RIGEL PHARMACEUTICALS INC
Form 424B3
January 24, 2008

QuickLinks -- Click here to rapidly navigate through this document

This prospectus supplement relates to an effective registration statement under the Securities Act of 1933, but is not complete and may be changed. This prospectus supplement and the accompanying prospectus are not an offer to sell these securities and are not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.

SUBJECT TO COMPLETION, DATED JANUARY 24, 2008

Filed Pursuant to Rule 424(b)(3)
Registration No. 333-148838

PRELIMINARY PROSPECTUS SUPPLEMENT TO PROSPECTUS
DATED JANUARY 24, 2008

4,000,000 Shares

Common Stock

We are selling 4,000,000 shares of common stock.

Our common stock is traded on the NASDAQ Global Market under the symbol "RIGL." The last reported sale price of our common stock on the NASDAQ Global Market on January 23, 2008 was $25.46 per share.

The underwriters have an option to purchase from us a maximum of 600,000 additional shares to cover over-allotments of shares.

Investing in our common stock involves risks. See "Risk Factors" beginning on page S-10.

	Price to Public	Underwriting Discounts and Commissions	Proceeds to Us
Per Share	$	$	$
Total	$	$	$

Delivery of the shares of common stock will be made on or about , 2008.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus supplement or the prospectus to which it relates are truthful or complete. Any representation to the contrary is a criminal offense.

Credit Suisse

Thomas Weisel Partners LLC

Jefferies & Company **Oppenheimer & Co.**

The date of this prospectus supplement is , 2008.

TABLE OF CONTENTS

You should rely only on the information contained or incorporated by reference in this prospectus supplement, the accompanying prospectus and any related free writing prospectus that we authorize to be distributed to you. We have not, and the underwriters have not, authorized anyone to provide you with different information. If anyone provides you with different or inconsistent information, you should not rely on it. We are not, and the underwriters are not, making an offer to sell these securities in any jurisdiction where the offer or sale is not permitted. You should assume that the information appearing in this prospectus supplement, the accompanying prospectus, the documents incorporated by reference in this prospectus supplement and the accompanying prospectus and any related free writing prospectus is accurate only as of the respective dates of those documents. Our business, financial condition, results of operations and prospects may have changed since those dates. You should read this prospectus supplement, the accompanying prospectus, the documents incorporated by reference in this prospectus supplement and the accompanying prospectus and any related free writing prospectus when making your investment decision. You should also read and consider the information in the documents we have referred you to in the section of the accompanying prospectus entitled "Where You Can Find More Information."

ABOUT THIS PROSPECTUS SUPPLEMENT

This document is in two parts. The first part is this prospectus supplement, which describes the terms of this offering of common stock and also adds to and updates information contained in the accompanying prospectus and the documents incorporated by reference. The second part is the accompanying prospectus, which gives more general information, some of which may not apply to this offering of common stock. To the extent the information contained in this prospectus supplement differs or varies from the information contained in the accompanying prospectus or any document incorporated by reference, the information in this prospectus supplement shall control.

PROSPECTUS SUPPLEMENT SUMMARY

This summary highlights information contained elsewhere in this prospectus supplement. This summary does not contain all of the information that you should consider before investing in our common stock. You should read this entire prospectus supplement and the accompanying prospectus carefully, including the factors described under the heading "Risk Factors" in this prospectus supplement, and the financial statements and other information incorporated by reference in this prospectus supplement and the accompanying prospectus before making an investment decision. The name Rigel Pharmaceuticals and our logo are our trademarks. All other trademarks or tradenames referred to in this prospectus supplement are the property of their respective owners. References in this prospectus supplement to "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc.

Overview

We are a clinical-stage drug development company that discovers and develops novel, small molecule drugs for the treatment of inflammatory/autoimmune diseases, cancer and viral diseases. Our goal is to file one new investigative new drug, or IND, application in a significant indication each year. We have achieved this goal each year beginning in 2002. 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 internal product development programs in inflammatory/autoimmune diseases, such as rheumatoid arthritis and thrombocytopenia, and cancer, as well as partnered product development programs relating to asthma and cancer.

Over the last several months, we:

- Demonstrated statistically significant results in treating patients with rheumatoid arthritis, or RA, in a Phase 2 clinical trial of our lead product candidate R788 (tamatinib fosdium);
- Initiated a Phase 1 clinical trial to evaluate the safety and tolerability of our product candidate R348, an orally-available, potent inhibitor of janus kinase 3, or JAK3;
- Announced results from a clinical trial in which R788 improved platelet counts in patients with immune thrombocytopenia purpura, or ITP;
- Completed enrollment in our ongoing Phase 1/2 clinical trial in lymphoma with our product candidate R788;
- Announced that Pfizer, Inc., or Pfizer, initiated a Phase 1 clinical trial of our product candidate R343 in allergic asthma, resulting in a milestone payment to us of $5.0 million;
- Announced that Merck Serono, S.A., or Merck Serono, initiated its third Phase 1 clinical trial of our product candidate R763, referred to by Merck Serono as AS703569, in oncology; and
- Announced that 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.

Product Development Programs

Our product development portfolio features multiple novel small molecule drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of inflammatory and immune/autoimmune disease areas, as well as cancers.

Pipeline	Current Stage	Status
R788 Oral Syk Inhibitor		
RA	Phase 2	Expect to initiate a Phase 2b clinical trial evaluating dosing and x-rays of bones over a six-month period, as well as an additional Phase 2b clinical trial treating a sub-population of RA patients, by the end of the first half of 2008
ITP	Phase 2	Investigating design for next clinical trial, which is anticipated to start by the end of 2008
B-cell lymphoma	Phase 1/2	Interim results expected in the second half of 2008
Lupus	Preclinical	Expect to initiate a Phase 2 clinical trial in the second half of 2008
R348 Oral JAK3 Inhibitor		
Various immune indications RA, psoriasis, transplant rejection and graft vs. host disease	Phase 1	Interim results expected in the first half of 2008
R763 Oral Aurora Kinase Inhibitor		
Oncology	Phase 1 Merck Serono	Three Phase 1 clinical trials initiated, including indications in solid tumors, hematological disorders and a combination study in advanced malignancies; interim results for the first two clinical trials expected in 2008
R343 Inhaled Syk Inhibitor		
Asthma	Phase 1 Pfizer	Phase 1 clinical trial initiated in December 2007

Generally, "Phase 1" refers to clinical testing in human volunteers to evaluate initial safety of the investigational compound. Generally, "Phase 2" refers to clinical testing of the investigational compound to evaluate initial efficacy, and further characterize safety and dosing in a population with the target indication.

Clinical Stage Programs

Rheumatoid Arthritis

Disease background. RA is an autoimmune disease characterized by chronic inflammation affecting multiple tissues, but typically produces its most pronounced symptoms in the joints. RA is often progressive and debilitating and affects nearly 2.1 million people in the United States.

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, or DMARD. DMARDs include methotrexate, an anti-cancer agent, and/or a variety of intravenously-delivered immunomodulatory agents (tumor necrosis factor, or TNF, inhibitors and co-stimulation inhibitors).

Orally-available Syk inhibitor program. We intend to focus our RA program on the development of 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.

R788 is our lead product candidate. It has a novel mechanism of action, blocking IgG receptor signaling in macrophages and B-cells. Previously, we studied R788 in a Phase 1 single center, double-blind, randomized placebo-controlled clinical trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We also completed a clinical trial of R788 to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this clinical trial suggested that there is not an adverse interaction between R788 and methotrexate.

We recently completed a Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose-ranging study evaluating three doses of R788 over a 12-week period in RA patients. All of these patients continued to receive their same previously scheduled dose of methotrexate. In this clinical trial, R788 demonstrated statistically significant efficacy results in treating RA patients at two dose levels. Efficacy assessments for each participant were based on the American College of Rheumatology criteria which denote a 20% (ACR 20) improvement, at least a 50% (ACR 50) improvement, or at least a 70% (ACR 70) improvement from the baseline assessment at the end of the 12-week treatment period. Groups treated with R788 at 100mg and 150mg po bid (orally, twice daily) showed higher ACR20, ACR50, ACR70 and DAS28 response rates than the placebo group. The most common clinically meaningful adverse events noted in the clinical trial were dose-related neutropenia, mild elevations of liver function tests and gastrointestinal side effects. Dose reduction (to one-half the assigned dose by taking the drug once per day) was pre-specified in the protocol and contingent on neutrophil counts and/or liver function tests. Notably, a vast majority of the patients who had their dose reduced successfully completed the clinical trial with minimal safety issues. We expect to initiate a Phase 2b clinical trial evaluating dosing and x-rays of bones over a 24-week period. We also expect to initiate a second Phase 2b clinical trial treating a sub-population of RA patients with R788 by the end of the first half of 2008.

Immune Thrombocytopenia Purpura

Disease background. Immune thrombocytopenia purpura, or ITP, is a blood disorder in which the immune system attacks and destroys platelets in the blood, resulting in an abnormally low platelet count, which can result in easy bruising, bleeding gums and internal bleeding. Approximately 200,000 people in the United States suffer from ITP. The majority of cases are in women, with 50% of the new cases found in children.

First line medical therapy for ITP consists primarily of steroids, which help prevent bleeding by decreasing the rate of platelet destruction. The current treatment options for chronic ITP have

potentially significant side effects and lack long-term effectiveness. When steroid therapy fails, the patient's spleen may need to be removed, which poses the risk of other significant complications. There is no consensus on the appropriate management for chronic ITP, but due to the fact that sustained remission is infrequent, new therapies are needed. We are focusing our ITP program on the chronic form of the disorder, targeting the underlying autoimmune cause of the disease.

Orally-available Syk inhibitor program. Platelet destruction from ITP is mediated by IgG signaling, and R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We recently completed an exploratory Phase 2 clinical trial of R788 to evaluate its safety and initial efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in varying doses for 30 or more days and demonstrated that it can improve platelet counts in highly refractory patients. We are investigating the design for our next clinical trial of R788, which we expect to initiate by the end of 2008.

B-cell Lymphoma

Disease background. Lymphoma is a large class of blood cancers that affect the lymphatic system, which is part of the immune system. In 2006, lymphoma affected an estimated 500,000 people in the United States, of which 332,000 suffered from non-Hodgkin's varieties of the disease. Diffuse large B-cell lymphoma is the most common type of non-Hodgkin's lymphoma and is generally categorized as aggressive, marked by rapidly growing tumors in the lymph nodes, spleen, liver, bone marrow and other organs.

A variety of treatment options exist, including chemotherapy and radiation, but the five year survival rates for non-Hodgkin's lymphoma patients are only approximately 50%. For those who do survive, recurrences of the disease are common, warranting additional and novel approaches to treatment of the lymphoma.

Orally-available Syk inhibitor program. Research has shown that overactivity of the signaling enzyme spleen tyrosine kinase, or Syk, appears to be an essential mechanism in several types of B-cell lymphoma survival and that R788 can inhibit the growth of B-cell lymphoma driven by Syk overactivity. In April 2007, we began enrolling patients in a multicenter, Phase 1/2 clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell lymphoma. The clinical trial has enrolled 80 patients at 11 major treatment centers in the United States and will focus on certain types of B-cell lymphomas. We expect to receive interim results from this clinical trial in the second half of 2008.

JAK3 Inhibitor in RA and Other Immune Disorders

Disease background. In addition to potentially treating RA, we believe a JAK3 inhibitor may treat psoriasis and transplant rejection. Psoriasis is a lifelong skin disease that affects approximately 7.5 million people in the United States and an estimated 125 million people worldwide. Approximately 10-30% of patients with psoriasis also develop psoriatic arthritis, which causes pain, swelling and stiffness of the joints. These diseases are mediated by activated T-cells, which rely on JAK3 signaling.

Current treatments for these diseases include steroids, methotrexate and various injectable biologic agents. Our product candidate R348 is believed to be orally bio-available and may provide an attractive alternative or supplement to currently used agents.

Orally-available JAK3 inhibitor program. Our JAK3 inhibitor is an orally-available potent, selective JAK3 inhibitor. JAK3 is a cytoplasmic tyrosine kinase that plays an important role in lymphocyte differentiation and proliferation in a variety of autoimmune diseases. We recently began enrolling patients in a Phase 1 clinical trial to evaluate the safety and tolerability of R348. We expect to receive interim results from this clinical trial in the first half of 2008.

Preclinical Programs

We are conducting proprietary research in three broad disease areas: immunology/inflammation, virology and oncology. With each disease area, we are investigating mechanisms of action of pathogens as well as screening compounds against potential novel intracellular targets and optimizing those leads that appear to have the greatest potential. Our most advanced preclinical program is in the area of immunology/inflammation. Currently, we are researching autoimmune mediated inflammation disorders, such as RA, transplant rejection, graft vs. host disease, psoriasis, multiple sclerosis and inflammation of the bowel. We have identified more than one kinase that may be inhibited in order to treat inflammation-related disorders, and we are in the process of screening compounds against various kinases in order to find additional lead compounds to potentially treat inflammation-related disorders.

Lupus

Disease background. Systemic lupus erythematosus, or SLE or lupus, is an autoimmune disease characterized by excessive and chronic activation of inflammatory pathways via immune complex, or IC, deposition, complement activation and Fc receptor binding. The disease leads to inflammation-mediated end organ damage (kidneys, brain, joints, blood vessels).

Orally-available Syk inhibitor program. Preclinical studies have shown that R788 is highly effective in a murine model of lupus. We expect to initiate a Phase 2 clinical trial in the second half of 2008.

Partnered Programs in Development

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 over 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 have 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 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 multicenter 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. Merck Serono has indicated that interim results from these Phase 1 clinical trials are expected in the first and second half of 2008, respectively. In July 2007, Merck Serono initiated its third 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. The clinical trial will evaluate two different treatment regimens in which R763/AS703569 will be given in sequence with the gemcitabine over 21-day cycles. As many as 72

patients with advanced malignancies, including, pancreatic, ovarian, breast, non-small cell lung and colorectal, will be evaluated.

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. In the first quarter of 2005, we announced a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking Syk, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases.

In May 2006, Pfizer selected R343 to commence advanced preclinical development in allergic asthma via intrapulmonary delivery. In December 2007, Pfizer commenced a Phase 1 clinical trial of an inhaled formulation of R343 for the treatment of allergic asthma, resulting in a milestone payment to us of $5.0 million.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborations. We currently have collaborations with six major pharmaceutical/biotechnology companies. These collaborations are: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics; two with Pfizer, one initiated in 1999 in immunology and the other in January 2005, relating to intrapulmonary asthma and allergy therapeutics; one with Novartis Pharma AG, or Novartis, with respect to four different programs relating to immunology, oncology and chronic bronchitis; one with Daiichi Pharmaceuticals Co., Ltd., or Daiichi, relating to oncology; one with Merck & Co., Inc., or Merck, also relating to oncology, and another with Merck Serono, relating to our aurora kinase inhibitor program. None of these programs currently provides 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 can not 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.

Our 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 with 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 companies may minimize the risk of failure, fill the product pipeline gap at major pharmaceutical companies and ultimately, increase the likelihood of advancing clinical development and commercial success.

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

- utilize our robust discovery engine to rapidly discover and validate new product candidates in a broad range of therapeutic indications;
- develop a diverse portfolio of drug candidates that address a large range of therapeutic indications or that represent significant market opportunities;
- advance at least one new product candidate or indication into the clinic each year; and
- establish strategic collaborations with pharmaceutical and biotechnology companies, preferably after Phase 2 trials, to develop and market our product candidates.

We were incorporated in Delaware in June 1996, and we are based in South San Francisco, California.

THE OFFERING

Common stock we are offering	4,000,000 shares
Common stock outstanding immediately following this offering	35,038,431 shares
Over-allotment option	600,000 shares
NASDAQ Global Market symbol	RIGL
Use of proceeds	We anticipate using the net proceeds to us from the sale of the common stock offered by this prospectus supplement and the accompanying prospectus for research and development and general corporate purposes.

The number of shares of common stock that will be outstanding after this offering is based on the number of shares outstanding as of September 30, 2007 and excludes:

- 171,888 shares of common stock underlying warrants outstanding as of September 30, 2007 at a weighted average exercise price of $12.85 per share;
- 5,324,967 shares of common stock underlying options outstanding as of September 30, 2007 at a weighted average exercise price of $13.92 per share; and
- 2,338,769 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 95,480 shares available for issuance under our 2000 Employee Stock Purchase Plan and 180,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan as of September 30, 2007.

Unless otherwise indicated, all information contained in this prospectus supplement assumes that the underwriters do not exercise their over-allotment option.

SUMMARY FINANCIAL DATA

The table below presents summary statement of operations and balance sheet data. The summary financial data for the years ended December 31, 2004 through December 31, 2006 are derived from our audited financial statements for those periods. We derived the summary financial data as of September 30, 2007 and for the nine months ended September 30, 2007 and 2006 from our unaudited financial statements. The unaudited financial statement data includes, in our opinion, all adjustments (consisting only of normal recurring adjustments) that are necessary for a fair presentation of our financial position and results of operations for these periods. This information is only a summary. You should read it in conjunction with our historical financial statements and related notes and "Management's Discussion and Analysis of Financial Condition and Results of Operations" contained in our annual reports, quarterly reports and other information on file with the Securities and Exchange Commission, or SEC, incorporated by reference in this prospectus supplement and the accompanying prospectus. For more details on how you can obtain our SEC reports and other information, you should read the section of the accompanying prospectus entitled "Where You Can Find More Information". Our results of operations are for a historical period and are not necessarily indicative of results of operations for future periods. The as adjusted balance sheet data gives effect to the sale by us of 4,000,000 shares of our common stock in this offering at an assumed public offering price of $25.46 per share, after deducting the estimated underwriting discounts and commissions and estimated offering expenses of $450,000 payable by us.

	Fiscal Year Ended December 31,			Nine Months Ended September 30, 2007	
	2004	2005	2006	2006	2007
	(in thousands, except per share amounts)				
Contract revenues from collaborations	$ 4,733	$ 16,526	$ 33,473	$ 30,345	$ 4,600
Costs and expenses:					
Research and development	48,523	52,038	56,968	41,966	48,404
General and administrative	13,077	12,410	19,552	14,532	15,466
	61,600	64,448	76,520	56,498	63,870
Loss from operations	(56,867)	(47,922)	(43,047)	(26,153)	(59,270)
Loss on disposal/sale of property and equipment	(30)				
Interest income	966	2,942	5,700	4,287	4,172
Interest expense	(324)	(276)	(290)	(315)	(172)
Net loss	$ (56,255)	$ (45,256)	$ (37,637)	$ (22,181)	$ (55,270)
Net loss per share, basic and diluted	$ (3.12)	$ (2.07)	$ (1.51)	$ (0.89)	$ (1.96)
Weighted average shares used in computing net loss per share basic and diluted	18,053	21,857	24,936	24,882	28,211

	As of September 30, 2007	
Balance sheet data	**Actual**	**As adjusted(1)**
	(in thousands)	
Cash, cash equivalents and available-for-sale securities(2)	$ 112,500	$ 208,543
Working capital	106,590	202,633
Total assets	119,684	215,727
Long-term liabilities	17,238	17,238
Accumulated deficit	(350,429)	(350,429)
Total stockholders' equity	94,319	190,362

(1) Each $2.00 increase (decrease) in the assumed public offering price of $25.46 per share would increase (decrease) each of cash, cash equivalents and available-for-sale securities, working capital, total assets and total stockholders' equity by approximately $7.6 million, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same, and after deducting the estimated underwriting

discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. Each increase (decrease) of 500,000 shares in the number of shares offered by us would increase (decrease) each of cash, cash equivalents and available-for-sale securities, working capital, total assets and total stockholders' equity by approximately $12.1 million, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The as adjusted information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

(2) While we have not finalized our full financial results for the fiscal year ended December 31, 2007, we expect to report that we had $108.3 million of cash, cash equivalents and available-for-sale securities as of December 31, 2007.

RISK FACTORS

In evaluating our business, you should carefully consider the following risks, as well as the other information contained in this prospectus supplement, the accompanying prospectus and the documents incorporated by reference in this prospectus supplement and the accompanying prospectus before you decide to purchase shares of our common stock. If any of the following risks actually occurs, our business, financial condition and operating results could be harmed, the trading price of our common stock could decline and you could lose part or all of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business.

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

We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our research and development activities. We believe that the net proceeds from this offering, together with our existing capital resources and anticipated proceeds from current collaborations, will be sufficient to support our current operating plan through at least the next 12 months. In the foreseeable future, our operations will require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, and the absence of any meaningful revenues. The amount of future funds needed will depend largely on the timing and structure of potential future collaborations. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. As of September 30, 2007, our cash, cash equivalents and available-for-sale securities were $112.5 million. While we have not finalized our full financial results for the fiscal year ended December 31, 2007, we expect to report that we had $108.3 million of cash, cash equivalents and available-for-sale securities as of December 31, 2007.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. To the extent that we raise additional funds through 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 and to maintain 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;
- 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 unforeseen litigation.

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

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

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 since we were incorporated in June 1996. The extent of our future losses and the timing of potential profitability are highly uncertain, and we may never achieve profitable operations. We incurred net losses of approximately $55.3 million for the nine months ended September 30, 2007, $37.6 million for the year ended December 31, 2006 and $45.3 million for the year ended December 31, 2005. Currently, our revenues are generated solely from payments pursuant to our collaboration agreements and licenses and are insufficient to generate profitable operations. As of September 30, 2007, we had an accumulated deficit of approximately $350.4 million. We expect to incur losses for at least the next several years and expect that these losses could increase as we expand our research and development activities and incur significant clinical and testing costs.

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

At the present time, the majority of our operations are in various stages of drug identification and development. We currently have four product compounds in the clinical testing stage: one with indications for RA, ITP and B-cell lymphoma, which is proprietary to our company; one in safety testing and intended for RA, psoriasis, and other immunological indications, which is proprietary to our company; one with three indications for oncology, which is subject to a collaboration agreement with Merck Serono; and one in safety testing and intended for allergic asthma, which is subject to a collaboration agreement with 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, regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. For example, in our clinical trials conducted to-date, use of R788 has resulted in dose related neutropenia, elevated liver enzymes and gastrointestinal side effects. These side effects may limit or delay enrollment of patients in future trials and we may observe additional side effects in larger clinical testing in future trials. If approved by the FDA, the side effect profile of R788 may also result in a narrow 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 studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the preliminary studies. Our lead product candidate is in early development, having recently completed initial Phase 2 clinical trials in two indications. We will need to conduct additional Phase 2 trials, with larger numbers of patients, before proceeding into Phase 3 trials with R788 for either indication. Furthermore, our Phase 2 clinical trial for ITP was conducted in highly refractory patients, as opposed to treatment-naive patients. If efficacy is not demonstrated among treatment-naive patients, any approved indication for ITP will be limited to a subset of the patient population. Finally, with respect to our own compounds in development, we have established anticipated timelines with respect to the initiation or completion 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.

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 preclinical studies and clinical trials. Preclinical testing and clinical development are long, expensive and uncertain processes. 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.

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 revamped or will be completed on schedule, or at all. Clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from scale up, 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. 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 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.

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 manufacturing capabilities or experience necessary to produce our product candidate R788. We rely on a single manufacturer for the R788 product for clinical trials. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the FDA's current Good Manufacturing Practices, or GMP. These outsourcing efforts with respect to manufacturing preclinical and clinical supplies will result in a dependence on our suppliers to timely manufacture and deliver sufficient quantities of materials produced under GMP conditions to enable us to conduct planned preclinical studies, clinical trials and, if possible, to bring products to market in a timely manner.

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. We may not be able to maintain or renew our existing third-party manufacturing arrangements, or enter into new arrangements, on acceptable terms, or at all. Our third-party manufacturers could terminate or decline to renew our manufacturing arrangements based on their own business priorities, at a time that is costly or inconvenient for us. If we are unable to contract for the production of materials in sufficient quantity and of sufficient quality on acceptable terms, our planned clinical trials may be significantly delayed. Manufacturing delays could postpone the filing of our IND applications and/or the initiation of clinical trials that we have currently planned.

Our third-party manufacturers may not be able to comply with the GMP regulations, other applicable FDA regulatory requirements or similar regulations applicable outside of the United States. 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 obtain approval from the FDA or to comply with applicable regulations could result in sanctions being imposed on us, including fines, civil penalties, delays in or failure to grant marketing approval of our product candidates, injunctions, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of products and compounds, operating restrictions and criminal prosecutions, any of which could significantly and adversely affect our business.

Because most of our expected future revenues are contingent upon collaborative and license agreements, we might not meet our strategic objectives.

Our ability to generate revenue in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. 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 new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on the trading price of our stock.

To date, most 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 some time well into the future. The 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. In late 2001, we recorded the first revenue from achievement of milestones in both the Pfizer and Johnson & Johnson collaborations. In addition, we have subsequently received milestone payments from Novartis, Daiichi, Merck, Merck Serono and Pfizer. 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 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. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

If our current 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 in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need 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 such third parties 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 ongoing research and development efforts at Rigel, 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 corporate collaboration agreement with Daiichi ended in 2005. In 2004, we signed a new corporate collaboration with Merck, and the research phase of this collaboration ended in May 2007. In 2005, we signed additional collaborations with Pfizer and Merck Serono. 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 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.

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.

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 160 pending patent applications and over 90 issued patents in the United States that are owned or exclusively licensed in our field as well as 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 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, then our ability to receive patent protection or protect our proprietary information will be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights. Certain of our in-licenses may be terminated if we fail to meet specified obligations. If we fail to meet such obligations and any of our licensors exercise their termination rights, we could lose our rights under those agreements. If we lose any of our rights, it may adversely affect the way we conduct our business. In addition, because certain of our licenses are sublicenses, the actions of our licensors may affect our rights under those licenses.

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

Our success will also 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 ours or our licensors, 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 we or our collaborators 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.

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.

Due, in part, to the early stage of our product candidate research and development process, 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 that the product is safe and effective in the patient population and the indication that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory approvals. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, 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 associated with FDA approval described above and may also include additional risks.

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 competition from pharmaceutical and biotechnology companies both in the United States and abroad.

Our competitors 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 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 us or any of our collaborators in any of those areas may prevent the successful commercialization of our potential drug targets.

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 potential drugs 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.

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

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

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

government and health administration authorities;

private health insurers; and

other third-party payors.

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

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

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit commercialization of our products although we are not currently aware of any specific causes for concern with respect to clinical liability claims. We currently do not have product liability insurance, and 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 with only 154 employees as of September 30, 2007, 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 personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

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

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

arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

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

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.

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

The market prices for our securities and those 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 (i.e., studies, 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;
- 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 expand our research and development activities, among other things, we may conduct additional equity offerings. If 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. Sales of common stock held by existing stockholders could cause the market price of our common stock to decline and 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.

We have broad discretion in the use of the proceeds of this offering, which could result in our utilizing the proceeds in ways that may not yield a return to stockholders.

Our management will have broad discretion over the use and investment of the proceeds from this offering, and accordingly, investors in this offering will need to rely upon the judgment of our management with respect to the use of proceeds. Our management may utilize a portion or all of the proceeds from this offering in ways that our stockholders may not agree with or that may not yield a favorable return. The failure of our management to apply the proceeds from this offering effectively could harm our business, financial condition and results of operations.

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

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

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

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

limit who may call a special meeting of stockholders;

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

establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings;

provide for a board of directors with staggered terms; and

provide that the authorized number of directors may be changed only by a resolution of our board of directors.

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

USE OF PROCEEDS

We estimate that the net proceeds to us from this offering will be approximately $96,043,400 ($110,517,410 if the underwriters' over-allotment option is exercised in full), at an assumed public offering price of $25.46 per share, after deducting estimated underwriting discounts and commissions and estimated offering expenses of $450,000 payable by us. Each $2.00 increase (decrease) in the assumed public offering price of $25.46 per share would increase (decrease) the net proceeds to us from this offering by approximately $7.6 million, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same. We may also increase or decrease the number of shares we are offering. Each increase (decrease) of 500,000 shares in the number of shares offered by us would increase (decrease) the net proceeds to us from this offering by approximately $12.1 million, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We do not expect that a change in the offering price or the number of shares by these amounts would have a material effect on our uses of the proceeds from this offering, although it may accelerate the time at which we will need to seek additional capital.

We intend to use the net proceeds to us from the sale of the common stock offered by this prospectus supplement and the accompanying prospectus for research and development and general corporate purposes. We may also use a portion of the net proceeds to acquire or invest in businesses, products and technologies that are complementary to our own, although we currently are not planning or negotiating any such transactions. Pending these uses, we intend to invest our net proceeds from this offering primarily in investment grade, interest-bearing instruments. As of the date of this prospectus supplement, we cannot specify with certainty all of the particular uses for the net proceeds we will have upon completion of the offering. Accordingly, we will retain broad discretion over the use of these proceeds.

PRICE RANGE OF COMMON STOCK

Our common stock commenced trading publicly on a predecessor to the NASDAQ Global Market on December 7, 2000 and is traded under the symbol "RIGL." 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 (or predecessor markets):

Year ended December 31, 2005	**High**	**Low**
First quarter	$ 24.99	$ 15.56
Second quarter	20.24	14.52
Third quarter	23.79	18.83
Fourth quarter	24.86	7.43

Year ended December 31, 2006	**High**	**Low**
First quarter	11.68	7.18
Second quarter	11.61	8.82
Third quarter	10.95	8.88
Fourth quarter	12.38	10.00

Year ended December 31, 2007	**High**	**Low**
First quarter	12.14	9.31
Second quarter	12.46	8.75
Third quarter	10.25	7.50
Fourth quarter	31.00	6.64

Year ending December 31, 2008	**High**	**Low**
First quarter (through January 23, 2008)	29.25	22.93

As of January 23, 2008, there were 155 holders of record of our common stock. On January 23, 2008, the last sale price reported on the NASDAQ Global Market for our common stock was $25.46 per share.

DIVIDEND POLICY

We have never paid our stockholders dividends, and we do not anticipate paying any cash dividends in the foreseeable future as we intend to retain any earnings for use in our business.

CAPITALIZATION

The following table shows our cash, cash equivalents and available-for-sale securities and capitalization as of September 30, 2007:

- on an actual basis; and
- on an as adjusted basis to give effect to the sale by us of 4,000,000 shares of our common stock in this offering at an assumed public offering price of $25.46 per share, after deducting estimated underwriting discounts and commissions and estimated offering expenses of $450,000 payable by us.

This table should be read with "Management's Discussion and Analysis of Financial Condition and Results of Operations" and our financial statements and notes thereto incorporated by reference in this prospectus supplement and the accompanying prospectus.

	As of September 30, 2007	
	Actual	As adjusted(1)
	(In thousands, except share data)	
Cash, cash equivalents and available-for-sale securities(2)	$ 112,500	$ 208,543
Long-term liabilities	$ 17,238	$ 17,238
Stockholders' equity:		
Common stock, $0.001 par value; 100,000,000 shares authorized; 31,038,431 shares issued and outstanding, actual; 35,038,431 shares issued and outstanding, as adjusted	31	35
Additional paid-in capital	444,602	540,641
Accumulated other comprehensive income	115	115
Accumulated deficit	(350,429)	(350,429)
Total stockholders' equity	94,319	190,362
Total capitalization	$ 111,557	$ 207,600

(1) Each $2.00 increase (decrease) in the assumed public offering price of $25.46 per share would increase (decrease) each of cash, cash equivalents and available-for-sale securities, additional paid-in capital, total stockholders' equity and total capitalization by approximately $7.6 million, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. Each increase (decrease) of 500,000 shares in the number of shares offered by us would increase (decrease) each of cash, cash equivalents and available-for-sale securities, additional paid-in capital, total stockholders' equity and total capitalization by approximately $12.1 million, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The as adjusted information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

(2) While we have not finalized our full financial results for the fiscal year ended December 31, 2007, we expect to report that we had $108.3 million of cash, cash equivalents and available-for-sale securities as of December 31, 2007.

The number of shares of common stock outstanding is based on the number of shares outstanding as of September 30, 2007 and excludes:

- 171,888 shares of common stock underlying warrants outstanding as of September 30, 2007 at a weighted average exercise price of $12.85 per share;

- 5,324,967 shares of common stock underlying options outstanding as of September 30, 2007 at a weighted average exercise price of $13.92 per share; and

- 2,338,769 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 95,480 shares available for issuance under our 2000 Employee Stock Purchase Plan and 180,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan as of September 30, 2007.

DILUTION

The net tangible book value of our common stock on September 30, 2007 was approximately $94.3 million, or $3.04 per share. Net tangible book value per share is equal to the amount of our total tangible assets, less total liabilities, divided by the number of shares of common stock outstanding. Dilution in net tangible book value per share represents the difference between the amount per share paid by purchasers of shares of common stock in this offering and the net tangible book value per share of our common stock immediately afterwards. After giving effect to the sale by us of 4,000,000 shares of common stock in this offering at an assumed public offering price of $25.46 per share and after deducting estimated underwriting discounts and commissions and estimated offering expenses of $450,000 payable by us, our net tangible book value at September 30, 2007 would have been approximately $190.4 million, or $5.43 per share. This represents an immediate increase in net tangible book value of $2.39 per share to existing stockholders and an immediate dilution of $20.11 per share to new investors purchasing shares of common stock in this offering. The following table illustrates this dilution:

Assumed public offering price per share		$ 25.46
Net tangible book value per share as of September 30, 2007	$ 3.04	
Increase per share attributable to new investors	2.39	
Net tangible book value per share after this offering		5.43
Dilution per share to new investors		$ 20.03

Each $2.00 increase (decrease) in the assumed public offering price of $25.46 per share would increase (decrease) our net tangible book value after this offering by approximately $7.6 million, or approximately $0.22 per share, and the dilution per share to new investors by approximately $1.78 per share, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. An increase (decrease) in the number of shares offered by us of 500,000 shares would increase (decrease) our net tangible book value after this offering by approximately $12.1 million, or $0.27 per share, and decrease (increase) the dilution per share to new investors by $0.27 per share, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

If the underwriters exercise their option in full to purchase 600,000 additional shares of common stock in this offering, the net tangible book value per share after the offering would be $5.75 per share, the increase in the net tangible book value per share to existing stockholders would be $2.71 per share, and the dilution to the new investors would be $19.71 per share.

The foregoing table does not take into effect further dilution to new investors that could occur upon the exercise of outstanding options having a per share exercise price less than the offering price per share in this offering. As of September 30, 2007, there were:

- 171,888 shares of common stock underlying warrants outstanding as of September 30, 2007 at a weighted average exercise price of $12.85 per share;
- 5,324,967 shares of common stock underlying options outstanding as of September 30, 2007 at a weighted average exercise price of $13.92 per share; and
- 2,338,769 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 95,480 shares available for issuance under our 2000 Employee Stock Purchase Plan and 180,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan as of September 30, 2007.

CERTAIN UNITED STATES FEDERAL INCOME TAX CONSEQUENCES TO NON-UNITED STATES HOLDERS

The following summary describes certain material United States federal income and estate tax consequences of the acquisition, ownership and disposition of common stock acquired in this offering by a Non-U.S. Holder (as defined below). This discussion does not address all aspects of United States federal income and estate taxes and does not deal with foreign, state and local consequences that may be relevant to Non-U.S. Holders in light of their particular circumstances. Special rules may apply to certain Non-U.S. Holders that are subject to special treatment under the Internal Revenue Code of 1986, as amended (the "Code"), such as financial institutions, insurance companies, tax-exempt organizations, broker-dealers and traders in securities, United States expatriates, "controlled foreign corporations," "passive foreign investment companies," corporations that accumulate earnings to avoid United States federal income tax, persons that hold our common stock as part of a "straddle," "hedge," "conversion transaction," "synthetic security" or integrated investment, partnerships and other pass-through entities, and investors in such pass-through entities. Such Non-U.S. Holders are urged to consult their own tax advisors to determine the United States federal, state, local and other tax consequences that may be relevant to them. Furthermore, the discussion below is based upon the provisions of the Code, and Treasury regulations, rulings and judicial decisions thereunder as of the date hereof, and such authorities may be repealed, revoked or modified, perhaps retroactively, so as to result in United States federal income and estate tax consequences different from those discussed below. Additionally, a court or the Internal Revenue Service (the "IRS") might interpret the existing authorities differently. This discussion assumes that the Non-U.S. Holder holds our common stock as a capital asset.

The following discussion is for general information only and is not tax advice. Persons considering the purchase of common stock should consult their own tax advisors concerning the United States federal income and estate tax consequences in light of their particular situations as well as any consequences arising under the laws of any other taxing jurisdiction, including any state, local or foreign tax consequences.

Except as otherwise described in the discussion of estate tax below, a "Non-U.S. Holder" is a beneficial holder of common stock that is not a U.S. Holder. A "U.S. Holder" means a beneficial holder of common stock that is for United States federal income tax purposes (i) an individual who is a citizen or resident of the United States, (ii) a corporation or other entity treated as a corporation created or organized in or under the laws of the United States or any political subdivision thereof, (iii) an estate the income of which is subject to United States federal income taxation regardless of its source or (iv) a trust if it (x) is subject to the primary supervision of a court within the United States and one or more United States persons have the authority to control all substantial decisions of the trust or (y) has a valid election in effect under applicable United States Treasury regulations to be treated as a United States person.

If a partnership (including any entity or arrangement treated as a partnership for United States federal income tax purposes) acquires common stock, the tax treatment of a partner in the partnership will generally depend upon the status of the partner and the activities of the partnership. Persons who are partners of partnerships holding the common stock are urged to consult their tax advisors.

Distributions

Subject to the discussion below, distributions, if any, made to a Non-U.S. Holder of our common stock out of our current or accumulated earnings and profits generally will constitute dividends for United States tax purposes and will be subject to withholding tax at a 30% rate or such lower rate as may be specified by an applicable income tax treaty. To obtain a reduced rate of withholding under a treaty, a Non-U.S. Holder generally will be required to provide us with a properly-executed IRS Form W-8BEN, or other appropriate form, certifying the Non-U.S. Holder's entitlement to benefits

under that treaty. Treasury Regulations provide special rules to determine whether, for purposes of determining the applicability of a tax treaty, dividends paid to a Non-U.S. Holder that is an entity should be treated as paid to the entity or to those holding an interest in that entity. If a Non-U.S. Holder holds stock through a financial institution or other agent acting on the holder's behalf, the holder will be required to provide appropriate documentation to such agent. The holder's agent will then be required to provide certification to us or our paying agent, either directly or through other intermediaries.

We generally are not required to withhold tax on dividends paid to a Non-U.S. Holder that are effectively connected with the Non-U.S. Holder's conduct of a trade or business within the United States if a properly-executed IRS Form W-8ECI, stating that the dividends are so connected, is filed with us. But see the discussion of backup withholding below. Instead, the effectively connected dividends will be subject to United States federal income tax, generally in the same manner and at the regular rate as if the Non-U.S. Holder were a United States citizen or resident alien or a domestic corporation, as the case may be, unless a specific treaty exemption applies. If the Non-U.S. Holder is eligible for the benefits of a tax treaty between the United States and the holder's country of residence, any "effectively connected" dividend or gain would generally be subject to U.S. federal income tax only if it is also attributable to a permanent establishment or fixed base maintained by the holder in the United States. A corporate Non-U.S. Holder receiving effectively connected dividends may also be subject to an additional "branch profits tax", which is imposed, under certain circumstances, at a rate of 30% (or such lower rate as may be specified by an applicable treaty) of the corporate Non-U.S. Holder's effectively connected earnings and profits, subject to certain adjustments. If you are eligible for a reduced rate of withholding tax pursuant to a tax treaty, you may generally obtain a refund of any excess amounts currently withheld if you file an appropriate claim for refund with the IRS.

To the extent distributions on our common stock, if any, exceed our current and accumulated earnings and profits, they will constitute a return of capital and will first reduce your basis in our common stock, but not below zero, and then will be treated as gain from the sale of stock.

Gain on disposition of common stock

A Non-U.S. Holder generally will not be subject to United States federal income tax with respect to gain realized on a sale or other disposition of our common stock unless (i) the gain is effectively connected with a trade or business of such holder in the United States and a specific treaty exemption does not apply to eliminate the tax, (ii) if a tax treaty would otherwise apply to eliminate the tax, the gain is attributable to a permanent establishment of the Non-U.S. Holder in the United States, (iii) in the case of Non-U.S. Holders who are nonresident alien individuals and hold our common stock as a capital asset, such individuals are present in the United States for 183 or more days in the taxable year of the disposition and certain other conditions are met, (iv) the Non-U.S. Holder is subject to tax pursuant to the provisions of the Code regarding the taxation of United States expatriates, or (v) we are or have been a "United States real property holding corporation" within the meaning of Code Section 897(c)(2) at any time within the shorter of the five-year period preceding such disposition or such holder's holding period. In general, we would be a United States real property holding corporation if interests in U.S. real estate comprised at least half of our assets. We believe that we are not, and do not anticipate becoming, a United States real property holding corporation. Even if we are treated as a United States real property holding corporation, gain realized by a Non-U.S. Holder on a disposition of our common stock will not be subject to United States federal income tax so long as (1) the Non-U.S. Holder owned directly, indirectly and constructively, no more than five percent of our common stock at all times within the shorter of (a) the five year period preceding the disposition or (b) the holder's holding period and (2) our common stock is regularly traded on an established securities market. There can be no assurance that our common stock will continue to qualify as regularly traded on an established securities market.

If you are a Non-U.S. Holder described in (i) or (ii) above, you will be required to pay tax on the net gain derived from the sale at generally applicable United States federal income tax rates, and corporate Non-U.S. Holders described in (i) or (ii) above may be subject to the branch profits tax at a 30% rate or such lower rate as may be specified by an applicable income tax treaty. If you are an individual Non-U.S. Holder described in (iii) above, you will be required to pay a flat 30% tax (or a reduced rate under an applicable income tax treaty) on the gain derived from the sale, which tax may be offset by United States source capital losses (even though you are not considered a resident of the United States).

Information reporting requirements and backup withholding

Generally, we must report to the IRS the amount of dividends paid, the name and address of the recipient, and the amount, if any, of tax withheld. A similar report is sent to the holder. Pursuant to tax treaties or certain other agreements, the IRS may make its reports available to tax authorities in the recipient's country of residence.

Backup withholding will generally not apply to payments of dividends made by us or our paying agents to a Non-U.S. Holder if the holder has provided its federal taxpayer identification number, if any, or the required certification that it is not a United States person (which is generally provided by furnishing a properly-executed IRS Form W-8BEN), unless the payer otherwise has knowledge or reason to know that the payee is a United States person. The withholding tax rate is currently 28 percent. Backup withholding is generally not required on payments to corporations, whether domestic or foreign.

Under current United States federal income tax law, information reporting and backup withholding will apply to the proceeds of a disposition of our common stock effected by or through a United States office of a broker unless the disposing holder certifies as to its non-United States status or otherwise establishes an exemption. Some of the common means of certifying nonresident status are described under " Distributions." Generally, United States information reporting and backup withholding will not apply to a payment of disposition proceeds where the transaction is effected outside the United States through a non-United States office of a non-United States broker. Backup withholding will apply to a payment of disposition proceeds if the broker has actual knowledge that the holder is a United States person.

Backup withholding is not an additional tax. Rather, the tax liability of persons subject to backup withholding will be reduced by the amount of tax withheld. If withholding results in an overpayment of taxes, a refund may generally be obtained, provided that the required information is furnished to the IRS.

Federal estate tax

An individual who at the time of death is not a citizen or resident of the United States and who is treated as the owner of, or has made certain lifetime transfers of, an interest in our common stock will be required to include the value thereof in his taxable estate for United States federal estate tax purposes, and may be subject to United States federal estate tax unless an applicable estate tax treaty provides otherwise. The test for whether an individual is a resident of the United States for federal estate tax purposes differs from the test used for United States federal income tax purposes. Some individuals, therefore, may be "Non-U.S. Holders" for United States federal income tax purposes, but not for United States federal estate tax purposes, and vice versa.

THE PRECEDING DISCUSSION OF UNITED STATES FEDERAL INCOME TAX CONSIDERATIONS IS FOR GENERAL INFORMATION ONLY. IT IS NOT TAX ADVICE. EACH PROSPECTIVE INVESTOR SHOULD CONSULT ITS OWN TAX ADVISOR REGARDING THE TAX CONSEQUENCES OF PURCHASING, HOLDING AND DISPOSING OF OUR COMMON STOCK, INCLUDING THE CONSEQUENCES OF ANY PROPOSED CHANGE IN APPLICABLE LAW.

UNDERWRITING

Under the terms and subject to the conditions contained in an underwriting agreement dated , 2008, we have agreed to sell to the underwriters named below, for whom Credit Suisse Securities (USA) LLC, Thomas Weisel Partners LLC, Jefferies & Company, Inc. and Oppenheimer & Co. Inc. are acting as representatives, the following respective numbers of shares of common stock:

Underwriter	Number of Shares
Credit Suisse Securities (USA) LLC	
Thomas Weisel Partners LLC	
Jefferies & Company, Inc.	
Oppenheimer & Co. Inc.	
Total	4,000,000

The underwriting agreement provides that the underwriters are obligated to purchase all the shares of common stock in the offering if any are purchased, other than those shares covered by the over-allotment option described below. The underwriting agreement also provides that if an underwriter defaults the purchase commitments of non-defaulting underwriters may be increased or the offering may be terminated.

We have granted to the underwriters a 30-day option to purchase on a pro rata basis up to 600,000 additional shares from us at the public offering price on the cover page of this prospectus supplement less the underwriting discounts and commissions. The option may be exercised only to cover any over-allotments of common stock.

The underwriters propose to offer the shares of common stock initially at the public offering price on the cover page of this prospectus supplement and to selling group members at that price less a selling concession of $ per share. After the initial public offering the representatives may change the public offering price and concession.

The following table summarizes the compensation and estimated expenses we will pay:

	Per Share		Total	
	Without Over-allotment	With Over-allotment	Without Over-allotment	With Over-allotment
Underwriting discounts and commissions payable by us	$	$	$	$
Expenses payable by us	$	$	$	$

We have agreed that we will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, or file with the SEC a registration statement under the Securities Act of 1933 (the "Securities Act") relating to, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, or publicly disclose the intention to make any offer, sale, pledge, disposition or filing, without the prior written consent of Credit Suisse Securities (USA) LLC for a period of 45 days after the date of this prospectus supplement. The foregoing restrictions will not apply to issuances of shares of our common stock pursuant to the conversion or exchange of convertible or exchangeable securities or the exercise of warrants or options, in each case outstanding on the date of this prospectus supplement, grants of employee stock options pursuant to the terms of a plan in effect on the date of this prospectus supplement, or issuances of shares of our common stock pursuant to the exercise of such options.

Our officers and directors have agreed that, subject to certain exceptions, they will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, enter into a transaction that would have the same effect, or enter into any swap, hedge or other arrangement that transfers, in whole or in part, any of the economic consequences of ownership of our common stock, whether any of these transactions are to be settled by delivery of our common stock or other securities, in cash or otherwise, or publicly disclose the intention to make any offer, sale, pledge or disposition, or to enter into any transaction, swap, hedge or other arrangement, without, in each case, the prior written consent of Credit Suisse Securities (USA) LLC for a period of 45 days after the date of this prospectus supplement.

We have agreed to indemnify the underwriters against liabilities under the Securities Act, or contribute to payments that the underwriters may be required to make in that respect.

Our shares of common stock are quoted on the NASDAQ Global Market under the symbol "RIGL".

Some of the underwriters and their respective affiliates may have from time to time performed and may in the future perform various financial advisory, commercial banking and investment banking services for us in the ordinary course of business, for which they received, or will receive, customary fees.

In connection with the offering the underwriters may engage in stabilizing transactions, over-allotment transactions, syndicate covering transactions, penalty bids and passive market making in accordance with Regulation M under the Securities Exchange Act of 1934.

Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum.

Over-allotment involves sales by the underwriters of shares in excess of the number of shares the underwriters are obligated to purchase, which creates a syndicate short position. The short position may be either a covered short position or a naked short position. In a covered short position, the number of shares over-allotted by the underwriters is not greater than the number of shares that they may purchase in the over-allotment option. In a naked short position, the number of shares involved is greater than the number of shares in the over-allotment option. The underwriters may close out any covered short position by either exercising their over-allotment option and/or purchasing shares in the open market.

Syndicate covering transactions involve purchases of the common stock in the open market after the distribution has been completed in order to cover syndicate short positions. In determining the source of shares to close out the short position, the underwriters will consider, among other things, the price of shares available for purchase in the open market as compared to the price at which they may purchase shares through the over-allotment option. If the underwriters sell more shares than could be covered by the over-allotment option, a naked short position, the position can only be closed out by buying shares in the open market. A naked short position is more likely to be created if the underwriters are concerned that there could be downward pressure on the price of the shares in the open market after pricing that could adversely affect investors who purchase in the offering.

Penalty bids permit the representatives to reclaim a selling concession from a syndicate member when the common stock originally sold by the syndicate member is purchased in a stabilizing or syndicate covering transaction to cover syndicate short positions.

In passive market making, market makers in the common stock who are underwriters or prospective underwriters may, subject to limitations, make bids for or purchases of our common stock until the time, if any, at which a stabilizing bid is made.

These stabilizing transactions, syndicate covering transactions and penalty bids may have the effect of raising or maintaining the market price of our common stock or preventing or retarding a decline in the market price of the common stock. As a result the price of our common stock may be higher than the price that might otherwise exist in the open market. These transactions may be effected on the NASDAQ Global Market or otherwise and, if commenced, may be discontinued at any time.

A prospectus in electronic format may be made available on the web sites maintained by one or more of the underwriters, or selling group members, if any, participating in this offering and one or more of the underwriters participating in this offering may distribute prospectuses electronically. The representatives may agree to allocate a number of shares to underwriters and selling group members for sale to their online brokerage account holders. Internet distributions will be allocated by the underwriters and selling group members that will make internet distributions on the same basis as other allocations.

Notice to Prospective Investors in the European Economic Area

In relation to each member state of the European Economic Area that has implemented the Prospectus Directive (each, a relevant member state), with effect from and including the date on which the Prospectus Directive is implemented in that relevant member state (the relevant implementation date), an offer of common stock described in this prospectus may not be made to the public in that relevant member state prior to the publication of a prospectus in relation to the common stock that has been approved by the competent authority in that relevant member state or, where appropriate, approved in another relevant member state and notified to the competent authority in that relevant member state, all in accordance with the Prospectus Directive, except that, with effect from and including the relevant implementation date, an offer of securities may be offered to the public in that relevant member state at any time:

to any legal entity that is authorized or regulated to operate in the financial markets or, if not so authorized or regulated, whose corporate purpose is solely to invest in securities or

to any legal entity that has two or more of (1) an average of at least 250 employees during the last financial year; (2) a total balance sheet of more than €43,000,000 and (3) an annual net turnover of more than €50,000,000, as shown in its last annual or consolidated accounts or

in any other circumstances that do not require the publication of a prospectus pursuant to Article 3 of the Prospectus Directive.

Each purchaser of common stock described in this prospectus located within a relevant member state will be deemed to have represented, acknowledged and agreed that it is a "qualified investor" within the meaning of Article 2(1)(e) of the Prospectus Directive.

For purposes of this provision, the expression an "offer to the public" in any relevant member state means the communication in any form and by any means of sufficient information on the terms of the offer and the securities to be offered so as to enable an investor to decide to purchase or subscribe the securities, as the expression may be varied in that member state by any measure implementing the Prospectus Directive in that member state, and the expression "Prospectus Directive" means Directive 2003/71/EC and includes any relevant implementing measure in each relevant member state.

The sellers of the common stock have not authorized and do not authorize the making of any offer of the common stock through any financial intermediary on their behalf, other than offers made by the underwriters with a view to the final placement of the common stock as contemplated in this

prospectus. Accordingly, no purchaser of the common stock, other than the underwriters, is authorized to make any further offer of the common stock on behalf of the sellers or the underwriters.

Notice to Prospective Investors in the United Kingdom

This prospectus is only being distributed to, and is only directed at, persons in the United Kingdom that are qualified investors within the meaning of Article 2(1)(e) of the Prospectus Directive ("Qualified Investors") that are also (i) investment professionals falling within Article 19(5) of the Financial Services and Markets Act 2000 (Financial Promotion) Order 2005 (the "Order") or (ii) high net worth entities, and other persons to whom it may lawfully be communicated, falling within Article 49(2)(a) to (d) of the Order (all such persons together being referred to as "relevant persons"). This prospectus and its contents are confidential and should not be distributed, published or reproduced (in whole or in part) or disclosed by recipients to any other persons in the United Kingdom. Any person in the United Kingdom that is not a relevant persons should not act or rely on this document or any of its contents.

NOTICE TO CANADIAN RESIDENTS

Resale Restrictions

The distribution of the common stock in Canada is being made only on a private placement basis only and is exempt from the requirement that we prepare and file a prospectus with the securities regulatory authorities in each province where trades of common stock are made. Accordingly, any resale of the common stock in Canada must be made in accordance with applicable securities laws which will vary depending on the relevant jurisdiction, and which may require resales to be made under available statutory exemptions or under a discretionary exemption granted by the applicable Canadian securities regulatory authority. Canadian Investors are advised to seek legal advice prior to any resale of the common stock.

The Company is not a "reporting issuer", as such term is defined under applicable Canadian securities legislation, in any province or territory of Canada. Canadian investors are advised that the Company currently does not intend to file a prospectus or similar document with any securities regulatory authority in Canada qualifying the resale of the shares to the public in any province or territory of Canada.

Representations of Purchasers

By purchasing the common stock in Canada and accepting a purchase confirmation a purchaser is representing to us and the dealer from whom the purchase confirmation is received that:

the purchaser is entitled under applicable provincial securities laws to purchase the common stock without the benefit of a prospectus qualified under those securities laws,

where required by law, that the purchaser is purchasing as principal and not as agent,

the purchaser has reviewed the text above under Resale Restrictions, and

the purchaser acknowledges and consents to the provision of specified information concerning its purchase of the common stock to the regulatory authority that by law is entitled to collect the information.

Further details concerning the legal authority for this information is available on request.

Rights of Action Ontario Purchasers Only

Under Ontario securities legislation, certain purchasers who purchase a security offered by this prospectus supplement during the period of distribution will have a statutory right of action for damages, or while still the owner of the common stock, for rescission against in the event that this prospectus supplement contains a misrepresentation without regard to whether the purchaser relied on the misrepresentation. The right of action for damages is exercisable not later than the earlier of 180 days from the date the purchaser first had knowledge of the facts giving rise to the cause of action and three years from the date on which payment is made for the common stock. The right of action for rescission is exercisable not later than 180 days from the date on which payment is made for the common stock. If a purchaser elects to exercise the right of action for rescission, the purchaser will have no right of action for damages against us. In no case will the amount recoverable in any action exceed the price at which the shares of common stock were offered to the purchaser and if the purchaser is shown to have purchased the securities with knowledge of the misrepresentation, we will have no liability. In the case of an action for damages, we will not be liable for all or any portion of the damages that are proven to not represent the depreciation in value of the common stock as a result of the misrepresentation relied upon. These rights are in addition to, and without derogation from, any other rights or remedies available at law to an Ontario purchaser. The foregoing is a summary of the

rights available to an Ontario purchaser. Ontario purchasers should refer to the complete text of the relevant statutory provisions.

Enforcement of Legal Rights

All of our directors and officers as well as the experts named herein may be located outside of Canada and, as a result, it may not be possible for Canadian purchasers to effect service of process within Canada upon us or those persons. All or a substantial portion of our assets and the assets of those persons may be located outside of Canada and, as a result, it may not be possible to satisfy a judgment against us or those persons in Canada or to enforce a judgment obtained in Canadian courts against us or those persons outside of Canada.

Taxation and Eligibility for Investment

Canadian purchasers of the common stock should consult their own legal and tax advisors with respect to the tax consequences of an investment in the common stock in their particular circumstances and about the eligibility of the common stock for investment by the purchaser under relevant Canadian legislation.

LEGAL MATTERS

Cooley Godward Kronish LLP, Palo Alto, California will pass upon the validity of the issuance of the common stock offered by this prospectus supplement and the accompanying prospectus. Certain legal matters relating to the offering will be passed upon for the underwriters by Wilson Sonsini Goodrich & Rosati, Professional Corporation, Palo Alto, California.

PROSPECTUS

RIGEL PHARMACEUTICALS, INC.

Common Stock

From time to time, we may offer and sell shares of common stock in amounts, at prices and on terms described in one or more supplements to this prospectus.

This prospectus describes some of the general terms that may apply to an offering of our common stock. The specific terms and any other information relating to a specific offering will be set forth in a post-effective amendment to the registration statement of which this prospectus is a part or in a supplement to this prospectus, or may be set forth in one or more documents incorporated by reference in this prospectus. We may also authorize one or more free writing prospectuses to be provided to you in connection with a specific offering. You should read this prospectus, the applicable prospectus supplement and any related free writing prospectuses, as well as any documents incorporated by reference in this prospectus and the applicable prospectus supplement, carefully before you invest.

We may offer and sell shares of common stock to or through one or more underwriters, dealers and agents, or directly to purchasers, on a continuous or delayed basis. The supplements to this prospectus will provide the specific terms of the plan of distribution.

Our common stock is traded on The Nasdaq Global Market under the trading symbol "RIGL." On January 23, 2008, the last reported sale price of our common stock was $25.46 per share.

Investing in our securities involves a high degree of risk. You should review carefully the risks and uncertainties described under the heading "Risk Factors" contained in the applicable prospectus supplement and any related free writing prospectus, and under similar headings in the other documents that are incorporated by reference into this prospectus.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

The date of this Prospectus is January 24, 2008

TABLE OF CONTENTS

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement on Form S-3 that we filed with the Securities and Exchange Commission using the "shelf" registration process. By using a shelf registration statement, we may offer and sell from time to time in one or more offerings the common stock described in this prospectus. No limit exists on the aggregate number of shares of common stock we may sell pursuant to the registration statement.

You should rely only on the information contained in or incorporated by reference into this prospectus, any applicable prospectus supplement or any related free writing prospectus that we may authorize to be delivered to you. We have not authorized anyone to provide you with different information. This document may only be used where it is legal to sell these securities. You should not assume that the information contained in this prospectus, in any applicable prospectus supplement or in any related free writing prospectus, is accurate as of any date other than its date regardless of the time of delivery of the prospectus, prospectus supplement or related free writing prospectus, or any sale of the common stock. If there is any inconsistency between the information in this prospectus and the applicable prospectus supplement, you should rely on the information in the prospectus supplement.

This prospectus and the information incorporated herein by reference includes trademarks, service marks and trade names owned by us or others. All trademarks, service marks and trade names included or incorporated by reference into this prospectus or any applicable prospectus supplement are the property of their respective owners.

We urge you to read carefully this prospectus, any applicable prospectus supplement and any related free writing prospectus that we may authorize to be delivered to you, together with the information incorporated herein by reference as described under the heading "Where You Can Find More Information," before deciding whether to invest in any of the securities being offered.

References in this prospectus to "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc., a Delaware corporation. Our principal executive offices are located at 1180 Veterans Boulevard, South San Francisco, CA 94080 and our telephone number is (650) 624-1100. Our web site address is http://www.rigel.com. The information contained in, or that can be accessed through, our web site is not part of this prospectus.

RISK FACTORS

Investing in our common stock involves a high degree of risk. You should consider carefully the risk factors identified in any applicable prospectus supplement and any related free writing prospectus, and in our most recent annual and quarterly filings with the Securities and Exchange Commission, or SEC, as well as other information in this prospectus, any applicable prospectus supplement and any related free writing prospectus, and in the documents incorporated by reference herein or therein, before purchasing any of our common stock. Each of the risk factors could adversely affect our business, operating results and financial condition, as well as adversely affect the value of an investment in our common stock.

FORWARD-LOOKING STATEMENTS

This prospectus and the documents incorporated by reference contain forward-looking statements that are based on our management's beliefs and assumptions and on information currently available to our management. Discussions containing these forward-looking statements may be found, among other places, in "Business," "Risk Factors" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" incorporated by reference from our most recent annual report on Form 10-K and in our most recent quarterly report on Form 10-Q, as well as any amendments thereto reflected in subsequent filings with the SEC. Forward-looking statements include, but are not limited to, statements about:

- our expectations with respect to our clinical trials and research and development efforts;
- our expectations with respect to corporate collaborations or license agreements, including the revenues related thereto;
- our expectations with respect to regulatory approvals and potential commercialization of any of our product candidates;
- our expectations with respect to our intellectual property position; and
- our estimates regarding our capital requirements and our need for additional financing.

In some cases, you can identify forward-looking statements by terms such as "may," "will," "should," "could," "would," "expects," "plans," "anticipates," "believes," "estimates," "projects," "predicts," "potential" and similar expressions intended to identify forward-looking statements. These statements involve known and unknown risks, uncertainties and other factors which may cause our actual results, performance time frames or achievements to be materially different from any future results, performance, time frames or achievements expressed or implied by the forward-looking statements. We discuss many of these risks, uncertainties and other factors in greater detail under the heading "Risk Factors" contained in the applicable prospectus supplement and any related free writing prospectus, and in our most recent annual report on Form 10-K and in our most recent quarterly report on Form 10-Q, as well as any amendments thereto reflected in subsequent filings with the SEC. Given these risks, uncertainties and other factors, you should not place undue reliance on these forward-looking statements. Also, these forward-looking statements represent our estimates and assumptions only as of the date such forward-looking statements are made. You should read carefully both this prospectus, any applicable prospectus supplement and any related free writing prospectus that we may authorize to be delivered to you, together with the information incorporated herein by reference as described under the heading "Where You Can Find More Information," completely and with the understanding that our actual future results may be materially different from what we expect. We hereby qualify all of our forward-looking statements by these cautionary statements.

Except as required by law, we assume no obligation to update these forward-looking statements publicly, or to update the reasons actual results could differ materially from those anticipated in these forward-looking statements, even if new information becomes available in the future.

USE OF PROCEEDS

Except as described in any prospectus supplement or in any related free writing prospectus that we may authorize to be delivered to you, we anticipate using the net proceeds to us from the sale of our common stock for research and development and other general corporate purposes. We may also use a portion of the net proceeds to acquire or invest in businesses, products and technologies that are complementary to our own, although we are not currently planning or negotiating any such transactions. Pending these uses, we intend to invest the net proceeds in investment-grade, interest-bearing securities.

DESCRIPTION OF CAPITAL STOCK

Our authorized capital stock consists of 100 million shares of common stock, $0.001 par value, and 10 million shares of preferred stock, $0.001 par value. As of September 30, 2007, there were 31,038,431 shares of our common stock outstanding and no shares of preferred stock outstanding. We may issue shares of our common stock from time to time in one or more offerings. We will set forth in the applicable prospectus supplement and/or in any related free writing prospectus that we may authorize to be delivered to you, a description of the terms of the offering of common stock, including the offering price, the net proceeds to us and other offering material relating to such offering.

The following summary description of our capital stock is based on the provisions of our amended and restated certificate of incorporation and amended and restated bylaws, and the applicable provisions of the Delaware General Corporation Law. This information may not be complete in all respects and is qualified entirely by reference to the provisions of our amended and restated certificate of incorporation and amended and restated bylaws, and the Delaware General Corporation Law. For information on how to obtain copies of our amended and restated certificate of incorporation and amended and restated bylaws, which are exhibits to the registration statement of which this prospectus forms a part, see "Where You Can Find More Information."

Common Stock

The holders of our common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the stockholders and do not have cumulative voting rights. Accordingly, holders of a majority of the shares of our common stock entitled to vote in any election of directors may elect all of the directors standing for election. Subject to preferences that may be applicable to any shares of our preferred stock that may become outstanding, the holders of our common stock are entitled to receive ratably such dividends as may be declared by the board of directors out of funds legally available therefor. Upon the liquidation, dissolution or winding up of Rigel, holders of our common stock are entitled to share ratably in all assets remaining available for distribution to our stockholders after payment of our liabilities and the liquidation preferences of any shares of our preferred stock then outstanding. Holders of our common stock have no preemptive rights and no right to convert their common stock into any other securities. There are no redemption or sinking fund provisions applicable to our common stock. All outstanding shares of our common stock are, and all shares of our common stock that may be issued under this prospectus will be, fully paid and non-assessable.

Preferred Stock

Pursuant to our amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders, to issue up to 10 million shares of preferred stock, in one or more series. Our board of directors is authorized to fix or alter from time to time the designation, powers, preferences and rights of the shares of each series, including dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences and sinking fund terms, as well as the qualifications, limitations or restrictions of any unissued series of preferred stock. Our board of directors may also establish from time to time the number of shares constituting any series of preferred stock, and to increase or decrease the number of shares of any series subsequent to the issuance of shares of that series, but not below the number of shares of any series then outstanding.

We will fix the rights, preferences, privileges and restrictions of the preferred stock of each series in the certificate of designation relating to that series. The General Corporation Law of the State of Delaware, our state of incorporation, provides that the holders of preferred stock will have the right to vote separately as a class on any proposal involving fundamental changes in the rights of holders of that preferred stock. This right is in addition to any voting rights that may be provided in the applicable certificate of designation.

The issuance of preferred stock could adversely affect the voting power, liquidation rights, conversion or other rights of holders of our common stock. Preferred stock could be issued quickly with terms calculated to delay or prevent a change in control of our company or make removal of management more difficult. Additionally, the issuance of preferred stock may have the effect of decreasing the market price of common stock.

Stock Options and Warrants

As of September 30, 2007, there were 2,338,769 shares of our common stock reserved for issuance or future grant under our 2000 Equity Incentive Plan, 95,480 shares available for issuance under our 2000 Employee Stock Purchase Plan and 180,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan. In addition, as of such date, certain stockholders held warrants to purchase 171,888 shares of our common stock and certain other individuals held options to purchase 5,324,967 shares of our common stock.

Anti-Takeover Effects of Provisions of Delaware Law and Our Charter Documents

Delaware Takeover Statute. We are subject to the provisions of Section 203 of the Delaware General Corporation Law. In general, the statute prohibits a publicly-held Delaware corporation such as Rigel from engaging in a business combination with an interested stockholder for a period of three years after the date of the transaction in which the person became an interested stockholder, unless the business combination is approved in a prescribed manner. For purposes of Section 203, a business combination includes a merger, asset sale or other transaction resulting in a financial benefit to the interested stockholder, and an interested stockholder is a person who, together with affiliates and associates, owns (or within three years prior, did own) 15% or more of the corporation's voting stock.

Charter Documents. Our amended and restated certificate of incorporation requires that any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and may not be effected by a consent in writing. Additionally, our amended and restated certificate of incorporation:

does not provide for the use of cumulative voting in the election of directors;

provides for a board of directors, classified into three classes of directors;

provides that the authorized number of directors may be changed only by resolution of our board of directors; and

provides for the authority of our board of directors to issue up to 10 million shares of "blank check" preferred stock and to determine the price, powers, preferences and rights of these shares, without stockholder approval.

Our amended and restated bylaws provide that candidates for director may be nominated only by our board of directors or by a stockholder who gives written notice to us no later than 90 days prior nor earlier than 120 days prior to the first anniversary of the last annual meeting of stockholders, subject to certain exceptions. The authorized number of directors is fixed in accordance with our amended and restated certificate of incorporation. Our board of directors may appoint new directors to fill vacancies or newly created directorships. Our amended and restated bylaws also limit who may call a special meeting of stockholders.

Delaware law and these charter provisions may have the effect of deterring hostile takeovers or delaying changes in control of our management, which could depress the market price of our common stock.

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Wells Fargo Bank, N. A. Its address is 161 North Concord Exchange, South St. Paul, MN 55075-1139 and its telephone number is (800) 468-9716.

VALIDITY OF COMMON STOCK

The validity of the common stock being offered hereby will be passed upon for us by Cooley Godward Kronish LLP, Palo Alto, California, and for any underwriters, dealers or agents by counsel named in the applicable prospectus supplement.

EXPERTS

Our financial statements appearing in our annual report on Form 10-K for the year ended December 31, 2006, and management's assessment of the effectiveness of our internal control over financial reporting as of December 31, 2006 included therein, have been audited by Ernst & Young LLP, independent registered public accounting firm, as set forth in their reports included therein and incorporated herein by reference. Such financial statements and management's assessment have been incorporated herein by reference in reliance upon such reports given on the authority of such firm as experts in accounting and auditing.

With respect to the unaudited condensed interim financial information for the three-month period ended March 31, 2007, the three and six-month periods ended June 30, 2007, and the three and nine month periods ended September 30, 2007, incorporated by reference in this prospectus, Ernst & Young LLP reported that they have applied limited procedures in accordance with professional standards for a review of such information. However, their separate reports dated May 9, 2007, August 3, 2007 and November 2, 2007 included in our quarterly reports on Forms 10-Q for the quarters ended March 31, 2007, June 30, 2007, and September 30, 2007, respectively, and incorporated by reference herein, state that they did not audit and therefore do not express an opinion on that interim financial information. Accordingly, the degree of reliance on their reports on such information should be restricted considering the limited nature of the review procedures applied. Ernst & Young LLP is not subject to the liability provisions of Section 11 of the Securities Act of 1933, or the Securities Act, for their reports on the unaudited interim financial information because those reports are not "reports" or a "part" of the registration statement prepared or certified by Ernst & Young LLP within the meaning of Sections 7 and 11 of the Securities Act.

WHERE YOU CAN FIND MORE INFORMATION

We file annual, quarterly and special reports, proxy statements and other information with the SEC. You may read and copy any document we file at the SEC's Public Reference Room at 100 F Street, N.E., Washington, D.C. 20549. Please call the SEC at 1-800-SEC-0330 for more information about the operation of the public reference room. The SEC maintains an Internet site that contains reports, proxy and information statements, and other information regarding issuers that file electronically with the SEC, including Rigel. The SEC's Internet site can be found at *http://www.sec.gov*.

The SEC allows us to incorporate by reference the information we file with it, which means that we can disclose important information to you by referring you to another document that we have filed separately with the SEC. You should read the information incorporated by reference because it is an

important part of this prospectus. We incorporate by reference the following information or documents that we have filed with the SEC (Commission File No. 0-29889):

The following documents filed with the SEC are incorporated by reference in this prospectus:

- Our annual report on Form 10-K for the fiscal year ended December 31, 2006, filed with the SEC on March 8, 2007;
- Our quarterly report on Form 10-Q for the quarter ended March 31, 2007, filed with the SEC on May 10, 2007;
- Our quarterly report on Form 10-Q for the quarter ended June 30, 2007, filed with the SEC on August 7, 2007;
- Our quarterly report on Form 10-Q for the quarter ended September 30, 2007, filed with the SEC on November 6, 2007;
- Our current report on Form 8-K, filed with the SEC on January 26, 2007;
- Our current report on Form 8-K, filed with the SEC on February 2, 2007;
- Our current report on Form 8-K, filed with the SEC on May 3, 2007;
- Our current report on Form 8-K, filed with the SEC on May 18, 2007;
- Our current report on Form 8-K, filed with the SEC on June 6, 2007;
- Our current report on Form 8-K, filed with the SEC on November 13, 2007;
- Our current report on Form 8-K, filed with the SEC on December 13, 2007;
- Our current report on Form 8-K, filed with the SEC on December 20, 2007;
- The information specifically incorporated by reference into our annual report on Form 10-K for the year ended December 31, 2006 from our definitive proxy statement on Schedule 14A filed with the SEC on March 26, 2007;
- The description of our common stock set forth in our registration statement on Form 8-A, filed with the SEC on October 3, 2000, including any amendments thereto or reports filed for the purposes of updating this description.

Any information in any of the foregoing documents will automatically be deemed to be modified or superseded to the extent that information in this prospectus or in a later filed document that is incorporated or deemed to be incorporated herein by reference modifies or replaces such information.

We also incorporate by reference any future filings (other than current reports furnished under Item 2.02 or Item 7.01 of Form 8-K and exhibits filed on such form that are related to such items) made with the SEC pursuant to Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act, until we file a post-effective amendment which indicates the termination of the offering of the securities made by this prospectus. Information in such future filings updates and supplements the information provided in this prospectus. Any statements in any such future filings will automatically be deemed to modify and supersede any information in any document we previously filed with the SEC that is incorporated or deemed to be incorporated herein by reference to the extent that statements in the later filed document modify or replace such earlier statements.

We will furnish without charge to you, upon written or oral request, a copy of any or all of the documents incorporated by reference, including exhibits to these documents. You should direct any requests for documents to Rigel Pharmaceuticals, Inc., Attention: Corporate Secretary, 1180 Veterans Boulevard, South San Francisco, CA 94080. Our telephone number is (650) 624-1100.

QuickLinks