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, 2011

or

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

Commission file number 0-29889

RIGEL PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware (State or other jurisdiction of incorporation or organization)	**94-3248524** (IRS Employer Identification No.)
1180 Veterans Blvd. South San Francisco, California (Address of principal executive offices)	**94080** (Zip Code)

(650) 624-1100
(Registrant's telephone number, including area code)

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 $.001 per share	The 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 Web site, 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 (§ 229.405 of this chapter) 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 a check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See the definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Exchange Act).

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

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

The approximate aggregate market value of the Common Stock held by non-affiliates of the registrant, based upon the closing price of the registrant's Common Stock as reported on the Nasdaq Global Market on June 30, 2011, the last business day of the registrant's most recently completed second fiscal quarter, was $649,682,698. Shares of the registrant's outstanding Common Stock held by each executive officer, director and affiliates of the registrant's outstanding Common Stock have been excluded. The determination of affiliate status for the purposes of this calculation is not necessarily a conclusive determination for other purposes.

As of February 29, 2012, there were 71,441,820 shares of the registrant's Common Stock outstanding.

DOCUMENTS INCORPORATED BY REFERENCE

Items 10, 11, 12, 13 and 14 of Part III incorporate information by reference from the definitive proxy statement for the registrant's 2012 Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission pursuant to Regulation 14A not later than 120 days after the end of the fiscal year covered by this Annual Report on Form 10-K.

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FORWARD-LOOKING STATEMENTS

This Annual Report on Form 10-K contains statements indicating expectations about future performance and other forward-looking statements within the meaning of Section 21E of the Securities Exchange Act of 1934, as amended (the Exchange Act), that involve risks and uncertainties. We usually use words such as "may," "will," "should," "could," "expect," "plan," "anticipate," "believe," "estimate," "predict," "intend" or the negative of these terms or similar expressions to identify these forward-looking statements. These statements appear throughout this Annual Report on Form 10-K and are statements regarding our current intent, belief or expectation, primarily with respect to our operations and related industry developments. Examples of these statements include, but are not limited to, statements regarding the following: our business and scientific strategies; the progress of our product development programs, including clinical testing, and the timing of commencement and results thereof; our corporate collaborations, and revenues that may be received from collaborations and the timing of those potential payments; our drug discovery technologies; our research and development expenses; protection of our intellectual property; and sufficiency of our cash resources and need for additional capital. You should not place undue reliance on these forward-looking statements. Our actual results could differ materially from those anticipated in these forward-looking statements for many reasons, including as a result of the risks and uncertainties discussed under the heading "Risk Factors" in Part I, Item 1A of this Annual Report on Form 10-K. A forward-looking statement speaks only as of the date on which it is made, and we undertake no obligation to update any forward-looking statement to reflect events or circumstances after the date on which the statement is made or to reflect the occurrence of unanticipated events. New factors emerge from time to time, and it is not possible for us to predict which factors will arise. In addition, we cannot assess the impact of each factor on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in any forward-looking statements.

PART I

Item 1. Business

Overview

Rigel Pharmaceuticals, Inc. was incorporated in Delaware in June 1996, and is based in South San Francisco, California. We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib, an oral spleen tyrosine kinase (SYK) inhibitor that is in Phase 3 clinical trials for rheumatoid arthritis (RA) (partnered with AstraZeneca AB (AZ)), R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma, R548, an oral janus kinase 3 (JAK3) inhibitor in Phase 1 clinical trials for the treatment of transplant rejection and other immune disorders, and R333, a topical JAK/SYK inhibitor in Phase 1 clinical trials for the treatment of discoid lupus (lupus of the skin).

During 2011 and the beginning of 2012 we:

- Announced in January 2012 that AZ has indicated that the Phase 3 studies in RA are continuing as planned. The first of the OSKIRA (Oral SYK Inhibition in Rheumatoid Arthritis) studies, OSKIRA-1, completed full enrollment in the fourth quarter of 2011. AZ expects to file a New Drug Application (NDA) for fostamatinib in the United States and a European equivalent in the second half of 2013.

- Entered into Phase 1 clinical trials with two of our lead product candidates during the fourth quarter of 2011. We are evaluating R548, an oral JAK3 inhibitor, as a potential therapeutic for transplant rejection and other systemic immune disorders, and R333, a topical JAK/SYK inhibitor aimed at treating various phases of discoid lupus (lupus of the skin).

- Announced in August 2011 that AZ had commenced a Phase 2b clinical trial (OSKIRA-4) that explores fostamatinib as a monotherapy in RA in the first quarter of 2011.

- Completed a public offering of 18,745,000 shares of our common stock in June 2011, which resulted in net proceeds of approximately $140.5 million, after deducting underwriting discounts and commissions and offering expenses.

- Assumed development of R343 from Pfizer Inc. (Pfizer) in May 2011 as a result of Pfizer's decision to exit research and development in the allergy and respiratory therapeutic area. We expect to initiate a Phase 2 clinical trial of R343 for the treatment of allergic asthma in the summer of 2012.

Strategy

Our research team is focused on creating a portfolio of product candidates that may be developed as small-molecule therapeutics for our own proprietary programs and/or for development by potential collaborative partners. We recognize that the product development process is subject to both high costs and a high risk of failure. We believe that identifying a variety of product candidates and working in conjunction with other pharmaceutical partners may minimize the risk of failure, fill the product pipeline gap at major pharmaceutical companies, and ultimately, increase the likelihood of advancing clinical development and potential commercialization of the product candidates.

The key elements to our scientific and business strategy are to:

- *develop a diverse portfolio of drug candidates that addresses a variety of therapeutic indications or that represent significant market opportunities;*
- *utilize our robust discovery engine to rapidly discover and validate new product candidates in a broad range of therapeutic indications;*
- *develop drug candidates through at least the proof of concept stage and establish strategic collaborations with pharmaceutical and biotechnology companies to further develop and market our product candidates; and*
- *develop and commercialize selected drug candidates on our own in markets where we believe a company our size can successfully compete.*

Product Development Programs

Our product development portfolio features multiple novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders.

Pipeline	Current Stage	Status
Fostamatinib—Oral SYK Inhibitor		
RA	Phase 3—AZ	AZ indicated that the Phase 3 clinical studies in RA are continuing as planned. The first of the OSKIRA studies, OSKIRA-1, completed full enrollment in the fourth quarter of 2011. AZ expects to file a NDA for fostamatinib in the United States and a European equivalent in the second half of 2013.
R343—Inhaled SYK Inhibitor		
Asthma	Phase 1	Pfizer completed an initial Phase 1b allergen challenge clinical trial. The program was returned to us in 2011. We expect to initiate a Phase 2 clinical trial of R343 for the treatment of allergic asthma in the summer of 2012.
R548—Oral JAK3 Inhibitor		
Transplant Rejection	Phase 1	We entered into a Phase 1 clinical trial in normal healthy volunteers in the fourth quarter of 2011.
R333—Topical JAK/SYK Inhibitor		
Discoid Lupus Erythematosus (DLE)	Phase 1	We began a Phase 1 clinical trial in the fourth quarter of 2011 with R333, which may be useful in treating both acute and chronic phases of DLE. We expect to initiate a Phase 2 clinical study with R333 in the summer of 2012.

Partnered Clinical Programs

Fostamatinib—Rheumatoid Arthritis

Disease background. RA is a systemic autoimmune inflammatory disease that causes damage to the joints and other organs, affecting approximately 1 in 100 people in the United States. It is a major cause of disability and is also associated with reduced life expectancy, especially if it is not adequately treated. Despite current treatment options, many patients still experience significant disease activity, including continued joint destruction leading to pain and disability; therefore, new treatment options are needed.

The current treatment options for RA have significant potential side effects and other shortfalls, including gastrointestinal complications and kidney damage. RA patients may receive multiple drugs, depending on the extent and aggressiveness of their disease. Most RA patients eventually require some form of disease modifying anti-rheumatic drugs (DMARDs). This category of drugs includes methotrexate (MTX) and a variety of intravenously-delivered immunomodulatory agents (anti-tumor necrosis factor (TNF) inhibitors and co-stimulation inhibitors).

Orally-available SYK inhibitor program. Fostamatinib is an orally bio-available SYK inhibitor. It has a novel mechanism of action for the treatment of RA in which it reversibly blocks signaling in multiple cell types involved in inflammation and tissue degradation (e.g. macrophages, osteoclasts, mast cells and B cells). RA is an autoimmune disease characterized by chronic inflammation that affects multiple tissues, but typically produces its most pronounced symptoms in the joints.

OSKIRA

The OSKIRA Phase 3 clinical trial program is designed to investigate fostamatinib as a treatment for RA in patients with an inadequate response to DMARDs, including MTX. AZ announced that the OSKIRA clinical trial program included three pivotal Phase 3 studies assessing the efficacy and tolerability of fostamatinib: two 12-month studies examining the effect of fostamatinib on patients responding inadequately to DMARDs (including MTX), and a six-month study assessing the effect of fostamatinib on patients who have previously responded inadequately to anti-TNF therapy. The fostamatinib clinical trial program is also expected to include long-term safety extension studies involving more than 2,000 of the patients recruited during the course of the Phase 2 and 3 clinical trial programs. AZ also announced that in the first quarter of 2011 they had commenced a Phase 2b clinical trial (OSKIRA-4) that explores fostamatinib as a monotherapy in RA. This trial will provide information on the profile of fostamatinib without concomitant treatment with a DMARD. Recently, AZ indicated that the Phase 3 studies in RA are continuing as planned. OSKIRA-1 completed full enrollment in the fourth quarter of 2011. AZ expects to file a NDA for fostamatinib in the United States, and a European equivalent, in the second half of 2013.

TASKi2

In July 2009, we announced that fostamatinib produced significant clinical improvement in RA patients in the *TASKi2* Phase 2b clinical trial, which evaluated 457 RA patients for up to six months. *TASKi2* was a multi-center, randomized, double-blind, placebo-controlled, parallel-dose clinical trial involving RA patients in the United States, Latin America and Europe who had failed to respond to MTX alone. Patients received either 100 mg of fostamatinib b.i.d. (twice a day), 150 mg q.d. (once a day) or placebo. The groups treated with 100 mg of fostamatinib b.i.d. and 150 mg q.d. reported higher response rates than the placebo group in all criteria levels. The efficacy results for the two dosing groups were comparable, although the response rates for the 100 mg b.i.d. group were uniformly greater. Consistent with the previous Phase 2a clinical trial *(TASKi1)*, the onset effect of fostamatinib occurred within one week after the initiation of therapy and was maintained. The most frequent adverse events were expected based on results from *TASKi1* and appeared to be manageable. The most common, clinically-meaningful, drug-related adverse events noted in *TASKi2* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure at six months from baseline, using a last observation carry forward methodology, was less than 0.5 mmHg for the 150 mg q.d. dose group and approximately 1 mmHg for the 100 mg b.i.d. dose group. On the patients that had a history of high blood pressure, an elevated blood pressure level at screening or baseline, or were on blood pressure medication, approximately 29% in the 150 mg q.d. dose and 39% in the 100 mg b.i.d. dose groups, had blood pressure medication adjusted or initiated during the course of the study, compared with 12% of similar patients from the placebo group. On the patients that did not have a history of high blood pressure, were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline, approximately 4% from the 150 mg q.d. dose and 9% from the 100 mg b.i.d. dose groups had blood pressure medication initiated during the course of the study, compared with 3% of similar patients from the placebo group. For those patients who had their dose of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medication, such as angiotensin-converting enzyme (ACE) inhibitors or diuretics. The most common adverse events in the clinical trial overall were related to infections, though these were generally evenly distributed among the fostamatinib and placebo groups.

TASKi3

In July 2009, we also announced results for the *TASKi3* Phase 2b clinical trial involving 219 RA patients who had failed to respond to at least one biologic treatment. In the *TASKi3* clinical trial, patients received either 100 mg of fostamatinib b.i.d. or placebo b.i.d. for up to three months. The group treated with fostamatinib did not report significantly higher American College of Rheumatology (ACR) 20, ACR 50, ACR 70 and Disease Activity Score (DAS) 28 response rates than the placebo group at three months, and therefore, the trial failed to meet its efficacy endpoints. The objective components (C-Reactive Protein and Erythrocyte Sedimentation Rate) of these ACR scores did show a statistically significant difference; however, the subjective reported response rate components did not show a statistically significant difference as compared to placebo.

TASKi3 was the first clinical trial for fostamatinib in which anatomical changes in the patients' wrists and hands were evaluated using Magnetic Resonance Imaging and scored using the RAMRIS (Rheumatoid Arthritis Magnetic Resonance Imaging Scoring) system. Those results showed improvements in the treated group versus the placebo group in the Synovitis and Osteitis scores, while the Erosion scores, known to be the slowest to change, showed no significant effect at three months. Similar to *TASKi2*, the most common, clinically-meaningful, drug-related adverse events noted in *TASKi3* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure from baseline at three months, using a last observation carry forward methodology, was 3.2 - 3.6 mmHg for the fostamatinib group. In *TASKi3*, approximately 26% of the patients that had a history of high blood pressure, had an elevated blood pressure level at screening or baseline, or were on blood pressure medication, had their blood pressure medication adjusted or initiated during the course of the study, compared with 14% of similar patients in the placebo group. Approximately 5% of the patients with a history of high blood pressure, or who were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline, had their blood pressure medication initiated during the course of the study, compared with 3% of similar patients from the placebo group. For those patients who had dosages of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medications such as ACE inhibitors or diuretics. The most common adverse events in the clinical trial overall were related to infections, though these were generally evenly distributed among the fostamatinib and placebo groups.

Fostamatinib—Other Indications

In addition to RA, fostamatinib has been studied in patients with other immune disorders and some cancers. Our collaboration with AZ gives AZ sole responsibility for all development decisions for all indications. AZ has commenced Phase 2 clinical trials to investigate the effect of fostamatinib on hematological malignancies in the first quarter of 2012.

Clinical Stage Programs

R343—Asthma

Disease background. Allergic asthma is a chronic inflammatory disorder of the airways. Asthma affects the lower respiratory tract and is marked by episodic flare-ups, or attacks, that can be life threatening. In some patients, allergens, such as pollen, trigger the production of immunoglobulin E (IgE) antibodies, which then bind to mast cells and cause an intracellular signal that results in the release of various chemical mediators. When this process occurs repeatedly over time, it creates persistent inflammation of the airway passages, resulting in the chronic congestion and airway obstruction associated with allergic rhinitis and asthma, respectively.

Inhaled SYK inhibitor program. R343 is a potent SYK inhibitor that blocks IgE receptor signaling. Mast cells play important roles in both early and late phase allergic reactions, and SYK inhibitors could potentially prevent both phases. Based on its mechanism of action, this inhaled SYK inhibitor may provide a new treatment paradigm for the largest group of patients with allergic asthma whose symptoms range from acute to chronic phases of the disease.

In 2005, we announced a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma. The collaboration was focused on our pre-clinical small-molecule compounds, which inhibit SYK. R343 was the oral SYK inhibitor small molecule at the center of this collaboration. Pfizer completed the Phase 1a clinical trial of an inhaled formulation of R343, which commenced in December 2007 and resulted in a payment of $5.0 million to us. Pfizer also completed an initial Phase 1b allergen challenge clinical trial. In 2011, we assumed development of R343 after Pfizer returned full rights to the R343 program to us as a result of its decision to exit research and development in the allergy and respiratory therapeutic area, and the collaborative research and license agreement was terminated. We are evaluating the details of R343's development to date and expect to initiate a Phase 2 clinical trial of R343 for the treatment of allergic asthma in the summer of 2012. This multi-center, multiple dose, placebo controlled study is expected to include approximately 300 asthma patients. R343 will be delivered directly into the lungs via a dry inhalation device.

R548—Transplant Rejection

Disease background. Transplant rejection is an area of tremendous medical need. While 90% of patients survive the first year after receiving the transplanted organ, chronic organ rejection rates rise to 50% within the 5 to 10 years following transplant surgery. Currently available therapeutics are not sufficient to achieve lasting recovery and limit the range of transplant options for certain organs. Furthermore, transplants of certain organs are rarely done because of the inadequacies of these therapies.

Oral JAK3 inhibitor program. R548 is an oral JAK3 inhibitor that is expected to moderate the immune system's response to the allograft and improve patient outcomes. R548 may also have application in treating other immune system disorders.

In January 2012, we announced that we initiated Phase 1 clinical studies in normal healthy volunteers in the fourth quarter of 2011 of R548 with a focus to treat transplant rejection and other immune system disorders.

R333—Discoid Lupus Erythematosus (DLE)

Disease background. DLE is an autoimmune disease of the skin characterized by disc-shaped sores with inflammation, swelling, scaling, scarring, pigment discoloration and even hair loss. The lesions most commonly appear in sun exposed areas, predominantly on the face, chest and scalp. This disease has an acute phase, which research has connected to SYK signaling within the immune cascade. There is also a chronic phase of the disease due to the abundance of JAK signaling. Current treatments for DLE have either poor efficacy or significant toxicities.

Topical JAK/SYK inhibitor program. R333 is a topical (ointment) JAK/SYK inhibitor, which may be useful in treating both the acute and chronic phases of DLE. We initiated Phase 1 clinical studies of its topical agent in the fourth quarter of 2011 to test its application in treating acute and chronic phases of DLE. We expect to initiate a Phase 2 clinical study with R333 in the summer of 2012.

Research/Preclinical Programs

We are conducting proprietary research in the broad disease areas of inflammation/immunology and muscle wasting/muscle endurance. Within each disease area, our researchers are investigating mechanisms of action as well as screening compounds against potential novel targets and optimizing those leads that appear to have the greatest potential.

In the area of inflammation/immunology, we expect to initiate clinical trials with one new molecule in 2012 and 2013. We have a lead candidate, R348, which is a soluble JAK/SYK inhibitor for topical ophthalmic use which may be useful to treat Sjogren's syndrome, an autoimmune disorder that affects the lacrimal glands of the eye (tear ducts). We are also developing R256, an inhaled interleukin 13 (IL13) signaling inhibitor in our program for chronic asthma. This selective and potent IL13 inhibitor is in preclinical studies aimed at evaluating its ability to reduce airway inflammation generally associated with chronic asthma and potentially improve the health of the lungs.

In the area of muscle atrophy and muscle endurance, we are focusing on several signaling pathways that are important for muscle homeostasis. Patients with chronic illnesses such as chronic heart failure, chronic obstructive pulmonary disease (COPD) or diabetes, often experience a decrease in strength and increase in fatigue due to muscle myopathy. We are conducting preclinical studies of an oral activator of adenosine monophosphate (AMP)-activated protein kinase (AMPK) to examine whether it can improve the body's energy utilization and restore muscle endurance in chronically ill subjects. Our focus for this program is to evaluate its potential treatment in patients with congestive heart failure (CHF), COPD or peripheral vascular disease who exhibit exercise intolerance.

We also have an active small molecule discovery program in muscle wasting. Excessive loss of muscle in the context of illness can contribute significantly to both morbidity and mortality rates. Many conditions that have been associated with muscle atrophy, or the loss of muscle mass, including cancer, chronic heart failure, chronic kidney disease, mechanical ventilation and aging (sarcopenia), have significant patient populations that may benefit from therapeutics that counter such muscle loss. We are developing a program for intravenous inhibition of growth/differentiation factor 8 (GDF8) signaling for muscle strength. This preclinical program is focused on inhibiting the GDF8 signaling cascade which leads to loss of muscle in a variety of chronic disease states, but particularly in regard to loss of diaphragm muscle mass and strength (atrophy) associated with respiratory ventilator use. Preclinical studies have shown that inhibiting GDF8 signaling may be therapeutically useful to prevent muscle loss and improve muscle function. We may enter the clinic in 2013 with one of our muscle programs discussed above.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have the following significant active collaborations with two major pharmaceutical/biotechnology companies: AZ, relating to fostamatinib for the treatment of RA and other indications, and Daiichi Sankyo Co., Ltd. (Daiichi), relating to oncology. Neither of these collaborations currently provides us with regular reimbursement of research expenses. However, in both of these collaborations, if certain conditions are met, we are entitled to receive future payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further payments or royalties under these agreements or relationships.

AstraZeneca

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing our oral SYK inhibitors. The agreement became effective on March 26, 2010 and we received an upfront payment from AZ of $100.0 million in April 2010.

Under the agreement, our deliverables were: (i) granting a license of rights to fostamatinib, (ii) transfer of technology (know-how) related to fostamatinib, and (iii) conducting, at our expense, the fostamatinib open label extension study until it was transferred to AZ on September 25, 2010. We concluded that these deliverables should be accounted for as one single unit of accounting and we recognized the $100.0 million upfront payment received in April 2010 from AZ ratably over the performance period from March 26, 2010, the effective date of the agreement, through September 25, 2010, the completion date of the last deliverable, which was the transfer of the fostamatinib long-term open label extension study to AZ. We elected a straight-line method for recognition of this upfront payment, as the effort to advance and transfer the study was fairly consistent over the transition period.

On September 29, 2010, we announced that we earned $25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional $320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional $800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. Future events that may trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of milestones.

Either party may terminate the agreement if the other party materially breaches the agreement and such breach remains uncured for 60 days after the date of notice of such breach, or in the event of insolvency of the other party. We may also terminate the agreement in its entirety if AZ challenges the validity, enforceability or scope of any of our patents licensed to AZ by us under the agreement. AZ may also terminate the agreement either (1) without cause upon 180 days written notice or (2) upon 30 days written notice in the event of any change of control of Rigel. If neither party terminates the agreement, then the agreement will remain in effect until the cessation of all commercial sales of all products subject to the agreement, including fostamatinib.

Daiichi Sankyo

In August 2002, we signed a collaboration agreement with Daiichi to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. Daiichi paid us approximately $900,000 at the time we entered into the collaboration agreement. Under the terms of the agreement, the aggregate of potential amounts payable to us is $33.9 million and we are entitled to receive royalties on any commercialized products to emerge from the collaboration, if any, at low to mid-single-digit royalties on sales. In January 2012, we were notified by Daiichi that it has achieved the second designation of a rational lead compound and paid us a contingent fee of $750,000. We have earned, to date, payments totaling $6.5 million and may earn additional payments in connection with certain clinical events. The research phase of this three-year collaboration expired in August 2005. Under the terms of the collaboration agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America, while Daiichi retains co-development and promotion rights in the remainder of the world. Future events that may trigger payments to us under the Daiichi agreement are based solely on Daiichi's future efforts and achievements of milestones.

Either party may terminate the collaboration agreement if the other party materially breaches the agreement and such breach remains uncured after notice of such breach, or after a specified period from the end of a designated research period if no product is commercialized (unless the parties agree to extend the collaboration). The collaboration agreement can also be terminated by mutual written consent of the parties. If neither party exercises its option to terminate the collaboration agreement, then the agreement automatically terminates on the later of (1) the expiration of the last patent with a claim that covers the composition of matter of a product (or manufacture or use of a product under certain circumstances) and (2) after a specified period from the initial commercialization of a licensed product.

Other Agreements

In May 2011, we announced that Pfizer returned to us full rights to the program for R343, a SYK inhibitor small molecule that blocks IgE receptor signaling, as a result of its decision to exit research and development in the allergy and respiratory therapeutic area. At that time, the collaborative research and license agreement that we had entered into with them was terminated. We assumed development of R343 and expect to begin a Phase 2 clinical trial with R343 in asthma in the summer of 2012.

In June 2011, we entered into an exclusive license agreement with BerGenBio AS (BerGenBio) for the development and commercialization of an oncology program. BerGenBio is responsible for all activities it wishes to perform under the license granted. BerGenBio paid us an upfront payment of $500,000 in August 2011. Under the agreement, our deliverables were: (i) granting a license of rights to our oncology program, and (ii) delivering a small batch of compound to BerGenBio. We concluded that these deliverables should be accounted for as separate units of accounting. We used management's best estimate of selling price in the allocation of the upfront payment and recognized revenue of $500,000 for the year ended December 31, 2011. This oncology program was developed before we focused our research and development efforts on inflammatory and autoimmune diseases and muscle disorders.

Our Discovery Engine

The approaches that we use in connection with both our proprietary product development programs and our corporate collaborations are designed to identify protein targets for compound screening and validate the role of those targets in the disease process. Unlike genomics-based approaches, which begin by identifying genes and then searching for their functions, our approach identifies proteins that are demonstrated to have an important role in a specific disease pathway. By understanding the disease pathway, we attempt to avoid studying genes that will not make good drug targets and focus only on the subset of expressed proteins of genes that we believe are specifically implicated in the disease process.

We begin by developing assays that model the key events in a disease process at the cellular level. We then identify potential protein targets. In addition, we identify the proteins involved in the intracellular process and prepare a map of their interactions, thus giving us a comprehensive picture of the intracellular disease pathway. We believe that our approach has a number of advantages, including:

- *improved target identification:* it focuses only on the subset of expressed proteins of genes believed to be specifically implicated in the disease process;
- *rapid validation of protein targets:* it produces validated protein targets quickly because it uses key events in the disease process as the basis to design the functional, disease-based screen;
- *improved disease pathway mapping:* it produces a comprehensive map of the intracellular disease pathway, enabling the identification of a large number of potential protein targets;
- *informed target selection:* it provides a variety of different types of targets and information concerning the role each plays in their endogenous state to better select targets more susceptible to pharmaceutical intervention;
- *efficient compound screening:* it increases the probability and speed with which compound screening will identify "hits" because it provides detailed knowledge of the target that can be used to guide the design of the compound screen; and
- *risk reduction:* it may reduce the risk of failure in the product development process due to serious side effects, including toxicity or other reasons, by selecting only targets that are specific to the disease in question and that have no apparent role in other cell types or signaling pathways.

Because of the very large numbers of screens employed, our technology is labor intensive. The complexity of our technology requires a high degree of skill and diligence to perform successfully. We believe we have been and will continue to be able to meet these challenges successfully and increase our ability to identify targets for drug discovery. Although other companies may utilize technologies similar to certain aspects of our technology, we are unaware of any other company that employs the same combination of technologies that we do.

Pharmacology and Preclinical Development

We believe that the rapid characterization and optimization of compounds identified in high throughput screening (HTS) will generate high quality preclinical development candidates. Our pharmacology and preclinical development group facilitates lead optimization by characterizing lead compounds with respect to pharmacokinetics, potency, efficacy and selectivity. The generation of proof-of-principle data in animals and the establishment of standard pharmacological models with which to assess lead compounds represent integral components of lead optimization. As programs move through the lead optimization stage, our pharmacology and preclinical development groups support our chemists and biologists by performing the necessary studies, including toxicology, for investigational new drug (IND) application submissions.

Clinical Development

We have assembled a team of experts in drug development to design and implement clinical trials and to analyze the data derived from these trials. The clinical development group possesses expertise in project management and regulatory affairs. We work with external clinical research organizations with expertise in managing clinical trials, drug formulation, and the manufacture of clinical trial supplies to support our drug development efforts.

Intellectual Property

We are able to protect our technology from unauthorized use by third parties only to the extent that it is covered by valid and enforceable patents or is effectively maintained as a trade secret. Accordingly, patents and other proprietary rights are an essential element of our business. We have about 90 pending patent applications and over 200 issued patents in the United States, as well as pending corresponding foreign patent applications and issued foreign patents. Our policy is to file patent applications to protect technology, inventions and improvements to inventions that are commercially important to the development of our business. We seek United States and international patent protection for a variety of technologies, including new screening methodologies and other research tools, target molecules that are associated with disease states identified in our screens, and lead compounds that can affect disease pathways. We also intend to seek patent protection or rely upon trade secret rights to protect other technologies that may be used to discover and validate targets and that may be used to identify and develop novel drugs. We seek protection, in part, through confidentiality and proprietary information agreements. We are a party to various license agreements that give us rights to use technologies in our research and development.

Our patents extend for varying periods according to the date of patent filing or grant and the legal term of patents in the various countries where patent protection is obtained. Our material patents relate to compositions of matter covering specific drug candidates in clinical trials that target SYK. These patents will expire, excluding patent term adjustments and extensions, in 2023, 2024 and 2026. Several of these patents will have patent term adjustments and extensions, depending on the length of time required to conduct clinical trials.

We currently hold a number of issued patents in the United States, as well as corresponding applications that allow us to pursue patents in other countries, some of which have been allowed and/or granted and others of which we expect to be granted. Specifically, in most cases where we hold a U.S. issued patent, the subject matter is covered at least by an application filed under the Patent Cooperation Treaty (PCT), which is then used or has been used to pursue protection in certain countries that are members of the treaty. Our material patents relate to fostamatinib, an oral SYK inhibitor, and R406, the active metabolite of fostamatinib.

Fostamatinib. Fostamatinib is covered as a composition of matter in a U.S. issued patent that has an expiration date in September 2026, after taking into account a patent term adjustment, and may be granted further protection under the patent term extension rules related to conducting clinical trials. Fostamatinib is also covered under broader composition of matter claims in a U.S. issued patent that has an expiration date in March 2026, after taking into account a patent term adjustment. Methods of using fostamatinib to treat various indications, methods of making fostamatinib, and compositions of matter covering certain intermediates used to make fostamatinib are also covered, respectively, in three U.S. issued patents; the earliest expiration date of any of these patents is in April 2023 and the latest expiration date is in June 2026, after taking into account patent term adjustments. Corresponding applications have been filed in foreign jurisdictions under the PCT, and are at various stages of prosecution. Of note, a patent covering fostamatinib as a composition of matter and in compositions for use treating various diseases has been granted by the European Patent Office.

R406. R406 is covered as a composition of matter in a U.S. issued patent and, with a patent term adjustment, currently has an expiration date in February 2025. R406 is also covered under two broader composition of matter patents issued in the U.S. expiring in February 2023 and July 2024. Methods of using R406 to treat various indications and compositions of matter covering certain intermediates used to make R406 are also covered under patents described above. Corresponding applications have been filed in foreign jurisdictions under the PCT, and are at various stages of prosecution.

Competition

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face, and will continue to face, intense competition from pharmaceutical and biotechnology companies, as well as from academic and research institutions and government agencies, both in the United States and abroad. Some of these competitors are pursuing the development of pharmaceuticals that target the same diseases and conditions as our research programs. Our major competitors include fully integrated pharmaceutical companies that have extensive drug discovery efforts and are developing novel small molecule pharmaceuticals. We also face significant competition from organizations that are pursuing the same or similar technologies, including the discovery of targets that are useful in compound screening, as the technologies used by us in our drug discovery efforts.

Competition may also arise from:

- new or better methods of target identification or validation;
- other drug development technologies and methods of preventing or reducing the incidence of disease;
- new small molecules; or
- other classes of therapeutic agents.

Our competitors or their collaborative partners may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we or our collaborators are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources and larger research and development staffs than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

We believe that our ability to compete is dependent, in part, upon our ability to create, maintain and license scientifically-advanced technology and upon our and our collaborators' ability to develop and commercialize pharmaceutical products based on this technology, as well as our ability to attract and retain qualified personnel, obtain patent protection or otherwise develop proprietary technology or processes and secure sufficient capital resources for the expected substantial time period between technological conception and commercial sales of products based upon our technology. The failure by any of our collaborators or us in any of those areas may prevent the successful commercialization of our potential drug targets.

Many of our competitors, either alone or together with their collaborative partners, have significantly greater experience than we do in:

- identifying and validating targets;
- screening compounds against targets; and
- undertaking preclinical testing and clinical trials.

Accordingly, our competitors may succeed in obtaining patent protection, identifying or validating new targets or discovering new drug compounds before we do.

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and product candidates obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the U.S. Food and Drug Administration (FDA) or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

We face and will continue to face intense competition from other companies for collaborative arrangements with pharmaceutical and biotechnology companies, for establishing relationships with academic and research institutions and for licenses to additional technologies. These competitors, either alone or with their collaborative partners, may succeed in developing technologies or products that are more effective than ours.

Our ability to compete successfully will depend, in part, on our ability to:

- identify and validate targets;
- discover candidate drug compounds that interact with the targets we identify;
- attract and retain scientific and product development personnel;
- obtain patent or other proprietary protection for our new drug compounds and technologies; and
- enter commercialization agreements for our new drug compounds.

Research and Development Expenses

A significant portion of our operating expenses is related to research and development and we intend to maintain our strong commitment to research and development. See "Item 8. Financial Statements and Supplementary Data" of this Annual Report on Form 10-K for costs and expenses related to research and development, and other financial information for fiscal years 2011, 2010, and 2009.

Government Regulation

Our ongoing development activities are and will continue to be subject to extensive regulation by numerous governmental authorities in the United States and other countries, including the FDA under the Federal Food, Drug and Cosmetic Act. The regulatory review and approval process is expensive and uncertain. Securing FDA approval requires the submission of extensive preclinical and clinical data and supporting information to the FDA for each indication to establish a product candidate's safety and efficacy.

Preclinical studies generally are conducted in laboratory animals to evaluate the potential safety and the efficacy of a product. Drug developers submit the results of preclinical studies to the FDA as part of an IND application that must be approved before clinical trials can begin in humans. Typically, clinical evaluation involves a time consuming and costly three-phase process.

- Phase 1—Clinical trials are conducted with a small number of patients to determine the early safety profile, maximum tolerated dose and pharmacological properties of the product in human volunteers.
- Phase 2—Clinical trials are conducted with groups of patients afflicted with a specific disease in order to determine preliminary efficacy, optimal dosages and expanded evidence of safety.
- Phase 3—Large-scale, multi-center, comparative clinical trials are conducted with patients afflicted with a specific disease in order to determine safety and efficacy as primary support for regulatory approval by the FDA to market a product candidate for a specific disease.

The approval process takes many years, requires the expenditure of substantial resources and may involve ongoing requirements for post-marketing studies. Clinical trials are subject to oversight by institutional review boards and the FDA. In addition, clinical trials:

- must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- are subject to continuing FDA oversight;
- may require large numbers of participants; and
- may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

Even if we are able to achieve success in our clinical testing, we, or our collaborative partners, must provide the FDA and foreign regulatory authorities with clinical data that demonstrates the safety and efficacy of our products in humans before they can be approved for commercial sale. We do not know whether any future clinical trials will demonstrate sufficient safety and efficacy necessary to obtain the requisite regulatory approvals or will result in marketable products. Our failure, or the failure of our strategic partners, to adequately demonstrate the safety and efficacy of our products under development will prevent receipt of FDA and similar foreign regulatory approval and, ultimately, commercialization of our products.

Any clinical trial may fail to produce results satisfactory to the FDA. Preclinical and clinical data can be interpreted in different ways, which could delay, limit or prevent regulatory approval. Negative or inconclusive results or adverse medical events during a clinical trial could cause a clinical trial to be repeated or a program to be terminated. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy or interpretation during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential products, collaborative partners or us.

Outside the United States, our ability to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. The requirements governing the conduct of clinical trials, marketing authorization, pricing and reimbursement vary widely from country to country. At present, foreign marketing authorizations are applied for at a national level, although within the European Union (EU), registration procedures are available to companies wishing to market a product in more than one EU member state. If the regulatory authority is satisfied that adequate evidence of safety, quality and efficacy has been presented, a marketing authorization will be granted. This foreign regulatory approval process involves all of the risks associated with FDA clearance.

Manufacturing and Raw Materials

We currently rely on, and will continue to rely on, third party contract manufacturers to produce sufficient quantities of our product candidates for use in our preclinical and clinical trials.

Employees

As of December 31, 2011, we had 153 employees. None of our employees are represented by a collective bargaining arrangement, and we believe our relationship with our employees is good. Recruiting and retaining qualified scientific personnel to perform research and development work in the future will be critical to our success. We may not be able to attract and retain personnel on acceptable terms given the competition among pharmaceutical and biotechnology companies, academic and research institutions and government agencies for experienced scientists.

Scientific and Medical Advisors

We utilize scientists and physicians to advise us on scientific and medical matters as part of our ongoing research and product development efforts, including experts in clinical trial design, preclinical development work, chemistry, biology, infectious diseases, immunology, muscle wasting and metabolism, general metabolism and oncology. Certain of our scientific and medical advisors and consultants receive an option to purchase our common stock and an honorarium for time spent assisting us.

Available Information

Our website is located at *www.rigel.com*. The information found on our website is not part of or incorporated by reference into this Annual Report on Form 10-K. We electronically file with the Securities and Exchange Commission (SEC) our Annual Report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K, our director and officers' Section 16 reports and other SEC filings and amendments to the reports filed or furnished pursuant to Section 13(a) or 15(d) of the Exchange Act. We make available free of charge on or through our website copies of these reports as soon as reasonably practicable after we electronically file these reports with, or furnish them to, the SEC. Further, a copy of these reports is located at the SEC's Public Reference Room at 100 F Street, NE, Washington, D.C. 20549. Information on the operation of the Public Reference Room can be obtained by calling the SEC at 1-800-SEC-0330. The SEC also maintains an internet site that contains reports, proxy and information statements and other information regarding issuers that file electronically with the SEC at *www.sec.gov*.

Item 1A. Risk Factors

In evaluating our business, you should carefully consider the following risks, as well as the other information contained in this Annual Report on Form 10-K. These risk factors could cause our actual results to differ materially from those contained in forward-looking statements we have made in this Annual Report on Form 10-K and those we may make from time to time. If any of the following risks actually occurs, our business, financial condition and operating results could be harmed. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business.

If our corporate collaborations or license agreements are unsuccessful, our research and development efforts could be delayed.

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties now and in the future. We rely on these arrangements for not only financial resources, but also for expertise we need now and in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if these collaborations or additional third parties with which we may collaborate, if any, will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. In addition, our corporate collaborators may delay clinical trials, provide insufficient funding for a clinical trial program, stop a clinical trial or abandon a drug candidate or development program. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us for any reason, including corporate restructuring, such failure might delay our ongoing research and development efforts, because we might not receive any future payments, and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations.

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ started its Phase 3 clinical trial program in patients in RA in September 2010. Our collaboration agreement with AZ does not include a research phase. The research phase of our collaboration agreement with Daiichi ended in 2005. Each of our collaborations could be terminated by the other party at any time, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the resources and expertise of our collaborative partners could adversely affect our business.

Conflicts also might arise with collaborative partners concerning proprietary rights to particular compounds. While our existing collaborative agreements typically provide that we retain milestone payments and royalty rights with respect to drugs developed from certain derivative compounds, any such payments or royalty rights may be at reduced rates, and disputes may arise over the application of derivative payment provisions to such drugs, and we may not be successful in such disputes.

We are also a party to various license agreements that give us rights to use specified technologies in our research and development processes. The agreements pursuant to which we have in-licensed technology permit our licensors to terminate the agreements under certain circumstances. If we are not able to continue to license these and future technologies on commercially reasonable terms, our product development and research may be delayed or otherwise adversely affected.

If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to our stockholders' interests.

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the collaboration with us or may be acquired or merged with a company having a competing program. In some of our collaborations, we have agreed not to conduct, independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

If any of our corporate collaborators were to breach or terminate its agreement with us or otherwise fail to conduct the collaborative activities successfully and in a timely manner, the preclinical or clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated. We generally do not control the amount and timing of resources that our corporate collaborators devote to our programs or potential products. We do not know whether current or future collaborative partners, if any, might pursue alternative technologies or develop alternative products either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaborative arrangements with us.

If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we will not be permitted to commercialize products from our research and development.

We cannot predict whether regulatory clearance will be obtained for any product that we, or our collaborative partners, hope to develop. Satisfaction of regulatory requirements typically takes many years, is dependent upon the type, complexity and novelty of the product and requires the expenditure of substantial resources. Of particular significance to us are the requirements relating to research and development and testing.

Before commencing clinical trials in humans in the United States, we, or our collaborative partners, will need to submit and receive approval from the FDA of an investigational new drug application (IND). Clinical trials are subject to oversight by institutional review boards and the FDA and:

- must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- are subject to continuing FDA oversight;
- may require large numbers of test subjects; and
- may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

While we have stated that we intend to file additional INDs, this is only a statement of intent, and we may not be able to do so because we may not be able to identify potential product candidates. In addition, the FDA may not approve any IND in a timely manner, or at all.

Before receiving FDA approval to market a product, we must demonstrate with substantial clinical evidence that the product is safe and effective in the patient population and the indication that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory approvals. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, adverse publicity, as well as other regulatory action against our potential products or us. Additionally, we have limited experience in conducting and managing the clinical trials necessary to obtain regulatory approval.

If regulatory approval of a product is granted, this approval will be limited to those indications or disease states and conditions for which the product is demonstrated through clinical trials to be safe and efficacious. We cannot ensure that any compound developed by us, alone or with others, will prove to be safe and efficacious in clinical trials and will meet all of the applicable regulatory requirements needed to receive marketing approval.

Outside the United States, our ability, or that of our collaborative partners, to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. This foreign regulatory approval process typically includes all of the risks and costs associated with FDA approval described above and may also include additional risks and costs.

We might not be able to commercialize our product candidates successfully if problems arise in the clinical testing and approval process.

Commercialization of our product candidates depends upon successful completion of extensive preclinical studies and clinical trials to demonstrate their safety and efficacy for humans. Preclinical testing and clinical development are long, expensive and uncertain processes.

In connection with clinical trials of our product candidates, we face the risks that:

- the product candidate may not prove to be effective;
- the product candidate may cause harmful side effects;
- the clinical results may not replicate the results of earlier, smaller trials;
- we or the FDA or similar foreign regulatory authorities may terminate or suspend the trials;
- the results may not be statistically significant;
- patient recruitment and enrollment may be slower than expected;
- patients may drop out of the trials; and
- regulatory requirements may change.

We do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of potential products beyond the trials already concluded and the trials currently in process. It will take us, or our collaborative partners several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials. Moreover, we or our collaborative partners or regulators may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons.

There is a high risk that drug discovery and development efforts might not successfully generate good product candidates.

At the present time, the majority of our operations are in various stages of drug identification and development. We currently have four product compounds in the clinical testing stage: one with indication for RA subject to a collaboration agreement with AZ; one that has completed an initial Phase 1b allergen challenge trial for allergic asthma for which we expect to start a Phase 2 clinical trial in the summer of 2012; one with indication for transplant rejection currently in Phase 1 clinical trial; and one with indication for DLE currently in Phase 1 clinical trial. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all.

Our compounds in clinical trials and our future leads for potential drug compounds are subject to the risks and failures inherent in the development of pharmaceutical products. These risks include, but are not limited to, the inherent difficulty in selecting the right drug and drug target and avoiding unwanted side effects, as well as unanticipated problems relating to product development, testing, obtaining regulatory approvals, maintaining regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. For example, in our two Phase 2b clinical trials for fostamatinib in RA, *TASKi2* and *TASKi3*, the most common, clinically-meaningful, drug-related adverse events noted were diarrhea and hypertension. In both our *TASKi2* and *TASKi3* Phase 2b clinical trials, a meaningfully higher percentage of patients in the fostamatinib treatment groups had blood pressure medication adjusted or initiated during the course of the clinical trials as compared to the placebo group. In larger future clinical trials, we or our partners may discover additional side effects and/or higher frequency of side effects than those observed in completed clinical trials. If approved by the FDA, the side effect profile of fostamatinib may also result in a narrowly approved indication for use of the product, especially in light of other drugs currently available to treat RA, dependent on the safety profile of fostamatinib relative to those drugs.

The results of preliminary and mid-stage studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the previous studies. Similarly, a clinical trial may show that a product candidate is safe and effective for certain patient populations in a particular indication, but other clinical trials may fail to confirm those results in a subset of that population or in a different patient population, which may limit the potential market for that product candidate. For example, fostamatinib produced significant clinical improvement in RA patients who had failed to respond to MTX alone in our *TASKi2* Phase 2b clinical trial, but our *TASKi3* Phase 2b clinical trial failed to meet its efficacy endpoints in RA patients who had failed to respond to at least one biologic treatment. In addition, if we were to repeat either of the *TASKi2* and *TASKi3* Phase 2b clinical trials, any such additional trials may not confirm the results observed in the original trials. The Phase 3 clinical program evaluating fostamatinib in RA patients, initiated by our partner, AZ, may not show fostamatinib to be safe and effective for the treatment of RA patients. With respect to our own compounds in development, we have established anticipated timelines with respect to the initiation of clinical studies based on existing knowledge of the compounds. However, we cannot provide assurance that we will meet any of these timelines for clinical development. Additionally, the initial results of the completed Phase 1b allergen challenge trial conducted by Pfizer for our asthma program does not necessarily predict final results and the results may not be repeated in our Phase 2 and later clinical trials.

Because of the uncertainty of whether the accumulated preclinical evidence (pharmacokinetic, pharmacodynamic, safety and/or other factors) or early clinical results will be observed in later clinical trials, we can make no assurances regarding the likely results from our future clinical trials or the impact of those results on our business.

Our success is dependent on intellectual property rights held by us and third parties, and our interest in such rights is complex and uncertain.

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. We have about 90 pending patent applications and over 200 issued patents in the United States, as well as corresponding pending foreign patent applications and issued foreign patents. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. For example, we may be involved in interferences before the United States Patent and Trademark Office. Interferences are complex and expensive legal proceedings and there is no assurance we will be successful in any such proceedings. An interference could result in our losing our patent rights and/or our freedom to operate and/or require us to pay significant royalties. Additional uncertainty may result because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

Because the degree of future protection for our proprietary rights is uncertain, we cannot ensure that:

- we were the first to make the inventions covered by each of our pending patent applications;
- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or
- the patents of others will not have a negative effect on our ability to do business.

We rely on trade secrets to protect technology where we believe patent protection is not appropriate or obtainable; however, trade secrets are difficult to protect. While we require employees, collaborators and consultants to enter into confidentiality agreements, we may not be able to adequately protect our trade secrets or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information.

We are a party to certain in-license agreements that are important to our business, and we generally do not control the prosecution of in-licensed technology. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we exercise over our internally-developed technology. Moreover, some of our academic institution licensors, research collaborators and scientific advisors have rights to publish data and information in which we have rights. If we cannot maintain the confidentiality of our technology and other confidential information in connection with our collaborations, our ability to receive patent protection or protect our proprietary information may otherwise be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights. Certain of our in-licenses may be terminated if we fail to meet specified obligations. If we fail to meet such obligations and any of our licensors exercise their termination rights, we could lose our rights under those agreements. If we lose any of our rights, it may adversely affect the way we conduct our business. In addition, because certain of our licenses are sublicenses, the actions of our licensors may affect our rights under those licenses.

If a dispute arises regarding the infringement or misappropriation of the proprietary rights of others, such dispute could be costly and result in delays in our research and development activities and partnering.

Our success will depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to our licensors or ours, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if our collaborators or we would be successful in any such litigation. Any legal action against our collaborators or us claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

- require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;
- prevent us from using the subject matter claimed in the patents held by others;
- subject us to potential liability for damages;
- consume a substantial portion of our managerial and financial resources; and
- result in litigation or administrative proceedings that may be costly, whether we win or lose.

We will need additional capital in the future to sufficiently fund our operations and research.

We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. The agreement became effective on March 26, 2010 and, in connection with the effectiveness of the agreement, we received an upfront payment of $100.0 million in April 2010 from AZ. In October 2010, we received $25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional $320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional $800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of $8.00 per share. We received net proceeds of approximately $140.5 million after deducting underwriting discounts and commissions and offering expenses. We may need additional funds in the future and the amount of future funds needed will depend largely on the success of our internally developed programs as they proceed in later and more expensive clinical trials. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, which may never occur, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on reasonable terms.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. Any debt financing that we are able to obtain may involve operating covenants that restrict our business. To the extent that we raise additional funds through any new collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend on many uncertain factors.

Our future funding requirements will depend upon many factors, including, but not limited to:

- the achievement of the events identified in our collaborative agreements that trigger payments to us from our collaboration partners, most of which are out of our control and rely entirely on the efforts of our partners;
- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by our collaborative partners or licensees or us;
- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators;
- our ability to manage our growth; and
- expenses associated with the pending and potential additional related purported securities class action lawsuits, as well as any unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research and development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

Our success as a company is uncertain due to our history of operating losses and the uncertainty of any future profitability.

Although we generated operating income of approximately $35.3 million for the year ended December 31, 2010, this resulted from the one-time upfront payment from AZ received in April 2010, as well as payment for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. We incurred a loss from operations of approximately $86.4 million for the year ended December 31, 2011. Other than for 2010, we have historically operated at a loss each year since we were incorporated in June 1996, due in large part to the significant research and development expenditures required to identify and validate new product candidates and pursue our development efforts. We expect to continue to incur net operating losses for at least the next two years and there can be no assurance that we will generate operating income in the future. Currently, our only potential sources of revenues are upfront payments, research and development contingent payments and royalty payments pursuant to our collaboration arrangements. If our drug candidates fail or do not gain regulatory approval, or if our drugs do not achieve market acceptance, we may not be profitable. As of December 31, 2011, we had an accumulated deficit of approximately $661.4 million. The extent of our future losses or profitability, if any, is highly uncertain.

Our ability to use net operating losses to offset future taxable income may be subject to certain limitations.

In general, under Section 382 of the Internal Revenue Code, a corporation that undergoes an "ownership change" is subject to limitations on its ability to utilize its pre-change net operating losses to offset future taxable income. Our existing net operating losses and credits may be subject to limitations arising from previous and future ownership changes under Section 382 of the Internal Revenue Code. To the extent we cannot completely utilize net operating loss carryforwards or tax credits in our financial statements to offset future taxable income, our tax expense may increase in future periods.

Because we expect to be dependent upon collaborative and license agreements, we might not meet our strategic objectives.

Our ability to generate revenue in the near term depends on the timing of recognition of certain upfront payments, achievement of certain payment triggering events with our existing collaboration agreements and our ability to enter into additional collaborative agreements with third parties. Our ability to enter into new collaborations and the revenue, if any, that may be recognized under these collaborations is highly uncertain. If we are unable to enter into one or more new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on our ability to continue to develop our compounds and on the trading price of our stock. Our ability to enter into a collaboration may be dependent on many factors, such as the results of our clinical trials, competitive factors and the fit of one of our programs with another company's risk tolerance, including toward regulatory issues, patent portfolio, clinical pipeline, the stage of the available data, particularly if it is early, overall corporate goals and financial position.

To date, a portion of our revenues have been related to the research or transition phase of each of our collaborative agreements. Such revenues are for specified periods, and the impact of such revenues on our results of operations is at least partially offset by corresponding research costs. Following the completion of the research or transition phase of each collaborative agreement, additional revenues may come only from payments triggered by milestones and/or the achievement of other contingent events, and royalties, which may not be paid, if at all, until certain conditions are met. This risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any contingent payments under these agreements. Our receipt of revenues from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. We have received payments from our collaborations with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, Novartis, Daiichi, Merck & Co., Inc., Merck Serono S.A. (Merck Serono) and Pfizer. Under many agreements, future payments may not be earned until the collaborator has advanced product candidates into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to generate revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our common stock.

Our business requires us to generate meaningful revenue from royalties and licensing agreements. To date, we have not received any revenue from royalties for the commercial sale of drugs, and we do not know when we will receive any such revenue, if at all.

Delays in clinical testing could result in increased costs to us.

Significant delays in clinical testing could materially impact our product development costs and timing. We do not know whether planned clinical trials will begin on time, will need to be halted or redesigned or will be completed on schedule, or at all. In addition, clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from scaling up of a study, delays in reaching agreement on acceptable clinical study agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a study at a prospective clinical site or delays in recruiting subjects to participate in a study.

In addition, we typically rely on third-party clinical investigators to conduct our clinical trials and other third-party organizations to oversee the operations of such trials and to perform data collection and analysis. The clinical investigators are not our employees, and we cannot control the amount or timing of resources that they devote to our programs. Failure of the third- party organizations to meet their obligations could adversely affect clinical development of our products. As a result, we may face additional delaying factors outside our control if these parties do not perform their obligations in a timely fashion. While we have not yet experienced delays that have materially impacted our clinical trials or product development costs, delays of this sort could occur for the reasons identified above or other reasons. If we have delays in testing or obtaining regulatory approvals, our product development costs will increase. For example, we may need to make additional payments to third-party investigators and organizations to retain their services or we may need to pay recruitment incentives. If the delays are significant, our financial results and the commercial prospects for our product candidates will be harmed, and our ability to become profitable will be delayed. Moreover, these third-party investigators and organizations may also have relationships with other commercial entities, some of which may compete with us. If these third-party investigators and organizations assist our competitors at our expense, it could harm our competitive position.

We have been named a defendant in a purported securities class action lawsuit. This, and potential similar or related litigation, could result in substantial damages and may divert management's time and attention from our business.

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for our February 2008 public offering of common stock (the Stock Offering). An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act of 1933, as amended (the Securities Act), and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Ninth Circuit Court of Appeals (the "Circuit Court"), appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

We believe that we have meritorious defenses and intend to defend the lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending against legal actions is time-consuming for our management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit. It is possible that we could, in the future, incur judgments or enter into settlements of claims for monetary damages. A decision adverse to our interests on this action could result in the payment of substantial damages, or possibly fines, and could have a material adverse effect on our cash flow, results of operations and financial position. In addition, the uncertainty of the currently pending litigation could lead to increased volatility in our stock price.

We lack the capability to manufacture compounds for development and rely on third parties to manufacture our product candidates, and we may be unable to obtain required material in a timely manner, at an acceptable cost or at a quality level required to receive regulatory approval.

We currently do not have the manufacturing capabilities or experience necessary to produce our product candidates for clinical trials, including R343 for our asthma program, R548 for transplant rejection and R333 for DLE. For each clinical trial of our unpartnered product candidates, we rely on third-party manufacturers for the active pharmaceutical ingredients, as well as various manufacturers to manufacture starting components, excipients and formulated drug products. We rely on manufacturers to produce and deliver all of the materials required for our clinical trials, and many of our preclinical efforts, on a timely basis and to comply with applicable regulatory requirements, including the FDA's current Good Manufacturing Practices (cGMP). In addition, we rely on our suppliers to deliver sufficient quantities of materials produced under cGMP conditions to enable us to conduct planned preclinical studies and clinical trials.

Our current and anticipated future dependence upon these third-party manufacturers may adversely affect our ability to develop and commercialize product candidates on a timely and competitive basis. These manufacturers may not be able to produce material on a timely basis or manufacture material at the quality level or in the quantity required to meet our development timelines and applicable regulatory requirements and may also experience a shortage in qualified personnel. We may not be able to maintain or renew our existing third-party manufacturing arrangements, or enter into new arrangements, on acceptable terms, or at all. Our third-party manufacturers could terminate or decline to renew our manufacturing arrangements based on their own business priorities, at a time that is costly or inconvenient for us. If we are unable to contract for the production of materials in sufficient quantity and of sufficient quality on acceptable terms, our planned clinical trials may be significantly delayed. Manufacturing delays could postpone the filing of our IND applications and/or the initiation or completion of clinical trials that we have currently planned or may plan in the future.

Drug manufacturers are subject to ongoing periodic unannounced inspection by the FDA, the Drug Enforcement Administration, and other federal and state agencies to ensure strict compliance with cGMP and other government regulations and corresponding foreign standards. We do not have control over third-party manufacturers' compliance with these regulations and standards and they may not be able to comply. Switching manufacturers may be difficult because the number of potential manufacturers is limited. It may be difficult or impossible for us to find a replacement manufacturer quickly on acceptable terms, or at all. Additionally, if we are required to enter into new supply arrangements, we may not be able to obtain approval from the FDA of any alternate supplier in a timely manner, or at all, which could delay or prevent the clinical development and commercialization of any related product candidates. Failure of our third- party manufacturers or us to comply with applicable regulations could result in sanctions being imposed on us, including fines, civil penalties, delays in or failure to grant marketing approval of our product candidates, injunctions, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of products and compounds, operating restrictions and criminal prosecutions, any of which could significantly and adversely affect our business.

If our competitors develop technologies that are more effective than ours, our commercial opportunity will be reduced or eliminated.

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face, and will continue to face, intense competition from pharmaceutical and biotechnology companies, as well as from academic and research institutions and government agencies, both in the United States and abroad. Some of these competitors are pursuing the development of pharmaceuticals that target the same diseases and conditions as our research programs. Our major competitors include fully integrated pharmaceutical companies that have extensive drug discovery efforts and are developing novel small-molecule pharmaceuticals. We also face significant competition from organizations that are pursuing the same or similar technologies, including the discovery of targets that are useful in compound screening, as the technologies used by us in our drug discovery efforts.

Competition may also arise from:

- new or better methods of target identification or validation;
- other drug development technologies and methods of preventing or reducing the incidence of disease;
- new small molecules; or
- other classes of therapeutic agents.

Our competitors or their collaborative partners may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we or our collaborators are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources and larger research and development staffs than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

We believe that our ability to compete is dependent, in part, upon our ability to create, maintain and license scientifically-advanced technology and upon our and our collaborators' ability to develop and commercialize pharmaceutical products based on this technology, as well as our ability to attract and retain qualified personnel, obtain patent protection or otherwise develop proprietary technology or processes and secure sufficient capital resources for the expected substantial time period between technological conception and commercial sales of products based upon our technology. The failure by any of our collaborators or us in any of those areas may prevent the successful commercialization of our potential drug targets.

Many of our competitors, either alone or together with their collaborative partners, have significantly greater experience than we do in:

- identifying and validating targets;
- screening compounds against targets; and
- undertaking preclinical testing and clinical trials.

Accordingly, our competitors may succeed in obtaining patent protection, identifying or validating new targets or discovering new drug compounds before we do.

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and product candidates obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

We face and will continue to face intense competition from other companies for collaborative arrangements with pharmaceutical and biotechnology companies, for establishing relationships with academic and research institutions and for licenses to additional technologies. These competitors, either alone or with their collaborative partners, may succeed in developing technologies or products that are more effective than ours.

Our ability to generate revenues will be diminished if our collaborative partners fail to obtain acceptable prices or an adequate level of reimbursement for products from third-party payors or government agencies.

The drugs we hope to develop may be rejected by the marketplace due to many factors, including cost. Our ability to commercially exploit a drug may be limited due to the continuing efforts of government and third-party payors to contain or reduce the costs of health care through various means. For example, in some foreign markets, pricing and profitability of prescription pharmaceuticals are subject to government control. In the United States, we expect that there will continue to be a number of federal and state proposals to implement similar government control. In addition, increasing emphasis on managed care in the United States will likely continue to put pressure on the pricing of pharmaceutical products. Cost control initiatives could decrease the price that any of our collaborators would receive for any products in the future. Further, cost control initiatives could adversely affect our collaborators' ability to commercialize our products and our ability to realize royalties from this commercialization.

Our ability to commercialize pharmaceutical products with collaborators may depend, in part, on the extent to which reimbursement for the products will be available from:

- government and health administration authorities;
- private health insurers; and
- other third-party payors.

Significant uncertainty exists as to the reimbursement status of newly- approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payors increasingly are attempting to contain healthcare costs by limiting both coverage and the level of reimbursement for new drugs and by refusing, in some cases, to provide coverage for uses of approved products for disease indications for which the FDA has not granted labeling approval. Third- party insurance coverage may not be available to patients for any products we discover and develop, alone or with collaborators. If government and other third-party payors do not provide adequate coverage and reimbursement levels for our products, the market acceptance of these products may be reduced.

If product liability lawsuits are successfully brought against us, we may incur substantial liabilities and may be required to limit commercialization of our products.

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit commercialization of our products. We carry product liability insurance that is limited in scope and amount and may not be adequate to fully protect us against product liability claims. Our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of pharmaceutical products we develop, alone or with corporate collaborators. We, or our corporate collaborators, might not be able to obtain insurance at a reasonable cost, if at all. While under various circumstances we are entitled to be indemnified against losses by our corporate collaborators, indemnification may not be available or adequate should any claim arise.

Our research and development efforts will be seriously jeopardized, if we are unable to attract and retain key employees and relationships.

As a small company, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and development, regulatory and clinical personnel. If we lose the services of any of our key personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

We depend on various scientific consultants and advisors for the success and continuation of our research and development efforts.

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery and development programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not our employees and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

If we use biological and hazardous materials in a manner that causes injury or violates laws, we may be liable for damages, penalties or fines.

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result or for penalties or fines that may be imposed, and such liability could exceed our resources. We are also subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

Our facilities are located near known earthquake fault zones, and the occurrence of an earthquake or other catastrophic disaster could cause damage to our facilities and equipment, which could require us to cease or curtail operations.

Our facilities are located in the San Francisco Bay Area near known earthquake fault zones and are vulnerable to significant damage from earthquakes. We are also vulnerable to damage from other types of disasters, including fires, floods, power loss, communications failures and similar events. If any disaster were to occur, our ability to operate our business at our facilities would be seriously, or potentially completely, impaired, and our research could be lost or destroyed. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from a disaster. The insurance we maintain may not be adequate to cover our losses resulting from disasters or other business interruptions.

Future interest income and value of our investments may be impacted by declines in interest rates and the broader effects of the recent turmoil in the global credit markets.

The credit markets and the financial services industry have been experiencing a period of unprecedented turmoil and upheaval. The credit rating for the U.S. long-term sovereign debt was downgraded in August 2011 by Standard & Poors (S&P). There can be no assurance that further deterioration in credit and financial markets will not occur. As a result, the interest paid on certain of our investments may decrease and the value of certain securities we hold may decline in the future, which could negatively affect our financial condition, cash flows and reported earnings.

Our stock price may be volatile, and our stockholders' investment in our stock could decline in value.

The market prices for our common stock and the securities of other biotechnology companies have been highly volatile and may continue to be highly volatile in the future. The following factors, in addition to other risk factors described in this section, may have a significant impact on the market price of our common stock:

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- the receipt or failure to receive the additional funding necessary to conduct our business;
- selling by large stockholders;
- presentations of detailed clinical trial data at medical and scientific conferences and investor perception thereof;
- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- publicity regarding actual or potential medical results relating to products under development by our competitors or us;
- regulatory developments in the United States and foreign countries;
- litigation or arbitration;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

Future equity issuances or a sale of a substantial number of shares of our common stock may cause the price of our common stock to decline.

Because we may need to raise additional capital in the future to continue to expand our business and our research and development activities, among other things, we may conduct additional equity offerings. If we or our stockholders sell substantial amounts of our common stock (including shares issued upon the exercise of options and warrants) in the public market, the market price of our common stock could fall. A decline in the market price of our common stock could make it more difficult for us to sell equity or equity-related securities in the future at a time and price that we deem appropriate.

Anti-takeover provisions in our charter documents and under Delaware law may make an acquisition of us, which may be beneficial to our stockholders, more difficult.

Provisions of our amended and restated certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us, even if doing so would benefit our stockholders. These provisions:

- establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning a majority of our capital stock;
- authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;
- limit who may call a special meeting of stockholders;
- prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;
- establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings;
- provide for a board of directors with staggered terms; and
- provide that the authorized number of directors may be changed only by a resolution of our board of directors.

In addition, Section 203 of the Delaware General Corporation Law, which imposes certain restrictions relating to transactions with major stockholders, may discourage, delay or prevent a third party from acquiring us.

Item 1B. Unresolved Staff Comments

None.

Item 2. Properties

We currently lease facilities consisting of approximately 147,000 square feet of research and office space located at 1180 Veterans Boulevard, South San Francisco, California. The lease expires in January 2018. We believe our facilities are in good operating condition and that the leased real property is adequate for all present and near term uses.

Item 3. Legal Proceedings

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for the Stock Offering. An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Circuit Court appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

We believe that we have meritorious defenses and intend to defend the lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending against legal actions is time-consuming for our management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit. It is possible that we could, in the future, incur judgments or enter into settlements of claims for monetary damages. A decision adverse to our interests on this action could result in the payment of substantial damages, or possibly fines, and could have a material adverse effect on our cash flows, results of operations and financial position. In addition, the uncertainty of the currently pending litigation could lead to increased volatility in our stock price.

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

Our common stock commenced trading publicly on a predecessor to the Nasdaq Global Market under the symbol "RIGL" on December 7, 2000. The following table sets forth, for the periods indicated, the high and low intraday sales prices of our common stock as reported on the Nasdaq Global Market:

	High	Low
Year Ended December 31, 2010		
First Quarter	$10.03	$7.21
Second Quarter	$8.49	$6.02
Third Quarter	$8.83	$6.79
Fourth Quarter	$8.78	$7.45
Year Ended December 31, 2011		
First Quarter	$7.84	$6.42
Second Quarter	$9.42	$6.98
Third Quarter	$10.21	$6.57
Fourth Quarter	$8.77	$6.60

On February 29, 2012, the last reported sale price for our common stock on the Nasdaq Global Market was $10.0 per share.

Holders

As of February 29, 2012, there were approximately 115 stockholders of record of our common stock.

Dividends

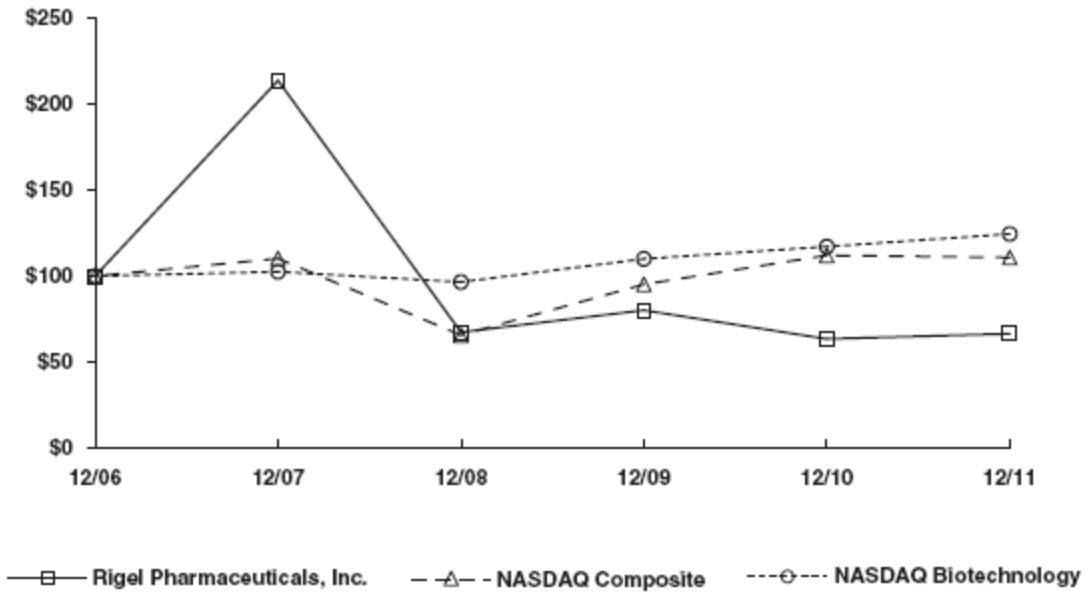
We have not paid any cash dividends on our common stock and currently do not plan to pay any cash dividends in the foreseeable future.

Performance Measurement Comparison

The graph below shows the cumulative total stockholder return of an investment of $100 (and the reinvestment of any dividends thereafter) on December 31, 2006 in (i) our common stock, (ii) the Nasdaq Composite Index and (iii) the Nasdaq Biotechnology Index. The Nasdaq Biotechnology Index is a modified-capitalization weighted index that includes securities of Nasdaq-listed companies classified according to the Industry Classification Benchmark as either Biotechnology or Pharmaceuticals and which also meet other eligibility criteria. Our stock price performance shown in the graph below is based upon historical data and is not indicative of future stock price performance.

The following graph and related information shall not be deemed "soliciting material" or be deemed to be "filed" with the SEC, nor shall such information be incorporated by reference into any future filing, except to the extent that we specifically incorporate it by reference into such filing.

COMPARISON OF 5 YEAR CUMULATIVE TOTAL RETURN*
Among Rigel Pharmaceuticals, Inc., the NASDAQ Composite Index
and the NASDAQ Biotechnology Index\



* $100 invested on 12/31/06 in stock or index-including reinvestment of dividends at fiscal year ending December 31.

Item 6. Selected Financial Data

The following selected financial data have been derived from our audited financial statements. The information set forth below is not necessarily indicative of our results of future operations and should be read in conjunction with "Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations" and "Item 8. Financial Statements and Supplementary Data" included elsewhere in this Annual Report on Form 10-K.

	Fiscal Years Ended December 31,				
	2011	2010	2009	2008	2007
	(in thousands, except per share amounts)				
Statements of Operations Data:					
Contract revenues from collaborations	$4,750	$125,000	$750	$—	$12,600
Costs and expenses:					
Research and development	69,350	64,392	90,743	109,670	70,364
General and administrative	21,768	25,291	20,903	27,044	21,763
Restructuring charges	—	—	1,141	—	—
Total costs and expenses	91,118	89,683	112,787	136,714	92,127
Income (loss) from operations	(86,368)	35,317	(112,037)	(136,714)	(79,527)
Other income	—	2,361	—	—	—
Interest income	420	303	600	4,439	5,476
Interest expense	(25)	(91)	(203)	(160)	(221)
Income (loss) before income taxes	(85,973)	37,890	(111,640)	(132,435)	(74,272)
Income tax benefit	—	—	93	89	—
Net income (loss)	$(85,973)	$37,890	$(111,547)	$(132,346)	$(74,272)
Net income (loss) per share:					
Basic	$(1.36)	$0.73	$(2.73)	$(3.67)	$(2.57)
Diluted	$(1.36)	$0.72	$(2.73)	$(3.67)	$(2.57)
Weighted average shares used in computing net income (loss) per share:					
Basic	63,329	52,055	40,876	36,025	28,936
Diluted	63,329	52,573	40,876	36,025	28,936

	As of December 31,				
	2011	2010	2009	2008	2007
	(in thousands)				
Balance Sheet Data:					
Cash, cash equivalents and available-for-sale securities	$247,640	$177,295	$133,318	$134,477	$108,296
Working capital	238,706	168,600	118,195	113,936	95,018
Total assets	257,106	186,695	140,744	143,858	115,789
Capital lease obligations, less current portion	—	45	883	2,053	784
Accumulated deficit	(661,407)	(575,434)	(613,324)	(501,777)	(369,431)
Total stockholders' equity	236,149	166,131	109,867	104,165	82,182

See Note 1 to the Financial Statements for description of the number of shares used in the computation of basic and diluted income (loss) per share.

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

Overview

We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib, an oral SYK inhibitor that is in Phase 3 clinical trials for RA (partnered with AZ), R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma, R548, an oral JAK3 inhibitor in Phase 1 clinical trials for the treatment of transplant rejection and other immune disorders, and R333, a topical JAK/SYK inhibitor in Phase 1 clinical trials for the treatment of discoid lupus (lupus of the skin).

Since inception, we have financed our operations primarily through the sale of equity securities, contract payments under our collaboration agreements and equipment financing arrangements. Our research and development activities, including preclinical studies and clinical trials, consume substantial amounts of capital. In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of $8.00 per share. We received net proceeds of approximately $140.5 million, after deducting underwriting discounts and commissions and offering expenses. As of December 31, 2011, we had approximately $247.6 million in cash, cash equivalents and available-for-sale securities. We believe that our existing capital resources will be sufficient to support our current and projected funding requirements through at least the next 12 months. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding.

Product Development Programs

Our product development portfolio features multiple novel, small-molecule drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of inflammatory and autoimmune disorders, as well as muscle disorders. Please refer to "Part I. Item 1. Business— Product Development Programs" for a detailed discussion of our multiple product candidates in development.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborators. Please refer to "Part I. Item 1. Business—Corporate Collaborations" for a detailed discussion of our corporate collaborations.

Research and Development Expenses

Our research and development expenditures include costs related to preclinical and clinical trials, scientific personnel, supplies, equipment, consultants, sponsored research, stock-based compensation, and allocated facility costs.

We do not track fully-burdened research and development costs separately for each of our drug candidates. We review our research and development expense by focusing on three categories: research, development, and other. Our research team is focused on creating a portfolio of product candidates that can be developed into small molecule therapeutics in our own proprietary programs or with potential collaborative partners and utilizes our robust discovery engine to rapidly discover and validate new product candidates in our focused range of therapeutic indications. "Research" expenses relate primarily to personnel expenses, lab supplies, fees to third party research consultants and compounds. Our development group leads the implementation of our clinical and regulatory strategies and prioritizes disease indications in which our compounds may be studied in clinical trials. "Development" expenses relate primarily to clinical trials, personnel expenses, lab supplies and fees to third party research consultants. "Other" expenses primarily consist of allocated facilities costs and allocated stock-based compensation expense relating to personnel in research and development groups.

In addition to reviewing the three categories of research and development expense described in the preceding paragraph, we principally consider qualitative factors in making decisions regarding our research and development programs, which include enrollment in clinical trials and the results thereof, the clinical and commercial potential for our drug candidates and competitive dynamics. We also make our research and development decisions in the context of our overall business strategy, which includes the evaluation of potential collaborations for the development of our drug candidates.

The following table presents our total research and development expense by category.

	Years Ended December 31,		
	2011	2010	2009
	(in thousands)		
Categories:			
Research	$23,331	$20,082	$18,845
Development	20,363	19,000	46,226
Other	25,656	25,310	25,672
	$69,350	$64,392	$90,743

"Other" expenses mainly represent allocated facilities costs of approximately $16.4 million, $16.3 million and $16.7 million for the years ended December 31, 2011, 2010 and 2009, respectively, and allocated stock-based compensation expenses of approximately $9.3 million, $9.0 million and $8.9 million for the years ended December 31, 2011, 2010 and 2009, respectively.

For the period from January 1, 2007 to December 31, 2011, our total research and development expense by category was $109.6 million, $167.0 million, and $127.9 million, for research, development and other, respectively.

For the year ended December 31, 2011, a major portion of our total research and development expense was associated with our allocated facilities costs, the salaries of our research and development personnel, allocated stock- based compensation expense and research and development expense for our asthma program, as well as our oral JAK3 inhibitor program. For the year ended December 31, 2010, the major portion of our total research and development expense was related to the extension trials in RA patients and the oral JAK3 inhibitor program. For the years ended December 31, 2009, the major portion of our total research and development expense was associated with our two Phase 2b clinical trials (*TASKi2* and *TASKi3*), as well as the related extension trials in RA patients.

The Phase 2 clinical trials of fostamatinib in RA were completed in 2009. We licensed the rights to fostamatinib to AZ in February 2010. On September 29, 2010, AZ announced the enrollment of the first patient in the Phase 3 clinical program for fostamatinib, referred to as OSKIRA-1. AZ also announced that in the first quarter of 2011 they had commenced a Phase 2b clinical trial, OSKIRA-4, that explores fostamatinib as a monotherapy in RA. Recently, AZ indicated that the Phase 3 studies in RA are continuing as planned. OSKIRA-1 completed full enrollment in the fourth quarter of 2011. AZ expects to file a NDA for fostamatinib in the United States and a European equivalent in the second half of 2013. AZ will be responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors.

The scope and magnitude of future research and development expense are difficult to predict given the number of clinical trials that we will need to conduct for any of our potential products, as well as our limited capital resources. Preclinical testing and clinical development are long, expensive and uncertain processes. In general, biopharmaceutical development involves a series of steps, beginning with identification of a potential target and including, among others, proof of concept in animals and Phase 1, 2 and 3 clinical trials in humans. Each of these steps is typically more expensive than the previous step. Success in early stages of development often results in increasing expenditures for a given product candidate. Significant delays in clinical testing could materially impact our product development costs and timing of completion of the clinical trials. We do not know whether planned clinical trials will begin on time, will need to be halted or revamped or will be completed on schedule, or at all. Clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a trial, delays from scale up, delays in reaching agreement on acceptable clinical trial agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a clinical trial at a prospective clinical site or delays in recruiting subjects to participate in a clinical study.

We currently do not have reliable estimates of total costs for a particular drug candidate to reach the market. Our potential products are subject to a lengthy and uncertain regulatory process that may involve unanticipated additional clinical trials and may not result in receipt of the necessary regulatory approvals. Failure to receive the necessary regulatory approvals would prevent us from commercializing the product candidates affected. In addition, clinical trials of our potential products may fail to demonstrate safety and efficacy, which could prevent or significantly delay regulatory approval. We do not have a reasonable basis to determine when or if material net cash inflows from the commercialization and sale of our drug candidates will occur. Commercialization of our product candidates depends upon successful completion of extensive preclinical studies and clinical trials to demonstrate their safety and efficacy for humans. We do not know whether we, or any of our current or potential future collaborative partners, will undertake clinical trials of potential products beyond the trials already concluded and the trials currently in process. It will take us, or our current or potential future collaborative partners, several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. Moreover, we or our current or potential future collaborative partners may decide to discontinue development of any project at any time for regulatory, commercial, scientific or other reasons. To date, we have not commercialized any of our drug candidates, and we may never do so.

For a discussion of the risks and uncertainties associated with the timing and costs of completing the development of our drug candidates, see "Part I. Item 1A. Risk Factors," including in particular the following risks:

- "If our corporate collaborations or license agreements are unsuccessful, our research and development efforts could be delayed."

- "If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to our stockholders' interests."

- "If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we will not be permitted to commercialize products from our research and development."

- "We might not be able to commercialize our product candidates successfully if problems arise in the clinical testing and approval process."

- "There is a high risk that drug discovery and development efforts might not successfully generate good product candidates."

- "We will need additional capital in the future to sufficiently fund our operations and research."

- "Because we expect to be dependent upon collaborative and license agreements, we might not meet our strategic objectives."

- "Delays in clinical testing could result in increased costs to us."

For further discussion on research and development activities, see "Research and Development Expense" under "Results of Operations" below.

Critical Accounting Policies and the Use of Estimates

Our discussion and analysis of our financial condition and results of operations is based upon our financial statements, which have been prepared in accordance with U.S. generally accepted accounting principles (U.S. GAAP). The preparation of these financial statements requires us to make estimates and judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and related disclosure of contingent assets and liabilities. We evaluate our estimates, including those related to the terms of our research and development collaborations (i.e. revenue recognition of upfront fees and certain contingent payments), investments, stock-based compensation, impairment issues, the estimated useful life of assets, and estimated accruals and contingencies, on an on-going basis. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. We believe that there were no significant changes in our critical accounting policies during the year ended December 31, 2011 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2010. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements:

Revenue Recognition

We present revenue from our collaboration arrangements under Financial Accounting Standards Board (FASB) Accounting Standards Codification (ASC) 808, *Collaboration Arrangements*. Our revenue arrangements with multiple elements are evaluated under FASB ASC 605-25, *Multiple-Element Arrangements* (as amended by Accounting Standards Update (ASU) 2009-13), and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has standalone value to the customer, whether the arrangement includes a general right of return relative to the delivered element and whether delivery or performance of the undelivered element is considered probable and substantially under our control. Following the adoption of ASU 2009-13 on January 1, 2011, consideration we receive under collaboration arrangements is allocated among the separate units of accounting based on the selling price hierarchy, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development periods for each agreement. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Our research and development expenses under the collaborative research agreements approximate the revenue recognized under such agreements over the term of the respective agreements. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the agreement.

Revenues associated with substantive, at-risk milestones pursuant to collaborative agreements are recognized upon achievement of the milestones. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Non-refundable contingent future amounts receivable in connection with future events specified in collaboration agreements that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through completion of any underlying performance obligations, the amounts are fixed or determinable and collectability is reasonably assured.

Stock-Based Compensation

Total stock-based compensation expense related to stock-based awards to our officers, directors and all other employees and consultants under our stock option plans that we recognized for the years ended December 31, 2011, 2010 and 2009 was comprised as follows:

	Years Ended December 31,			Aggregate Change 2011 from 2010	Aggregate Change 2010 from 2009
	2011	2010	2009		
	(in thousands)				
Stock-based compensation expense from:					
Officer, director and employee options	$13,168	$16,405	$13,217	$(3,237)	$3,188
Consultant options	—	31	99	(31)	(68)
Restructuring charges	—	—	122	—	(122)
Total	$13,168	$16,436	$13,438	$(3,268)	$2,998

We grant options to purchase our common stock to our officers, directors and all other employees and consultants under our stock option plans. Eligible employees can also purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date under our employee stock purchase plan (Purchase Plan). The benefits provided under these plans are stock-based payments subject to the provisions of FASB ASC 718. We adopted the use of the straight-line attribution method over the requisite service period for the entire award. In addition, we estimate the amount of expected forfeitures when calculating compensation costs, then record actual forfeitures as they occur. We review our forfeiture rates each quarter and make any necessary changes to our estimates.

The decrease in stock-based compensation expense for the year ended December 31, 2011, as compared to the same period in 2010, was primarily due to the amortization of stock-based compensation expense in the first quarter of 2010 related to options granted in late March of 2009 that vested over one year, in addition to the amortization of stock-based compensation expense related to options granted in January of 2010 that vested over one year, while amortization of stock-based compensation expense for the year ended December 31, 2011 primarily relates to options granted in 2011 that vested over one year. The increase in stock-based compensation expense for the year ended December 31, 2010, as compared to the same period in 2009, was primarily due to the stock- based compensation expense amortization resulting from the increase in the number of options granted in 2010, as compared to the same period in 2009, as well as additional amortization of stock-based compensation expense in the first quarter of 2010 related to options granted in late March of 2009, which were fully amortized by the end of the first quarter of 2010.

In February 2009, we announced that we cut our research programs in virology and oncology as well as terminated certain related development and administrative staff, which resulted in the dismissal of 36 employees, or approximately 20% of our workforce. This measure was intended to maintain our emphasis on our active preclinical and clinical programs, while conserving our resources. As part of a package we offered the terminated employees, we extended the date the terminated employees had to exercise their vested options to December 31, 2009 rather than 90 days from the termination date as is typically required under our equity incentive plan. We recorded $122,000 of non-cash stock-based compensation expense incurred in connection with this modification in the first quarter of 2009.

The determination of the fair value of stock-based payment awards on the date of grant using the Black-Scholes option-pricing model is affected by our stock price, as well as assumptions regarding a number of complex and subjective variables. These variables include, but are not limited to, volatility, expected term, risk-free interest rate and dividends. We estimate volatility over the expected term of the option using historical share price performance. For expected term, among other things, we take into consideration our historical data of options exercised, cancelled and expired. The risk-free rate is based on the U.S. Treasury constant maturity rate. We have not paid and do not expect to pay dividends in the foreseeable future. In order to calculate stock-based compensation expense, we also estimate the forfeiture rate using our historical experience with options that cancel before they vest.

We also record charges associated with options granted to consultants reflecting the fair value and periodic fair value re-measurement of outstanding consultant options under FASB ASC 505-50. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. We amortize stock-based compensation related to consultants using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of FASB ASC 718.

Research and Development Accruals

We have various contracts with third parties related to our research and development activities. Costs that are incurred but not billed to us as of the end of the period are accrued. We make estimates of the amounts incurred in each period based on the information available to us and our knowledge of the nature of the contractual activities generating such costs. Clinical trial contract expenses are accrued based on units of activity reported by third parties. Expenses related to other research and development contracts, such as research contracts, toxicology study contracts and manufacturing contracts are estimated to be incurred generally on a straight-line basis over the duration of the contracts. Raw materials and study materials purchased by third parties are expensed at the time of purchase. Many of our estimates are based significantly or in part on information provided for us by third parties. If such information were not reported properly, our research and development expense amounts could be misstated.

Results of Operations

Years Ended December 31, 2011, 2010 and 2009

Revenues

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Contract revenues from collaborations	$4,750	$125,000	$750	$(120,250)	$124,250

Revenues by collaborator were:

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Merck Serono	$4,250	$—	$—	$4,250	$—
BerGenBio	500	—	—	500	—
AstraZeneca	—	125,000	—	(125,000)	125,000
Daiichi	—	—	750	—	(750)
Total	$4,750	$125,000	$750	$(120,250)	$124,250

Contract revenue from collaborations of $4.8 million in 2011 consisted of the $4.3 million final payment from Merck Serono and the $500,000 upfront payment we received from BerGenBio for out-licensing an oncology program in June 2011. The final payment from Merck Serono was for the collaboration agreement that was terminated in 2010 and all licenses to aurora kinase inhibitors reverted back to us. Contract revenue from collaborations of $125.0 million in 2010 consisted of the $100.0 million upfront payment from AZ pursuant to the exclusive worldwide license agreement for fostamatinib and $25.0 million in revenue earned from AZ under the agreement for their initiation of the Phase 3 clinical program with fostamatinib in patients with RA and for the completion of transferring the fostamatinib long-term open label extension study to AZ. Contract revenues of $750,000 in 2009 consisted of a milestone payment from Daiichi for the first designation of a rational design lead compound.

The decrease in contract revenue from collaborations for the year ended December 31, 2011, as compared to the same period in 2010, was primarily due to the $100.0 million upfront payment from AZ and the $25.0 million in revenues earned from AZ in 2010, partially offset by the $4.3 million payment from Merck Serono, as well as the $500,000 upfront payment we received from BerGenBio in 2011. The increase in revenues for the year ended December 31, 2010, as compared to the similar period in 2009, was due to the recognition of payments from AZ in 2010 as discussed above. We had no deferred revenue as of December 31, 2011. In January 2012, we were notified by Daiichi that it has achieved the second designation of a rational lead compound and paid us a contingent fee of $750,000 in 2012. Our potential future revenues may include payments from our current collaboration partners and from new collaboration partners with which we enter into agreements in the future, if any.

Research and Development Expense

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Research and development expense	$69,350	$64,392	$90,743	$4,958	$(26,351)
Stock-based compensation expense included in research and development expense	$9,277	$9,025	$8,937	$252	$88

The increase in research and development expense for the year ended December 31, 2011, compared to 2010, was primarily due to an increase in research and development costs related to our asthma program and our oral and topical JAK3 inhibitor programs, partially offset by the completion of the transfer of the fostamatinib open label extension study to AZ in September 2010. The decrease in research and development expense for the year ended December 31, 2010, compared to 2009, was primarily due to the completion of our two Phase 2b clinical trials, *TASKi2* and *TASKi3*, in July 2009, as well as the completion of the transfer of the fostamatinib open label extension study to AZ in September 2010, partially offset by the increase in costs related to the oral JAK3 inhibitor program. We expect that our research and development expenses will increase as we plan to initiate a Phase 2 clinical trial for our asthma program in the summer of 2012, and as our Phase 1 clinical trials for our oral JAK3 inhibitor program and topical JAK3 program progress.

General and Administrative Expense

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
General and administrative expense	$21,768	$25,291	$20,903	$(3,523)	$4,388
Stock-based compensation expense included in general and administrative expense	$3,891	$7,411	$4,379	$(3,520)	$3,032

The decrease in general and administrative expense for the year ended December 31, 2011, as compared to the same period in 2010, was primarily due to the decrease in stock-based compensation expense as discussed under "Stock-Based Compensation" above. The increase in general and administrative expense for the year ended December 31, 2010, as compared to the same period in 2009, was primarily due to the increase in stock-based compensation expense discussed under "Stock-Based Compensation" above, and certain one-time investment banking fees associated with the closing of our partnering transaction with AZ.

Restructuring Charges

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Restructuring charges	$—	$—	$1,141	$—	$(1,141)
Stock-based compensation expense included in restructuring charges	$—	$—	$122	$—	$(122)

In February 2009, we announced that we cut our research programs in virology and oncology as well as terminated certain related development and administrative staff, which resulted in the dismissal of 36 employees, or approximately 20% of our workforce. This measure was intended to maintain our emphasis on our active preclinical and clinical programs, while conserving our resources. As a result of the restructuring implemented in the first quarter of 2009, we recorded restructuring charges of $1.1 million, including $1.0 million of workforce reduction costs (which had been paid as of December 31, 2009) and $122,000 of non-cash stock-based compensation expense incurred in connection with the extension of the date the terminated employees had to exercise their vested options to December 31, 2009 rather than 90 days from the termination date as is typically required under our equity incentive plan.

Other income

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Other income	$—	$2,361	$—	$(2,361)	$2,361

Other income in 2010 consisted of our total cash grant from the Internal Revenue Service of approximately $2.4 million related to the previously filed applications under the Qualifying Therapeutic Discovery Projects (Section 48D of the Internal Revenue Code). Of this amount, approximately $300,000 and $2.1 million was actually received in 2011 and 2010, respectively.

Interest income

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Interest income	$420	$303	$600	$117	$(297)

Interest income results from our interest-bearing cash and investment balances. The increase in interest income for the year ended December 31, 2011, as compared to the same period in 2010, was due to higher average cash balances and higher interest rates of our available-for-sale investments in 2011. The decrease in interest income for the year ended December 31, 2010, as compared to the same period in 2009, was due to lower interest rates in 2010.

Interest expense

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Interest expense	$(25)	$(91)	$(203)	$66	$112

Interest expense primarily results from our capital lease obligations associated with fixed asset acquisitions. The decrease in interest expense for the year ended December 31, 2011, as compared to the same period in 2010, was primarily due to the lower average outstanding balance of capital lease obligations during the year ended December 31, 2011. We do not have any capital lease obligations as of December 31, 2011. The decrease in interest expense for the year ended December 31, 2010, as compared to the same period in 2009, was primarily due to the lower average outstanding balance of capital lease obligations in 2010, as compared to the same period in 2009.

Income tax benefit

	Years Ended December 31,			Aggregate Change	Aggregate Change
	2011	2010	2009	2011 from 2010	2010 from 2009
			(in thousands)		
Income tax benefit	$—	$—	$93	$—	$(93)

Income tax benefit in 2009 resulted from a federal refundable tax credit in accordance with the provisions of the American Recovery and Reinvestment Act of 2009. This benefit under this Act expired as of December 31, 2009.

Recent Accounting Pronouncements

In December 2011, the FASB issued ASU No. 2011-11 related to disclosures on offsetting of assets and liabilities thereby amending ASC 210, *Balance Sheet*. The amendments require us to disclose information about offsetting and related arrangements to enable users of our financial statements to understand the effect of those arrangements on our financial position. ASU No 2011-11 is effective on or after January 1, 2013 and will be applied retrospectively for all comparative periods presented. We are currently evaluating the impact on our financial statements of adopting ASU 2011-11 and cannot estimate the impact of adoption at this time.

In June 2011, the FASB issued ASU No. 2011-05 for the presentation of comprehensive income thereby amending ASC 220, *Comprehensive Income.* The amendments require that all non-owner changes in stockholders' equity be presented either in a single continuous statement of comprehensive income or in two separate but consecutive statements. The amendments are effective in fiscal years beginning after December 15, 2011 and should be applied retrospectively. In December 2011, the FASB issued ASU No. 2011-12 to defer the effective date of certain amendments to the presentation of reclassifications of items out of the accumulated other comprehensive income in ASU No 2011-05 to allow the FASB time to redeliberate on the matter. ASU No. 2011-12 is effective at the same time as the amendments in ASU No. 2011-05. We adopted the amendments on January 1, 2012. These amendments will impact the presentation of our financial statements upon adoption.

In May 2011, the FASB issued ASU No. 2011-04 thereby amending ASC 820, *Fair Value Measurement*, to achieve common fair value measurement and disclosure requirements in U.S. GAAP and International Financial Reporting Standards (IFRS). The amendments result in common fair value measurement and disclosure requirements between U.S. GAAP and IFRS, and clarify the application of existing fair value measurements and requirements regarding the disclosure of information about fair value measurements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied prospectively. We adopted the amendments on January 1, 2012 on a prospective basis. We evaluated the impact of adopting ASU No. 2011-04 and believe it will have no material effect on our financial statements.

In April 2010, the FASB issued ASU No. 2010-17 thereby amending ASC 605 for revenue recognition related to the milestone method of revenue recognition. ASU No. 2010-17 provides guidance on defining a milestone and determining when it may be appropriate to apply the milestone method of revenue recognition for research or development arrangements. A company may make an accounting policy election to use the milestone method of revenue recognition for transactions within the scope of the amendments. The amendments are effective in fiscal years beginning on or after June 15, 2010, and early adoption is permitted. We adopted the amendments on January 1, 2011 on a prospective basis. The adoption of ASU No. 2010-17 had no material effect on our financial statements.

In October 2009, the FASB issued ASU No. 2009-13 on ASC 605 for revenue recognition related to multiple-deliverable revenue arrangements. ASU No. 2009-13 provides amendments to the existing criteria for separating consideration in multiple-deliverable arrangements. The amendments establish a selling price hierarchy for determining the selling price of a deliverable, eliminate the residual method of allocation of arrangement consideration to deliverables and require the use of the relative selling price method in the allocation of arrangement consideration to all deliverables, require the determination of the best estimate of a selling price in a consistent manner, and significantly expand the disclosures related to multiple-deliverable revenue arrangements. The amendments are effective in fiscal years beginning on or after June 15, 2010, and early adoption is permitted. We adopted the amendments on January 1, 2011 on a prospective basis. The adoption of ASU No. 2009-13 had no material effect on our financial statements.

Liquidity and Capital Resources

Cash Requirements

From inception, we have financed our operations primarily through sales of equity securities, contract payments under our collaboration agreements and equipment financing arrangements. We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors. The agreement became effective on March 26, 2010 and, in connection with the effectiveness of the agreement, we received an upfront payment from AZ of $100.0 million in April 2010. In October 2010, we received $25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical studies in the fostamatinib program by AZ. AZ is required to pay us up to an additional $320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional $800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales , if any. Future events that could trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of milestones.

In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of $8.00 per share. We received net proceeds of approximately $140.5 million after deducting underwriting discounts and commissions and offering expenses.

As of December 31, 2011, we had approximately $247.6 million in cash, cash equivalents and available-for-sale securities, as compared to approximately $177.3 million as of December 31, 2010, an increase of approximately $70.3 million. The increase was primarily attributable to net proceeds of approximately $140.5 million from our public offering in the second quarter of 2011, partially offset by operating expenses for the year ended December 31, 2011, as well as payments of certain capital expenditures. We believe that our existing capital resources will be sufficient to support our current and projected funding requirements through at least the next 12 months. We have based this estimate on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we currently expect. Because of the numerous risks and uncertainties associated with the development of our product candidates and other research and development activities, including risks and uncertainties that could impact the rate of progress of our development activities, we are unable to estimate with certainty the amounts of increased capital outlays and operating expenditures associated with our current and anticipated clinical trials and other research and development activities.

Our operations will require significant additional funding for the foreseeable future. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding. To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. Any debt financing that we are able to obtain may involve operating covenants that restrict our business. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some of our rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend upon many factors, including, but not limited to:

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by our collaborative partners or licensees or us;
- the ability to achieve the events identified in our collaborative agreements that trigger payments to us from our collaboration partners;
- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and other intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators; and
- expenses associated with the pending and potential additional related purported securities class action lawsuits, as well as any unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

For the year ended December 31, 2011 and 2010, we maintained an investment portfolio primarily in money market funds, U.S. treasury bills, government-sponsored enterprise securities, and corporate bonds and commercial paper. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation. Wherever possible, we seek to minimize the potential effects of concentration and degrees of risk. Recently, the credit rating for the U.S. long-term sovereign debt was downgraded by S&P. Given the short duration of our investment portfolio, we believe that such downgrade did not materially affect the value of our investments. We have evaluated our investment strategy and decided not to change it at this time. There is no assurance that further deterioration in the conditions of the credit and financial markets would not negatively impact our current investment portfolio. We will continue to monitor the impact in the downgrade of the credit rating and the disruptions in the financial markets to our investment portfolio and if future changes in our investment strategy are necessary.

Cash Flows from Operating, Investing and Financing Activities

	Years Ended December 31,		
	2011	2010	2009
	(in thousands)		
Net cash provided by (used in):			
Operating activities	$(69,375)	$46,743	$(102,779)
Investing activities	(62,848)	(53,403)	(30,664)
Financing activities	141,979	820	102,155
Net increase (decrease) in cash and cash equivalents	$9,756	$(5,840)	$(31,288)

Net cash used in operating activities was approximately $69.4 million in 2011 compared to net cash provided by operating activities of approximately $46.7 million in 2010 and net cash used in operating activities of approximately $102.8 million in 2009. Net cash used in operating activities for the year ended December 31, 2011 was primarily due to the cash payments related to our research and development programs. Net cash provided by operating activities for year ended December 31, 2010 was primarily due to the receipt of the $100.0 million upfront payment from AZ in April 2010 and $25.0 million in contingent payments in October 2010, partially offset by cash payments related to our research and development programs. Net cash used in operating activities for the year ended December 31, 2009 was primarily due to the cash payments related to our research and development programs. The timing of cash requirements may vary from period to period depending on our research and development activities, including our planned preclinical and clinical trials, and future requirements to establish commercial capabilities for any products that we may develop.

Net cash used in investing activities was approximately $62.8 million in 2011 compared to approximately $53.4 million and $30.7 million in 2010 and 2009, respectively. Net cash used in investing activities in 2011 related primarily to purchases of available-for-sale securities of approximately $476.0 million, partially offset by maturities of available-for-sale securities of approximately $415.5 million. Net cash used in investing activities in 2010 related primarily to purchases of available-for-sale securities of approximately $266.1 million, partially offset by maturities of available-for-sale securities of approximately $216.2 million. Net cash used in investing activities in 2009 related primarily to purchases of available-for-sale securities of approximately $169.9 million, partially offset by maturities of available-for-sale securities of approximately $131.2 million and sale of available-for-sale securities of approximately $8.2 million. Capital expenditures were approximately $2.3 million in 2011 compared to $3.6 million and $141,000 in 2010 and 2009, respectively.

Net cash provided by financing activities was approximately $142.0 million in 2011 compared to approximately $820,000 and $102.2 million in 2010 and 2009, respectively. In the second quarter of 2011, we completed a public offering in which we received net proceeds of approximately $140.5 million. Net cash provided by financing activities in 2011 also included proceeds from the exercise of outstanding options and the issuance of shares under our Purchase Plan of approximately $2.3 million. Net cash provided by financing activities in 2010 included proceeds from the exercise of outstanding options and the issuance of shares under our Purchase Plan of approximately $2.0 million. In the third quarter of 2009, we completed a public offering in which we received net proceeds of approximately $101.5 million. Net cash provided by financing activities in 2009 also included proceeds from the exercise of outstanding options and the issuance of shares under our Purchase Plan of approximately $2.1 million. Net cash provided by financing activities was partially offset by payments on capital lease obligations of approximately $800,000, $1.1 million and $1.4 million in 2011, 2010 and 2009, respectively.

Off-Balance Sheet Arrangements

As of December 31, 2011, we had no off-balance sheet arrangements (as defined in Item 303(a)(4)(ii) of Regulation S-K under the Exchange Act) that create potential material risks for us and that are not recognized on our balance sheets.

Contractual Obligations

As of December 31, 2011, we had the following contractual commitments:

		Payment Due By Period			
	Total	Less than 1 Year	1 - 3 Years	3 - 5 Years	More than 5 years
		(in thousands)			
Facilities lease	**$89,395**	$13,272	$28,160	$30,459	$17,504

Item 7A. Quantitative and Qualitative Disclosures about Market Risk

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities in which we invest may have market risk. This means that a change in prevailing interest rates may cause the fair value amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the market value amount of our investment will decline. To minimize this risk, we maintain our portfolio of cash equivalents and available-for-sale securities in a variety of securities, including money market funds and government and non-government debt securities. In 2011, 2010 and 2009, we maintained an investment portfolio primarily in money market funds, U. S. treasury bills, government-sponsored enterprise securities, and corporate bonds and commercial paper. Due to the primarily short-term nature of these investments, we believe we do not have a material exposure to interest rate risk and market risk arising from our investments. In addition, we believe we have no incremental or new risk related to recent credit market volatility. Therefore, no quantitative tabular disclosure is provided.

We have operated primarily in the United States, and all funding activities with our collaborators to date have been made in U.S. dollars. Accordingly, we have not had any significant exposure to foreign currency rate fluctuations.

Item 8. Financial Statements and Supplementary Data

INDEX TO FINANCIAL STATEMENTS
Rigel Pharmaceuticals, Inc.

Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders
Rigel Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2011 and 2010, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Rigel Pharmaceuticals, Inc. at December 31, 2011 and 2010, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2011, in conformity with U.S. generally accepted accounting principles.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated March 6, 2012 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 6, 2012

RIGEL PHARMACEUTICALS, INC.

BALANCE SHEETS

(In thousands, except share and per share amounts)

	December 31,	
	2011	2010
Assets		
Current assets:		
Cash and cash equivalents	$18,633	$8,877
Available-for-sale securities	229,007	168,418
Prepaid expenses and other current assets	2,593	2,631
Total current assets	250,233	179,926
Property and equipment, net	4,882	4,534
Other assets	1,991	2,235
	$257,106	$186,695
Liabilities and stockholders' equity		
Current liabilities:		
Accounts payable	$1,556	$1,403
Accrued compensation	7,271	4,811
Other accrued liabilities	2,571	4,357
Deferred rent	129	—
Capital lease obligations	—	755
Total current liabilities	11,527	11,326
Long-term portion of capital lease obligations	—	45
Long-term portion of deferred rent	9,313	9,056
Other long-term liabilities	117	137
Commitments and contingencies		
Stockholders' equity:		
Preferred stock, $0.001 par value; 10,000,000 shares authorized; none issued and outstanding as of December 31, 2011 and 2010	—	—
Common stock, $0.001 par value; 100,000,000 shares authorized; 71,379,052 and 52,271,184 shares issued and outstanding as of December 31, 2011 and 2010, respectively	71	52
Additional paid-in capital	897,479	741,551
Accumulated other comprehensive income (loss)	6	(38)
Accumulated deficit	(661,407)	(575,434)
Total stockholders' equity	236,149	166,131
	$257,106	$186,695

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENTS OF OPERATIONS

(In thousands, except per share amounts)

	Years Ended December 31,		
	2011	2010	2009
Contract revenues from collaborations	$4,750	$125,000	$750
Costs and expenses:			
Research and development	69,350	64,392	90,743
General and administrative	21,768	25,291	20,903
Restructuring charges	—	—	1,141
Total costs and expenses	91,118	89,683	112,787
Income (loss) from operations	(86,368)	35,317	(112,037)
Other income	—	2,361	—
Interest income	420	303	600
Interest expense	(25)	(91)	(203)
Income (loss) before income taxes	(85,973)	37,890	(111,640)
Income tax benefit	—	—	93
Net income (loss)	$(85,973)	$37,890	$(111,547)
Net income (loss) per share:			
Basic	$(1.36)	$0.73	$(2.73)
Diluted	$(1.36)	$0.72	$(2.73)
Weighted average shares used in computing net income (loss) per share:			
Basic	63,329	52,055	40,876
Diluted	63,329	52,573	40,876

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF STOCKHOLDERS' EQUITY

(In thousands, except share and per share amounts)

	Common Stock		Additional Paid-in	Accumulated Other Comprehensive	Accumulated	Total Stockholders'
	Shares	Amount	Capital	Income (Loss)	Deficit	Equity
Balance at December 31, 2008	36,646,397	$37	$605,509	$396	$(501,777)	$104,165
Net loss	—	—	—	—	(111,547)	(111,547)
Change in unrealized loss on available-for-sale securities	—	—	—	(408)	—	(408)
Comprehensive loss						(111,955)
Issuance of common stock at $7.25 per share for cash, net of issuance costs	14,950,000	15	101,445	—	—	101,460
Issuance of common stock upon exercise of options and participation in Purchase Plan	359,743	—	2,143	—	—	2,143
Stock compensation expense	—	—	13,438	—	—	13,438
Warrant issued with lease amendment—4	—	—	616	—	—	616
Balance at December 31, 2009	51,956,140	$52	$723,151	$(12)	$(613,324)	$109,867
Net income	—	—	—	—	37,890	37,890
Change in unrealized loss on available-for-sale securities	—	—	—	(26)	—	(26)
Comprehensive income						37,864
Issuance of common stock upon exercise of options and participation in Purchase Plan	315,044	—	1,964	—	—	1,964
Stock compensation expense	—	—	16,436	—	—	16,436
Balance at December 31, 2010	52,271,184	$52	$741,551	$(38)	$(575,434)	$166,131
Net loss	—	—	—	—	(85,973)	(85,973)
Change in unrealized loss on available-for-sale securities	—	—	—	44	—	44
Comprehensive loss						(85,929)
Issuance of common stock at $8.00 per share for cash, net of issuance costs	18,745,000	19	140,486	—	—	140,505
Issuance of common stock upon exercise of options and participation in Purchase Plan	362,868	—	2,274	—	—	2,274
Stock compensation expense	—	—	13,168	—	—	13,168
Balance at December 31, 2011	71,379,052	$71	$897,479	$6	$(661,407)	$236,149

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENTS OF CASH FLOWS

(In thousands)

	Years Ended December 31,		
	2011	2010	2009
Operating activities			
Net income (loss)	$(85,973)	$37,890	$(111,547)
Adjustments to reconcile net income (loss) to net cash provided by (used in) operating activities:			
Depreciation and amortization	1,955	1,317	1,403
Stock-based compensation expense	13,168	16,436	13,438
Changes in assets and liabilities:			
Prepaid expenses and other current assets	38	19	960
Other assets	244	250	335
Accounts payable	153	(1,751)	(2,830)
Accrued compensation	2,460	(2,029)	5,215
Other accrued liabilities	(1,786)	(2,361)	(5,311)
Deferred rent and other long term liabilities	366	(3,028)	(4,442)
Net cash provided by (used in) operating activities	(69,375)	46,743	(102,779)
Investing activities			
Purchases of available-for-sale securities	(476,038)	(266,092)	(169,928)
Maturities and sales of available-for-sale securities	415,493	216,249	139,391
Proceeds from the sale of property and equipment	—	—	14
Capital expenditures	(2,303)	(3,560)	(141)
Net cash used in investing activities	(62,848)	(53,403)	(30,664)
Financing activities			
Payments on capital lease obligations	(800)	(1,144)	(1,448)
Net proceeds from issuances of common stock	142,779	1,964	103,603
Net cash provided by financing activities	141,979	820	102,155
Net increase (decrease) in cash and cash equivalents	9,756	(5,840)	(31,288)
Cash and cash equivalents at beginning of period	8,877	14,717	46,005
Cash and cash equivalents at end of period	$18,633	$8,877	$14,717
Supplemental disclosure of cash flow information			
Interest paid	$21	$84	$176
Income tax refund	$—	$98	$88
Schedule of non cash transactions			
Issuance of warrant with lease amendment	$—	$—	$616

See accompanying notes.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS

In this Annual Report on Form 10-K, "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc. and "common stock" refers to Rigel's common stock, par value $0.001 per share.

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Nature of operations and basis of presentation

We were incorporated in the state of Delaware on June 14, 1996. We are engaged in the discovery and development of novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as for muscle disorders.

Financial statement preparation

The preparation of financial statements in conformity with U.S. GAAP requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Significant estimates and assumptions made by management include those relating to the terms of our research and development collaborations (i.e. revenue recognition of upfront fees and certain contingent payments), investments, stock-based compensation, impairment issues, estimated useful life of assets, estimated accruals and contingencies. We believe that the estimates and judgments upon which we rely are reasonable based upon information available to us at the time that these estimates and judgments are made, however actual results could differ from these estimates. To the extent there are material differences between these estimates and actual results, our financial statements will be affected.

Stock award plans

We have three stock option plans, our 2011 Equity Incentive Plan (2011 Plan), 2000 Equity Incentive Plan (2000 Plan) and 2000 Non-Employee Directors Stock Option Plan (Directors' Plan), that provide for granting to our officers, directors and all other employees and consultants options to purchase shares of our common stock. Under the plans, we may issue non-qualified options or incentive stock options. We also have our Purchase Plan, where eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. The benefits provided under these plans are stock-based payments subject to the provisions of FASB ASC 718, ASC 505-50 and guidance under the Securities and Exchange Commission's Staff Accounting Bulletin (SAB) No. 107 and SAB No. 110.

Cash, cash equivalents and available-for-sale securities

We consider all highly liquid investments in debt securities with maturity from the date of purchase of 90 days or less to be cash equivalents. Cash equivalents consist of money market funds, U.S. treasury bills, corporate bonds and commercial paper and investments in government-sponsored enterprises. Our available-for-sale investments include obligations of government- sponsored enterprises and corporate bonds and commercial paper. By policy, we limit the concentration of credit risk by diversifying our investments among a variety of high credit-quality issuers.

All cash equivalents and short-term investments are classified as available-for-sale securities. Available-for-sale securities are carried at fair value at December 31, 2011 and 2010. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income (loss). Fair value is estimated based on available market information or valuation methodologies. The cost of securities sold is based on the specific identification method. See Note 5 for a summary of available-for-sale securities at December 31, 2011 and 2010.

Fair value of financial instruments

The carrying values of cash, accounts payable and accrued liabilities approximate fair value due to the short maturity of those instruments. Cash equivalents and available-for-sale securities are carried at fair value at December 31, 2011 and 2010. The carrying values of capital lease obligations approximate fair value due to similar financing arrangements being available to us at market interest rates.

Concentration of credit risk

Financial instruments that potentially subject us to concentrations of credit risk are primarily cash and cash equivalents and available-for-sale securities. Cash equivalents and available-for-sale securities primarily consist of money market funds, U. S. treasury bills, government-sponsored enterprise securities, and corporate bonds and commercial paper. Due to the mostly short-term nature of these investments, we believe we do not have a material exposure to credit risk arising from our investments. All cash and cash equivalents and available-for-sale securities are maintained with financial institutions that management believes are creditworthy.

Property and equipment

Property and equipment are stated at cost. Depreciation is calculated using the straight-line method over the estimated useful lives of the assets, which range from three to seven years. Leasehold improvements are amortized using the straight-line method over the estimated useful lives of the assets or the term of the lease, whichever is shorter.

Revenue recognition

We present revenue from our collaboration arrangements under FASB ASC 808, *Collaboration Arrangements*. Our revenue arrangements with multiple elements are evaluated under FASB ASC 605-25, *Multiple-Element Arrangements* (as amended by ASU 2009-13), and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has standalone value to the customer, whether the arrangement includes a general right of return relative to the delivered element and whether delivery or performance of the undelivered element is considered probable and substantially under our control. Following the adoption of ASU 2009-13 on January 1, 2011, consideration we receive under collaboration arrangements is allocated among the separate units of accounting based on the selling price hierarchy, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development periods for each agreement. Under these agreements, we are generally required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Our research and development expenses under the collaborative research agreements approximate the revenue recognized under such agreements over the term of the respective agreements. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the agreement.

Revenues associated with substantive, at-risk milestones pursuant to collaborative agreements are recognized upon achievement of the milestones. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Non-refundable contingent future amounts receivable in connection with future events specified in collaboration agreements that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through completion of any underlying performance obligations, the amounts are fixed or determinable and collectability is reasonably assured.

Research and development expenses

Research and development expenses include costs for scientific personnel, supplies, equipment, consultants, research sponsored by us, allocated facility costs, costs related to pre-clinical and clinical trials, and stock-based compensation expense. All such costs are charged to research and development expense as incurred. Collaboration agreements generally specify minimum levels of research effort required to be performed by us.

Research and development accruals

We have various contracts with third parties related to our research and development activities. Costs that are incurred but not billed to us as of the end of the period are accrued. We make estimates of the amounts incurred in each period based on the information available to us and our knowledge of the nature of the contractual activities generating such costs. Clinical trial contract expenses are accrued based on units of activity reported by third parties. Expenses related to other research and development contracts, such as research contracts, toxicology study contracts and manufacturing contracts are estimated to be incurred generally on a straight-line basis over the duration of the contracts. Raw materials and study materials purchased for us by third parties are expensed at the time of purchase.

Contingencies

We are subject to claims related to the patent protection of certain of our technologies, as well as a purported securities class action lawsuit and other litigation. We are required to assess the likelihood of any adverse judgments or outcomes to these matters as well as potential ranges of probable losses. A determination of the amount of reserves required, if any, for these contingencies is made after careful analysis of each individual issue.

Income Taxes

We use the asset and liability method to account for income taxes. Deferred tax assets and liabilities are recognized for the future tax consequences attributable to differences between the financial statement carrying amounts of existing assets and liabilities and their respective tax bases and operating loss and tax credit carryforwards. Deferred tax assets and liabilities are measured using enacted tax rates expected to apply to taxable income in the years in which those temporary differences are expected to be recovered or settled. The effect on deferred tax assets and liabilities from a change in tax rates is recognized in income in the period the change is enacted. A valuation allowance is established to reduce deferred tax assets to an amount whose realization is more likely than not.

Net income (loss) per share

Basic net income (loss) per share is computed by dividing net income (loss) by the weighted-average number of shares of common stock outstanding during the period. Diluted net income (loss) per share is computed by dividing net earnings by the weighted-average number of shares of common stock outstanding during the period and the number of additional shares of common stock that would have been outstanding if potentially dilutive securities had been issued. Potentially dilutive securities include warrants and stock options and other shares issuable under our Purchase Plan. The dilutive effect of potentially dilutive securities is reflected in diluted earnings per share by application of the treasury stock method. Under the treasury stock method, an increase in the fair market value of our common stock can result in a greater dilutive effect from potentially dilutive securities.

The following table sets forth the computation of basic and diluted net income (loss) per share (in thousands except per share amounts):

	Year Ended December 31,		
	2011	2010	2009
Numerator:			
Net income (loss)	$(85,973)	$37,890	$(111,547)
Denominator—Basic:			
Weighted-average common shares outstanding	63,329	52,055	40,876
Denominator—Diluted:			
Weighted-average common shares outstanding	63,329	52,055	40,876
Dilutive effect of stock options, shares under Purchase Plan and warrant	—	518	—
Weighted-average shares outstanding and common stock equivalents	63,329	52,573	40,876
Net income (loss) per common share:			
Basic	$(1.36)	$0.73	$(2.73)
Diluted	$(1.36)	$0.72	$(2.73)

During all periods presented, we had securities outstanding which could potentially dilute basic earnings (loss) per share, but were excluded from the computation of diluted net income (loss) per share, as their effect would have been antidilutive. These outstanding securities consist of the following (in thousands, except per share amounts):

	December 31,		
	2011	2010	2009
Outstanding options	11,749	7,536	7,915
Warrant	200	—	200
Weighted average exercise price of options	$12.07	$15.08	$14.32
Weighted average exercise price of warrant	$6.61	$—	$6.61

Recent accounting pronouncements

In December 2011, the FASB issued ASU No. 2011-11 related to the disclosures on offsetting of assets and liabilities thereby amending ASC 210, *Balance Sheet*. The amendments require us to disclose information about offsetting and related arrangements to enable users of our financial statements to understand the effect of those arrangements on our financial position. ASU No 2011-11 is effective on or after January 1, 2013 and will be applied retrospectively for all comparative periods presented. We are currently evaluating the impact on our financial statements of adopting ASU 2011-11 and cannot estimate the impact of adoption at this time.

In June 2011, the FASB issued ASU No. 2011-05 for the presentation of comprehensive income thereby amending ASC 220, *Comprehensive Income.* The amendments require that all non-owner changes in stockholders' equity be presented either in a single continuous statement of comprehensive income or in two separate but consecutive statements. The amendments are effective in fiscal years beginning after December 15, 2011 and should be applied retrospectively. In December 2011, the FASB issued ASU No. 2011-12 to defer the effective date of certain amendments to the presentation of reclassifications of items out of the accumulated other comprehensive income in ASU No 2011-05 to allow the FASB time to redeliberate on the matter. ASU No. 2011-12 is effective at the same time as the amendments in ASU No. 2011-05. We adopted the amendments on January 1, 2012. These amendments will impact the presentation of our financial statements upon adoption.

In May 2011, the FASB issued ASU No. 2011-04 thereby amending ASC 820, *Fair Value Measurement*, to achieve common fair value measurement and disclosure requirements in U.S. GAAP and IFRS. The amendments result in common fair value measurement and disclosure requirements between U.S. GAAP and IFRS, and clarify the application of existing fair value measurements and requirements regarding the disclosure of information about fair value measurements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied prospectively. We adopted the amendments on January 1, 2012 on a prospective basis. We evaluated the impact of adopting ASU No. 2011-04 and believe it will have no material effect on our financial statements.

In April 2010, the FASB issued ASU No. 2010-17 thereby amending ASC 605 for revenue recognition related to the milestone method of revenue recognition. ASU No. 2010-17 provides guidance on defining a milestone and determining when it may be appropriate to apply the milestone method of revenue recognition for research or development arrangements. A company may make an accounting policy election to use the milestone method of revenue recognition for transactions within the scope of the amendments. The amendments are effective in fiscal years beginning on or after June 15, 2010, and early adoption is permitted. We adopted the amendments on January 1, 2011 on a prospective basis. The adoption of ASU No. 2010-17 had no material effect on our financial statements.

In October 2009, the FASB issued ASU No. 2009-13 on ASC 605 for revenue recognition related to multiple-deliverable revenue arrangements. ASU No. 2009-13 provides amendments to the existing criteria for separating consideration in multiple-deliverable arrangements. The amendments establish a selling price hierarchy for determining the selling price of a deliverable, eliminate the residual method of allocation of arrangement consideration to deliverables and require the use of the relative selling price method in the allocation of arrangement consideration to all deliverables, require the determination of the best estimate of a selling price in a consistent manner, and significantly expand the disclosures related to multiple-deliverable revenue arrangements. The amendments are effective in fiscal years beginning on or after June 15, 2010, and early adoption is permitted. We adopted the amendments on January 1, 2011 on a prospective basis. The adoption of ASU No. 2009-13 had no material effect on our financial statements.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have the following significant active collaborations with two major pharmaceutical/biotechnology companies: AZ, relating to fostamatinib for the treatment of RA and other indications, and Daiichi, relating to oncology. Neither of these collaborations currently provides us with regular reimbursement of research expenses. In both of these collaborations, if certain conditions are met, we are entitled to receive future payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further payments or royalties under these agreements.

AstraZeneca

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, previously known as R788, our late-stage investigational product candidate for the treatment of RA and other indications. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors. The agreement became effective on March 26, 2010 and we received an upfront payment from AZ of $100.0 million in April 2010.

Under the agreement, our deliverables were: (i) granting a license of rights to fostamatinib, (ii) transfer of technology (know-how) related to fostamatinib, and (iii) conducting, at our expense, the fostamatinib open label extension study until it was transferred to AZ on September 25, 2010. We concluded that these deliverables should be accounted for as one single unit of accounting and we recognized the $100.0 million upfront payment received in April 2010 from AZ ratably over the performance period from March 26, 2010, the effective date of the agreement, through September 25, 2010, the completion date of the last deliverable, which was the transfer of the fostamatinib long-term open label extension study to AZ. We elected a straight-line method for recognition of this upfront payment as the effort to advance and transfer the study was fairly consistent over the transition period.

On September 29, 2010, we announced that we earned $25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional $320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional $800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. Future events that may trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of milestones.

Either party may terminate the agreement if the other party materially breaches the agreement and such breach remains uncured for 60 days after the date of notice of such breach, or in the event of insolvency of the other party. We may also terminate the agreement in its entirety if AZ challenges the validity, enforceability or scope of any of our patents licensed to AZ by us under the agreement. AZ may also terminate the agreement either (1) without cause upon 180 days written notice or (2) upon 30 days written notice in the event of any change of control of Rigel. If neither party terminates the agreement, then the agreement will remain in effect until the cessation of all commercial sales of all products subject to the agreement, including fostamatinib.

Daiichi Sankyo

In August 2002, we signed an agreement for a collaboration with Daiichi to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. Daiichi paid us $0.9 million at the time we entered into the collaboration agreement. Under the terms of the collaboration agreement, the aggregate of potential amounts payable to us is $33.9 million and we are entitled to receive royalties on any commercialized products to emerge from the collaboration, if any, at low to mid-single-digit royalties on sales. In January 2012, we were notified by Daiichi that it has achieved the second designation of a rational lead compound and paid us a contingent fee of $750,000. We have earned to date payments totaling $6.5 million and may earn additional payments in connection with certain clinical events. The research phase of this three-year collaboration expired in August 2005. Under the terms of the collaboration agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America, while Daiichi retains co-development and promotion rights in the remainder of the world. Future events that may trigger payments to us under the Daiichi agreement are based solely on Daiichi's future efforts and achievements of milestones.

Either party may terminate the collaboration agreement if the other party materially breaches the agreement and such breach remains uncured after notice of such breach, or after a specified period from the end of a designated research period if no product is commercialized (unless the parties agree to extend the collaboration). The collaboration agreement can also be terminated by mutual written consent of the parties. If neither party exercises its option to terminate the collaboration agreement, then the agreement automatically terminates on the later of (1) the expiration of the last patent with a claim that covers the composition of matter of a product (or manufacture or use of a product under certain circumstances) and (2) after a specified period from the initial commercialization of a licensed product.

Other Agreements

In May 2011, we announced that Pfizer returned full rights to the program for R343, an oral SYK inhibitor small molecule that blocks IgE receptor signaling, to us as a result of its decision to exit the allergy and respiratory therapeutic area within research and development. The collaborative research and license agreement that we had entered into with them was terminated.

In July 2011, we received a $4.3 million final payment from Merck Serono. The final payment from Merck Serono was for the collaboration agreement that was terminated in 2010 and all licenses to aurora kinase inhibitors reverted back to us. The payment did not qualify as a substantive milestone as it related solely to the past performance of Merck Serono. We recognized the receipt of $4.3 million as revenue in 2011.

In June 2011, we entered into an exclusive license agreement with BerGenBio for the development and commercialization of an oncology program. BerGenBio is responsible for all activities it wishes to perform under the license granted. BerGenBio paid us an upfront payment of $500,000 in August 2011. Under the agreement, our deliverables were: (i) granting a license of rights to our oncology program, and (ii) delivery of a small batch of compound to BerGenBio. We concluded that these deliverables should be accounted for as separate units of accounting. We used management's best estimate of selling price in the allocation of the upfront payment and recognized revenue of $500,000 for the year ended December 31, 2011. This oncology program was developed before we focused our research and development efforts on inflammatory and autoimmune diseases, as well as muscle disorders.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2011, Merck Serono and BerGenBio accounted for 89% and 11% of our revenues, respectively. For the year ended December 31, 2010, AZ accounted for 100% of our revenues. For the year ended December 31, 2009, Daiichi accounted for 100% of our revenues. At December 31, 2011 and 2010, we had no accounts receivable. We do not require collateral or other security for accounts receivable.

4. STOCK-BASED COMPENSATION

Total stock-based compensation expense related to all of our stock-based awards was as follows (in thousands):

	Year Ended December 31,		
	2011	2010	2009
Research and development	$9,277	$9,025	$8,937
General and administrative	3,891	7,411	4,379
Restructuring charges	—	—	122
Total stock-based compensation expense	$13,168	$16,436	$13,438

In February 2009, we announced that we cut our research programs in virology and oncology as well as terminated certain related development and administrative staff, which resulted in the dismissal of 36 employees, or approximately 20% of our workforce. This measure was intended to maintain our emphasis on our active preclinical and clinical programs, while conserving our resources. As part of a package we offered the terminated employees, we extended the date the terminated employees had to exercise their vested options to December 31, 2009 rather than 90 days from the termination date as is typically required under our 2000 Plan. We recorded $122,000 of non-cash stock-based compensation expense related to this modification in the first quarter of 2009.

Employee stock option plans

In 2011, we adopted our 2011 Plan which was approved in May 2011 by our stockholders, (i) to establish a reserve of shares authorized for issuance under the 2011 Plan of 3,500,000 shares of common stock, (ii) provide that the number of shares available for issuance under the 2011 Plan shall be reduced by one share for each share of common stock subject to a stock option or stock appreciation right with a strike price of at least 100% of the fair market value of the underlying common stock on the grant date and by 1.7 shares for each share of common stock subject to any other type of award issued pursuant to the 2011 Plan, and (iii) establish an equity plan that specifically excludes our Chief Executive Officer as an eligible participant. Options granted under our 2011 Plan expire no later than ten years from the date of grant. Options may be granted with different vesting terms from time to time, ranging from zero to five years. As of December 31, 2011, a total of 3,500,000 shares of common stock were authorized for issuance under the 2011 Plan. No options to purchase shares were exercised during the year ended December 31, 2011.

In 2011, an amendment to the 2000 Plan was approved primarily to increase the number of shares authorized for issuance by 600,000 shares to an aggregate total of 13,610,403 and (ii) provide that the number of shares available for issuance under the 2000 Plan shall be reduced by one share for each share of common stock subject to a stock option or stock appreciation right with a strike price of at least 100% of the fair market value of the underlying common stock on the grant date and by 1.7 shares for each share of common stock subject to any other type of award issued pursuant to the 2000 Plan. In 2010, an amendment to the 2000 Plan was approved primarily to increase the number of shares authorized for issuance by 1,250,000 shares. Options granted under our 2000 Plan expire no later than ten years from the date of grant. Options may be granted with different vesting terms from time to time, ranging from zero to five years. As of December 31, 2011, a total of 11,824,901 shares of common stock were authorized for issuance under the 2000 Plan. Options to purchase 114,988 shares were exercised during the year ended December 31, 2011.

In 2011, an amendment to the Directors' Plan was approved primarily to increase the number of shares authorized for issuance by 250,000 shares to an aggregate total of 1,135,000 shares. In 2010, the Directors' Plan was amended, primarily to increase the number of shares authorized for issuance by 350,000 shares. The exercise price of options under the Directors' Plan is equal to the fair market value of the common stock on the date of grant. The maximum term of the options granted under the Directors' Plan is ten years. As of December 31, 2011, a total of 1,132,211 shares of common stock were authorized for issuance under the Directors' Plan. No options to purchase shares were exercised during the year ended December 31, 2011.

Pursuant to FASB ASC 718, we are required to estimate the amount of expected forfeitures when calculating compensation costs. We estimated the forfeiture rate using our historical experience with pre-vesting options. We adjust our stock-based compensation expense as actual forfeitures occur, review our estimated forfeiture rates each quarter and make changes to our estimate as appropriate.

The fair value of each option award is estimated on the date of grant using the Black-Scholes option pricing model. We have segregated option awards into the following three homogenous groups for the purposes of determining fair values of options: officers and directors, all other employees, and consultants.

We determined weighted-average valuation assumptions separately for each of these groups as follows:

- Volatility—We estimated volatility using the historical share price performance over the expected life of the option up to the point where we have historical market data. We also considered other factors, such as implied volatility, our current clinical trials and other company activities that may affect the volatility of our stock in the future. We determined that at this time historical volatility is more indicative of our expected future stock performance than implied volatility.

- Expected term—For options granted to consultants, we use the contractual term of the option, which is generally ten years, for the initial valuation of the option and the remaining contractual term of the option for the succeeding periods. We worked with various historical data to determine the applicable expected term for each of the other option groups. This data included: (1) for exercised options, the term of the options from option grant date to exercise date; (2) for cancelled options, the term of the options from option grant date to cancellation date, excluding unvested option forfeitures; and (3) for options that remained outstanding at the balance sheet date, the term of the options from option grant date to the end of the reporting period and the estimated remaining term of the options. The consideration and calculation of the above data gave us reasonable estimates of the expected term for each employee group. We also considered the vesting schedules of the options granted and factors surrounding exercise behavior of the option groups, our current market price and company activity that may affect our market price. In addition, we considered the optionee type (i.e., officers and directors or all other employees) and other factors that may affect the expected term of the option.

- Risk-free interest rate—The risk-free interest rate is based on U.S. Treasury constant maturity rates with similar terms to the expected term of the options for each option group. The recent downgrade by S&P in the credit rating for the U.S. long-term sovereign debt did not affect our basis for the risk-free interest rate.

- Dividend yield—The expected dividend yield is 0% as we have not paid and do not expect to pay dividends in the future.

The following table summarizes the weighted-average assumptions relating to options granted pursuant to our equity incentive plans for the years ended December 31, 2011, 2010 and 2009:

	Equity Incentive Plans Year Ended December 31,		
	2011	2010	2009
Risk-free interest rate	2.1%	2.3%	1.8%
Expected term (in years)	5.2	5.3	4.4
Dividend yield	0.0%	0.0%	0.0%
Expected volatility	84.2%	90.1%	98.4%

Options are priced at the market price of our common stock on the date immediately preceding the date of grant, become exercisable at varying dates and generally expire ten years from the date of grant. At December 31, 2011, options to purchase 4,707,753 shares of common stock were available for grant and 16,457,112 reserved shares of common stock were available for future issuance under our stock option plans.

For the year ended December 31, 2011, there was no stock-based compensation expense associated with options granted to consultants reflecting the fair value valuation and periodic fair value re-measurement of outstanding consultant options under FASB ASC 505-50. We recorded stock- based compensation expense of approximately $31,000 and $99,000 for the years ended December 31, 2010 and 2009, respectively, associated with options granted to consultants. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. We amortized stock-based compensation related to consultants using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of FASB ASC 718. No options to purchase shares granted to consultants were exercised during the year ended December 31, 2011.

Stock-Based Compensation Award Activity

Option activity under our equity incentive plans was as follows:

	Shares Available For Grant	Number of Shares Underlying Options	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term (in years)	Aggregate Intrinsic Value
Outstanding at January 1, 2009	4,485,639	6,386,625	$16.82		
Authorized for grant	—	—			
Granted	(2,066,708)	2,066,708	$6.55		
Exercised	—	(163,705)	$5.11		
Cancelled	374,759	(374,759)	$18.04		
Outstanding at December 31, 2009	2,793,690	7,914,869	$14.32		
Authorized for grant	1,600,000	—			
Granted	(1,957,020)	1,957,020	$8.60		
Exercised	—	(86,459)	$6.60		
Cancelled	91,429	(91,429)	$16.04		
Outstanding at December 31, 2010	2,528,099	9,694,001	$13.22		
Authorized for grant	4,350,000	—			
Granted	(2,236,270)	2,236,270	$6.80		
Exercised	—	(114,988)	$6.67		
Cancelled	65,924	(65,924)	$10.95		
Outstanding at December 31, 2011	4,707,753	11,749,359	$12.07	6.13	$5,763,300
Vested and expected to vest at December 31, 2011		11,712,438	$12.08		
Exercisable at December 31, 2011		10,982,934	$12.38	5.95	$5,064,651
Exercisable at December 31, 2010		8,934,275	$13.34		
Exercisable at December 31, 2009		6,840,324	$14.57		

Weighted-average grant date fair value of options granted during 2011, 2010 and 2009 was $4.63, $6.14 and $4.65, respectively.

The aggregate intrinsic value is calculated as the difference between the exercise price of the underlying awards and the quoted price of our common stock for the options that were in-the-money at December 31, 2011. During the years ended December 31, 2011, 2010 and 2009, the aggregate intrinsic value of options exercised under our stock option plans was approximately $201,000, $122,000 and $619,000, respectively, determined as of the date of option exercise.

As of December 31, 2011, there was approximately $2.8 million of total unrecognized compensation cost, net of estimated forfeitures, related to unvested stock-based compensation arrangements granted under our stock option plans and approximately $135,000 of total unamortized compensation cost related to our Purchase Plan. The unamortized compensation cost related to our stock option plans and our Purchase Plan is expected to be recognized over a weighted- average period of approximately 2.43 years and 0.50 years, respectively. We also had approximately 766,425 and 759,726 of unvested stock options with intrinsic value of approximately $699,000 and $253,000, at December 31, 2011 and 2010, respectively. For the years ended December 31, 2011, and 2010, there were 2,121,922 and 2,208,961 shares vested with weighted-average exercise price of $8.27 and $9.39, respectively. Future option grants and their valuation will increase our compensation cost in the future as the options are granted, valued and expensed ratably according to their vesting periods.

Details of our stock options by exercise price are as follows as of December 31, 2011:

	Options Outstanding			Options Exercisable	
Exercise Price	Number of Outstanding Options	Weighted-Average Remaining Contractual Life (in years)	Weighted-Average Exercise Price	Number of Options	Weighted-Average Exercise Price
$6.48 - $6.49	1,783,940	7.25	$6.49	1,731,981	$6.49
$6.55 - $6.73	2,503,289	8.97	6.70	1,972,110	6.70
$7.11 - $8.25	1,741,677	3.24	7.94	1,721,630	7.94
$8.27 - $9.93	1,669,048	7.55	9.51	1,527,698	9.56
$10.20 - $22.17	1,662,902	4.24	14.17	1,659,643	14.16
$22.54 - $24.56	1,136,372	3.74	23.85	1,127,064	23.85
$25.36 - $26.45	1,252,131	6.07	26.44	1,242,808	26.44
$6.48 - $26.45	11,749,359	6.13	$12.07	10,982,934	$12.38

Employee Stock Purchase Plan

In August 2000, we adopted our Purchase Plan which was approved in September 2000 by our stockholders. The Purchase Plan permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lesser of 85% of the fair market value of the common stock on the first day of the offering or 85% of the fair market value of our common stock on the purchase date. The initial offering period commenced on the effective date of our initial public offering. We issued 247,880, 228,585 and 196,038 shares of common stock during 2011, 2010 and 2009, respectively, pursuant to the Purchase Plan at an average price of $6.08, $6.09 and $6.67 per share, respectively. For 2011, 2010 and 2009, the weighted average fair value of stock purchased under the Purchase Plan was $2.96, $3.73 and $4.84, respectively. As of December 31, 2011, we had 737,428 reserved shares of common stock available for future issuance under the Purchase Plan.

The fair value of awards granted under our Purchase Plan is estimated on the date of grant using the Black-Scholes option pricing model, which uses weighted- average assumptions. Our Purchase Plan provides for a twenty-four month offering period comprised of four six-month purchase periods with a look-back option. A look-back option is a provision in our Purchase Plan under which eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. Our Purchase Plan also includes a feature that provides for a new offering period to begin when the fair market value of our common stock on any purchase date during an offering period falls below the fair market value of our common stock on the first day of such offering period. This feature is called a “reset.” Participants are automatically enrolled in the new offering period.

The following table summarizes the weighted-average assumptions related to our Purchase Plan for the years ended December 31, 2011, 2010 and 2009. Expected volatilities for our Purchase Plan are based on the historical volatility of our stock. Expected term represents the weighted-average of the purchase periods within the offering period. The risk-free interest rate for periods within the expected term is based on U.S. Treasury constant maturity rates.

	Employee Stock Purchase Plan Year Ended December 31,		
	2011	2010	2009
Risk-free interest rate	0.3%	0.7%	1.1%
Expected term (in years)	1.0	1.4	1.3
Dividend yield	0.0%	0.0%	0.0%
Expected volatility	61.4%	81.1%	112.0%

5. CASH, CASH EQUIVALENTS AND AVAILABLE-FOR-SALE SECURITIES

Cash, cash equivalents and available-for-sale securities consist of the following (in thousands):

	December 31, 2011	December 31, 2010
Checking account	$686	$344
Money market funds	11,947	8,533
U. S. treasury bills	3,002	8,940
Government-sponsored enterprise securities	144,599	77,909
Corporate bonds and commercial paper	87,406	81,569
	$247,640	$177,295
Reported as:		
Cash and cash equivalents	$18,633	$8,877
Available-for-sale securities	229,007	168,418
	$247,640	$177,295

Cash equivalents and available-for-sale securities included the following securities with unrealized gains and losses (in thousands):

December 31, 2011	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
U. S. treasury bills	$3,001	$1	$—	$3,002
Government-sponsored enterprise securities	144,602	27	(30)	144,599
Corporate bonds and commercial paper	87,398	48	(40)	87,406
Total	$235,001	$76	$(70)	$235,007

December 31, 2010	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
U. S. treasury bills	$8,941	$—	$(1)	$8,940
Government-sponsored enterprise securities	77,934	7	(32)	77,909
Corporate bonds and commercial paper	81,581	19	(31)	81,569
Total	$168,456	$26	$(64)	$168,418

As of December 31, 2011, the contractual maturities of our cash equivalents and available-for-sale securities were (in thousands):

	Years to Maturity	
	Within One Year	After One Year Through Five Years
Money market funds	$11,947	$—
U. S. treasury bills	3,002	—
Government-sponsored enterprise securities	101,821	42,778
Corporate bonds and commercial paper	80,712	6,694
	$197,482	$49,472

As of December 31, 2011, our cash equivalents and available-for-sale securities had a weighted-average time to maturity of approximately 268 days. We view our available-for-sale portfolio as available for use in current operations. Accordingly, we have classified certain investments as available-for-sale securities on our balance sheet even though the stated maturity date of these securities may be more than one year from the current balance sheet date. We have the ability to hold all investments as of December 31, 2011 to maturity. Recently, the credit rating for the U.S. long-term sovereign debt was downgraded by S&P. Given the short duration of our investment portfolio, we believe that such downgrade did not materially affect the value of our available-for-sale securities as of December 31, 2011. At December 31, 2011 and 2010, we had no investments that had been in a continuous unrealized loss position for more than twelve months. As of December 31, 2011, a total of 33 individual securities had been in an unrealized loss position for twelve months or less and the losses were deemed to be temporary.

The following table shows the fair value and gross unrealized losses of our investments in individual securities that are in an unrealized loss position, aggregated by investment category (in thousands):

December 31, 2011	Fair Value	Unrealized Losses
Government-sponsored enterprise securities	$55,175	$(30)
Corporate bonds and commercial paper	21,387	(40)
Total	$76,562	$(70)

6. FAIR VALUE

Under FASB ASC 820, *Fair Value Measurements and Disclosures*, fair value is defined as the price at which an asset could be exchanged or a liability transferred in a transaction between knowledgeable, willing parties in the principal or most advantageous market for the asset or liability. Where available, fair value is based on observable market prices or parameters or derived from such prices or parameters. Where observable prices or parameters are not available, valuation models are applied.

Assets and liabilities recorded at fair value in our financial statements are categorized based upon the level of judgment associated with the inputs used to measure their fair value. Hierarchical levels directly related to the amount of subjectivity associated with the inputs to fair valuation of these assets and liabilities, are as follows:

Level 1—Inputs are unadjusted, quoted prices in active markets for identical assets at the reporting date. Active markets are those in which transactions for the asset or liability occur in sufficient frequency and volume to provide pricing information on an ongoing basis.

The fair valued assets we hold that are generally included under this Level 1 are money market securities where fair value is based on publicly quoted prices.

Level 2—Are inputs, other than quoted prices included in Level 1, that are either directly or indirectly observable for the asset or liability through correlation with market data at the reporting date and for the duration of the instrument's anticipated life.

The fair valued assets we hold that are generally assessed under Level 2 included government-sponsored enterprise securities, U. S. treasury bills and corporate bonds and commercial paper. We utilize third party pricing services in developing fair value measurements where fair value is based on valuation methodologies such as models using observable market inputs, including benchmark yields, reported trades, broker/dealer quotes, bids, offers and other reference data. We use quotes from external pricing service providers and other on-line quotation systems to verify the fair value of investments provided by our third party pricing service providers. We review independent auditor's reports from our third party pricing service providers particularly regarding the controls over pricing and valuation of financial instruments and ensure that our internal controls address certain control deficiencies, if any, and complementary user entity controls are in place.

Level 3—Unobservable inputs that are supported by little or no market activity and that are significant to the fair value of the assets or liabilities and which reflect management's best estimate of what market participants would use in pricing the asset or liability at the reporting date. Consideration is given to the risk inherent in the valuation technique and the risk inherent in the inputs to the model.

Fair Value on a Recurring Basis

Financial assets measured at fair value on a recurring basis are categorized in the tables below based upon the lowest level of significant input to the valuations (in thousands):

	Assets at Fair Value as of December 31, 2011			
	Level 1	Level 2	Level 3	Total
Money market funds	$11,947	$—	$—	$11,947
U. S. treasury bills	—	3,002	—	3,002
Government-sponsored enterprise securities	—	144,599	—	144,599
Corporate bonds and commercial paper	—	87,406	—	87,406
Total	$11,947	$235,007	$—	$246,954

	Assets at Fair Value as of December 31, 2010			
	Level 1	Level 2	Level 3	Total
Money market funds	$8,533	$—	$—	$8,533
U. S. treasury bills	—	8,940	—	8,940
Government-sponsored enterprise securities	—	77,909	—	77,909
Corporate bonds and commercial paper	—	81,569	—	81,569
Total	$8,533	$168,418	$—	$176,951

7. PROPERTY AND EQUIPMENT

Property and equipment consists of the following (in thousands):

	Years Ended December 31,	
	2011	2010
Laboratory and office equipment	$23,071	$21,005
Construction in progress	31	—
Total property and equipment	$23,102	$21,005
Less accumulated depreciation and amortization	(18,220)	(16,471)
Property and equipment, net	$4,882	$4,534

We disposed of fully depreciated assets of approximately $206,000 and $886,000 in 2011 and 2010, respectively.

At December 31, 2011, we do not have equipment under capital lease. At December 31, 2010, equipment under capital leases included in property and equipment had a cost of approximately $2.9 million. Total depreciation expense, including amortization of equipment under capital leases in 2010 and 2009, was $2.0 million, $1.3 million and $1.4 million for the years ended December 31, 2011, 2010 and 2009, respectively.

8. LONG-TERM OBLIGATIONS

At December 31, 2011, future minimum lease payments and obligations under our noncancelable operating lease were as follows (in thousands):

For years ending December 31,	
2012	$13,272
2013	13,809
2014	14,351
2015	14,929
2016	15,530
2017 and thereafter	17,504
Total minimum payments required	$89,395

In March 2009, we amended our build-to-suit lease agreement with our landlord, HCP BTC, LLC (formerly known as Slough BTC, LLC), to defer certain rental obligations in the aggregate amount of $6.9 million for a period of up to seventeen months. Under the terms of this amendment, we were obligated to repay the deferred rental amounts, including interest accruing at 12% during the deferral period, based on a timeline that could vary depending upon the occurrence of

certain financing or collaborative transactions. We reevaluated the lease amendment under FASB ASC 840 and determined that the amended lease still qualified as an operating lease. In addition, the amendment to the lease agreement also provided for the cancellation of an existing warrant granting HCP Estates USA Inc. (an affiliate of our landlord) the right to purchase 100,000 shares of common stock and the issuance of a new warrant granting our landlord the right to purchase 200,000 shares of common stock. The exercise price per share of the new warrant is $6.61, which is the average closing price of our common stock for the three business days immediately preceding the execution of the amendment to the lease agreement. The new warrant remains exercisable for 7 years from the date of issuance. We applied modification accounting and calculated an incremental fair market value of the new warrant of $616,000. This amount has been deferred in other long-term assets and is being amortized into rent expense over the remaining term of the lease. On September 22, 2009, we completed an underwritten public offering and received net proceeds of approximately $101.5 million after deducting underwriting discounts and commissions and offering expenses. As a result of this financing, we paid our landlord $3.7 million, or 50% of the deferred rental amounts, plus interest at 12%, in November 2009. In February 2010, we entered into a worldwide license agreement with AZ in which we received an upfront payment of $100.0 million in April 2010. As a result of this additional cash received, we paid our landlord $3.9 million, or the remaining 50% of the deferred rental amounts, plus interest at 12%, in April 2010.

Rent expense under our operating lease amounted to approximately $14.8 million, $15.2 million and $15.6 million for the years ended December 31, 2011, 2010 and 2009, respectively.

9. STOCKHOLDERS' EQUITY

Preferred Stock

We are authorized to issue 10,000,000 shares of preferred stock. As of December 31, 2011 and 2010, there were no issued and outstanding shares of preferred stock. Our board of directors is authorized to fix or alter the designation, powers, preferences and rights of the shares of each such series of preferred shares, and the qualifications, limitations or restrictions of any wholly unissued shares, to establish from time to time the number of shares constituting any such series, and to increase or decrease the number of shares, if any.

Common Stock

In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of $8.00 per share. We received net proceeds of approximately $140.5 million after deducting underwriting discounts and commissions and offering expenses.

Warrants

In conjunction with the facilities lease entered into in May 2001, we issued a warrant to the lessor to purchase 16,666 shares of our common stock at an exercise price of $80.21 per share, a 15% premium to market at the time of issuance. This warrant expired in May 2006. The fair market value of this warrant, as determined using the Black-Scholes valuation model, was approximately $683,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the life of the lease. As of December 31, 2011, approximately $277,000 remained to be amortized over the term of the lease.

In conjunction with the facilities lease amendment in October 2002, we issued a warrant to the lessor to purchase 55,555 shares of our common stock at an exercise price of $17.73 per share. The warrant expired in October 2007. The fair value of this warrant, as determined using the Black-Scholes valuation model, was approximately $565,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the life of the lease. As of December 31, 2011, approximately $229,000 remained to be amortized over the term of the lease.

In conjunction with the facilities lease amendment in July 2006, we issued a warrant to the lessor to purchase 100,000 shares of our common stock at an exercise price of $10.57 per share. The fair value of this warrant, as determined using the Black-Scholes valuation model, was approximately $801,000. This amount has been included in other long-term assets and is being amortized into expense over the term of the lease. As of December 31, 2011, approximately $421,000 remained to be amortized over the term of the lease. The build-to-suit lease agreement was further amended in March 2009. The lease amendment provided for the cancellation of the abovementioned warrant to purchase 100,000 shares of common stock and the issuance of a new warrant granting our landlord the right to purchase 200,000 shares of common stock. The exercise price per share of the new warrant is $6.61. The new warrant is outstanding as of December 31, 2011 and remains exercisable at any time up to February 2016. We applied modification accounting and determined the fair value of this warrant using the Black-Scholes valuation model. The incremental fair market value of the new warrant as a result of the modification is $616,000. This amount has been included in other long-term assets and is being amortized into expense over the term of the lease. As of December 31, 2011, approximately $424,000 remained to be amortized over the term of the lease.

As of December 31, 2011, we had reserved shares of common stock for future issuance as follows:

Warrant	200,000
Incentive Stock Plans	16,457,112
Purchase Plan	737,428
Total	17,394,540

10. INCOME TAXES

For the years ended December 31, 2011, 2010 and 2009, our income (loss) before income taxes was from domestic operations. For the year ended December 31, 2011, we did not record a provision for income taxes due to our net loss. For the year ended December 31, 2010, we did not record a provision for income taxes because of the utilization of net operating loss carryforwards for federal tax purposes and manufacturing investment credits for state tax purposes. For the year ended December 31, 2009, we recorded an income tax benefit of approximately $93,000 related to a refund of research tax credits as provided by the American Recovery and Reinvestment Act of 2009.

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of our deferred tax assets are as follows (in thousands):

	December 31,	
	2011	2010
Deferred tax assets		
Net operating loss carryforwards	$163,747	$135,211
Research and development credits	19,997	16,976
Capitalized research and development expenses	19,014	18,541
Deferred compensation	24,607	22,277
Other, net	4,168	4,035
Total deferred tax assets	231,533	197,040
Valuation allowance	(231,533)	(197,040)
Net deferred tax assets	$—	$—

The reconciliation of the statutory federal income tax rate to the effective tax rate was as follows:

	Years Ended December 31,		
	2011	2010	2009
Federal statutory tax rate	(34.0)%	34.0%	(34.0)%
Valuation allowance	33.6%	(33.6)%	31.5%
Other, net	0.4%	(0.4)%	2.5%
Effective tax rate	0.0%	0.0%	0.0%

As of December 31, 2011, we had net operating loss carryforwards for federal income tax purposes of approximately $441.4 million, which expire beginning in the year 2023 and state net operating loss carryforwards of approximately $234.8 million, which expire beginning in the year 2014.

We also have federal research and development tax credits of approximately $9.4 million, which begin to expire in the year 2018 and state research and development tax credits of approximately $17.5 million, which have no expiration date.

Realization of deferred tax assets is dependent upon future earnings, if any, the timing and amount of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by approximately $34.5 million for the year ended December 31, 2011 and decreased by approximately $13.9 million for the year ended December 31, 2010.

Included in the valuation allowance balance at December 31, 2011 and 2010 is approximately $2.5 million of tax deductions related to the exercise of stock options prior to the adoption of ASC 718 which have not reflected as an expense for financial reporting purposes. Accordingly, any future reduction in the valuation allowance relating to this amount will be credited directly to equity and not reflected as an income tax benefit in the statement of operations. As a result of certain realization requirements, the table of deferred tax assets and liabilities shown above does not include loss carryforward tax

assets of approximately $1.7 million at December 31, 2011 and 2010 that arose directly from (or the use of which was postponed by) tax deductions related to stock-based compensation expense in excess of compensation expense recognized for financial reporting. Equity will be increased by approximately $1.7 million if and when such deferred tax assets are ultimately realized.

Utilization of our net operating losses may be subject to substantial annual limitation due to the ownership change limitations provided by the Internal Revenue Code and similar state provisions. Such an annual limitation could result in the expiration of the net operating losses before utilization.

The following table summarizes the activity related to our gross unrecognized tax benefits (in thousands):

	Years Ended December 31,	
	2011	2010
Balance at the beginning of the year	$1,500	$1,500
Decrease related to prior year tax positions	—	—
Increase related to current year tax positions	—	—
Balance at the end of the year	$1,500	$1,500

We do not anticipate a significant change to the unrecognized tax benefits over the next twelve months.

We are subject to taxation in the United States and in California. Because of net operating loss and research credit carryovers, substantially all of our tax years remain open to examination.

Our policy is that we recognize interest and penalties accrued on any unrecognized tax benefits as a component of income tax expense. We currently have no tax positions that would be subject to interest or penalties.

11. CONTINGENCIES

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for our February 2008 public offering of common stock (Stock Offering). An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Circuit Court appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

We believe that we have meritorious defenses and intend to defend this lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending legal actions is time consuming for our management and detracts from our ability to fully focus our internal resources on our business activities.

In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, if any, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit.

A reserve may be required in the future due to new developments with respect to the pending lawsuit, patent claims or changes in approach such as a change in or establishment of a settlement strategy in dealing with these matters, when a loss becomes probable and is estimable.

12. QUALIFYING THERAPEUTIC DISCOVERY PROJECT GRANTS

In October 2010, we were notified by the Internal Revenue Service that we had been certified to receive a total cash grant of approximately $2.4 million related to the previously filed applications under the Qualifying Therapeutic Discovery Projects (Section 48D of the Internal Revenue Code). Of this amount, approximately $300,000 and $2.1 million was actually received in 2011 and 2010, respectively. We recognized the total grant of $2.4 million as other income in our 2010 statement of operations.

13. SELECTED QUARTERLY FINANCIAL DATA

	(unaudited, in thousands, except per share amounts)							
	Year Ended December 31, 2011				Year Ended December 31, 2010			
	Q1	Q2	Q3	Q4	Q1	Q2	Q3	Q4
Revenue	$—	$395	$4,355	$—	$3,261	$49,457	$72,282	$—
Net income (loss)	$(20,781)	$(21,474)	$(17,931)	$(25,787)	$(22,333)	$27,029	$50,433	$(17,239)
Net income (loss) per share:								
Basic	$(0.40)	$(0.37)	$(0.25)	$(0.36)	$(0.43)	$0.52	$0.97	$(0.33)
Diluted	$(0.40)	$(0.37)	$(0.25)	$(0.36)	$(0.43)	$0.51	$0.96	$(0.33)
Weighted average shares used in computing net income (loss) per share:								
Basic	52,275	58,272	71,226	71,249	51,964	51,974	52,127	52,152
Diluted	52,275	58,272	71,226	71,249	51,964	52,511	52,769	52,152

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

None.

Item 9A. Controls and Procedures

Conclusion Regarding the Effectiveness of Disclosure Controls and Procedures

Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of our disclosure controls and procedures, as such term is defined under Rule 13a-15(e) promulgated under the Securities Exchange Act of 1934, as amended (the Exchange Act). Based on this evaluation, our principal executive officer and our principal financial officer concluded that our disclosure controls and procedures were effective as of the end of the period covered by this Annual Report.

Management's Annual Report on Internal Control Over Financial Reporting

Our management is responsible for establishing and maintaining adequate internal control over financial reporting, as such term is defined in Exchange Act Rules 13a-15(f). Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of the effectiveness of our internal control over financial reporting based on the framework in *Internal Control—Integrated Framework* issued by the Committee of Sponsoring Organizations of the Treadway Commission. Based on our evaluation under the framework in *Internal Control—Integrated Framework*, our management concluded that our internal control over financial reporting was effective as of December 31, 2011.

The effectiveness of our internal control over financial reporting as of December 31, 2011 has been audited by Ernst & Young LLP, an independent registered public accounting firm, as stated in its attestation report which is set forth below in this Annual Report on Form 10-K.

Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders of Rigel Pharmaceuticals, Inc.

We have audited Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Rigel Pharmaceuticals, Inc.'s management is responsible for maintaining effective internal control over financial reporting, and for its assessment of the effectiveness of internal control over financial reporting included in the accompanying Management's Annual Report on Internal Control Over Financial Reporting. Our responsibility is to express an opinion on the Company's internal control over financial reporting based on our audit.

We conducted our audit in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, assessing the risk that a material weakness exists, testing and evaluating the design and operating effectiveness of internal control based on the assessed risk, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

A company's internal control over financial reporting is a process designed 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. A company's internal control over financial reporting includes those policies and procedures that (1) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (2) provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that receipts and expenditures of the company are being made only in accordance with authorizations of management and directors of the company; and (3) provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use, or disposition of the company's assets that could have a material effect on the financial statements.

Because of its inherent limitations, internal control over financial reporting may not prevent or detect misstatements. Also, projections of any evaluation of effectiveness to future periods are subject to the risk that controls may become inadequate because of changes in conditions, or that the degree of compliance with the policies or procedures may deteriorate.

In our opinion, Rigel Pharmaceuticals, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2011, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2011 and 2010, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011 of Rigel Pharmaceuticals, Inc. and our report dated March 6, 2012 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 6, 2012

Changes in Internal Controls over Financial Reporting

There were no changes in our internal control over financial reporting that occurred during the most recent fiscal quarter that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. Other Information

None.

PART III

Item 10. Directors, Executive Officers and Corporate Governance

Information regarding our directors, executive officers and corporate governance is incorporated by reference to the information set forth under the captions "Election of Directors" and "Management—Executive Officers" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

In 2003, we adopted a code of ethics, the Rigel Pharmaceuticals, Inc. Code of Conduct, which applies to our principal executive officer, principal financial officer, principal accounting officer or controller, or persons performing similar functions. Our Code of Conduct is on our website at http://media.corporate-ir.net/media_files/IROL/12/120936/corpgov/codeofconduct.pdf. If we make any substantive amendments to the code or grant any waiver from a provision of the code applicable to any executive officer or director, we will promptly disclose the nature of the amendment or waiver on a Form 8-K.

Information regarding compliance with Section 16(a) of the Exchange Act is incorporated by reference to the information set forth under the caption "Section 16(a) Beneficial Ownership Reporting Compliance" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

Item 11. Executive Compensation

Information regarding executive and director compensation is incorporated by reference to the information set forth under the captions "Compensation Discussion and Analysis," "Executive Compensation" and "Director Compensation" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

Information regarding Compensation Committee interlocks and insider participation is incorporated by reference to the information set forth under the caption "Compensation Committee Interlocks and Insider Participation" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

Information regarding our Compensation Committee's review and discussion of our Compensation Discussion and Analysis is incorporated by reference to the information set forth under the caption "Compensation Committee Report" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

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

Information regarding security ownership of certain beneficial owners and management and securities authorized for issuance under our equity compensation plans is incorporated by reference to the information set forth under the caption "Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters" and "Equity Compensation Plan Information" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

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

Information regarding certain relationships and related transactions and director independence is incorporated by reference to the information set forth under the captions "Transactions with Related Persons" and "Information Regarding the Board of Directors and Corporate Governance" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

Item 14. Principal Accounting Fees and Services

Information regarding principal accounting fees and services is incorporated by reference to the information set forth under the caption "Ratification of Selection of Independent Registered Public Accounting Firm" in our Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2011. Such information is incorporated herein by reference.

PART IV

Item 15. Exhibits, Financial Statement Schedules

(a) The following documents are being filed as part of this Annual Report on Form 10-K:

1. Financial Statements—Index to Financial Statements in Item 8 of this Annual Report on Form 10-K including selected quarterly financial data for the last two years in Note 13.

2. Financial Statement Schedules—None—As all required disclosures have been made in the footnotes to the financial statements.

3. Exhibits:

3.1	Amended and Restated Certificate of Incorporation (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
3.2	Amended and Restated Bylaws (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference).
4.1	Form of warrant to purchase shares of common stock (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
4.2	Specimen Common Stock Certificate (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
4.3	Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2006 (No. 000-29889), as amended, and incorporated herein by reference).
4.4	Warrant issued to HCP BTC, LLC for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2009 (No. 000-29889) and incorporated herein by reference).
10.1+	Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.2	Collaboration Agreement between Rigel and Janssen Pharmaceutical N.V., dated December 4, 1998 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).

10.3	Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.4	Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.5	Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated May 16, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
10.6*	Amendment to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated October 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K, as amended, for the fiscal year ended December 31, 2002 (No. 000-29889) and incorporated herein by reference).
10.7	Amendment No. Two to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated January 31, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2009 (No. 000-29889) and incorporated herein by reference).
10.8	Amendment No. Three to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated January 31, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2009 (No. 000-29889) and incorporated herein by reference).
10.9	Amendment No. Four to Build-to-Suit Lease between Rigel and HCP BTC, LLC, dated February 1, 2009 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2009 (No. 000-29889) and incorporated herein by reference).
10.10	First Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 18, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
10.11*	Second Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated July 6, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference).
10.12	First Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated June 30, 2000 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
10.13	Second Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated December 4, 2001 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
10.14	Loan and Security Agreement between Rigel and Comerica Bank—California, dated July 12, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
10.15*	Collaboration Agreement between Rigel and Daiichi Pharmaceutical Co., Ltd., dated August 1, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
10.16+	Employment Agreement between Rigel and Elliott B. Grossbard, dated as of March 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K, as amended, for the fiscal year ended December 31, 2002 (No. 000-29889) and incorporated herein by reference).

10.17* Collaborative Research and License Agreement by and between Rigel and Pfizer Inc., dated January 18, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2005 (No. 000-29889) and incorporated herein by reference).

10.18+ Form of Indemnity Agreement (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2007 (No. 000-29889), as amended, and incorporated herein by reference).

10.19+ 2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).

10.20+ 2008 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 26, 2008 and incorporated herein by reference).

10.21+ 2009 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on April 1, 2009 and incorporated herein by reference).

10.22+ 2000 Non-Employee Directors' Stock Option Plan, as amended (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).

10.23+ Amended and Restated Employment Agreement between Rigel and Donald G. Payan, effective January 1, 2011 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2010 (No. 000-29889) and incorporated herein by reference).

10.24+ Amended and Restated Change of Control Severance Plan (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2010 (No. 000-29889) and incorporated herein by reference).

10.25+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Annual Report on Form 10-Q for the quarter ended March 31, 2010 (No. 000-29889) and incorporated herein by reference).

10.26* License and Collaboration Agreement between Rigel and AstraZeneca AB, dated February 15, 2010 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2010 (No. 000-29889) and incorporated herein by reference).

10.27+ 2010 Cash Incentive Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 1, 2010 and incorporated herein by reference).

10.28+ 2011 Cash Incentive Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on February 9, 2011 and incorporated herein by reference).

10.29+ 2011 Base Salaries for Named Executive Officers (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2011 (No. 000-29889) and incorporated herein by reference).

10.30+ 2011 Equity Incentive Plan (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).

10.31* Termination Agreement between Rigel and Pfizer, Inc., dated May 2, 2011 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).

10.32+ Form of Stock Option Agreement pursuant to 2011 Equity Incentive Plan (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2011 (No. 000-29889) and incorporated herein by reference).

23.1#	Consent of Independent Registered Public Accounting Firm.
24.1	Power of Attorney (included on signature page).
31.1#	Certification required by Rule 13a-14(a) or Rule 15d-14(a).
31.2#	Certification required by Rule 13a-14(a) or Rule 15d-14(a).
32.1●	Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350).
101.INS#†	XBRL Instance Document
101.SCH#†	XBRL Taxonomy Extension Schema Document
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101.DEF#†	XBRL Taxonomy Extension Definition Linkbase Document

+ Management contract or compensatory plan.

* Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

Filed herewith.

● The certification attached as Exhibit 32.1 accompanies the Annual Report on Form 10-K pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 and shall not be deemed "filed" by the Company for purposes of Section 18 of the Securities Exchange Act of 1934, as amended.

† Pursuant to applicable securities laws and regulations, the Registrant is deemed to have complied with the reporting obligation relating to the submission of interactive data files in such exhibits and is not subject to liability under any anti-fraud provisions of the federal securities laws as long as the Registrant has made a good faith attempt to comply with the submission requirements and promptly amends the interactive data files after becoming aware that the interactive data files fail to comply with the submission requirements. These interactive data files are deemed not filed or part of a registration statement or prospectus for purposes of sections 11 or 12 of the Securities Act of 1933, as amended, are deemed not filed for purposes of section 18 of the Securities Exchange Act of 1934, as amended, and otherwise are not subject to liability under these sections.

SIGNATURES

Pursuant to the requirements of the Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this Annual Report on Form 10-K to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on March 6, 2012.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER
James M. Gower
Chairman of the Board and Chief Executive Officer

By: /s/ RYAN D. MAYNARD
Ryan D. Maynard
Executive Vice President and Chief Financial Officer

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints James M. Gower and Ryan D. Maynard, and each of them, as his true and lawful attorneys-in-fact and agents, with full power of substitution and resubstitution, for him and in his name, place, and stead, in any and all capacities, to sign any and all amendments to this Annual Report on Form 10-K, and to file the same, with all exhibits thereto, and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he might or could do in person, hereby ratifying and confirming that all said attorneys-in-fact and agents, or any of them or their or his substitute or substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this Annual Report on Form 10-K has been signed below by the following persons on behalf of the Registrant and in the capacities and on the dates indicated:

Signature	Title	Date
/s/ JAMES M. GOWER James M. Gower	Chairman of the Board, Chief Executive Officer and Director (Principal Executive Officer)	March 6, 2012
/s/ RYAN D. MAYNARD Ryan D. Maynard	Executive Vice President and Chief Financial Officer (Principal Finance and Accounting Officer)	March 6, 2012
/s/ DONALD G. PAYAN Donald G. Payan	Executive Vice President, President of Discovery and Research	March 6, 2012
/s/ BRADFORD S. GOODWIN Bradford S. Goodwin	Director	March 6, 2012
/s/ GARY A. LYONS Gary A. Lyons	Director	March 6, 2012
/s/ WALTER H. MOOS Walter H. Moos	Director	March 6, 2012
/s/ HOLLINGS C. RENTON Hollings C. Renton	Director	March 6, 2012
/s/ PETER S. RINGROSE Peter S. Ringrose	Director	March 6, 2012
/s/ STEPHEN A. SHERWIN Stephen A. Sherwin	Director	March 6, 2012

EXHIBIT INDEX

3.1	Amended and Restated Certificate of Incorporation (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
3.2	Amended and Restated Bylaws (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference).
4.1	Form of warrant to purchase shares of common stock (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
4.2	Specimen Common Stock Certificate (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
4.3	Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2006 (No. 000-29889), as amended, and incorporated herein by reference).
4.4	Warrant issued to HCP BTC, LLC for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2009 (No. 000-29889) and incorporated herein by reference).
10.1+	Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.2	Collaboration Agreement between Rigel and Janssen Pharmaceutical N.V., dated December 4, 1998 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.3	Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.4	Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
10.5	Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated May 16, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
10.6*	Amendment to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated October 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K, as amended, for the fiscal year ended December 31, 2002 (No. 000-29889) and incorporated herein by reference).
10.7	Amendment No. Two to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated January 31, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2009 (No. 000-29889) and incorporated herein by reference).
10.8	Amendment No. Three to Build-to-Suit Lease between Rigel and Slough BTC, LLC, dated January 31, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2009 (No. 000-29889) and incorporated herein by reference).
10.9	Amendment No. Four to Build-to-Suit Lease between Rigel and HCP BTC, LLC, dated February 1, 2009 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2009 (No. 000-29889) and incorporated herein by reference).
10.10	First Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 18, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).

10.11*	Second Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated July 6, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference).
10.12	First Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated June 30, 2000 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
10.13	Second Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated December 4, 2001 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
10.14	Loan and Security Agreement between Rigel and Comerica Bank—California, dated July 12, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
10.15*	Collaboration Agreement between Rigel and Daiichi Pharmaceutical Co., Ltd., dated August 1, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
10.16+	Employment Agreement between Rigel and Elliott B. Grossbard, dated as of March 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K, as amended, for the fiscal year ended December 31, 2002 (No. 000-29889) and incorporated herein by reference).
10.17*	Collaborative Research and License Agreement by and between Rigel and Pfizer Inc., dated January 18, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2005 (No. 000-29889) and incorporated herein by reference).
10.18+	Form of Indemnity Agreement (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2007 (No. 000-29889), as amended, and incorporated herein by reference).
10.19+	2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).
10.20+	2008 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 26, 2008 and incorporated herein by reference).
10.21+	2009 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on April 1, 2009 and incorporated herein by reference).
10.22+	2000 Non-Employee Directors' Stock Option Plan, as amended (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).
10.23+	Amended and Restated Employment Agreement between Rigel and Donald G. Payan, effective January 1, 2011 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2010 (No. 000-29889) and incorporated herein by reference).
10.24+	Amended and Restated Change of Control Severance Plan (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2010 (No. 000-29889) and incorporated herein by reference).
10.25+	2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2010 (No. 000-29889) and incorporated herein by reference).
10.26*	License and Collaboration Agreement between Rigel and AstraZeneca AB, dated February 15, 2010 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2010 (No. 000-29889) and incorporated herein by reference).
10.27+	2010 Cash Incentive Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 1, 2010 and incorporated herein by reference).

10.28+	2011 Cash Incentive Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on February 9, 2011 and incorporated herein by reference).
10.29+	2011 Base Salaries for Named Executive Officers (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2011 (No. 000-29889) and incorporated herein by reference).
10.30+	2011 Equity Incentive Plan (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).
10.31*	Termination Agreement between Rigel and Pfizer, Inc., dated May 2, 2011 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2011 (No. 000-29889) and incorporated herein by reference).
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32.1●	Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350).
101.INS#†	XBRL Instance Document
101.SCH#†	XBRL Taxonomy Extension Schema Document
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+ Management contract or compensatory plan.

* Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

Filed herewith.

● The certification attached as Exhibit 32.1 accompanies the Annual Report on Form 10-K pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 and shall not be deemed "filed" by the Company for purposes of Section 18 of the Securities Exchange Act of 1934, as amended.

† Pursuant to applicable securities laws and regulations, the Registrant is deemed to have complied with the reporting obligation relating to the submission of interactive data files in such exhibits and is not subject to liability under any anti-fraud provisions of the federal securities laws as long as the Registrant has made a good faith attempt to comply with the submission requirements and promptly amends the interactive data files after becoming aware that the interactive data files fail to comply with the submission requirements. These interactive data files are deemed not filed or part of a registration statement or prospectus for purposes of sections 11 or 12 of the Securities Act of 1933, as amended, are deemed not filed for purposes of section 18 of the Securities Exchange Act of 1934, as amended, and otherwise are not subject to liability under these sections.

Exhibit 23.1

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We consent to the incorporation by reference in the following Registration Statements:

(1) Registration Statements (Form S-8 Nos. 333-51184, 333-106532, 333-125895, 333-134622 and 333-148132) pertaining to the 2000 Equity Incentive Plan, the 2000 Employee Stock Purchase Plan and the 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.,

(2) Registration Statements (Form S-8 Nos. 333-155031 and 333-168495) pertaining to the 2000 Equity Incentive Plan and the 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.,

(3) Registration Statement (Form S-8 No. 333-72492) pertaining to the 2001 Non-Officer Equity Incentive Plan of Rigel Pharmaceuticals, Inc.,

(4) Registration Statements (Form S-8 Nos. 333-107062 and 333-139516) pertaining to the 2000 Employee Stock Purchase Plan of Rigel Pharmaceuticals, Inc.,

(5) Registration Statement (Form S-8 No. 333-111782) pertaining to the 2000 Equity Incentive Plan of Rigel Pharmaceuticals, Inc.,

(6) Registration Statement (Form S-8 No. 333-175977) pertaining to the 2011 Equity Incentive Plan, the 2000 Equity Incentive Plan and the 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc., and

(7) Registration Statements (Form S-3 Nos. 333-148838, 333-161960 and 333-171159) of Rigel Pharmaceuticals, Inc. and in the related Prospectuses.

of our reports dated March 6, 2012, with respect to the financial statements of Rigel Pharmaceuticals, Inc. and the effectiveness of internal control over financial reporting of Rigel Pharmaceuticals, Inc. included in this Annual Report (Form 10-K) for the year ended December 31, 2011.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 6, 2012

Exhibit 31.1

CERTIFICATIONS

I, James M. Gower, certify that:

1. I have reviewed this Annual Report on Form 10-K of Rigel Pharmaceuticals, 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(s) 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(s) 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: March 6, 2012

/s/ JAMES M. GOWER

James M. Gower

Chief Executive Officer

Exhibit 31.2

CERTIFICATIONS

I, Ryan D. Maynard, certify that:

1. I have reviewed this Annual Report on Form 10-K of Rigel Pharmaceuticals, 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(s) 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(s) 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: March 6, 2012

/s/ RYAN D. MAYNARD

Ryan D. Maynard

Executive Vice President and Chief Financial Officer

Exhibit 32.1

CERTIFICATION

Pursuant to the requirement set forth in Rule 13a-14(b) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. §1350), James M. Gower, Chief Executive Officer of Rigel Pharmaceuticals, Inc. (the "Company"), and Ryan D. Maynard, Executive Vice President and Chief Financial Officer of the Company, each hereby certifies that, to the best of his knowledge:

1. The Company's Annual Report on Form 10-K for the period ended December 31, 2011, to which this Certification is attached as Exhibit 32.1 (the "Annual Report") fully complies with the requirements of Section 13(a) or Section 15(d) of the Exchange Act; and

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

In Witness Whereof, the undersigned have set their hands hereto as of March 6, 2012.

/s/ JAMES M. GOWER	/s/ RYAN D. MAYNARD
James M. Gower	Ryan D. Maynard
Chief Executive Officer	*Executive Vice President and Chief Financial Officer*

This certification accompanies the Form 10-K to which it relates, is not deemed filed with the Securities and Exchange Commission and is not to be incorporated by reference into any filing of Rigel Pharmaceuticals, Inc. under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended (whether made before or after the date of the Form 10-K), irrespective of any general incorporation language contained in such filing.