

RIGEL PHARMACEUTICALS INC
Form 10-K
March 02, 2010

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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, 2009

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 ☒

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 ☒

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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 30, 2009, the last business day of the registrant's most recently completed second fiscal quarter, was \$441,773,782. 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 23, 2010, there were 51,965,228 shares of the registrant's Common Stock outstanding.

DOCUMENTS INCORPORATED BY REFERENCE

Items 10, 11, 12, 13 and 14 of Part III incorporate information by reference from the definitive proxy statement for the registrant's 2010 Annual Meeting of Stockholders to be held on or about May 27, 2010.

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FORWARD-LOOKING STATEMENTS

This Annual Report on Form 10-K, including the documents that we incorporate by reference, 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"), and Section 21E of the Securities Exchange Act of 1934, as amended, that involve risks and uncertainties. We usually use words such as "may," "will," "should," "could," "expect," "plan," "anticipate," "believe," "estimate," "predict," "intend," or the negative of these terms or similar expressions to identify these forward-looking statements. These statements appear throughout this Annual Report on Form 10-K and are statements regarding our current intent, belief or expectation, primarily with respect to our operations and related industry developments. Examples of these statements include, but are not limited to, statements regarding the following: our business and scientific strategies; the progress of our product development programs, including clinical testing, and the timing of results thereof; our corporate collaborations, and revenues that may be received from collaborations; our drug discovery technologies; our research and development expenses; protection of our intellectual property; sufficiency of our cash resources; and our operations and legal risks. 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. Any forward-looking statement speaks only as of the date on which it is made, and we undertake no obligation to update any forward-looking statement to reflect events or circumstances after the date on which the statement is made or to reflect the occurrence of unanticipated events. New factors emerge from time to time, and it is not possible for us to predict which factors will arise. In addition, we cannot assess the impact of each factor on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in any forward-looking statements.

PART I

Item 1. Business

Overview

Rigel Pharmaceuticals, Inc. was incorporated in Delaware in June 1996, and is based in South San Francisco, California. We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory/autoimmune diseases, as well as for certain cancers and metabolic diseases. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia and asthma, as well as in cancer.

During 2009 and the beginning of 2010, we:

Entered into an exclusive worldwide license agreement with AstraZeneca AB (AZ) for the global development and commercialization of our oral Syk inhibitors, including fostamatinib disodium (R788). (February 2010)

Completed a public offering of 14,950,000 shares of our common stock, which resulted in net proceeds of approximately \$101.5 million after deducting underwriting discounts, commissions and offering expenses. (September 2009)

Announced that R788 produced significant clinical improvement in rheumatoid arthritis (RA) patients in the recently completed *TASKi2* Phase 2b clinical trial of 457 patients treated for up to 6 months. (July 2009)

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Announced that the *TASKi3* Phase 2b clinical trial in RA patients who were unresponsive to at least one biologic treatment failed to meet its efficacy endpoints. (July 2009)

Announced that our oral Syk inhibitor, R788, is being evaluated in a Phase 2 clinical trial funded, designed and implemented by the National Cancer Institute (NCI), part of the U.S. National Institutes of Health. (June 2009)

Strategy

Our research team is focused on creating a portfolio of product candidates that can be developed into small molecule therapeutics for our own proprietary programs, as well as 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 leading to commercial success.

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

establish strategic collaborations with pharmaceutical and biotechnology companies to develop and market our product candidates;

develop a diverse portfolio of drug candidates that address a variety of therapeutic indications or that represent significant market opportunities; and

utilize our robust discovery engine to rapidly discover and validate new product candidates in a broad range of therapeutic indications.

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/autoimmune diseases, as well as for certain cancers and metabolic diseases.

Partnered Clinical Programs

R788

In February 2010, we entered into an exclusive worldwide license agreement with AstraZeneca AB (AZ) for the global development and commercialization of our oral Syk inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib disodium, or R788, our late-stage investigational product candidate for the treatment of RA and other indications. We completed a comprehensive Phase 2 clinical trial of R788, which is at the most advanced stage of development of the oral Syk inhibitors being evaluated for an RA indication. Inhibiting Syk is thought to block the intracellular signaling of various immune cells implicated in the destruction of bone and cartilage, which is characteristic of RA. For further discussion on the collaboration, see "AstraZeneca" under "Corporate Collaborations" below.

Upon effectiveness of the agreement, AZ is required to pay us an upfront payment of \$100.0 million, and up to an additional \$345.0 million if specified development, regulatory and launch milestones are achieved for R788. We will also be eligible to receive up to an additional \$800.0 million if specified sales performance milestones are achieved for R788, as well as significant stepped double-digit royalties on net sales worldwide of R788. After a limited transition period, AZ will be responsible for conducting and funding all development, regulatory filings, manufacturing and global commercialization of products containing oral Syk inhibitors. We are responsible for conducting, at our

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expense, the on-going open label extension study in R788 during the limited transition period. The agreement is subject to and will become effective upon clearance under the Hart-Scott-Rodino Antitrust Improvements Act of 1976, as amended, or the HSR Act.

Under the agreement, AZ is expected to design a global Phase 3 clinical trial of R788 for the treatment of RA, anticipated to begin in the second half of 2010, with the goal of filing new drug applications with the U.S. Food and Drug Administration (FDA) and the European Medicines Agency (EMA) in 2013. Under the terms of the agreement, AZ will also receive exclusive rights to our portfolio of oral Syk inhibitors, including for indications for R788 other than RA.

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. It is a major cause of disability and is also associated with reduced life expectancy, especially if it is not adequately treated. Despite current treatment options, many patients still experience significant disease activity, including continued joint destruction leading to pain and disability, so new treatment options are needed.

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

Orally-available Syk inhibitor program. R788 is an orally bio-available Syk inhibitor. It is being developed as a next-generation oral RA therapy in adults who have failed to respond adequately to a traditional DMARD, such as methotrexate, where a TNF biologic add-on treatment would currently be considered. It has a novel mechanism of action for the treatment of RA, inhibiting receptor signaling of immunoglobulin G, or IgG, in various immune cells, including macrophages and B-cells. RA is an autoimmune disease characterized by chronic inflammation that affects multiple tissues, but typically produces its most pronounced symptoms in the joints. We believe the development of R788 may result in a safe oral DMARD that can be used early in the course of the disease, preventing its progression prior to major bone and cartilage destruction.

TASKi2

In July 2009, we announced that R788 produced significant clinical improvement in RA patients in the *TASKi2* Phase 2b clinical trial in which 457 RA patients were treated for up to six months. *TASKi2* was a multi-center, randomized, double blind, placebo controlled, parallel dose clinical trial involving RA patients in the U.S., Latin America and Europe who had failed to respond to methotrexate alone. Patients received either 100 mg of R788 b.i.d. (twice a day), 150 mg q.d. (once a day) or placebo.

Efficacy assessments for each participant were based on the American College of Rheumatology criteria, which denotes at least 20% (ACR 20), at least 50% (ACR 50), or at least 70% (ACR 70) improvement, in addition to improvement denoted in the Disease Activity Score (DAS28), from each patient's baseline assessment at the end of the six month treatment period. The groups treated with 100 mg of R788 b.i.d. and 150 mg q.d. reported higher response rates than the placebo group in all aforementioned criteria levels. The efficacy results for the two dosing groups were comparable, although the response rates for the 100 mg b.i.d. group was uniformly greater.

Consistent with the previous Phase 2a clinical trial (*TASKi1*), the onset of effect of R788 occurred within one week after the initiation of therapy and was maintained. The most frequent adverse events were expected based on *TASKi1* and appear to be manageable. The most common clinically meaningful

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drug-related adverse events noted in *TASKi2* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure from baseline at six months, using a last observation carry forward methodology, was less than 0.5 mmHg for the 150 mg q.d. dose group and approximately 1 mmHg for the 100mg b.i.d. dose group. In patients that had a history of high blood pressure, an elevated blood pressure level at screening or baseline, or were on blood pressure medication, approximately 29% and 39% of these patients in the 150 mg q.d. dose and the 100 mg b.i.d. dose groups, respectively, had blood pressure medication adjusted or initiated during the course of the study, compared with 12% of these patients from the placebo group. In patients that did not have a history of high blood pressure, were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline, approximately 4% and 9% of these patients from the 150 mg q.d. dose and the 100 mg b.i.d. dose groups, respectively, had blood pressure medication initiated during the course of the study, compared with 3% of these patients from the placebo group. For those patients who had their dose of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medication such as angiotensin-converting enzyme (ACE) inhibitors or diuretics.

The most common adverse events in the trial overall were related to infections, though these were generally evenly distributed among the placebo and R788 groups.

TASKi3

In July 2009, we also announced results for the *TASKi3* Phase 2b clinical trial involving 219 RA patients who had failed to respond to at least one biologic treatment. In the *TASKi3* clinical trial, patients received either 100 mg of R788 b.i.d. or placebo b.i.d. for up to three months. The group treated with R788 did not report significantly higher ACR 20, ACR 50, ACR 70 and DAS28 response rates than the placebo group at three months, and therefore, the trial failed to meet its efficacy endpoints. The objective components (C-Reactive Protein and Erythrocyte Sedimentation Rate) of these ACR scores did show a statistically significant difference; however, the subjective reported response rate components did not as compared to placebo. Although the ACR scores for the R788 group were within the expected range in this patient population, the reported placebo response rates were considerably higher than seen in any other previous study of RA biologic failure patients and rose unaccountably between week six (at which point the reported response rates between R788 and placebo were significantly different) and month three (when such reported response rates were no longer significantly different).

TASKi3 was the first clinical trial for R788 in which anatomical changes in the patients' wrists and hands were evaluated using Magnetic Resonance Imaging and scored using the RAMRIS (Rheumatoid Arthritis Magnetic Resonance Imaging Scoring) system. Those results showed improvements in the treated group versus the placebo group in the Synovitis and Osteitis scores, while the Erosion scores, known to be the slowest to change, showed no significant effect at three months.

Similar to *TASKi2*, the most common clinically meaningful drug-related adverse events noted in *TASKi3* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure from baseline at three months, using a last observation carry forward methodology, was 3.2 - 3.6 mmHg for the R788 group. In *TASKi3*, patients that had a history of high blood pressure, had an elevated blood pressure level at screening or baseline, or were on blood pressure medication, approximately 26% of these patients had blood pressure medication adjusted or initiated during the course of the study, compared with 14% of these patients from the placebo group. In patients that did not have a history of high blood pressure, were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline,

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approximately 5% of these patients had blood pressure medication initiated during the course of the study, compared with 3% of these patients from the placebo group. For those patients who had their dose of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medications such as ACE inhibitors or diuretics.

The most common adverse events in the trial overall were related to infections, though these were generally evenly distributed among the placebo and R788 groups.

QTc Study

In February 2009, we announced favorable results in a QTc study for R788, which was conducted to evaluate the cardiac safety of R788. The double-blind, double-dummy, randomized, positive and placebo controlled parallel study of the effects of R788 on QT/QTc intervals in healthy subjects showed that R788 does not elicit a QT/QTc signal. Under a protocol pre-reviewed by the FDA, a total of 208 healthy volunteers were divided into four dosage groups and were given either placebo, a standard dose of 100 mg b.i.d. of R788, a super dose of 300 mg b.i.d. of R788, or moxifloxacin (known to elevate QT/QTc intervals in normal healthy adults). All participants were dosed for four days and were evaluated for changes from the time-matched baseline QT/QTc intervals using extractions from continuous Holter monitors. There were no significant effects on the QT/QTc intervals of participants in either the 100 mg b.i.d. or the 300 mg b.i.d. R788 dosage groups. As expected, the study found that participants in the moxifloxacin group experienced QT/QTc elevations.

Other Indications

In addition to RA, R788 is currently being administered to patients in four Phase 2 clinical trials, one investigating B-cell lymphoma, one investigating T-cell lymphoma, another in immune thrombocytopenia purpura (ITP), and the fourth investigating certain solid tumors. Initial results of the B-cell lymphoma and ITP studies have been previously reported, and patients from those studies continue taking R788. The T-cell lymphoma study began enrolling patients in March 2009 and the initial evaluation period for the drug in all enrolled patients is nearly complete. Under our collaboration with AZ, AZ has sole responsibility for all development decisions for all indications under its license. The solid tumor study, announced in June 2009, is funded, designed and implemented by NCI. Any decisions regarding this study are the responsibility of NCI.

R343 Asthma

Disease background. Allergic asthma is a chronic inflammatory disorder of the airways. Asthma affects the lower respiratory tract and is marked by episodic flare-ups, or attacks, that can be life threatening. In some patients, allergens, such as pollen, trigger the production of immunoglobulin E antibodies, or 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. Allergic asthma is a potentially life-threatening chronic inflammatory disorder of the airways which, in some patients, is mediated by allergen-induced IgE antibodies that trigger intracellular signaling in mast cells via IgE receptors. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could potentially prevent both phases.

In the first quarter of 2005, we announced a collaborative research and license agreement with Pfizer, Inc., or Pfizer, for the development of inhaled products for the treatment of allergic asthma and

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other respiratory diseases, such as chronic obstructive pulmonary disease. The collaboration was focused on our pre-clinical small molecule compounds which inhibit Syk. The collaboration is now centered on the development of R343. Pfizer has completed the Phase 1a clinical trial of an inhaled formulation of R343, which commenced in December 2007, resulting in a milestone payment of \$5.0 million to us. Pfizer initiated a Phase 1b allergen challenge clinical trial in the second quarter of 2009. We expect that Pfizer will initiate a Phase 2 clinical trial in 2010.

R763/AS703569 Oncology

Disease background. Cancer is the second leading cause of death in the United States. More than one million people in the United States are diagnosed with cancer each year, and nearly half of all men and more than one-third of all women in the United States will develop cancer during their lifetimes.

Aurora kinase inhibitor program. Aurora kinase plays a central role in the cell division process, and the over-expression of aurora kinase can cause cells to quickly form an abnormal number of chromosomes. As such, aurora kinase is frequently associated with various solid tumor human cancers, such as cancers of the breast, bladder, colon, ovary, head and neck and pancreas. Increased knowledge of aurora kinase and its potential to regulate cell growth may be the basis for treating and even preventing some cancers.

We identified R763/AS703569 as a lead compound in our aurora kinase inhibition program targeting cancer cell proliferation. R763/AS703569 is a potent, highly-selective, small-molecule inhibitor of aurora kinase. In October 2005, we signed a licensing agreement with Merck Serono S.A., or Merck Serono, that gave Merck Serono an exclusive license to develop and commercialize inhibitors in our aurora kinase program, including R763/AS703569. In November 2007, Merck Serono exercised its option to add Japan to the territories covered under the current aurora kinase collaboration with respect to R763/AS703569, resulting in a milestone payment to us of \$3.0 million. Under the agreement, Merck Serono is responsible for the further development and commercialization of R763/AS703569. In September 2006, Merck Serono initiated a Phase 1, multi-center clinical trial to evaluate R763/AS703569 for the treatment of patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 clinical trial evaluating R763/AS703569 on patients with hematological malignancies. In July 2007, Merck Serono initiated an additional Phase 1 clinical trial, designed to determine the maximum tolerated dose, safety and dosing regimen of R763/AS703569 in combination with gemcitabine, a commonly prescribed chemotherapeutic agent administered by intravenous infusion. In February 2010, Merck Serono informed us that they expect to wind down the various clinical trials and plan to return the program back to us. We plan to evaluate the preclinical and clinical data and make a decision on the program's disposition.

Research/Preclinical Programs

We are conducting proprietary research in three broad disease areas: inflammation/immunology, metabolism and muscle wasting. 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 are in the process of selecting lead candidates for two of our more advanced preclinical programs, both of which grew out of significant research in the area of immunology/inflammation. We are currently performing late lead profiling of a few advanced candidates in our oral JAK3 inhibitor program and expect to have one of these ready for clinical studies by the end of 2010. This program is focused on the treatment of transplant rejection, but could also extend to indications including RA and psoriasis. Additionally, we expect to select a compound for preclinical development by the end of 2010 from our protein kinase C, or PKC, theta program initially focusing on multiple sclerosis and graft vs. host disorders.

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In the area of metabolism, we are investigating adiponectin mimetics for the treatment of type 2 diabetes mellitus and other potential indications. Type 2 diabetes is the most common form of diabetes, affecting more than 23 million people in the United States. In this disease, the body either produces low amounts of insulin or does not respond to the insulin it makes. Insulin is a hormone that helps the body regulate metabolism by causing cells to take up glucose from the blood. Adiponectin is a less-well characterized hormone, which has insulin-sensitizing and anti-diabetic properties. We have identified several classes of compounds with adiponectin mimetic activity and are currently performing structure-activity relationship studies, as well as mechanism of action studies on these classes of compounds. We expect to nominate a lead development candidate in 2011.

In the muscle atrophy program, we are focusing on several signaling pathways important for muscle homeostasis. Muscle atrophy, or the loss of muscle mass, is associated with several disease states and excessive loss of muscle in the context of illness can contribute significantly to both morbidity and mortality rates. Many conditions that have associated muscle loss, 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. One of our core programs in this area is focused on myostatin signaling. Myostatin is a cytokine that signals via the type II activin receptors (ACVR2A and ACVR2B) and has been shown to inhibit muscle growth. We are currently performing structure activity relationship studies on several hit molecules from initial ACVR2A/2B screens, and are developing new screens and models for this program. We expect to nominate a lead development candidate in 2011.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have the following active collaborations with three major pharmaceutical/biotechnology companies: AstraZeneca AB, relating to R788 for the treatment of RA and other indications, Pfizer, Inc., relating to intrapulmonary asthma and allergy therapeutics and associated with the clinical compound R343, and Daiichi Pharmaceuticals Co., Ltd., relating to oncology. None of these collaborations currently provide us with regular research reimbursement. In all of these collaborations, if certain conditions are met, we are entitled to receive future milestone payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further milestone payments or royalties under these agreements.

AstraZeneca

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral Syk inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to R788, our late-stage investigational product candidate for the treatment of RA and other indications. After a limited transition period, AZ will be responsible for conducting and funding all development, regulatory filings, manufacturing and global commercialization of products containing oral Syk inhibitors. We are responsible for conducting, at our expense, the on-going open label extension study in R788 during the limited transition period.

Upon effectiveness of the agreement, AZ is required to pay us an upfront payment of \$100.0 million, and up to an additional \$345.0 million if specified development, regulatory and launch milestones are achieved for R788. We will also be eligible to receive up to an additional \$800.0 million if specified sales performance milestones are achieved for R788, as well as significant stepped double-digit royalties on net sales worldwide of R788. AZ remains obligated to pay us various milestones and royalties in the future if certain conditions are met. The agreement is subject to and will become effective upon clearance under the HSR Act.

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Either party may terminate the agreement if the other party materially breaches the agreement and such breach remains uncured within sixty days from the date of notice, or in the event of insolvency of the other party. We may also terminate the agreement in its entirety if AZ challenges the validity, enforceability or scope of any of our patents licensed to AZ by us under the agreement. AZ may also terminate the agreement either without cause upon one hundred eighty-days' written notice, or in the event of any change of control of Rigel upon thirty days' written notice. If neither party terminates the agreement, then the agreement will remain in effect until the cessation of all commercial sales of all products subject to the agreement, including R788.

Pfizer

In January 2005, we entered into a research collaboration with Pfizer that has a license component. The collaboration is for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases such as chronic obstructive pulmonary disease. The collaboration was primarily focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk kinase. A goal of the collaboration was for Pfizer to nominate a licensed compound to commence advanced preclinical development. Pfizer is responsible for the manufacture of all preclinical and clinical materials for each compound/product and all costs associated with development and commercialization. We did not have any further obligations to Pfizer after the research phase of the collaboration ended in February 2007.

In connection with this collaboration, Pfizer paid us upfront fees of \$10.0 million and purchased \$5.0 million of our common stock at a premium in 2005. We have earned and will earn milestone payments in connection with certain clinical events, should they occur, as well as royalties from sales of the resulting products upon marketing approval. Under the terms of the collaboration agreement, the aggregate of potential milestone amounts payable to us is \$175.0 million and mid-single-digit to low double-digit royalties on sales. In May 2006, we achieved the first milestone upon selection of the licensed compound and received a \$5.0 million milestone payment when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. In December 2007, we received the second milestone payment of \$5.0 million when Pfizer initiated a Phase 1 clinical trial on R343. No milestone payments were received in either 2008 or 2009 as no further milestones were achieved. We expect Pfizer to initiate a Phase 2 clinical trial in 2010 as a result of which we will be entitled to receive a milestone payment of \$5.0 million. Pfizer remains obligated to pay us various milestones and royalties in the future if certain conditions are achieved.

Pfizer may terminate the collaboration agreement for any reason upon prior written notice to us, or for cause if we materially breach the agreement and such breach remains uncured, or if we become insolvent. We may terminate the collaboration agreement for cause if Pfizer fails to meet certain diligence efforts, materially breaches the agreement and such breach remains uncured, or becomes insolvent. If neither party exercises its option to terminate the collaboration agreement, then the agreement automatically terminates on the later of: 1) the last valid claim to expire covering a licensed product and 2) after a specified period from the launch of a licensed product.

Daiichi

In August 2002, we signed an agreement for a collaboration with Daiichi to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. Daiichi paid us \$0.9 million at the time we entered into the agreement. Under the terms of the collaboration agreement, the aggregate of potential milestone amounts payable to us is \$33.9 million and low to mid-single-digit royalties on sales. We have earned to date milestone payments totaling \$5.7 million and may earn milestone payments in connection with certain clinical events. The research phase of this three-year collaboration expired in August 2005. In addition, we are entitled to receive royalties on any commercialized products to emerge from the

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collaboration at low to mid-single-digit royalties on sales. Under the terms of the 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. In December 2009, we received a milestone payment of \$750,000 for the first designation of a rational design lead compound. Daiichi may become obligated to pay us certain other milestone payments, and we are also entitled to receive royalties on any commercialized products to emerge from the collaboration.

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

Merck Serono

In October 2005, we entered into a collaborative research and license agreement with Merck Serono granting them an exclusive license to develop and commercialize product candidates from our aurora kinase inhibitor program. Even though the agreement included a basket of compounds within the aurora kinase inhibitor program, the collaboration and our efforts under the agreement were focused on R763. We were responsible for all costs associated with the preparation and filing of an IND for R763 while Merck Serono is responsible for all development of R763 following regulatory acceptance of the IND and will bear all costs thereafter. In connection with this collaboration, Merck Serono paid us \$10.0 million upfront and purchased \$15.0 million of our common stock at a premium in 2005. We amortized the upfront amount into revenue over the nine months from the initiation of the collaboration in October 2005. As of June 2006, we had completely recognized the upfront amount into revenue as we had performed all our deliverables under the collaboration and did not have any further obligations to Merck Serono leading up to the initiation of the first clinical trial.

Under the terms of the collaboration agreement, the aggregate of potential milestone amounts payable to us is \$125.0 million and high single-digit to low double-digit royalties on sales may also become payable to us. During February 2006, we received a milestone payment of \$5.0 million triggered by the regulatory acceptance of the R763 IND in January 2006. In September 2006, we received a \$3.0 million milestone payment from Merck Serono in connection with the initiation of the Phase 1 study of R763. In October 2007, we received another \$3.0 million milestone payment from Merck Serono upon their exercise of the option to obtain Japan rights for R763. No other milestone payments were received since 2007.

In February 2010, Merck Serono informed us that they expect to wind down the various clinical trials and plan to return the program back to us. We plan to evaluate the preclinical and clinical data and make a decision on the program's disposition.

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Our Discovery Engine

The technologies 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 search hundreds of millions of cells to identify potential protein targets. In addition, we identify the proteins involved in the intracellular process and prepare a map of their interactions, thus giving us a comprehensive picture of the intracellular disease pathway. We believe that our approach has a number of advantages, including:

improved target identification: it focuses only on the subset of expressed proteins of genes believed to be specifically implicated in the disease process;

rapid validation of protein targets: it produces validated protein targets quickly because it uses key events in the disease process as the basis to design the functional, disease-based screen;

improved disease pathway mapping: it produces a comprehensive map of the intracellular disease pathway, enabling the identification of a large number of potential protein targets;

informed target selection: it provides a variety of different types of targets and information concerning the role each plays in their endogenous state to better select targets more susceptible to pharmaceutical intervention;

efficient compound screening: it increases the probability and speed with which compound screening will identify "hits" because it provides detailed knowledge of the target that can be used to guide the design of the compound screen; and

risk reduction: it may reduce the risk of failure in the product development process due to serious side effects, including toxicity or other reasons, by selecting only targets that are specific to the disease in question and that have no apparent role in other cell types or signaling pathways.

Because of the very large number of cells and proteins employed, our technology is labor intensive. The complexity of our technology requires a high degree of skill and diligence to perform successfully. In addition, successful application of our technology depends on a highly diverse collection of proteins to test in cells. 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 lead compounds identified in high throughput screening, or 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

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chemists and biologists by performing the necessary studies, including toxicology, for 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.

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 more than 100 pending patent applications and more than 155 issued patents in the United States that are owned by or exclusively licensed to us in our field, as well as pending corresponding foreign patent applications. Our policy is to file patent applications to protect technology, inventions and improvements to inventions that are commercially important to the development of our business. We seek United States and international patent protection for a variety of technologies, including new screening methodologies and other research tools, target molecules that are associated with disease states identified in our screens, and lead compounds that can affect disease pathways. We also intend to seek patent protection or rely upon trade secret rights to protect other technologies that may be used to discover and validate targets and that may be used to identify and develop novel drugs. We seek protection, in part, through confidentiality and proprietary information agreements. We are a party to various license agreements that give us rights to use technologies in our research and development.

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

We currently hold a number of issued patents in the United States, as well as corresponding applications that allow us to pursue patents in other countries, some of which have been allowed and/or granted and others of which we expect to be granted. Specifically, in most cases where we hold a U.S. issued patent, the subject matter is covered at least by an application filed under the Patent Cooperation Treaty, or the 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 R406, an oral Syk inhibitor, and R788, a pro-drug of R406 and our lead product candidate.

R788. R788 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. R788 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 R788 to treat various indications, methods of making R788, and compositions of matter covering certain intermediates used to make R788 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.

R406. R406 is covered as a composition of matter in a U.S. issued patent and, with a patent term adjustment, currently has an expiration date in February 2025. R406 is also covered under two broader

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composition of matter patents issued in the U.S. expiring in February 2023 and July 2024. Methods of using R406 to treat various indications and compositions of matter covering certain intermediates used to make R406 are also covered under patents described above. Corresponding applications have been filed in foreign jurisdictions under the PCT, and are at various stages of prosecution.

Competition

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

Competition may also arise from:

new or better methods of target identification or validation;

other drug development technologies and methods of preventing or reducing the incidence of disease;

new small molecules; or

other classes of therapeutic agents.

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

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

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

identifying and validating targets;

screening compounds against targets; and

undertaking preclinical testing and clinical trials.

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

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

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

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

identify and validate targets;

discover candidate drug compounds that interact with the targets we identify;

attract and retain scientific and product development personnel;

obtain patent or other proprietary protection for our new drug compounds and technologies; and

enter commercialization agreements for our new drug compounds.

Research and Development Expenses

A significant portion of our operating expenses is related to research and development and we intend to maintain our strong commitment to research and development. See "Item 8. Financial Statements and Supplementary Data" of this Annual Report on Form 10-K for costs and expenses related to research and development, and other financial information for fiscal years 2009, 2008, and 2007.

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

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part of an IND application that must be approved before clinical trials can begin in humans. Typically, clinical evaluation involves a time consuming and costly three-phase process.

Phase 1 Clinical trials are conducted with a small number of patients to determine the early safety profile, maximum tolerated dose and pharmacological properties of the product in human volunteers.

Phase 2 Clinical trials are conducted with groups of patients afflicted with a specific disease in order to determine preliminary efficacy, optimal dosages and expanded evidence of safety.

Phase 3 Large-scale, multi-center, comparative clinical trials are conducted with patients afflicted with a specific disease in order to determine safety and efficacy as primary support for regulatory approval by the FDA to market a product candidate for a specific disease.

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

must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;

must meet requirements for institutional review board oversight;

must meet requirements for informed consent;

are subject to continuing FDA oversight;

may require large numbers of participants; and

may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

Any clinical trial may fail to produce results satisfactory to the FDA. Preclinical and clinical data can be interpreted in different ways, which could delay, limit or prevent regulatory approval. Negative or inconclusive results or adverse medical events during a clinical trial could cause a clinical trial to be repeated or a program to be terminated. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy or interpretation during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential products, collaborative partners or us. Additionally, we have no experience in working with our partners in conducting and managing the clinical trials necessary to obtain regulatory approval.

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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

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to country. At present, foreign marketing authorizations are applied for at a national level, although within the European Union, or EU, registration procedures are available to companies wishing to market a product in more than one EU member state. If the regulatory authority is satisfied that adequate evidence of safety, quality and efficacy has been presented, a marketing authorization will be granted. This foreign regulatory approval process involves all of the risks associated with FDA clearance.

Manufacturing and Raw Materials

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

Employees

As of December 31, 2009, we had 142 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 February 2009, we announced that we cut our research programs in virology and oncology as well as terminated certain related development and administrative staff, which resulted in the dismissal of 36 employees, or approximately 20% of our workforce. This measure was intended to maintain our emphasis on active preclinical and clinical programs, while conserving our resources. As a result of the restructuring, we recorded restructuring charges of \$1.1 million in the first quarter of 2009, including \$1.0 million of workforce reduction costs and \$122,000 of non-cash stock-based compensation expense incurred in connection with the extension of the date the terminated employees have to exercise their vested options to December 31, 2009 rather than 90 days from the termination date as is typically required under our equity incentive plan.

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 and oncology. Certain of our scientific and medical advisors and consultants receive an option to purchase our common stock and an honorarium for time spent assisting us.

Available Information

Our website is located at www.rigel.com. The information found on our website is not incorporated by reference into this Annual Report on Form 10-K. We electronically file with the Securities and Exchange Commission, or SEC, our Annual Report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K, our director and officers' Section 16 reports and other SEC filings and amendments to the reports filed or furnished pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934, as amended. We make available free of charge on or through our website copies of these reports as soon as reasonably practicable after we electronically file these reports with, or furnish them to, the SEC. Further, a copy of these reports is located at the SEC's Public Reference Room at 100 F Street, NE, Washington, D.C. 20549. Information on the operation of the Public Reference Room can be obtained by calling the SEC at 1-800-SEC-0330. The SEC also maintains an internet site that contains reports, proxy and information statements and other information regarding issuers that file electronically with the SEC at www.sec.gov.

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Item 1A. Risk Factors

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

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

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties now and in the future. We rely on these arrangements for not only financial resources, but also for expertise we need now and in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if these collaborations or additional third parties with which we collaborate, if any, will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. 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 milestone payments, and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations.

The research phase of our collaboration with Johnson & Johnson ended in 2003, and the research phases conducted at our facilities under our broad collaboration with Novartis ended in 2004. The research phase of our collaboration agreement with Daiichi ended in 2005. In 2004, we signed a new collaboration agreement with Merck, and the research phase of this collaboration ended in May 2007. In 2005, we signed a new collaboration agreement with Pfizer, and the research phase of this collaboration ended in February 2007. Our collaboration agreement with Merck Serono, entered into in 2005, did not include a research phase. Our collaboration agreement with AZ, entered into in 2010, does not include a research phase. Each of our collaborations could be terminated by the other party at any time, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the resources and expertise of our collaborative partners could adversely affect our business.

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

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

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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 from our research and development.

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

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

must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;

must meet requirements for institutional review board oversight;

must meet requirements for informed consent;

are subject to continuing FDA oversight;

may require large numbers of test subjects; and

may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

Before receiving FDA approval to market a product, we must demonstrate with substantial clinical evidence that the product is safe and effective in the patient population and the indication that will be

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

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

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

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

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

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

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

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

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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 two product compounds in the clinical testing stage: one with indications for RA, ITP, B-cell lymphoma and T-cell lymphoma, as well as for certain solid tumors that is being implemented by the NCI, all of which indications are subject to a collaboration agreement with AZ; and one in Phase 1b testing and intended for allergic asthma, which is subject to a collaboration agreement with Pfizer, Inc., or Pfizer. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all.

Our compounds in clinical trials and our future leads for potential drug compounds are subject to the risks and failures inherent in the development of pharmaceutical products. These risks include, but are not limited to, the inherent difficulty in selecting the right drug and drug target and avoiding unwanted side effects, as well as unanticipated problems relating to product development, testing, obtaining regulatory approvals, maintaining regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. For example, in our recently completed two Phase 2b clinical trials for R788 in RA, *TASKi2* and *TASKi3*, the most common clinically meaningful drug-related adverse events noted were diarrhea and hypertension. In both our *TASKi2* and *TASKi3* Phase 2b clinical trials, a meaningfully higher percentage of patients in the R788 treatment groups had blood pressure medication adjusted or initiated during the course of the clinical trials as compared to the placebo group. In larger future clinical trials, we may discover additional side effects and/or higher frequency of side effects than those observed in completed clinical trials. If approved by the FDA, the side effect profile of R788 may also result in a narrowly approved indication for use of the product, especially in light of other drugs currently available to treat RA, dependent on the safety profile of R788 relative to those drugs.

The results of preliminary and mid-stage studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the previous studies. Similarly, a clinical trial may show that a product candidate is safe and effective for certain patient populations in a particular indication, but other clinical trials may fail to confirm those results in a subset of that population or in a different patient population, which may limit the potential market for that product candidate. For example, R788 produced significant clinical improvement in RA patients who had failed to respond to methotrexate alone in our *TASKi2* Phase 2b clinical trial, but our *TASKi3* Phase 2b clinical trial failed to meet its efficacy endpoints in RA patients who had failed to respond to at least one biologic treatment. In addition, if we were to repeat either of the *TASKi2* and *TASKi3* Phase 2b clinical trials, any such additional trials may not confirm the results observed in the original trials. If our partner, AZ, is able to initiate a Phase 3 clinical trial evaluating R788 in RA patients, the Phase 3 clinical trial may not show R788 to be safe and effective for the treatment of RA patients. Finally, 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 compound. However, we cannot provide assurance that we will meet any of these timelines for clinical development.

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.

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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 over 100 pending patent applications and over 155 issued patents in the United States as well as numerous pending corresponding foreign patent applications. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. For example, we may be involved in interferences before the United States Patent and Trademark Office. Interferences are complex and expensive legal proceedings and there is no assurance we will be successful in any such proceedings. An interference could result in our losing our patent rights and/or our freedom to operate and/or require us to pay significant royalties. Additional uncertainty may result because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

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

we were the first to make the inventions covered by each of our pending patent applications;

we were the first to file patent applications for these inventions;

others will not independently develop similar or alternative technologies or duplicate any of our technologies;

any of our pending patent applications will result in issued patents;

any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;

we will develop additional proprietary technologies that are patentable; or

the patents of others will not have a negative effect on our ability to do business.

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

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

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

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

require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;

prevent us from using the subject matter claimed in the patents held by others;

subject us to potential liability for damages;

consume a substantial portion of our managerial and financial resources; and

result in litigation or administrative proceedings that may be costly, whether we win or lose.

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

We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In September 2009, we completed an underwritten public offering in which we sold 14,950,000 shares of our common stock at a price to the public of \$7.25 per share. We received net proceeds of approximately \$101.5 million after deducting underwriting discounts and commissions and offering expenses. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral Syk inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib disodium, or R788, our late-stage investigational product candidate for the treatment of RA and other indications. Upon effectiveness of the agreement, AZ is required to pay us an upfront payment of \$100.0 million, and up to an additional \$345.0 million if specified development, regulatory and launch milestones are achieved for R788. We will also be eligible to receive up to an additional \$800.0 million if specified sales performance milestones are achieved for R788, as well as significant stepped double-digit royalties on net sales worldwide of R788. The agreement is subject to and will become effective upon clearance under the Hart-Scott-Rodino Antitrust Improvements Act of 1976, as amended, or the HSR Act. We believe that our existing capital resources and the anticipated proceeds from our current collaborations, including the upfront payment we expect to receive from AZ upon the effectiveness of our collaboration agreement with them, will be sufficient to support our current and projected funding requirements through at least the next 12 months. We may need additional funds in the future and the amount of future funds needed will depend largely on the timing and structure of potential future collaborations. Unless and until we are able to generate a sufficient amount of product revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of milestone 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.

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

Our future funding requirements will depend on many uncertain factors.

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

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

our ability to establish new collaborations, including the terms thereof, and to meet our obligations under our existing collaboration partnerships;

the progress of research programs carried out by us;

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

our ability to meet the milestones 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;

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

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

expenses associated with the pending and potential additional related purported securities class action lawsuits, as well as any unforeseen litigation.

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

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

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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 have not been profitable and have incurred operating losses each year since we were incorporated in June 1996. We incurred net losses of approximately \$111.5 million, \$132.3 million, and \$74.3 million for the years ended December 31, 2009, 2008, and 2007, respectively. Currently, our only potential source of revenues is upfront payments, research and development milestone and royalty payments pursuant to our collaboration arrangements. As of December 31, 2009, we had an accumulated deficit of approximately \$613.3 million. The extent of our future losses and the timing of potential profitability are highly uncertain.

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

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

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

Our ability to generate revenue in the near term depends on the timing of recognition of certain upfront payments, achievement of certain milestone 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 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 partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenues may come only from milestone payments 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 milestone 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 milestone payments from our collaborations with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, Novartis Pharma AG, or Novartis, Daiichi Pharmaceuticals Co., Ltd., or Daiichi, Merck & Co., Inc., or Merck, Merck Serono and Pfizer. We expect to receive an upfront payment upon the effectiveness of our collaboration agreement with AZ. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced product candidates into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to generate revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our common stock.

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

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

Significant delays in clinical testing could materially impact our product development costs and timing. We do not know whether planned clinical trials will begin on time, will need to be halted or redesigned or will be completed on schedule, or at all. In addition, clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from

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scaling up of a study, delays in reaching agreement on acceptable clinical study agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a study at a prospective clinical site or delays in recruiting subjects to participate in a study.

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

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

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us, certain of our officers and directors, and the underwriters for our February 2008 stock offering. An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleges violations of the Securities Act of 1933 and the Securities Exchange Act of 1934 in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate R788. The plaintiff seeks damages, including rescission or rescissory damages for purchasers in the stock offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 3, 2009, including purchasers in the February 2008 stock offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010, and a hearing on that motion is set for April 9, 2010. It is possible that additional suits will be filed with respect to these same matters and also naming us and/or our officers and directors as defendants. If any such additional suits are filed in the same court, we believe that they would be consolidated into the consolidated action.

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

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management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, as this lawsuit is currently at an early stage and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit. It is possible that we could, in the future, incur judgments or enter into settlements of claims for monetary damages. A decision adverse to our interests on this action could result in the payment of substantial damages, or possibly fines, and could have a material adverse effect on our cash flow, results of operations and financial position. In addition, the uncertainty of the currently pending litigation could lead to increased volatility in our stock price.

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

We currently do not have the manufacturing capabilities or experience necessary to produce our product candidates for clinical testing. For each clinical trial of our unpartnered product candidates, we rely on a sole manufacturer 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, or 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 investigational new drug, or IND, applications and/or the initiation of clinical trials that we have currently planned.

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

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compounds, operating restrictions and criminal prosecutions, any of which could significantly and adversely affect our business.

The restructuring of our research programs could result in management distractions, operational disruptions and other difficulties.

In February 2009, we announced that we cut our research programs in virology and oncology, as well as terminated certain related development and administrative staff, which resulted in the dismissal of 36 employees, or approximately 20% of our workforce. Employees whose positions were eliminated in connection with this reduction may seek future employment with our competitors. Although all employees are required to sign a confidentiality agreement with us at the time of hire, we cannot assure you that the confidential nature of our proprietary information will be maintained in the course of such future employment. Any additional restructuring efforts could divert the attention of our management away from our operations, harm our reputation and increase our expenses. We cannot assure you that we will not undertake additional restructuring activities, that any of our restructuring efforts will be successful, or that we will be able to realize the cost savings and other anticipated benefits from our previous or future restructuring plans. In addition, if we reduce our workforce further in the future, it may adversely impact our ability to continue to develop our product candidates.

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

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

Competition may also arise from:

new or better methods of target identification or validation;

other drug development technologies and methods of preventing or reducing the incidence of disease;

new small molecules; or

other classes of therapeutic agents.

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

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

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

identifying and validating targets;

screening compounds against targets; and

undertaking preclinical testing and clinical trials.

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

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

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

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

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

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

government and health administration authorities;

private health insurers; and

other third-party payors.

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

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

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

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

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

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

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery and development programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not our employees and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting

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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.

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result, 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 further declines in interest rates and the broader effects of the recent turmoil in the global credit markets.

Recently, the credit markets and the financial services industry have been experiencing a period of unprecedented turmoil and upheaval. As a result of this turmoil, the interest paid on certain of our investments may decrease and the value of certain securities we hold may decline in the future, which could negatively affect our financial condition, cash flows and reported earnings.

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

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

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

the receipt or failure to receive the additional funding necessary to conduct our business;

selling by large stockholders;

presentations of detailed clinical trial data at medical and scientific conferences and investor perception thereof;

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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;

economic and other external factors or other disaster or crisis; and

period-to-period fluctuations in financial results.

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

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

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

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

establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning a majority of our capital stock;

authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;

limit who may call a special meeting of stockholders;

prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;

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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.

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Item 1B. Unresolved Staff Comments

None.

Item 2. Properties

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

Item 3. Legal Proceedings

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for our February 2008 stock offering. An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Coughlin Stoia as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleges violations of the Securities Act of 1933 and the Securities Exchange Act of 1934 in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate R788. The plaintiffs seek damages, including rescission or rescissory damages for purchasers in the stock offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the stock offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010, and a hearing on that motion is set for April 9, 2010. It is possible that additional suits will be filed with respect to these same matters and also naming us and/or our officers and directors as defendants. If any such additional suits are filed in the same court, we believe that they would be consolidated into the consolidated action.

We believe that we have meritorious defenses and intend to defend the lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of this lawsuit, and we may not prevail.

Item 4. Reserved.

Table of Contents**PART II****Item 5. Market for Registrant's Common Equity, Related Stockholder Matters and Issuer Purchases of Equity Securities**

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

	High	Low
Year Ended December 31, 2008		
First Quarter	\$ 29.25	\$ 14.94
Second Quarter	\$ 24.45	\$ 17.36
Third Quarter	\$ 27.18	\$ 21.03
Fourth Quarter	\$ 23.61	\$ 4.76
Year Ended December 31, 2009		
First Quarter	\$ 8.85	\$ 4.19
Second Quarter	\$ 13.32	\$ 5.39
Third Quarter	\$ 14.75	\$ 6.58
Fourth Quarter	\$ 10.15	\$ 6.03

On February 23, 2010, the last reported sale price for our common stock on the Nasdaq Global Market was \$7.51 per share.

Holders

As of February 23, 2010, there were approximately 122 stockholders of record of our common stock.

Dividends

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

Performance Measurement Comparison

The graph below shows the cumulative total stockholder return of an investment of \$100 (and the reinvestment of any dividends thereafter) on December 31, 2004 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.

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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 12/31/04 in stock or index-including reinvestment of dividends at fiscal year ending December 31.

Unregistered Sales of Equity Securities

In the first quarter of 2009, in connection with an amendment to our build-to-suit lease agreement in order to defer certain repayment of rental obligations, we cancelled an existing warrant granting HCP Estates USA Inc., an affiliate of our landlord, the right to purchase 100,000 shares of common stock and issued a new warrant granting HCP BTC, LLC, our landlord, the right to purchase 200,000 shares of common stock at an exercise price per share of \$6.61. The new warrant remains exercisable until February 2016. The warrant was issued in reliance on the exemptions from registration under Regulation D of the Securities Act of 1933, as amended. We relied on our landlord's representations and covenants in support of the satisfaction of the conditions contained in Regulation D. See Note 10 (Stockholders' Equity Warrants) to the Financial Statements for a discussion of the warrant.

Issuer Purchases of Equity Securities

None.

Table of Contents**Item 6. Selected Financial Data**

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

	Fiscal Years Ended December 31,				
	2009	2008	2007	2006	2005
	(in thousands, except per share amounts)				
Statements of Operations Data:					
Contract revenues from collaborations	\$ 750	\$	\$ 12,600	\$ 33,473	\$ 16,526
Costs and expenses:					
Research and development	90,743	109,670	70,364	56,968	52,038
General and administrative	20,903	27,044	21,763	19,552	12,410
Restructuring charges	1,141				
	112,787	136,714	92,127	76,520	64,448
Loss from operations	(112,037)	(136,714)	(79,527)	(43,047)	(47,922)
Interest income	600	4,439	5,476	5,700	2,942
Interest expense	(203)	(160)	(221)	(290)	(276)
Loss before income taxes	(111,640)	(132,435)	(74,272)	(37,637)	(45,256)
Income tax benefit	93	89			
Net loss	(111,547)	(132,346)	(74,272)	(37,637)	(45,256)
Net loss per share, basic and diluted	\$ (2.73)	\$ (3.67)	\$ (2.57)	\$ (1.51)	\$ (2.07)
Weighted average shares used in computing net loss per share, basic and diluted	40,876	36,025	28,936	24,936	21,857