutical company. At the conclusion of the opposition proceedings in 2016, the Opposition Division of the European Patent Office that decided the case issued an interlocutory decision indicating that the Company's patent should be maintained with modified claims that differ from the claims as originally granted. These claims cover the TRX518 antibody and uses of TRX518 in a method of enhancing an immune response in a subject. In July 2016, the Company filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. The Board of Appeal has not scheduled a date for the appeal hearing.

In 2016, a patent covering the use of TRX518 in combination with a chemotherapeutic agent for treating cancer was granted to the Company by the European patent office. In March 2017, notices of opposition to this patent were filed by ten different entities, including several major pharmaceutical companies.

Indemnification Agreements—In the ordinary course of business, the Company may provide indemnification of varying scope and terms to vendors, lessors, business partners and other parties with respect to certain matters including, but not limited to, losses arising out of breach of such agreements or from intellectual property infringement claims made by third parties. In addition, the Company has entered into indemnification agreements with members of its board of directors that will require the Company, among other things, to indemnify them against certain liabilities that may arise by reason of their status or service as directors or officers. The maximum potential amount of future payments the Company could be required to make under these indemnification agreements is, in many cases, unlimited. To date, the Company has not incurred any material costs as a result of such indemnifications. The Company is not aware of any claims under indemnification arrangements, and it has not accrued any liabilities related to such obligations in its consolidated financial statements as of December 31, 2017 and 2016.

14. Defined Contribution Plan

The Company has a 401(k) defined contribution plan (the "401(k) Plan") for substantially all of its employees. Eligible employees may make pretax contributions to the 401(k) Plan up to statutory limits. The Company makes matching employee contributions in cash to the 401(k) Plan at a rate of 100% of the first 3% of earnings contributed and 50% of the next 2% of earnings contributed. Employees participating in the 401(k) Plan are fully vested in the Company matching contributions, and investments are directed by participants. The Company made matching contributions of $137 and $131 for the years ended December 31, 2017 and 2016, respectively.

15. Related Party Transactions

During the year ended December 31, 2016, the Company reimbursed an entity related to one of its stockholders for shared office space and office related expenses. The total amount charged to the Company was approximately $308 during the year ended December 31, 2016. Effective January 1, 2017, the Company entered into an assignment agreement to assume the operating lease for its office space

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

15. Related Party Transactions (Continued)

in Cambridge, Massachusetts, and accordingly, the Company was not required to reimburse the related party during the year ended December 31, 2017.

During the years ended December 31, 2017 and 2016 the Company executed promissory notes with stockholders (See Note 6).

The Company has a license agreement with a stockholder (See Note 13).

On November 14, 2017, the Company entered into Purchase Agreements with certain Purchasers. Each of the Purchase Agreements was on terms and conditions substantially similar to each other Purchase Agreement and pursuant to such Purchase Agreements, the Company, in a private placement, agreed to issue and sell to the Purchasers an aggregate of 2,958,094 Shares of unregistered Common Stock, at a price per share of $6.085, each share issued with a Warrant to purchase one share of Common Stock at an exercise price of $6.085. HealthCare Ventures IX, L.P. and Eli Lilly and Company, each a more than 5% direct holder of the Company's Common Stock, purchased Common Stock and Warrants in the Private Placement. Each of HealthCare Ventures IX, L.P. and Eli Lilly and Company agreed to purchase the Common Stock and Warrants on the same terms and conditions as the other Purchasers. Three of the Company's directors and executive officers are affiliated with HealthCare Ventures IX, L.P. and its affiliates.

16. Subsequent Events

For its consolidated financial statements as of December 31, 2017 and for the year then ended, the Company evaluated subsequent events through February 23, 2018, the date on which those financial statements were issued. Other than any events previously described in these notes to the consolidated financial statements no other subsequent events were considered.

Exhibit 21.1

SUBSIDIARIES OF
LEAP THERAPEUTICS, INC.

Subsidiary	Jurisdiction of Incorporation/Organization
GITR, Inc.	Delaware
HealthCare Pharmaceuticals Pty Ltd	Australia
Leap Therapeutics Ltd.	Israel

QuickLinks

Exhibit 23.1

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We consent to the incorporation by reference in the Registration Statements of Leap Therapeutics, Inc. on Form S-3 (No. 333-221968) and Form S-8 (No. 333-215787) of our report dated February 23, 2018, on our audits of the consolidated financial statements as of December 31, 2017 and 2016 and for each of the years then ended, which report is included in this Annual Report on Form 10-K to be filed on or about February 23, 2018.

/s/ EisnerAmper LLP

Philadelphia, Pennsylvania
February 23, 2018

QuickLinks

Exhibit 31.1

CERTIFICATION PURSUANT TO
SECURITIES EXCHANGE ACT RULES 13a-14(a) AND 15d-14(a)

I, Christopher K. Mirabelli, Ph.D., certify that:

1. I have reviewed this Annual Report on Form 10-K of Leap Therapeutics, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:

   a. Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

   b. Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

   c. Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

   d. Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's Board of Directors (or persons performing the equivalent functions):

   a. All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

   b. Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: February 23, 2018

/s/ CHRISTOPHER K. MIRABELLI, PH.D.

Christopher K. Mirabelli, Ph.D.
Chief Executive Officer, President and Chairman of the Board
(Principal Executive Officer)

QuickLinks

Exhibit 31.2

CERTIFICATION PURSUANT TO
SECURITIES EXCHANGE ACT RULES 13a-14(a) AND 15d-14(a)

I, Douglas E. Onsi, certify that:

1. I have reviewed this Annual Report on Form 10-K of Leap Therapeutics, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:

   a. Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

   b. Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

   c. Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

   d. Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's Board of Directors (or persons performing the equivalent functions):

   a. All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

   b. Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: February 23, 2018

/s/ DOUGLAS E. ONSI

Douglas E. Onsi
Chief Financial Officer, General Counsel, Treasurer and Secretary
(Principal Financial Officer and Principal Accounting Officer)

QuickLinks

Exhibit 32.1

CERTIFICATIONS PURSUANT TO
18 U.S.C. SECTION 1350,
AS ADOPTED PURSUANT TO
SECTION 906 OF THE SARBANES-OXLEY ACT OF 2002

In connection with the Annual Report of Leap Therapeutics, Inc. (the "Corporation") on Form 10-K for the fiscal year ended December 31, 2017, as filed with the Securities and Exchange Commission on the date hereof (the "Report"), Christopher K. Mirabelli, Ph.D., the President and Chief Executive Officer of the Corporation, and Douglas E. Onsi, the Chief Financial Officer, General Counsel, Treasurer and Secretary of the Corporation, hereby certify, pursuant to 18 U.S.C. Section 1350, as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002, that, based upon their knowledge:

(1) The Report fully complies with the requirements of Section 13(a) or 15(d) of the Securities Exchange Act of 1934; and

(2) The information contained in the Report fairly presents, in all material respects, the financial condition and results of operations of the Corporation.

Date: February 23, 2018 By: /s/ CHRISTOPHER K. MIRABELLI, PH.D.

Christopher K. Mirabelli, Ph.D.
Chief Executive Officer, President and Chairman of the Board
(Principal Executive Officer)

Date: February 23, 2018 By: /s/ DOUGLAS E. ONSI

Douglas E. Onsi
Chief Financial Officer, General Counsel, Treasurer and Secretary
(Principal Financial Officer and Principal Accounting Officer)

A signed original of this written statement required by Section 906 has been provided to the Company and will be retained by the Company and furnished to the Securities and Exchange Commission or its staff upon request.

QuickLinks