

RIGEL PHARMACEUTICALS INC

Form 10-K

March 04, 2014

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**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, 2013

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:

Common Stock, par value \$.001 per share

Name of each exchange on which registered:

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

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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 Smaller reporting company

(Do not check if a
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 28, 2013, the last business day of the registrant's most recently completed second fiscal quarter, was \$290,854,625. 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 26, 2014, there were 87,524,349 shares of the registrant's Common Stock outstanding.

DOCUMENTS INCORPORATED BY REFERENCE

Items 10, 11, 12, 13 and 14 of Part III of this Annual Report on Form 10-K incorporate information by reference from the definitive proxy statement for the registrant's 2014 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 27A of the Securities Act of 1933, as amended (the Securities Act), Section 21E of the Securities Exchange Act of 1934, as amended (the Exchange Act), and the Private Securities Litigation Reform Act of 1995, that involve risks and uncertainties. We usually use words such as "may," "will," "should," "could," "expect," "plan," "anticipate," "might," "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, except as required by law, 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. We currently have five product candidates in development: fostamatinib, an oral spleen tyrosine kinase (SYK) inhibitor expected to enter Phase 3 clinical trials for immune thrombocytopenic purpura (ITP) and a Phase 2 clinical trial for immunoglobulin A nephropathy (IgAN) in the first half of 2014; R348, a topical JAK/SYK inhibitor currently in Phase 2 clinical trials for dry eye; R118, an adenosine monophosphate (AMP)-activated protein kinase (AMPK) activator entering Phase 1 in the first half of 2014; and two oncology product candidates in Phase 1 development with partners BerGenBio AS (BerGenBio) and Daiichi Sankyo (Daiichi), respectively.

Since the beginning of 2013, we have experienced the following business events:

In January 2014, we announced that we earned a payment of \$5.8 million from AstraZeneca AB (AZ) resulting from AZ's continued development of R256 in asthma during December 2013.

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In October 2013, our representatives met with the U.S. Food and Drug Administration (FDA) for an end-of-Phase 2 meeting for fostamatinib, an oral SYK inhibitor in development for patients with ITP. We expect to enter a pivotal Phase 3 clinical study in the first half of 2014.

In October 2013, we announced that R333, which was being evaluated as a potential therapeutic for active skin lesions in patients with discoid lupus erythematosus (DLE), did not meet the primary endpoint in the completed Phase 2 clinical study. In light of the overall findings, we have decided not to pursue this indication further with R333.

In September 2013, we announced that we reduced our workforce by 18%, resulting in the elimination of 30 positions, mostly from the drug discovery area as a consequence of prioritizing projects and efforts to conserve our cash resources.

In August 2013, we announced that R343, an inhaled SYK inhibitor being evaluated as a potential therapeutic for patients with allergic asthma, did not meet the primary or secondary endpoints in the completed Phase 2 clinical study. In light of the overall findings, we have decided not to pursue this indication further with R343.

In July 2013, we initiated a Phase 2 study, called DROPS (Dry Eye Rigel Ophthalmic Phase 2 Study). This multi-center, randomized, double-masked study evaluates two doses of R348 versus placebo administered twice a day over a three-month period in approximately 210 patients with dry eye disease. Results of this Phase 2 study are expected in the second half of 2014.

In April 2013, AZ announced top-line results of OSKIRA-1, a Phase 3 study to assess the efficacy and safety of fostamatinib, the first oral SYK inhibitor in development for rheumatoid arthritis (RA). In June 2013, AZ announced the topline results from OSKIRA-2 and OSKIRA-3, two pivotal Phase 3 clinical trials in patients with RA. Based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, in June 2013, AZ informed us that it would not proceed with regulatory filings and, instead would return the rights to the compound to us. In September 2013, we announced that we would not continue further development of fostamatinib for the treatment of RA or lymphoma due to insufficient efficacy findings from recent clinical trials and the competitive landscape in those indications.

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 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 business and scientific strategy are to:

develop a diverse portfolio of drug candidates that address 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

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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 drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of inflammatory and autoimmune diseases, as well as muscle disorders.

Pipeline	Current Stage	Status
<i>Fostamatinib Oral SYK Inhibitor</i>		
Immune Thrombocytopenic Purpura (ITP)		In October 2013, our representatives met with the FDA for an end-of-Phase 2 meeting for fostamatinib in development for patients with ITP. We expect to initiate a Phase 3 clinical program with two pivotal studies, one commencing in the first half of 2014 and one commencing in the third quarter of 2014, with top-line data for both studies expected by the second half of 2015.
	Phase 3	
IgA Nephropathy (IgAN)		We expect to initiate a Phase 2 clinical study to investigate fostamatinib for the treatment of IgAN in the summer of 2014.
	Phase 2	
<i>R348 Topical Ophthalmic JAK/SYK Inhibitor</i>		
Keratoconjunctivitis Sicca		In July 2013, we initiated a Phase 2 clinical study to investigate R348 for the treatment of keratoconjunctivitis sicca or chronic dry eye. Results of this Phase 2 study are expected in the second half of 2014.
	Phase 2	
Dry Eye in Patients with Ocular Graft-Versus-Host Disease		We expect to initiate a Phase 2 clinical study to investigate R348 for the treatment of dry eye in patients with ocular graft-versus-host disease (GvHD) in the second quarter of 2014.
	Phase 2	
<i>R118 AMPK Activator</i>		
Intermittent Claudication (IC)		We plan to initiate a Phase 1 clinical trial of R118 in patients with IC in the first half of 2014.
	Phase 1	

Clinical Stage Programs***Fostamatinib Immune Thrombocytopenic Purpura***

Disease background. Chronic ITP affects approximately 100,000 people, with the majority of these cases being in women. ITP is a blood disorder in which the immune system attacks and destroys the body's own blood platelets, which have an important role in the clotting and healing process. ITP

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patients can suffer bruising, bleeding and fatigue as a result of their low blood platelet counts. Currently marketed therapies aim to raise blood platelet counts, but do not address the underlying cause of the disorder.

Orally-available SYK inhibitor program. Platelet destruction from ITP is mediated by immunoglobulin G (IgG) signaling, and fostamatinib is a potent inhibitor of IgG signaling. The results of our Phase 2 study of fostamatinib to evaluate its safety and initial efficacy in chronic ITP patients, published in *Blood* (2009, volume 113, number 14), showed that fostamatinib may be effective in treating this rare autoimmune disorder. In this clinical trial, fostamatinib was orally administered in varying doses for 30 or more days and demonstrated that it can improve platelet counts in highly refractory patients.

In October 2013, we met with the FDA for an end-of-Phase 2 meeting for fostamatinib in ITP. We expect to initiate a Phase 3 clinical program with two pivotal studies, one commencing in the first half of 2014 and one commencing in the third quarter of 2014. Each of these trials is expected to enroll approximately 75 patients who would be treated for six months and have the option to enroll in an extension study. These trials will be randomized, placebo-controlled and will enroll verified ITP patients with platelet counts below 30,000 platelets per microliter of blood. The goal of the trials will be to achieve a durable platelet count increase to over 50,000 platelets per microliter of blood. We expect top-line data from these studies in the second half of 2015.

Fostamatinib IgA Nephropathy

Disease background. IgAN is an autoimmune disease that severely affects the functioning of the kidneys. An estimated 12,000 Americans are diagnosed with this type of glomerulonephritis each year, with 25% of its victims eventually requiring dialysis and/or kidney transplantation over time. IgAN is characterized by the deposition of IgA immune complexes in the glomeruli of the kidneys leading to an inflammatory response and subsequent tissue damage that ultimately disrupts the normal filtering function of the kidneys. By inhibiting SYK in kidney cells, fostamatinib may block the signaling of IgA immune complex receptors and arrest or slow destruction of the glomeruli. We expect to enter a Phase 2 study of fostamatinib in patients with IgAN in the summer of 2014.

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.

In September 2013, we announced that we would not continue further development of fostamatinib for the treatment of RA or lymphoma due to insufficient efficacy findings from recent clinical trials and the competitive landscape in those indications.

OSKIRA

The OSKIRA (Oral SYK Inhibition in Rheumatoid Arthritis) program was designed to investigate fostamatinib as a potential new oral treatment option for RA and an alternative to injectable therapies for patients with an inadequate response to conventional disease modifying anti-rheumatic drugs (DMARDs). OSKIRA-1 was a 12-month study with approximately 900 patients, examining the effect of fostamatinib compared with placebo over a 24 week period, in patients responding inadequately to MTX. OSKIRA-1 had co-primary endpoints of American College of Rheumatology (ACR)20 scores and mTSS (x-ray endpoint assessing structural progression) at 24 weeks. OSKIRA-2 was a 12-month study with approximately 900 patients, examining the effect of fostamatinib compared with placebo over a 24 week period, in patients responding inadequately to DMARDs. OSKIRA-2 had a primary

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endpoint of ACR20 at 24 weeks. OSKIRA-3 was a six-month study of approximately 320 patients assessing the effect of fostamatinib compared with placebo in patients responding inadequately to TNF- α antagonist therapy. The primary endpoint of OSKIRA-3 was ACR20 at 24 weeks.

In June 2013, AZ announced the topline results from OSKIRA-2 and OSKIRA-3, two pivotal Phase 3 clinical trials investigating fostamatinib, the first oral SYK inhibitor in development for RA. In the OSKIRA-2 study of patients inadequately responding to DMARDs, fostamatinib in combination with DMARDs showed statistically significant improvements in ACR20 response rates at 24 weeks compared to placebo. In the OSKIRA-3 study of patients inadequately responding to MTX and a single TNF-alpha antagonist, fostamatinib in combination with MTX showed statistically significant improvements in ACR20 response rates at 24 weeks in the 100mg twice daily group but not in the group given 100mg twice daily for four weeks followed by 150mg once daily compared to placebo. The safety and tolerability findings for fostamatinib observed in the OSKIRA Phase 3 program were generally consistent with those previously reported in earlier studies. The most commonly reported adverse events in the OSKIRA program include hypertension, diarrhea, nausea, headache and nasopharyngitis (common cold).

Based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, in June 2013, AZ informed us that it would not proceed with regulatory filings and, instead would return the rights to the compound to us. AZ was solely responsible for all costs and expenses incurred by both parties in connection with the transfer of responsibilities up to the effective termination of the agreement on December 4, 2013.

In April 2013, AZ announced top-line results of OSKIRA-1, a Phase 3 study to assess the efficacy and safety of fostamatinib. OSKIRA-1 had two primary endpoints: assessing signs and symptoms of RA as measured by ACR20 response rates, and an X-ray endpoint known as mTSS (modified Total Sharp Score). In the OSKIRA-1 study, fostamatinib achieved a statistically significant improvement in ACR 20 response rate at 24 weeks compared to placebo. Fostamatinib did not demonstrate a statistically significant difference in mTSS compared to placebo at 24 weeks. The safety and tolerability findings for fostamatinib observed in the OSKIRA-1 study were generally consistent with those previously reported for the *TASKi* Phase 2 program. The most commonly reported adverse events were typical of those seen in earlier studies, including hypertension, diarrhea, nausea, headache and nasopharyngitis (common cold).

Fostamatinib Other Indications

In addition to RA, fostamatinib had been studied in patients with other immune disorders and some cancers. AZ commenced Phase 2 clinical trials to investigate the effect of fostamatinib on hematological malignancies in the first quarter of 2012. The randomized double-blind Phase 2 clinical trial was designed to evaluate the effectiveness of two doses of fostamatinib (100mg twice daily and 200mg twice daily) in patients with worsening or unmanageable diffuse large B-cell lymphoma. As discussed above, we have decided not to continue further development of fostamatinib for the treatment of lymphoma.

R348 Keratoconjunctivitis Sicca

Disease background. Chronic dry eye, or keratoconjunctivitis sicca, is an inflammatory disease that often affects the lacrimal (tear producing) glands of the eye. Over five million Americans suffer with this disorder, and many patients with chronic dry eye may also suffer with autoimmune conditions, including systemic lupus erythematosus and rheumatoid arthritis. Chronic dry eye is an irritating and painful disease that may be destructive to the cornea if not well controlled.

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Topical Ophthalmic JAK/SYK inhibitor program. Since both JAK and SYK are important components in the body's immune and inflammatory responses, R348's combined JAK/SYK inhibition is expected to offer relief directly to the eye. A recently completed Phase 1 study of R348 in patients with dry eye disease showed that the drug candidate is well tolerated. In July 2013, we initiated a Phase 2 study, called DROPS. This multi-center, randomized, double-masked study, evaluates two doses of R348 versus placebo administered twice a day over a three-month period in approximately 210 patients with dry eye disease. The efficacy endpoints will include change from baseline in corneal staining, tear production and dry eye symptom scores. Results of this Phase 2 study are expected in the second half of 2014.

R348 Dry Eye in Patients with Ocular Graft-Versus-Host Disease

Disease background. According to an article published by the American Academy of Ophthalmology, a significant number (22% to 80%) of patients with acute or chronic GvHD develop a secondary incidence of dry eye (keratoconjunctivitis sicca). In general, these patients are severely ill and have a great medical need for a topical therapy that may better manage their symptoms.

Topical Ophthalmic JAK/SYK inhibitor program. We expect to initiate a Phase 2 study of R348 in patients with dry eye as a result of primary GvHD in the second quarter of 2014.

R118 Intermittent Claudication

Disease background. Intermittent claudication (IC) refers to the muscle pain associated with peripheral artery disease (PAD) caused by either atherosclerosis or inflammation. Patients with IC have difficulty with simple activities, like walking, and current therapies do not provide sufficient relief. IC affects more than 5% of the population age 65 or older, but anyone with PAD may also suffer the effects of IC.

AMPK activator program. Preclinical evaluation of R118, an AMPK activator, has shown it to be a central regulator of lipid and metabolic activity and capable of increasing muscle endurance. We plan to initiate a Phase 1 trial of R118 in patients with IC in the first half of 2014.

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 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.

SITAR. In August 2013, we announced that R343, an inhaled SYK inhibitor being evaluated as a potential therapeutic for patients with allergic asthma, did not meet the primary or secondary endpoints in a recently completed Phase 2 clinical study. The primary endpoint was the change in pre-bronchodilator FEV1 (a measure of lung function) from baseline to dosing completion at Week 8, comparing active doses to placebo. R343 was shown to be relatively safe and well tolerated at both doses. The Phase 2 clinical study, called SITAR (SYK Inhibition for Treatment of Asthma with R343),

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was designed to randomize approximately 270 adults with allergic asthma into the three arms of the study for eight weeks of treatment with either of two different doses of the study agent or placebo. R343 was being delivered directly into the lungs via a dry powder inhalation device. In light of these overall findings, we have decided not to move forward with R343.

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 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 Dermatological JAK/SYK inhibitor program. R333 is a topical dermatological JAK/SYK inhibitor, which may be useful in treating both the acute and chronic phases of DLE. We completed the Phase 1 clinical study of its topical agent to test its application in treating acute and chronic phases of DLE in the first half of 2012.

SKINDLE. In October 2013, we announced that R333, which was being evaluated as a potential therapeutic for active skin lesions in patients with DLE in a Phase 2 clinical study, called SKINDLE (SYK Kinase Inhibition for DLE), did not meet the primary endpoint in a recently completed Phase 2 clinical study. The primary endpoint was the proportion of patients who achieved at least a 50% decrease from baseline in the total combined Erythema and Scaling score of all treated lesions at Week 4. R333 was shown to be relatively safe and well tolerated. In light of these overall findings, we have decided not to pursue this indication further with R333.

Research/Preclinical Program

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.

We have active small molecule discovery programs 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.

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.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborators. We currently do not have significant active collaborations.

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AstraZeneca

Fostamatinib

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 included a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ was 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. In September 2010, we earned \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for their initiation of Phase 3 clinical trials in the fostamatinib program by AZ. 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 consistent over the short transition period.

In June 2013, based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, AZ informed us that it would not proceed with regulatory filings and, instead would return the rights to the compound to us. AZ was solely responsible for all costs and expenses incurred by both parties in connection with the transfer of responsibilities up to the effective termination of the agreement on December 4, 2013.

In September 2013, we announced that we would not continue further development of fostamatinib for the treatment of RA or lymphoma due to insufficient efficacy findings from recent clinical trials and the competitive landscape in those indications.

Other Agreements

We have several active collaborations with additional partners. Under these collaborations, which we enter into in the ordinary course of business, we received or may be entitled to receive upfront cash payments, progress dependent contingent payments on events achieved by such partners and royalties on any net sales of products sold by such partners under the agreements. Total future contingent payments to us under all of these current collaborations could exceed \$152.3 million if all potential product candidates achieved all of the payment triggering events under all of our current collaborations (based on a single product candidate under each agreement). Of this amount, up to \$61.2 million relates to the achievement of development events, up to \$53.6 million relates to the achievement of regulatory events and up to \$37.5 million relates to the achievement of certain commercial or launch events. This estimated future contingent amount does not include any estimated royalties that could be due to us if the partners successfully commercialize the licensed products.

Since we do not control the research, development or commercialization of the product candidates generated under these collaborations, we are not able to reasonably estimate when, if at all, any contingent payments would become payable to us. As such, the contingent payments we could receive thereunder involve a substantial degree of risk to achieve and may never be received. Accordingly, we do not expect, and investors should not assume, that we will receive all of the potential contingent

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payments provided for under these collaborations and it is possible that we may never receive any additional significant contingent payments or royalties under these collaborations.

In June 2012, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our program, R256, an inhaled janus kinase (JAK) inhibitor shown to inhibit interleukin (IL)-13 and IL-4 signaling, which is being investigated as a treatment for moderate to severe chronic asthma. AZ is responsible for beginning the first-in-human clinical studies for R256, and for designing and conducting the clinical development of the compound. AZ also has exclusive rights to commercialize R256 around the world. AZ paid us an upfront payment of \$1.0 million in July 2012. Under the agreement, we were obligated to provide the following deliverables: (i) granting a license of rights to our program, and (ii) delivery of a small batch of compound to AZ. We concluded that these deliverables should be accounted for as separate units of accounting. As our obligations with respect to the deliverables were achieved by June 30, 2012, we recognized revenue of \$1.0 million in the second quarter of 2012. On December 31, 2013, we earned revenue associated with the time-based non-refundable payment of \$5.8 million from AZ in consideration for AZ's decision to continue its development of R256 in asthma.

In June 2011, we entered into an exclusive license agreement with BerGenBio for the development and commercialization of an oncology program, which is currently in Phase 1 development. BerGenBio is responsible for all activities it wishes to perform under the license we granted to it. In July 2012, we received a time-based payment of \$500,000 from BerGenBio due to us on June 29, 2012, pursuant to the terms of the agreement. We recognized the payment as revenue in the second quarter of 2012.

In August 2002, we entered into 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. In April 2013, we received a \$1.4 million payment from Daiichi related to Daiichi's filing of an IND for an oncology compound, which is currently in Phase 1 development. In January 2012, we received a \$750,000 payment from Daiichi. To date, we have earned payments under this arrangement totaling \$7.9 million and may earn additional payments in connection with the achievement by Daiichi of 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 specified events.

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;

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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 83 pending patent applications and over 260 issued and active patents in the United States, as well as corresponding pending 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 U.S. and international patent

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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 extensions, in 2023, 2024 and 2026. Several of these patents will have patent term 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, 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. For example, there are existing therapies and drug candidates in development for the treatment of ITP that may be alternative therapies to fostamatinib, if it is ultimately approved for commercialization. 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

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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 us 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

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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 each of the fiscal years 2013, 2012 and 2011.

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.

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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 E.U., registration procedures are available to companies wishing to market a product in more than one E.U. 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.

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Employees

As of December 31, 2013, we had 129 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.

In September 2013, we announced that we reduced our workforce by 18%, which resulted in the elimination of 30 positions, mostly from the drug discovery area as a consequence of prioritizing projects and efforts to conserve our cash resources.

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 non-employee options 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 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, copies of these reports are available 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.

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 April 2013, our partner, AZ announced the top-line results of OSKIRA-1, a Phase 3 study to assess the efficacy and safety of fostamatinib, the first oral SYK inhibitor in development for RA. In June 2013, AZ announced the topline results from OSKIRA-2 and OSKIRA-3, two pivotal Phase 3 clinical trials investigating fostamatinib. Based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, in June 2013, AZ informed us that it would not proceed with regulatory filings and instead would

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return the rights to fostamatinib to us. As such, our collaboration agreement with AZ related to fostamatinib is no longer a potential source of future funds for us. We have decided not to continue development of fostamatinib for the treatment of RA or lymphoma due to insufficient efficacy findings from recent clinical trials and the competitive landscape in those indications. We plan to commence a Phase 3 clinical program to study fostamatinib in ITP in the first half of 2014 on our own, which may accelerate our need for additional capital. We may seek another collaborator or licensee in the future for further clinical development and commercialization of fostamatinib, as well as our other clinical programs, which we may not be able to obtain on commercially reasonable terms or at all. 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. We will continue to need additional capital and the amount of future capital needed will depend largely on the success of our internally developed programs as they proceed in later and more expensive clinical trials, including any additional clinical trials that we may decide to conduct with respect to fostamatinib. 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 in the future, 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, many of which are beyond our control, including, but not limited to:

the progress and success of our clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us;

the progress of research programs carried out by us;

any changes in the breadth of our research and development programs;

the ability to achieve the events identified in our collaborative agreements that trigger payments to us from our collaboration partners;

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;

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the costs and timing of obtaining, enforcing and defending our patent and other intellectual property rights;

the costs and timing of regulatory filings and approvals by us and our collaborators; and

expenses associated with any unforeseen litigation, including any securities class action lawsuits.

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.

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;

our results may not be statistically significant;

patient recruitment and enrollment may be slower than expected;

patients may drop out of the trials; and

regulatory and clinical study requirements, interpretations or guidance 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. For example, R343, our inhaled SYK inhibitor being evaluated as a potential therapeutic for patients with allergic asthma, did not meet the primary or secondary endpoints in a recently completed Phase 2 clinical study. 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. For example, in June 2013, our partner, AZ, informed us that it would not proceed with regulatory filings and instead would return the rights to fostamatinib to us. We plan to commence a Phase 3 clinical program to study fostamatinib in ITP in the first half of 2014 on our own. We cannot assure you that we will be able to successfully complete the clinical development of fostamatinib and ultimately commercialize fostamatinib. If we are unable to complete the clinical development of fostamatinib, our business may be harmed.

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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 five product compounds in the clinical testing stage: fostamatinib, an oral SYK inhibitor expected to enter Phase 3 clinical trials for ITP and a Phase 2 clinical trial for IgAN; R348, with indication for chronic dry eye in Phase 2 clinical trials and dry eye in patients with graft-versus-host disease entering Phase 2 clinical trials in the second quarter of 2014; R118, an AMPK activator entering Phase 1 in the first half of 2014; and two oncology product candidates in Phase 1 development with partners BerGenBio and Daiichi. 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. In 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. 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. 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 result of the completed Phase 1 clinical trial of R348 for chronic dry eye does not necessarily predict final result and the result may not be repeated in 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 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, it was due to 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 \$89.5 million for year ended December 31, 2013. 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 and there can be no assurance that we will generate operating income in the foreseeable 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

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achieve market acceptance, we may not be profitable. As of December 31, 2013, we had an accumulated deficit of approximately \$849.3 million. The extent of our future losses or profitability, if any, is highly uncertain.

If our corporate collaborations or license agreements are unsuccessful, or if we fail to form new corporate collaborations or license agreements, 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 collaborations with third parties, 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. For example, our partner, AZ, recently decided that it would not proceed with regulatory filings and would return the rights to fostamatinib to us. As a result, the agreement with AZ is no longer a potential source of funds to us. We plan to commence a Phase 3 clinical program to study fostamatinib in ITP in the first half of 2014 on our own. We may seek another collaborator or licensee in the future for clinical development and commercialization of fostamatinib, as well as our other clinical programs, which we may not be able to obtain on commercially reasonable terms or at all. If we are unable to form new collaborations or enter into new license agreements, our research and development efforts could be delayed. 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.

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. Additionally, the management teams of our collaborators may change for various reasons including due to being acquired. Different management teams or an acquiring company of our collaborators may have different priorities which may have adverse results on the collaboration with us.

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.

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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 we or our collaborative partners may develop.

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 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 and regulatory 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 for future product candidates, 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.

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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 assure you 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, such as the risk that such foreign regulatory authorities, which often have different regulatory and clinical study requirements, interpretations and guidance from the FDA, may require additional clinical trials or results for approval of a product candidate, any of which could result in delays, significant additional costs or failure to obtain such regulatory approval. For example, there can be no assurance that we or our collaborative partners will not have to provide additional information or analysis, or conduct additional studies, before receiving approval to market product candidates.

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 83 pending patent applications and over 260 issued and active 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 assure you 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;

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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.

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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. In September 2013, we announced that we had reduced our workforce by 18%, resulting in the elimination of 30 positions, mostly from the drug discovery area, which resulted in fewer personnel devoted to research and development. 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.

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 of 1986 (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 Pharma A.G., Daiichi, Merck & Co., Inc., 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

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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.

Securities class action lawsuits or other litigation could result in substantial damages and may divert management's time and attention from our business.

We have been subject to class action lawsuits in the past, including a securities class action lawsuit commenced in the United States District Court for the Northern District of California in February 2009, that was dismissed in November 2012. However, we may be subject to similar or completely unrelated claims in the future, such as those that might occur if there was to be a change in our corporate strategy. These and other 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 litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of such suits, 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 any such litigation. We have not established any reserves for any potential liability relating to any such potential lawsuits. 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 any such actions 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.

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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 fostamatinib for ITP and IgA nephropathy, and R348 for chronic dry eye and dry eye in patients with graft-versus-host disease. 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. For example, there are existing therapies and drug candidates in development for the treatment of ITP that may be alternative therapies to fostamatinib, if it is ultimately approved for commercialization. We face, and

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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 us 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

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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 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. For example, the market price of our common stock dropped by about 40% when the results of our OSKIRA-1 clinical trials was announced in April 2013. 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 our clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us;

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.

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 payers or government agencies.

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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 payers 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

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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 payers.

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payers, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payers 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 payers 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.

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

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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. There can be no assurance that future 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.

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 will continue to need 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. For example, in October 2012, we completed an underwritten public offering in which we sold 15,237,750 shares of our common stock pursuant to an effective registration statement. 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. Furthermore, if we obtain funds through a credit facility or through the issuance of debt or preferred securities, these securities would likely have rights senior to the rights of our common stockholders, which could impair the value of our common stock.

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;

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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

None.

Item 4. Mine Safety Disclosures

Not applicable.

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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, 2012		
First Quarter	\$ 10.60	\$ 7.72
Second Quarter	\$ 9.31	\$ 7.10
Third Quarter	\$ 11.44	\$ 9.18
Fourth Quarter	\$ 10.40	\$ 5.37
Year Ended December 31, 2013		
First Quarter	\$ 7.57	\$ 6.35
Second Quarter	\$ 7.61	\$ 3.22
Third Quarter	\$ 4.24	\$ 3.00
Fourth Quarter	\$ 3.70	\$ 2.31

On February 26, 2014, the last reported sale price for our common stock on the Nasdaq Global Market was \$3.59 per share.

 Holders

As of February 26, 2014, there were approximately 104 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.

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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, 2008 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 12/31/08 in stock or index-including reinvestment of dividends at fiscal year ending December 31.

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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 Year Ended December 31,				
	2013	2012	2011	2010	2009
	(in thousands, except per share amounts)				
Statements of Operations Data:					
Contract revenues from collaborations	\$ 7,150	\$ 2,250	\$ 4,750	\$ 125,000	\$ 750
Costs and expenses:					
Research and development	75,328	78,778	69,350	64,392	90,743
General and administrative	19,612	22,849	21,768	25,291	20,903
Restructuring charges	1,679				1,141
Total costs and expenses	96,619	101,627	91,118	89,683	112,787
Income (loss) from operations	(89,469)	(99,377)	(86,368)	35,317	(112,037)
Interest income	442	537	420	303	600
Interest expense			(25)	(91)	(203)
Other income				2,361	
Income (loss) before income taxes	(89,027)	(98,840)	(85,973)	37,890	(111,640)
Income tax benefit					93
Net income (loss)	\$ (89,027)	\$ (98,840)	\$ (85,973)	\$ 37,890	\$ (111,547)
Net income (loss) per share:					
Basic	\$ (1.02)	\$ (1.32)	\$ (1.36)	\$ 0.73	\$ (2.73)
Diluted	\$ (1.02)	\$ (1.32)	\$ (1.36)	\$ 0.72	\$ (2.73)
Weighted average shares used in computing net income (loss) per share:					
Basic	87,288	74,967	63,329	52,055	40,876
Diluted	87,288	74,967	63,329	52,573	40,876

	As of December 31,				
	2013	2012	2011	2010	2009
	(in thousands)				
Balance Sheet Data:					
Cash, cash equivalents and available-for-sale securities	\$ 211,975	\$ 298,241	\$ 247,640	\$ 177,295	\$ 133,318
Working capital	209,781	290,254	238,706	168,600	118,195
Total assets	226,058	310,043	257,106	186,695	140,744
Capital lease obligations, less current portion				45	883
Accumulated deficit	(849,274)	(760,247)	(661,407)	(575,434)	(613,324)

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Total stockholders' equity	208,251	289,096	236,149	166,131	109,867
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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.

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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. We currently have five product candidates in development: fostamatinib, an oral SYK inhibitor expected to enter a Phase 3 clinical trial for ITP and a Phase 2 clinical trial for IgAN in the first half of 2014; R348, a topical JAK/SYK inhibitor currently in Phase 2 clinical trials for dry eye; R118, an AMPK activator entering Phase 1 in the first half of 2014; and two oncology product candidates in Phase 1 development with partners BerGenBio and Daiichi.

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. As of December 31, 2013, we had approximately \$212.0 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, and to a much lesser extent 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 diseases, 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

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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.

	Year Ended December 31,			From January 1, 2007* to December 31, 2013
	2013	2012	2011	
	(in thousands)			
Categories:				
Research	\$ 22,348	\$ 24,220	\$ 23,331	\$ 156,207
Development	31,915	30,683	20,363	229,567
Other	21,065	23,875	25,656	172,851
	\$ 75,328	\$ 78,778	\$ 69,350	\$ 558,625

*

We started tracking research and development expense by category on January 1, 2007.

"Other" expenses mainly represent allocated facilities costs of approximately \$17.1 million, \$16.8 million and \$16.4 million for the years ended December 31, 2013, 2012 and 2011, respectively, and allocated stock-based compensation expenses of approximately \$3.9 million, \$7.0 million and \$9.3 million for the years ended December 31, 2013, 2012 and 2011, respectively.

For the year ended December 31, 2013, a major portion of our total research and development expense was associated with our research and development expense for our asthma program, our topical JAK/SYK inhibitor program, as well as our oral SYK inhibitor program in ITP, salaries of our research and development personnel, and allocated facilities costs. For the year ended December 31, 2012, a major portion of our total research and development expense was associated with the salaries of our research and development personnel, research and development expense for our asthma program, as well as our topical JAK/SYK inhibitor program and allocated facilities costs. 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.

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

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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:

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

"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."

"If our corporate collaborations or license agreements are unsuccessful, or if we fail to form new corporate collaborations or license agreements, 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."

"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."

"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."

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

Table of Contents**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, 2013 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2012. 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 the 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) No. 2009-13), and are divided into separate units of accounting if certain criteria are met. The 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. We make significant judgments and estimates in the allocation of the consideration among the deliverables under the agreement, as well as the determination of the periods the units will be delivered to our collaborators. In June 2012, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our program, R256, an inhaled JAK inhibitor shown to inhibit IL-13 and IL-4 signaling. AZ paid us an upfront payment of \$1.0 million in July 2012. Under the agreement, we were obligated to provide the following deliverables: (i) granting a license of rights to our program, and (ii) delivery of a small batch of compound to AZ. We concluded that these deliverables should be accounted for as separate units of accounting. As our obligations with respect to the deliverables were achieved by June 30, 2012, we recognized revenue of \$1.0 million in the second quarter of 2012. On December 31, 2013, we earned a time-based non-refundable payment of \$5.8 million from AZ resulting from AZ's continued development of R256 in asthma. We recognized the non-refundable payment as revenue in 2013. Also, in April 2013, we received a \$1.4 million non-refundable payment from Daiichi related to Daiichi's filing of an IND for an oncology compound which we recognized as revenue in the second quarter of 2013.

Stock-Based Compensation

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 each entire stock award. In addition, we estimate the amount of

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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 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 for services rendered, but not billed to us, as of the end of the period are estimated and 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. 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**Year Ended December 31, 2013, 2012 and 2011****Revenues**

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
Contract revenues from collaborations	\$ 7,150	\$ 2,250	\$ 4,750	\$ 4,900	\$ (2,500)

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Revenues by collaborator were:

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
<i>AstraZeneca</i>	\$ 5,750	\$ 1,000	\$	\$ 4,750	\$ 1,000
<i>Daiichi Sankyo</i>	1,400	750		650	750
<i>BerGenBio</i>		500	500	(500)	
<i>Merck Serono</i>			4,250		(4,250)
Total	\$ 7,150	\$ 2,250	\$ 4,750	\$ 4,900	\$ (2,500)

Contract revenues from collaboration of \$7.2 million in 2013 consisted of a \$5.8 million time-based payment earned from AZ resulting from AZ's continued development of R256 in asthma, and a non-refundable payment of \$1.4 million from Daiichi for an investigational new drug application filing for an oncology compound. Contract revenue from collaborations of \$2.3 million in 2012 consisted of a \$1.0 million upfront payment from AZ pursuant to our worldwide license agreement for R256, a \$750,000 payment from Daiichi related to an oncology compound pursuant to our existing collaboration agreement, as well as a \$500,000 payment from BerGenBio related to an oncology program. Contract revenue from collaborations of \$4.8 million in 2011 consisted of a \$4.3 million final payment from Merck Serono and a \$500,000 upfront payment we received from BerGenBio for out-licensing an oncology program in June 2011. We had no deferred revenue as of December 31, 2013 and 2012. Our potential future revenues may include payments from our current collaboration partners and from new collaboration partners with whom we enter into agreements in the future, if any.

Research and Development Expense

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
Research and development expense	\$ 75,328	\$ 78,778	\$ 69,350	\$ (3,450)	\$ 9,428
Stock-based compensation expense included in research and development expense	\$ 3,930	\$ 7,050	\$ 9,277	\$ (3,120)	\$ (2,227)

The decrease in research and development expense for the year ended December 31, 2013, compared to the same period in 2012, was primarily due to the decrease in stock-based compensation expense, as well as a decrease in bonus compensation expense, partially offset by an increase in preclinical and clinical development costs. The decrease in stock-based compensation expense was mainly because the majority of options granted to research and development personnel in 2013 have a longer vesting period and a lower valuation as compared to options granted in 2012. The increase in research and development expense for the year ended December 31, 2012, compared to the same period in 2011, was primarily due to an increase in research and development costs related to R343 and R348, partially offset by the decrease in stock-based compensation expense due to the full recognition of stock-based compensation expense by the end of 2011 related to certain options granted to research and development personnel in the first quarter of 2008. We expect that our research and development expense will increase through 2014 due to our plan to initiate our own Phase 3 clinical program to study fostamatinib in ITP in the first half of 2014, and the continued progress of our Phase 2 clinical trial of R348 in chronic dry eye.

Table of Contents**General and Administrative Expense**

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
General and administrative expense	\$ 19,612	\$ 22,849	\$ 21,768	\$ (3,237)	\$ 1,081
Stock-based compensation expense included in general and administrative expense	\$ 2,997	\$ 5,567	\$ 3,891	\$ (2,570)	\$ 1,676

The decrease in general and administrative expense for the year ended December 31, 2013, compared to the same period in 2012, was primarily due to the decrease in stock-based compensation expense, as well as a decrease in bonus compensation expense. The decrease in stock-based compensation expense was mainly because the majority of options granted to general and administrative personnel in 2013 have a longer vesting period and a lower valuation as compared to options granted in 2012. The increase in general and administrative expense for the year ended December 31, 2012, as compared to the same period in 2011, was primarily due to the increase in stock-based compensation expense related to higher valuation of options granted to general and administrative personnel in 2012, partially offset by the decrease in legal costs associated with our patent portfolio.

Restructuring Charges

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
Restructuring charges	\$ 1,679	\$	\$	\$ 1,679	\$
Stock-based compensation expense included in restructuring charges	\$ 239	\$	\$	\$ 239	\$

In September 2013, we announced that we had reduced our workforce by 30 positions, mostly from the drug discovery area as a consequence of prioritizing projects and efforts to conserve our working capital. We recorded restructuring charges of approximately \$1.7 million, including \$1.5 million of workforce reduction costs paid or to be paid in cash, and \$239,000 of non-cash stock-based compensation expense primarily as a result of the extension of the date to which the terminated employees have to exercise their vested options through June 30, 2014.

Interest income

	Year Ended December 31,			Aggregate	Aggregate
	2013	2012	2011	Change	Change
				2013 from 2012	2012 from 2011
	(in thousands)				
Interest income	\$ 442	\$ 537	\$ 420	\$ (95)	\$ 117

Interest income results from our interest-bearing cash and investment balances. The decrease in interest income for the year ended December 31, 2013, as compared to the same period in 2012 was primarily due to lower average cash balance of our available-for-sale investments. The increase in interest income for the year ended December 31, 2012, as compared to the same period in 2011 was primarily due to higher average cash balance of our available-for-sale investments.

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Recent Accounting Pronouncements

In February 2013, the FASB issued ASU No. 2013-02, *Reporting of Amounts Reclassified Out of Accumulated Other Comprehensive Income*, that requires an organization to present the effects on the line items of net income of significant amounts reclassified out of Accumulated Other Comprehensive Income, but only if the item reclassified is required under U.S. GAAP to be reclassified to net income in its entirety in the same reporting period. ASU No. 2013-02 is effective for fiscal years beginning after December 15, 2012. We adopted ASU No. 2013-02 on January 1, 2013 on a prospective basis. The adoption had no material effect on our financial position or results of operations.

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.

As of December 31, 2013, we had approximately \$212.0 million in cash, cash equivalents and available-for-sale securities, as compared to approximately \$298.2 million as of December 31, 2012, a decrease of approximately \$86.2 million. The decrease was primarily attributable to payments associated with operating expenses for the year ended December 31, 2013. 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, and to a much lesser extent 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 our clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us;

the progress of research programs carried out by us;

any changes in the breadth of our research and development programs;

the ability to achieve the events identified in our collaborative agreements that trigger payments to us from our collaboration partners;

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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 filings and approvals by us and our collaborators; and

expenses associated with any unforeseen litigation, including any securities class action lawsuits.

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, 2013 and 2012, we maintained an investment portfolio primarily in money market funds, 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. We will continue to monitor the impact of the changes in the conditions of the credit and financial markets to our investment portfolio and assess if future changes in our investment strategy are necessary.

Cash Flows from Operating, Investing and Financing Activities

	Year Ended December 31,		
	2013	2012	2011
	(in thousands)		
Net cash provided by (used in):			
Operating activities	\$ (86,061)	\$ (85,192)	\$ (69,375)
Investing activities	72,380	(39,051)	(62,848)
Financing activities	1,051	139,094	141,979
Net (decrease) increase in cash and cash equivalents	\$ (12,630)	\$ 14,851	\$ 9,756

Net cash used in operating activities was approximately \$86.1 million in 2013 compared to approximately \$85.2 million and \$69.4 million in 2012 and 2011, respectively. Net cash used in operating activities primarily consisted of 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 provided by investing activities was approximately \$72.4 million in 2013 compared to net cash used in investing activities of approximately \$39.1 million and \$62.8 million in 2012 and 2011, respectively. Net cash provided by investing activities in 2013 related to net

maturities of available-for-sale securities, partially offset by capital expenditures. Net cash used in investing activities in 2012 and 2011 related to net purchases of available-for-sale securities and capital expenditures. Capital expenditures were approximately \$1.2 million, \$3.4 million and \$2.3 million in 2013, 2012 and 2011, respectively.

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Item 8. Financial Statements and Supplementary Data

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Report of Independent Registered Public Accounting Firm

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

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2013 and 2012, and the related statements of operations, comprehensive loss, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2013. 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, 2013 and 2012, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2013, 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, 2013, based on criteria established in Internal Control - Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (1992 framework) and our report dated March 4, 2014 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 4, 2014

Table of Contents**RIGEL PHARMACEUTICALS, INC.****BALANCE SHEETS****(In thousands, except share and per share amounts)**

	December 31,	
	2013	2012
Assets		
Current assets:		
Cash and cash equivalents	\$ 20,854	\$ 33,484
Available-for-sale securities	191,121	264,757
Accounts receivable	5,750	
Prepaid and other current assets	2,350	4,217
Total current assets	220,075	302,458
Property and equipment, net	4,455	5,826
Other assets	1,528	1,759
	\$ 226,058	\$ 310,043
Liabilities and stockholders' equity		
Current liabilities:		
Accounts payable	\$ 3,903	\$ 1,697
Accrued compensation	2,849	6,775
Accrued research and development	1,588	2,124
Other accrued liabilities	746	942
Deferred rent, current portion	1,208	666
Total current liabilities	10,294	12,204
Long-term portion of deferred rent	7,439	8,647
Other long-term liabilities	74	96
Commitments and contingencies		
Stockholders' equity:		
Preferred stock, \$0.001 par value; 10,000,000 shares authorized; none issued and outstanding as of December 31, 2013 and 2012		
Common stock, \$0.001 par value; 200,000,000 shares authorized; 87,524,349 and 87,140,632 shares issued and outstanding as of December 31, 2013 and 2012, respectively		
	88	87
Additional paid-in capital	1,057,390	1,049,174
Accumulated other comprehensive income	47	82
Accumulated deficit	(849,274)	(760,247)
Total stockholders' equity	208,251	289,096
	\$ 226,058	\$ 310,043

See accompanying notes.

Table of Contents**RIGEL PHARMACEUTICALS, INC.****STATEMENTS OF OPERATIONS****(In thousands, except per share amounts)**

	Year Ended December 31,		
	2013	2012	2011
Contract revenues from collaborations	\$ 7,150	\$ 2,250	\$ 4,750
Costs and expenses:			
Research and development	75,328	78,778	69,350
General and administrative	19,612	22,849	21,768
Restructuring charges	1,679		
Total costs and expenses	96,619	101,627	91,118
Loss from operations	(89,469)	(99,377)	(86,368)
Interest income	442	537	420
Interest expense			(25)
Net loss	\$ (89,027)	\$ (98,840)	\$ (85,973)
Net loss per share, basic and diluted	\$ (1.02)	\$ (1.32)	\$ (1.36)
Weighted average shares used in computing net loss per share, basic and diluted	87,288	74,967	63,329

See accompanying notes.

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RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF COMPREHENSIVE LOSS

(In thousands)

	Year Ended December 31,		
	2013	2012	2011
Net loss	\$ (89,027)	\$ (98,840)	\$ (85,973)
Other comprehensive income:			
Unrealized (loss) gain on available-for-sale securities	(35)	76	44
 Comprehensive loss	 \$ (89,062)	 \$ (98,764)	 \$ (85,929)

See accompanying notes.

Table of Contents**RIGEL PHARMACEUTICALS, INC.****STATEMENT OF STOCKHOLDERS' EQUITY****(In thousands, except share and per share amounts)**

	Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount		Income (Loss)		
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
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
Net loss					(98,840)	(98,840)
Change in unrealized gain on available-for-sale securities				76		76
Issuance of common stock at \$9.50 per share for cash, net of issuance costs	15,237,750	15	135,714			135,729
Issuance of common stock upon exercise of options and participation in Purchase Plan	523,830	1	3,364			3,365
Stock compensation expense			12,617			12,617
Balance at December 31, 2012	87,140,632	87	1,049,174	82	(760,247)	289,096
Net loss					(89,027)	(89,027)
Change in unrealized gain on available-for-sale securities				(35)		(35)
Issuance of common stock upon exercise of options and participation in Purchase Plan	383,717	1	1,050			1,051
Stock compensation expense			7,166			7,166
Balance at December 31, 2013	87,524,349	\$ 88	\$ 1,057,390	\$ 47	\$ (849,274)	\$ 208,251

See accompanying notes.

Table of Contents**RIGEL PHARMACEUTICALS, INC.****STATEMENTS OF CASH FLOWS****(In thousands)**

	Year Ended December 31,		
	2013	2012	2011
Operating activities			
Net loss	\$ (89,027)	\$ (98,840)	\$ (85,973)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	2,592	2,433	1,955
Stock-based compensation expense	7,166	12,617	13,168
Changes in assets and liabilities:			
Accounts receivable	(5,750)		
Prepaid expenses and other current assets	1,867	(1,624)	38
Other assets	231	232	244
Accounts payable	2,206	141	153
Accrued compensation	(3,926)	(496)	2,460
Accrued research and development	(536)	57	(1,384)
Other accrued liabilities	(196)	438	(402)
Deferred rent and other long term liabilities	(688)	(150)	366
Net cash used in operating activities	(86,061)	(85,192)	(69,375)
Investing activities			
Purchases of available-for-sale securities	(308,846)	(475,398)	(476,038)
Maturities of available-for-sale securities	365,968	439,724	415,493
Sales of available-for-sale securities	16,479		
Capital expenditures	(1,221)	(3,377)	(2,303)
Net cash provided by (used in) investing activities	72,380	(39,051)	(62,848)
Financing activities			
Net proceeds from issuances of common stock	1,051	139,094	142,779
Payments on capital lease obligations			(800)
Net cash provided by financing activities	1,051	139,094	141,979
Net (decrease) increase in cash and cash equivalents	(12,630)	14,851	9,756
Cash and cash equivalents at beginning of period	33,484	18,633	8,877
Cash and cash equivalents at end of period	\$ 20,854	\$ 33,484	\$ 18,633

Supplemental disclosure of cash flow information

Interest paid	\$	\$	\$	21
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See accompanying notes.

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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 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, particularly research and development accruals, and potential loss 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. We also have our Employee Stock Purchase Plan (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 fair value of each option award is estimated on the date of grant using the Black-Scholes option pricing model which considered 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, 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 review our forfeiture rates each quarter and make any necessary changes to our estimates. We use the straight-line attribution method over the requisite employee service period for the entire award in recognizing stock-based compensation expense.

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

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

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, 2013 and 2012. Unrealized gains (losses) are reported in the statements of stockholders' equity and comprehensive 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, 2013 and 2012.

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, 2013 and 2012.

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 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 the 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) No. 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. The 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.

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

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 their completion or achievement of any underlying events, 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, including raw materials, and stock-based compensation expense. All such costs are charged to research and development expense as incurred and at the time raw materials are purchased.

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

Table of Contents**Rigel Pharmaceuticals, Inc.****NOTES TO FINANCIAL STATEMENTS (Continued)****1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)**

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 loss per share

Basic net loss per share is computed by dividing net loss by the weighted-average number of shares of common stock outstanding during the period. Diluted net loss per share is computed by dividing net loss 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 warrant and stock options and shares issuable under our Purchase Plan. The dilutive effect of these 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 loss per share (in thousands except per share amounts):

	Year Ended December 31,		
	2013	2012	2011
EPS Numerator:			
Net loss	\$ (89,027)	\$ (98,840)	\$ (85,973)
EPS Denominator Basic:			
Weighted-average common shares outstanding	87,288	74,967	63,329
EPS Denominator Diluted:			
Weighted-average common shares outstanding	87,288	74,967	63,329
Dilutive effect of stock options, shares under ESPP and warrant			
Weighted-average shares outstanding and common stock equivalents	87,288	74,967	63,329
Net loss per common share:			
Basic and diluted	\$ (1.02)	\$ (1.32)	\$ (1.36)

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

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	December 31,		
	2013	2012	2011
Outstanding options	15,532	13,604	11,749
Warrant	200	200	200
Weighted average exercise price of options	\$ 10.55	\$ 11.52	\$ 12.07
Weighted average exercise price of warrant	\$ 6.61	\$ 6.61	\$ 6.61

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Recent accounting pronouncements

In February 2013, the FASB issued ASU No. 2013-02, *Reporting of Amounts Reclassified Out of Accumulated Other Comprehensive Income*, that requires an organization to present the effects on the line items of net income of significant amounts reclassified out of Accumulated Other Comprehensive Income, but only if the item reclassified is required under U.S. GAAP to be reclassified to net income in its entirety in the same reporting period. ASU No. 2013-02 is effective for fiscal years beginning after December 15, 2012. We adopted ASU No. 2013-02 on January 1, 2013 on a prospective basis. The adoption had no material effect on our financial position or results of operations.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

We conduct research and development programs independently and in connection with our corporate collaborators. We currently do not have significant active collaborations.

AstraZeneca

Fostamatinib

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 included a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ was 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. In September 2010, we earned \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for their initiation of Phase 3 clinical trials in the fostamatinib program by AZ. 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 consistent over the short transition period.

In June 2013, based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, AZ informed us that it would not proceed with regulatory filings and, instead would return the rights to the compound to us. AZ was solely responsible for all costs and expenses incurred by both parties in connection with the transfer of responsibilities up to the effective termination of the agreement on December 4, 2013.

In September 2013, we announced that we would not continue further development of fostamatinib for the treatment of RA or lymphoma due to insufficient efficacy findings from recent clinical trials and the competitive landscape in those indications.

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)

Other Agreements

We have several active collaborations with additional partners. Under these collaborations, which we enter into in the ordinary course of business, we received or may be entitled to receive upfront cash payments, progress dependent contingent payments on events achieved by such partners and royalties on any net sales of products sold by such partners under the agreements. Total future contingent payments to us under all of these current collaborations could exceed \$152.3 million if all potential product candidates achieved all of the payment triggering events under all of our current collaborations (based on a single product candidate under each agreement). Of this amount, up to \$61.2 million relates to the achievement of development events, up to \$53.6 million relates to the achievement of regulatory events and up to \$37.5 million relates to the achievement of certain commercial or launch events. This estimated future contingent amount does not include any estimated royalties that could be due to us if the partners successfully commercialize the licensed products.

Since we do not control the research, development or commercialization of the product candidates generated under these collaborations, we are not able to reasonably estimate when, if at all, any contingent payments would become payable to us. As such, the contingent payments we could receive thereunder involve a substantial degree of risk to achieve and may never be received. Accordingly, we do not expect, and investors should not assume, that we will receive all of the potential contingent payments provided for under these collaborations and it is possible that we may never receive any additional significant contingent payments or royalties under these collaborations.

In June 2012, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our program, R256, an inhaled JAK inhibitor shown to inhibit IL-13 and IL-4 signaling, which is being investigated as a treatment for moderate to severe chronic asthma. AZ is responsible for beginning the first-in-human clinical studies for R256, and for designing and conducting the clinical development of the compound. AZ also has exclusive rights to commercialize R256 around the world. AZ paid us an upfront payment of \$1.0 million in July 2012. Under the agreement, we were obligated to provide the following deliverables: (i) granting a license of rights to our program, and (ii) delivery of a small batch of compound to AZ. We concluded that these deliverables should be accounted for as separate units of accounting. As our obligations with respect to the deliverables were achieved by June 30, 2012, we recognized revenue of \$1.0 million in the second quarter of 2012. On December 31, 2013, we earned revenue associated with the time-based non-refundable payment of \$5.8 million from AZ in consideration for AZ's decision to continue its development of R256 in asthma.

In June 2011, we entered into an exclusive license agreement with BerGenBio for the development and commercialization of an oncology program, which is currently in Phase 1 development. BerGenBio is responsible for all activities it wishes to perform under the license we granted to it. In July 2012, we received a time-based payment of \$500,000 from BerGenBio due to us on June 29, 2012, pursuant to the terms of the agreement. We recognized the payment as revenue in the second quarter of 2012.

In August 2002, we entered into 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. In April 2013, we received a \$1.4 million non-refundable payment from Daiichi related to Daiichi's filing of an IND for an oncology compound, which is currently in Phase 1 development. In January 2012, we received a \$750,000 payment from Daiichi. To date, we have earned payments under this arrangement totaling \$7.9 million and may earn additional payments in connection

Table of Contents**Rigel Pharmaceuticals, Inc.****NOTES TO FINANCIAL STATEMENTS (Continued)****2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)**

with the achievement by Daiichi of 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 specified events.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2013, AZ and Daiichi accounted for 80% and 20% of our revenues, respectively. For the year ended December 31, 2012, AZ, Daiichi and BerGenBio accounted for 44%, 33% and 22% of our revenues, respectively. For the year ended December 31, 2011, Merck Serono and BerGenBio accounted for 89% and 11% of our revenues, respectively. At December 31, 2013, we had accounts receivable of \$5.8 million from AZ. We had no accounts receivable at December 31, 2012.

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,		
	2013	2012	2011
Research and development	\$ 3,930	\$ 7,050	\$ 9,277
General and administrative	2,997	5,567	3,891
Restructuring charges	239		
Total stock-based compensation expense	\$ 7,166	\$ 12,617	\$ 13,168

In September 2013, we announced that we had reduced our workforce by 18%, or 30 positions, in connection with efforts to prioritize projects and conserve our working capital. As part of the severance arrangement we offered the terminated employees, we extended the date to which the terminated employees had to exercise their vested options to June 30, 2014, rather than 90 days from the termination date as was stipulated under the employee's option agreements pursuant to our equity incentive plan. In addition, we also accelerated the vesting period of certain unvested stock options for one terminated employee. As a result of these modifications, we recorded non-cash stock-based compensation expense of \$239,000 in the third quarter of 2013. See Note 11 for further discussion regarding this reduction in our workforce.

Employee Stock Option Plans

In 2012, an amendment to the 2011 Plan was approved primarily to (i) increase the aggregate number of shares of common stock authorized for issuance under the 2011 Plan by 600,000 shares, (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 1.4 (instead of 1.7) shares for each share of common stock subject to any other type of award

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

issued pursuant to the 2011 Plan and (iii) include the Company's Chief Executive Officer as an eligible participant under the 2011 Plan. In 2013, an amendment to the 2011 Plan was approved primarily to (i) increase the aggregate number of shares of common stock authorized for issuance under the 2011 Plan by 7,000,000 shares and (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 and by 1.64 shares for each share of common stock subject to any other type of award issued pursuant to the 2011 Plan. 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, 2013, a total of 11,090,106 shares of common stock were authorized for issuance under the 2011 Plan. There were no options to purchase shares exercised during the year ended December 31, 2013 under the 2011 Plan.

In 2012, an amendment to the 2000 Plan was approved primarily to (i) extend the term of the 2000 Plan to May 22, 2022, (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 1.4 (instead of 1.7) shares for each share of common stock subject to any other type of award issued pursuant to the 2000 Plan and (iii) increase the maximum amount that may be received by an individual in any calendar year attributable to performance-based stock awards under the 2000 Plan from the value of not more than 166,666 shares of the Company's common stock to the value of not more than 1,500,000 shares of the Company's common stock. In 2013, an amendment to the 2000 Plan was approved primarily to (i) increase the number of shares authorized for issuance under the 2000 Plan by 675,000 shares of common stock 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 and by 1.64 shares (instead of 1.4 shares) for each share of common stock subject to any other type of award issued pursuant to the 2000 Plan. Options may be granted with different vesting terms from time to time, ranging from zero to five years. As of December 31, 2013, a total of 12,299,675 shares of common stock were authorized for issuance under the 2000 Plan. There were no options to purchase shares exercised during the year ended December 31, 2013 under the 2000 Plan.

In 2013, an amendment to the Directors' Plan was approved primarily to increase the number of shares authorized for issuance under the Directors' Plan by 100,000 shares of common stock to an aggregate total of 1,235,000 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, 2013, a total of 1,188,182 shares of common stock were authorized for issuance under the Directors' Plan. There were no options to purchase shares exercised during the year ended December 31, 2013 under the Directors' Plan.

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 nonvested 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.

Table of Contents**Rigel Pharmaceuticals, Inc.****NOTES TO FINANCIAL STATEMENTS (Continued)****4. STOCK-BASED COMPENSATION (Continued)**

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 nonvested 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.

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, 2013, 2012 and 2011:

	Equity Incentive Plans Year Ended December 31,		
	2013	2012	2011
Risk-free interest rate	1.1%	0.9%	2.1%
Expected term (in years)	5.4	5.5	5.2
Dividend yield	0.0%	0.0%	0.0%
Expected volatility	72.2%	81.5%	84.2%

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Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

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, 2013, options to purchase 9,045,761 shares of common stock were available for grant and 24,577,963 reserved shares of common stock were available for future issuance under our stock option plans.

We recorded stock-based compensation expense of approximately \$36,000 and \$55,000 for the years ended December 31, 2013 and 2012, respectively, associated with options granted to consultants reflecting the fair value valuation and periodic fair value re-measurement of outstanding consultant options subject to vesting under FASB ASC 505-50. For the year ended December 31, 2011, there was no stock-based compensation expense 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, 2013.

Table of Contents**Rigel Pharmaceuticals, Inc.****NOTES TO FINANCIAL STATEMENTS (Continued)****4. STOCK-BASED COMPENSATION (Continued)***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, 2011	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		
Authorized for grant	600,000				
Granted	(2,149,266)	2,149,266	\$ 8.13		
Exercised		(254,149)	\$ 7.08		
Cancelled	40,099	(40,099)	\$ 19.60		
Outstanding at December 31, 2012	3,198,586	13,604,377	\$ 11.52		
Authorized for grant	7,775,000				
Granted	(3,140,956)	3,140,956	\$ 5.46		
Exercised			\$		
Cancelled					