

RIGEL PHARMACEUTICALS INC
Form 424B5
May 26, 2011

Table of Contents

Filed Pursuant to Rule 424(b)(5)
Registration Nos. 333-171159 and 333-174480

PROSPECTUS SUPPLEMENT

(to Prospectus dated January 7, 2011)

16,300,000 Shares

Common Stock

We are offering 16,300,000 shares of our common stock. Our common stock is listed on The NASDAQ Global Select Market under the symbol "RIGL." On May 25, 2011, the last reported sales price of our common stock on The NASDAQ Global Select Market was \$8.49 per share.

Investing in our common stock involves a high degree of risk. Please read "Risk Factors" beginning on page S-6 of this prospectus supplement and in our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, which has been filed with the Securities and Exchange Commission and is incorporated by reference in this prospectus supplement and the accompanying prospectus.

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 accompanying prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

	PER SHARE	TOTAL
Public Offering Price	\$ 8.00	\$ 130,400,000
Underwriting Discounts and Commissions	0.48	7,824,000
Proceeds to Rigel Pharmaceuticals before expenses	7.52	122,576,000

Delivery of the shares of common stock is expected to be made on or about June 1, 2011. We have granted the underwriters an option for a period of 30 days to purchase up to an additional 2,445,000 shares of our common stock solely to cover overallocments. If the underwriters exercise the option in full, the underwriting discounts and commissions payable by us will be \$8,997,600, and the proceeds to us, before expenses, will be \$140,962,400.

Joint Book-Running Managers

Jefferies

J.P. Morgan

Co-Manager

Piper Jaffray

Prospectus Supplement dated May 26, 2011

Table of Contents**Table of Contents**

	Page
Prospectus Supplement	
<u>About this Prospectus Supplement</u>	<u>S-iii</u>
<u>Prospectus Supplement Summary</u>	<u>S-1</u>
<u>Risk Factors</u>	<u>S-6</u>
<u>Disclosure Regarding Forward-Looking Statements</u>	<u>S-10</u>
<u>Use of Proceeds</u>	<u>S-11</u>
<u>Dilution</u>	<u>S-12</u>
<u>Material U.S. Federal Income and Estate Tax Consequences to Non-U.S. Holders</u>	<u>S-13</u>
<u>Underwriting</u>	<u>S-17</u>
<u>Notice to Investors</u>	<u>S-21</u>
<u>Legal Matters</u>	<u>S-24</u>
<u>Experts</u>	<u>S-24</u>
<u>Where You Can Find More Information</u>	<u>S-24</u>
<u>Information Incorporated by Reference</u>	<u>S-24</u>
	Page
Prospectus	
<u>About this Prospectus</u>	<u>1</u>
<u>Prospectus Summary</u>	<u>3</u>
<u>Risk Factors</u>	<u>7</u>
<u>Disclosure Regarding Forward-Looking Statements</u>	<u>7</u>
<u>Ratio of Earnings to Fixed Charges and Ratio of Combined Fixed Charges and Preferred Stock Dividends</u>	<u>8</u>
<u>Use of Proceeds</u>	<u>8</u>
<u>Description of Capital Stock</u>	<u>9</u>
<u>Description of Debt Securities</u>	<u>13</u>
<u>Description of Warrants</u>	<u>20</u>
<u>Description of Units</u>	<u>22</u>
<u>Legal Ownership of Securities</u>	<u>23</u>
<u>Plan of Distribution</u>	<u>27</u>
<u>Legal Matters</u>	<u>28</u>
<u>Experts</u>	<u>28</u>
<u>Where You Can Find Additional Information</u>	<u>29</u>

You should rely only on the information contained in or incorporated by reference in this prospectus supplement, the accompanying prospectus and in any free writing prospectus prepared by or on behalf of us or to which we have referred you. We have not, and the underwriters have not, authorized anyone to provide any information other than that contained or incorporated by reference in this prospectus supplement or the accompanying prospectus or in any free writing prospectus prepared by or on behalf of us or to which we have referred you. We take no responsibility for, and can provide no assurance as to the reliability of, any other information that others may give you. 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 in any free writing prospectus prepared by or on behalf of us or to which we have referred you, is accurate only as of

Table of Contents

the date of those respective documents, regardless of the time of delivery of those respective 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 free writing prospectus prepared by or on behalf of us or to which we have referred you, in their entirety before making an investment decision. You should also read and consider the information in the documents we have referred you to in the sections of this prospectus supplement entitled "Where You Can Find More Information" and "Information Incorporated by Reference."

S-ii

Table of Contents

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 into this prospectus supplement and the accompanying prospectus. The second part, the accompanying prospectus dated January 7, 2011, including the documents incorporated by reference therein, provides more general information, some of which may not apply to this offering. Generally, when we refer to this prospectus, we are referring to both parts of this document combined. In this prospectus supplement, as permitted by law, we "incorporate by reference" information from other documents that we file with the Securities and Exchange Commission, or the SEC. This means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is considered to be a part of this prospectus supplement and the accompanying prospectus and should be read with the same care. When we update the information contained in documents that have been incorporated by reference by making future filings with the SEC, the information included or incorporated by reference in this prospectus supplement is considered to be automatically updated and superseded. In other words, in case of a conflict or inconsistency between information contained in this prospectus supplement and information in the accompanying prospectus or incorporated by reference into this prospectus supplement, you should rely on the information contained in the document that was filed later.

Unless otherwise indicated or the context requires otherwise, references in this prospectus supplement and the accompanying prospectus to "Rigel," "the company," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc. The name Rigel Pharmaceuticals and our logo are our trademarks. All other trademarks, trade names or service marks included or incorporated by reference in this prospectus supplement and the accompanying prospectus are the property of their respective owners.

Table of Contents

Prospectus Supplement Summary

This summary highlights certain information about us, this offering and selected information contained elsewhere in or incorporated by reference into this prospectus supplement. This summary is not complete and does not contain all of the information that you should consider before deciding whether to invest in our common stock. For a more complete understanding of our company and this offering, you should read and consider carefully the more detailed information in this prospectus supplement and the accompanying prospectus, including the information incorporated by reference in this prospectus supplement and the accompanying prospectus, and the information included in any free writing prospectus prepared by or on behalf of us or to which we have referred you. If you invest in our common stock, you are assuming a high degree of risk. See "Risk Factors" beginning on page S-6 and in our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, which is incorporated by reference in this prospectus supplement and the accompanying prospectus.

Company Overview

We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as for muscle disorders. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib, an oral syk inhibitor that has started its Phase 3 clinical trial program for rheumatoid arthritis, or RA (partnered with AstraZeneca AB, or AZ), and R343, an inhaled syk inhibitor that has completed Phase 1 clinical trials for asthma.

Product Development Programs

Our product development portfolio features multiple novel, small-molecule drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of inflammatory and autoimmune diseases, as well as for muscle disorders.

Clinical Programs

Fostamatinib (previously referred to as R788) Rheumatoid Arthritis

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

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

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

Table of Contents

TASKi2

In July 2009, we announced that fostamatinib produced significant clinical improvement in RA patients in the *TASKi2* Phase 2b clinical trial, which evaluated 457 RA patients for up to six months. *TASKi2* was a multi-center, randomized, double-blind, placebo-controlled, parallel-dose clinical trial involving RA patients in the U.S., Latin America and Europe who had failed to respond to methotrexate alone. Patients received either 100 mg of fostamatinib b.i.d. (twice a day), 150 mg q.d. (once a day) or placebo. The groups treated with 100 mg of fostamatinib b.i.d. and 150 mg q.d. reported higher response rates than the placebo group in all aforementioned criteria levels. The efficacy results for the two dosing groups were comparable, although the response rates for the 100 mg b.i.d. group were uniformly greater. Consistent with the previous Phase 2a clinical trial (*TASKi1*), the onset effect of fostamatinib occurred within one week after the initiation of therapy and was maintained. The most common clinically meaningful drug-related adverse events noted in *TASKi2* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The most common adverse events in the trial overall were related to infections, though these were generally evenly distributed among the placebo and fostamatinib groups.

Data for *TASKi2* was published in the *New England Journal of Medicine* in September 2010.

TASKi3

In July 2009, we also announced results for the *TASKi3* Phase 2b clinical trial involving 219 RA patients who had failed to respond to at least one biologic treatment. In the *TASKi3* clinical trial, patients received either 100 mg of fostamatinib b.i.d. or placebo b.i.d. for up to three months. The group treated with fostamatinib did not report significantly higher American College of Rheumatology (ACR) 20, ACR 50, ACR 70 and Disease Activity Score (DAS) 28 response rates than the placebo group at three months, and therefore, the trial failed to meet its efficacy endpoints. The objective components (C-Reactive Protein and Erythrocyte Sedimentation Rate) of these ACR scores did show a statistically significant difference; however, the subjective reported response rate components did not show a statistically significant difference as compared to placebo. Similar to *TASKi2*, the most common clinically meaningful drug-related adverse events noted in *TASKi3* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The most common adverse events in the trial overall were related to infections, though these were generally evenly distributed among the placebo and fostamatinib groups.

OSKIRA

The OSKIRA (Oral Syk Inhibition in Rheumatoid Arthritis) Phase 3 clinical trial program is designed to investigate fostamatinib as a treatment for RA in patients with an inadequate response to DMARDs, including methotrexate, or MTX. AZ announced that the OSKIRA clinical trial program will include three pivotal Phase 3 studies assessing the efficacy and tolerability of fostamatinib: two 12-month studies examining the effect of fostamatinib on patients responding inadequately to DMARDs (including MTX), and a six-month study assessing the effect of fostamatinib on patients who have previously responded inadequately to anti-TNF therapy. In September 2010, the first patient was enrolled in the OSKIRA clinical trial program. The OSKIRA clinical trial program also includes a fourth clinical trial, which is a six-month study for the evaluation of efficacy and safety of fostamatinib monotherapy in patients who are DMARD naïve, DMARD intolerant or have inadequate response to DMARDs. The fostamatinib clinical trial program is also expected to include long-term safety extension studies involving more than 2,000 of the patients recruited during the course of the Phase 2 and 3 clinical trial programs. The first anticipated filings of new drug applications with the U.S. Food and Drug Administration, or FDA, and the European Medicines Agency, or EMA, based on the OSKIRA clinical trial program are planned for 2013.

Table of Contents

Fostamatinib Other Indications

In addition to RA, fostamatinib has been studied in patients with other immune disorders and some cancers. Our collaboration with AZ gives AZ sole responsibility for all development decisions for all indications except for one solid tumor oncology study, which was announced in June 2009 and is funded, designed and implemented by the National Cancer Institute, or NCI. Any decisions regarding the solid tumor oncology study are the responsibility of the NCI.

R343 Asthma

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

Inhaled syk inhibitor program. R343 is a potent syk inhibitor that blocks IgE receptor signaling. Mast cells play important roles in both early and late phase allergic reactions, and syk inhibitors could potentially prevent both phases.

In 2005, we announced a collaborative research and license agreement with Pfizer Inc. for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease. The collaboration was focused on our pre-clinical small molecule compounds, which inhibit syk. R343 was the oral syk inhibitor small molecule at the center of this collaboration. Pfizer completed the Phase 1a clinical trial of an inhaled formulation of R343, which commenced in December 2007 and resulted in a payment of \$5.0 million to us. Pfizer also completed an initial Phase 1b allergen challenge clinical trial. We recently assumed development of R343 after Pfizer returned full rights to the R343 program as a result of its decision to exit research and development in the allergy and respiratory therapeutic area, and the collaborative research and license agreement was terminated. We are evaluating the details of R343's development to date and expect to design a Phase 2 clinical trial of R343 later this year that we anticipate would be initiated in the first half of 2012.

Preclinical Programs

We have a lead candidate in our oral janus kinase 3, or Jak3, inhibitor program and expect to begin clinical studies by the end of 2011. This program is focused on the treatment of transplant rejection, but could also extend to indications including RA and psoriasis. We also have a lead candidate in our topical Jak3 program and expect to begin clinical studies for this program in 2011. This program is expected to focus on cutaneous lupus.

Table of Contents

Research Programs

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

Corporate Collaborations

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

Corporate Information

We were incorporated in Delaware in June 1996. Our principal executive office is located at 1180 Veterans Boulevard, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website address is www.rigel.com. The information contained in, or that can be accessed through, our website is not part of, and is not incorporated into, this prospectus supplement or the accompanying prospectus and should not be considered part of this prospectus supplement or the accompanying prospectus.

Table of Contents

The Offering

Common stock offered by us	16,300,000 shares
Common stock to be outstanding immediately after this offering	68,579,117 shares
Overallotment Option	

We have granted the underwriters an option to purchase up to 2,445,000 additional shares of our common stock to cover overallotments, if any. This option is exercisable, in whole or in part, for a period of 30 days from the date of this prospectus supplement.

Use of Proceeds

We intend to use the net proceeds from this offering 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. See "Use of Proceeds" on page S-11 of this prospectus supplement.

NASDAQ Global Select Market Listing

Our common stock is listed on The NASDAQ Global Select Market under the symbol "RIGL."

Risk Factors

An investment in our common stock involves a high degree of risk. See "Risk Factors" beginning on page S-6 and in our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, which is incorporated by reference in this prospectus supplement and the accompanying prospectus.

Outstanding Shares

The number of shares of our common stock to be outstanding immediately after this offering is based on 52,279,117 shares outstanding as of March 31, 2011 and excludes:

11,798,487 shares of our common stock issuable upon the exercise of stock options outstanding as of March 31, 2011, having a weighted-average exercise price of approximately \$12.06 per share;

200,000 shares of our common stock issuable upon the exercise of a warrant outstanding as of March 31, 2011, having an exercise price of \$6.61 per share; and

an aggregate of 1,400,988 shares of our common stock available for issuance or future grant as of March 31, 2011 under our 2000 Equity Incentive Plan, or the 2000 Plan, our 2000 Employee Stock Purchase Plan, or the ESPP, and our 2000 Non-Employee Directors' Stock Option Plan, or the Directors' Plan.

On May 19, 2011, our stockholders approved the 2011 Equity Incentive Plan, or the 2011 Plan, under which 3,500,000 shares of our common stock were reserved for issuance as of such date, and also approved amendments to each of the 2000 Plan and the Directors' Plan to increase the number of shares authorized for issuance under such plans by 600,000 shares and 250,000 shares, respectively.

Except as otherwise indicated, all information in the prospectus supplement assumes no exercise by the underwriters of their overallotment option.

Table of Contents

Risk Factors

An investment in our common stock involves a high degree of risk. Before deciding whether to invest in our common stock, you should consider carefully the risks described below and discussed under the section captioned "Risk Factors" contained in our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, which are incorporated by reference in this prospectus supplement and the accompanying prospectus in their entirety, together with the other information in this prospectus supplement, the accompanying prospectus, the information and documents incorporated by reference, and in any free writing prospectus prepared by or on behalf of us or to which we have referred you. If any of these risks actually occur, our business, financial condition, results of operations or cash flows could be seriously harmed. This could cause the trading price of our common stock to decline, resulting in a loss of all or part of your investment.

Risks Related to this Offering and our Common Stock

Our stock price may be volatile, and your investment in our common stock could decline in value.

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

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

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

selling by large stockholders;

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

changes in analysts' recommendations or projections;

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.

The market price of our common stock may fluctuate significantly in the future and these fluctuations may be unrelated to our performance. General market price declines or market volatility in the future could adversely affect the price of our common stock, and the current market price of our common stock may not be indicative of future market prices.

S-6

Table of Contents

We will continue to need additional capital following this offering to sufficiently fund our operations and research.

We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral syk inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. The agreement became effective on March 26, 2010 and, in connection with the effectiveness of the agreement, we received an upfront payment of \$100.0 million in April 2010 from AZ. In October 2010, we received \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 in the fostamatinib program by AZ. AZ is required to pay us up to an additional \$320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional \$800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net sales worldwide. Our collaborative research and license agreement with Pfizer recently terminated, and we are no longer entitled to any milestone or royalty payments from Pfizer. We believe that the net proceeds from this offering, together with our existing capital resources and the anticipated proceeds from our current collaborations, will be sufficient to support our current and projected funding requirements into 2014. We may need additional funds in the future and the amount of future funds needed will depend largely on the success of our internally developed programs as they proceed in later and more expensive clinical trials. Unless and until we are able to generate a sufficient amount of product and royalty revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on reasonable terms.

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

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

Because we will continue to need additional capital following this offering to continue to expand our business and our research and development activities, among other things, we may conduct additional equity offerings. If we or our stockholders sell substantial amounts of our common stock (including shares issued upon the exercise of options and warrants) in the public market, the market price of our common stock could fall. A decline in the market price of our common stock could make it more difficult for us to sell equity or equity-related securities in the future at a time and price that we deem appropriate. Furthermore, if we obtain funds through a credit facility or through the issuance of debt or preferred securities, these securities would likely have rights senior to your rights as a common stockholder, which could impair the value of our common stock.

Table of Contents

Management will have broad discretion as to the use of the proceeds from this offering, and we may not use the proceeds effectively.

We have not designated any portion of the net proceeds from this offering to be used for any particular purposes. Our management will have broad discretion in the application of the net proceeds from this offering and could spend the proceeds in ways that do not improve our results of operations or enhance the value of our common stock. Accordingly, you will be relying on the judgment of our management with regard to the use of these net proceeds, and you will not have the opportunity, as part of your investment decision, to assess whether the proceeds are being used appropriately. Our failure to apply these funds effectively could have a material adverse effect on our business, delay the development of our product candidates and cause the price of our common stock to decline.

You will experience immediate and substantial dilution in the net tangible book value per share of the common stock you purchase.

Since the price per share of our common stock being offered is substantially higher than the net tangible book value per share of our common stock, you will suffer substantial dilution in the net tangible book value of the common stock you purchase in this offering. Our net tangible book value as of March 31, 2011 was approximately \$149.3 million, or \$2.86 per share. After giving effect to the sale of 16,300,000 shares of our common stock in this offering at the public offering price of \$8.00 per share and based on our net tangible book value as of March 31, 2011, if you purchase shares of common stock in this offering, you will suffer immediate and substantial dilution of \$4.04 per share in the net tangible book value of the common stock. See the section entitled "Dilution" below for a more detailed discussion of the dilution you will incur if you purchase common stock in this offering.

In addition, we have a significant number of stock options and warrants outstanding. To the extent that outstanding stock options or warrants have been or may be exercised or other shares issued, you may experience further dilution. Further, we may choose to raise additional capital due to market conditions or strategic considerations even if we believe we have sufficient funds for our current or future operating plans. If additional capital is raised through the sale of equity or convertible debt securities, the issuance of these securities could result in further dilution to investors purchasing our common stock in this offering or result in downward pressure on the price of our common stock.

We do not intend to pay dividends in the foreseeable future.

We have never paid cash dividends on our common stock and currently do not plan to pay any cash dividends in the foreseeable future.

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;

Table of Contents

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.

S-9

Table of Contents

Disclosure Regarding Forward-Looking Statements

This prospectus supplement, the accompanying prospectus, the documents we have filed with the SEC that are incorporated herein by reference and any free writing prospectus prepared by or on behalf of us or to which we have referred you contain "forward-looking statements" within the meaning of Section 27A of the Securities Act of 1933, as amended, or the Securities Act, and Section 21E of the Securities Exchange Act of 1934, as amended, or the Exchange Act. These statements relate to future events or to our future operating or financial performance and are based on our current expectations, assumptions, estimates and projections about our business and our industry, and involve known and unknown risks, uncertainties and other factors that may cause our actual results, levels of activity, performance or achievement to be materially different from any future results, levels of activity, performance or achievements expressed or implied by the forward-looking statements. Forward-looking statements include, but are not limited to, statements about:

our business and scientific strategies;

the progress of our product development programs, including clinical testing, and the timing of results thereof;

our corporate collaborations and revenues that may be received from our collaborations;

our drug discovery technologies;

our research and development expenses;

protection of our intellectual property;

sufficiency of our cash resources; and

our operations and legal risks.

In some cases, you can identify forward-looking statements by terms such as "anticipate," "believe," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "project," "should," "will," "would" and similar expressions intended to identify forward-looking statements. While we believe that we have a reasonable basis for each forward-looking statement, we caution you that these statements are based on a combination of facts and factors currently known by us and our projections of the future, about which we cannot be certain. We discuss many of these risks, uncertainties and other factors in greater detail under the sections captioned "Risk Factors" beginning on page S-6 of this prospectus supplement and in our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, which is incorporated herein by reference. 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 of the document containing the applicable statement. New factors emerge from time to time, and it is not possible for us to predict which factors will arise. In addition, we cannot assess the impact of each factor on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in any forward-looking statements.

You should read carefully this prospectus supplement, the accompanying prospectus, together with the information incorporated herein by reference as described under the heading "Information Incorporated by Reference" in this prospectus supplement, and any free writing prospectus prepared by or on behalf of us or to which we have referred you 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.

Thus, you should not assume that our silence over time means that actual events are bearing out as expressed or implied in such forward-looking statements.

S-10

Table of Contents

Use of Proceeds

We estimate that the net proceeds from the sale of the 16,300,000 shares of common stock that we are offering will be approximately \$122.2 million, or approximately \$140.6 million if the underwriters exercise in full their option to purchase 2,445,000 additional shares of common stock, based on the public offering price of \$8.00 per share and after deducting the underwriting discounts and commissions and estimated offering expenses payable by us.

We intend to use the net proceeds from this offering 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.

S-11

Table of Contents**Dilution**

Our net tangible book value as of March 31, 2011 was approximately \$149.3 million, or \$2.86 per share. Net tangible book value per share is determined by dividing our total tangible assets, less total liabilities, by the number of shares of our common stock outstanding as of March 31, 2011. 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 after this offering.

After giving effect to the sale of 16,300,000 shares of our common stock in this offering at the public offering price of \$8.00 per share and after deducting the underwriting discounts and commissions and estimated offering expenses payable by us, our as adjusted net tangible book value as of March 31, 2011 would have been approximately \$271.5 million, or \$3.96 per share. This represents an immediate increase in net tangible book value of \$1.10 per share to existing stockholders and immediate dilution in net tangible book value of \$4.04 per share to investors purchasing our common stock in this offering at the public offering price. The following table illustrates this dilution on a per share basis:

Public offering price per share	\$	8.00
Net tangible book value per share as of March 31, 2011	\$	2.86
Increase per share attributable to investors purchasing our common stock in this offering		1.10
As adjusted net tangible book value per share after this offering		3.96
Dilution per share to investors purchasing our common stock in this offering	\$	4.04

If the underwriters exercise in full their option to purchase 2,445,000 additional shares of common stock at the public offering price of \$8.00 per share, the as adjusted net tangible book value after this offering would be \$4.08 per share, representing an increase in net tangible book value of \$1.22 per share to existing stockholders and immediate dilution in net tangible book value of \$3.92 per share to investors purchasing our common stock in this offering at the public offering price.

The above discussion and table are based on 52,279,117 shares outstanding as of March 31, 2011 and exclude:

11,798,487 shares of our common stock issuable upon the exercise of stock options outstanding as of March 31, 2011, having a weighted-average exercise price of approximately \$12.06 per share;

200,000 shares of our common stock issuable upon the exercise of a warrant outstanding as of March 31, 2011, having an exercise price of \$6.61 per share; and

an aggregate of 1,400,988 shares of our common stock available for issuance or future grant as of March 31, 2011 under our 2000 Equity Incentive Plan, or the 2000 Plan, our 2000 Employee Stock Purchase Plan, or the ESPP, and our 2000 Non-Employee Directors' Stock Option Plan, or the Directors' Plan.

On May 19, 2011, our stockholders approved the 2011 Plan under which 3,500,000 shares of our common stock were reserved for issuance as of such date, and also approved amendments to each of the 2000 Plan and the Directors' Plan to increase the number of shares authorized for issuance under such plans by 600,000 shares and 250,000 shares, respectively.

To the extent that outstanding options or warrants are exercised or new stock awards are issued under our equity compensation plans, you will experience further dilution. In addition, we may choose to raise additional capital due to market conditions or strategic considerations even if we believe we have sufficient funds for our current or future operating plans. To the extent that additional capital is raised through the sale of equity or convertible debt securities, the issuance of these securities could result in further dilution to our stockholders.

Table of Contents

Material U.S. Federal Income and Estate Tax Consequences to Non-U.S. Holders

The following summary describes the material U.S. federal income and estate tax consequences of the acquisition, ownership and disposition of our common stock acquired in this offering by a Non-U.S. Holder (as defined below). This discussion does not address all aspects of U.S. 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, or the Code, such as financial institutions, insurance companies, tax-exempt organizations, broker-dealers and traders in securities, U.S. expatriates, "controlled foreign corporations," "passive foreign investment companies," corporations that accumulate earnings to avoid U.S. 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 U.S. 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 U.S. federal income and estate tax consequences different from those discussed below. No ruling has been or will be sought from the Internal Revenue Service, or IRS, with respect to the matters discussed below, and there can be no assurance that the IRS will not take a contrary position regarding the tax consequences of the acquisition, ownership or disposition of our common stock, or that any such contrary position would not be sustained by a court. This discussion is limited to Non-U.S. Holders that purchase our common stock pursuant to this offering and hold our common stock as a capital asset within the meaning of Code Section 1221 (generally, property held for investment).

The following discussion is for general information only and is not tax advice. Persons considering the purchase of our common stock should consult their own tax advisors concerning the U.S. 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, and those arising under any applicable tax treaty.

Except as otherwise described in the discussion of estate tax below, a "Non-U.S. Holder" is a beneficial owner of our common stock that is not a U.S. Holder or an entity treated as a partnership for U.S. tax purposes. A "U.S. Holder" means a beneficial owner of our common stock that is for U.S. 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 U.S. 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 U.S. persons have the authority to control all substantial decisions of the trust or (y) has a valid election in effect under applicable U.S. Treasury regulations to be treated as a U.S. person.

If a partnership (including any entity or arrangement treated as a partnership for U.S. federal income tax purposes) acquires our 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 our 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 U.S. tax purposes and will be subject to withholding tax at a thirty percent 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

Table of Contents

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 our common 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 (and are not exempt from U.S. federal income tax on net income under a treaty as described below), is filed with us. Effectively connected dividends will be subject to U.S. federal income tax on net income, generally in the same manner and at the regular rate as if the Non-U.S. Holder were a U.S. 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 dividends would generally be subject to net U.S. federal income tax only if they are also attributable to a permanent establishment 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 thirty percent (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 timely 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 U.S. 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, if required by an applicable income tax treaty, attributable to a permanent establishment maintained in the United States by the Non-U.S. Holder, (ii) the Non-U.S. Holder is a nonresident alien individual and is present in the United States for 183 or more days in the taxable year of the disposition and certain other conditions are met, or (iii) 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 business 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 U.S. 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) 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, subject to an applicable

Table of Contents

income tax treaty providing otherwise, and corporate Non-U.S. Holders described in (i) above may be subject to the branch profits tax at a thirty percent 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 (ii) above, you will be required to pay a flat thirty percent tax (or a reduced rate under an applicable income tax treaty) on the gain derived from the sale, which gain may be offset by U.S. source capital losses if you have timely filed tax returns with respect to such losses (even though you are not considered a resident of the United States). If you are a Non-U.S. Holder described in (iii) above and an exception from U.S. federal income tax does not apply (e.g., because our common stock does not qualify as regularly traded on an established securities market or, if it does so qualify, you own more than five percent of our common stock during the relevant period), any gain derived from the sale may be treated as effectively connected with a trade or business in the United States, taxable in the manner described in (i) above.

Information reporting 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 U.S. 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 U.S. person, or the Non-U.S. Holder otherwise establishes an exemption. The backup withholding rate is currently twenty-eight percent.

Under current U.S. 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 U.S. office of a broker unless the disposing holder certifies as to its non-U.S. status or otherwise establishes an exemption. The certification procedures for claiming benefits under a tax treaty described in "Distributions" above will satisfy the certification requirements to avoid information reporting and backup withholding as well. Generally, U.S. 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-U.S. office of a non-U.S. broker. However, information reporting and backup withholding will apply to a payment of disposition proceeds if the broker has actual knowledge or reason to know that the holder is a U.S. 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 be obtained, provided that the required information is timely furnished to the IRS.

Legislation relating to foreign accounts

Legislation enacted in 2010 may impose withholding taxes on certain types of payments made to "foreign financial institutions" (as specifically defined in this legislation) and certain other non-U.S. entities (including financial intermediaries). Under this legislation, the failure to comply with additional certification, information reporting and other specified requirements could result in withholding tax being imposed on payments of dividends and sales proceeds to foreign intermediaries and certain Non-U.S. Holders. The legislation imposes a thirty percent withholding tax on dividends, or gross proceeds from the sale or other disposition of, common stock paid to a foreign financial institution or to a foreign non-financial entity, unless (i) the foreign financial institution undertakes certain diligence and reporting obligations or (ii) the foreign non-financial entity either certifies it does not have any substantial United States owners or furnishes identifying information regarding each substantial United States owner. If the payee is a foreign financial institution, it must enter into an agreement with the United States Treasury requiring, among other things, that it undertake to identify accounts held by certain United States persons or United States-owned

Table of Contents

foreign entities, annually report certain information about such accounts, and withhold thirty percent on payments to account holders whose actions prevent it from complying with these reporting and other requirements. The legislation applies to payments made after December 31, 2012. Prospective investors should consult their tax advisors regarding this legislation.

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 or her taxable estate for U.S. federal estate tax purposes, and may be subject to U.S. 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 U.S. federal income tax purposes. Some individuals, therefore, may be "Non-U.S. Holders" for U.S. federal income tax purposes, but not for U.S. federal estate tax purposes, and vice versa.

THE PRECEDING DISCUSSION OF U.S. FEDERAL INCOME AND ESTATE 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.

Table of Contents**Underwriting**

Subject to the terms and conditions set forth in the underwriting agreement dated May 26, 2011, among us and the underwriters named below, we have agreed to sell to the underwriters and the underwriters have severally agreed to purchase from us the number of shares of common stock indicated in the table below:

Underwriter	Number of Shares
Jefferies & Company, Inc.	7,131,250
J.P. Morgan Securities LLC	7,131,250
Piper Jaffray & Co.	2,037,500
Total	16,300,000

Jefferies & Company, Inc. and J.P. Morgan Securities LLC are acting as joint book-running managers of this offering and as representatives of the underwriters named above.

The underwriting agreement provides that the obligations of the several underwriters are subject to certain conditions precedent such as the receipt by the underwriters of officers' certificates and legal opinions and approval of certain legal matters by their counsel. The underwriting agreement provides that the underwriters will purchase all of the shares if any of them are purchased, except as described below under "Option to Purchase Additional Shares." If an underwriter defaults, the underwriting agreement provides that the purchase commitments of the nondefaulting underwriters may be increased or the underwriting agreement may be terminated. We have agreed to indemnify the underwriters and certain of their controlling persons against certain liabilities, including liabilities under the Securities Act, and to contribute to payments that the underwriters may be required to make in respect of those liabilities.

The underwriters have advised us that they currently intend to make a market in the shares. However, the underwriters are not obligated to do so and may discontinue any market-making activities at any time without notice. No assurance can be given as to the liquidity of the trading market for the shares.

The underwriters are offering the shares subject to their acceptance of the shares from us and subject to prior sale. The underwriters reserve the right to withdraw, cancel or modify offers to the public and to reject orders in whole or in part.

Commission and Expenses

The underwriters have advised us that they propose to offer the shares of common stock to the public at the public offering price set forth on the cover page of this prospectus supplement and to certain dealers at that price less a concession not in excess of \$0.288 per share. After the offering, the public offering price and concession to dealers may be reduced by the representatives. No such reduction will change the amount of proceeds to be received by us as set forth on the cover page of this prospectus supplement.

Table of Contents

The following table shows the public offering price, the underwriting discounts and commissions that we are to pay the underwriters and the proceeds, before expenses, to us in connection with this offering. Such amounts are shown assuming both no exercise and full exercise of the underwriters' option to purchase additional shares.

	Per Share	Total Without Option to Purchase Additional Shares	Total With Option to Purchase Additional Shares
Public offering price	\$ 8.00	\$ 130,400,000	\$ 149,960,000
Underwriting discounts and commissions paid by us	\$ 0.48	\$ 7,824,000	\$ 8,997,600
Proceeds to us, before expenses	\$ 7.52	\$ 122,576,000	\$ 140,962,400

We estimate expenses payable by us in connection with this offering, other than the underwriting discounts and commissions referred to above, will be approximately \$400,000.

Listing

Our shares are listed on The NASDAQ Global Select Market under the trading symbol "RIGL."

Option to Purchase Additional Shares

We have granted to the underwriters an option, exercisable for 30 days from the date of this prospectus supplement, to purchase up to an aggregate of 2,445,000 additional shares of common stock at the public offering price set forth on the cover page of this prospectus supplement, less underwriting discounts and commissions. If the underwriters exercise this option, each underwriter will be obligated, subject to specified conditions, to purchase a number of additional shares proportionate to that underwriter's initial purchase commitment as indicated in the table above. This option may be exercised only if the underwriters sell more shares than the total number set forth on the cover page of this prospectus supplement.

No Sales of Similar Securities

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 other than on Form S-8 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 Jefferies & Company, Inc. and J.P. Morgan Securities LLC for a period of 90 days after the date of this prospectus supplement, except 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 as of the date of this prospectus supplement, grants of stock options or other equity awards pursuant to the terms of a plan in effect on the date of this prospectus supplement, issuances of shares of our common stock pursuant to the exercise, vesting or settlement of such options or other equity awards, or issuances of shares of our common stock pursuant to our employee stock purchase plan as in effect on the date of this prospectus supplement.

Our executive officers and directors have agreed that, subject to specified 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

Table of Contents

consent of Jefferies & Company, Inc. and J.P. Morgan Securities LLC for a period of 90 days after the date of this prospectus supplement.

Stabilization

The underwriters have advised us that, pursuant to Regulation M under the Securities Exchange Act, certain persons participating in the offering may engage in transactions, including overallocation, stabilizing bids, syndicate covering transactions or the imposition of penalty bids, which may have the effect of stabilizing or maintaining the market price of our common stock at a level above that which might otherwise prevail in the open market. Overallocation involves syndicate sales in excess of the offering size, which creates a syndicate short position. "Covered" short sales are sales made in an amount not greater than the underwriters' option to purchase additional shares of common stock in this offering. The underwriters may close out any covered short position by either exercising their option to purchase additional shares of common stock or purchasing shares of common stock in the open market. In determining the source of shares to close out the covered 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 option to purchase additional shares. "Naked" short sales are sales in excess of the option to purchase additional shares of common stock. The underwriters must close out any naked short position by purchasing shares in the open market. A naked short position is more likely to be created if the underwriters are concerned that there may be downward pressure on the price of the shares of common stock in the open market after pricing that could adversely affect investors who purchase in this offering. A stabilizing bid is a bid for the purchase of shares of common stock on behalf of the underwriters for the purpose of fixing or maintaining the price of the shares of common stock. A syndicate covering transaction is the bid for or the purchase of shares of common stock on behalf of the underwriters to reduce a short position incurred by the underwriters in connection with the offering. A penalty bid is an arrangement permitting the underwriters to reclaim the selling concession otherwise accruing to a syndicate member in connection with the offering if the shares of common stock originally sold by such syndicate member are purchased in a syndicate covering transaction and therefore have not been effectively placed by such syndicate member. Neither we nor any of the underwriters makes any representation or prediction as to the direction or magnitude of any effect that the transactions described above may have on the price of our common stock. The underwriters are not obligated to engage in these activities and, if commenced, any of the activities may be discontinued at any time.

The underwriters may also engage in passive market making transactions in our common stock on the NASDAQ Global Select Market in accordance with Rule 103 of Regulation M during a period before the commencement of offers or sales of shares of our common stock in this offering and extending through the completion of distribution. A passive market maker must display its bid at a price not in excess of the highest independent bid of that security. However, if all independent bids are lowered below the passive market maker's bid, that bid must then be lowered when specified purchase limits are exceeded.

Electronic Distribution

This prospectus supplement and the accompanying prospectus in electronic format may be made available by e-mail or on the web sites or through online services maintained by one or more of the underwriters or their affiliates. In those cases, prospective investors may view offering terms online and may be allowed to place orders online. The underwriters may agree with us to allocate a specific number of shares of common stock for sale to online brokerage account holders. Any such allocation for online distributions will be made by the underwriters on the same basis as other allocations. Other than this prospectus supplement and the accompanying prospectus in electronic format, the information on the underwriters' web sites and any information contained in any other web site maintained by any of the underwriters is not part of this prospectus supplement or the accompanying prospectus, has not been approved and/or endorsed by us or the underwriters and should not be relied upon by investors.

Table of Contents

Affiliations

The underwriters and certain of their affiliates are full service financial institutions engaged in various activities, which may include securities trading, commercial and investment banking, financial advisory, investment management, investment research, principal investment, hedging, financing and brokerage activities. The underwriters and certain of their affiliates have, from time to time, performed, and may in the future perform, various financial advisory and investment banking services for us, for which they received or will receive customary fees and expenses.

In the ordinary course of their various business activities, the underwriters and certain of their affiliates may make or hold a broad array of investments and actively trade debt and equity securities (or related derivative securities) and financial instruments (including bank loans) for their own account and for the accounts of their customers, and such investment and securities activities may involve our securities and/or instruments. The underwriters and certain of their affiliates may also make investment recommendations and/or publish or express independent research views in respect of such securities or instruments and may at any time hold, or recommend to clients that they acquire, long and/or short positions in such securities and instruments.

Table of Contents

Notice to Investors

European Economic Area

In relation to each Member State of the European Economic Area which has implemented the Prospectus Directive (as defined below) (each, a Relevant Member State), with effect from and including the date on which the Prospectus Directive is implemented in that Relevant Member State, or the Relevant Implementation Date, an offer of our common stock to the public may not be made in that Relevant Member State prior to the publication of a prospectus in relation to our common stock which 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 an offer to the public in that Relevant Member State of any shares of our common stock may be made at any time under the following exemptions under the Prospectus Directive if they have been implemented in the Relevant Member State:

- (a) to legal entities which are 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;
- (b) to any legal entity which 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;
- (c) to fewer than 100 natural or legal persons per Relevant Member State (other than qualified investors as defined in the Prospectus Directive); or
- (d) in any other circumstances falling within Article 3(2) of the Prospectus Directive,

provided that no such offer of our common stock shall result in a requirement for the publication by us or any underwriter of a prospectus pursuant to Article 3 of the Prospectus Directive.

For the purposes of this provision, the expression an "offer of our common stock to the public" in relation to any shares of our common stock 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 our common stock to be offered so as to enable an investor to decide to purchase or subscribe for our common stock, as the same 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.

United Kingdom

Shares of our common stock may not be offered or sold and will not be offered or sold to any persons in the United Kingdom other than to persons whose ordinary activities involve them acquiring, holding, managing or disposing of investments (as principal or as agent) for the purposes of their businesses or otherwise in circumstances which have not resulted or will not result in an offer to the public in the United Kingdom within the meaning of the Financial Services and Markets Act 2000, or the FSMA.

In addition, any invitation or inducement to engage in investment activity (within the meaning of section 21 of the FSMA) in connection with the issue or sale of shares of our common stock may only be communicated or caused to be communicated in circumstances in which Section 21(1) of the FSMA does not apply to us. Without limitation to the other restrictions referred to herein, this prospectus supplement and the accompanying prospectus are directed only at (1) persons outside the United Kingdom or (2) persons who:

- (a) are qualified investors as defined in section 86(7) of FSMA, being persons falling within the meaning of article 2.1(e)(i), (ii) or (iii) of the Prospectus Directive; and

Table of Contents

- (b) are either persons who fall within article 19(1) of the Financial Services and Markets Act 2000 (Financial Promotion) Order 2005, as amended, or Order, or are persons who fall within article 49(2)(a) to (d) ("high net worth companies, unincorporated associations, etc.") of the Order; or
- (c) to whom it may otherwise lawfully be communicated in circumstances in which Section 21(1) of the FSMA does not apply.

Without limitation to the other restrictions referred to herein, any investment or investment activity to which this prospectus supplement and the accompanying prospectus relate is available only to, and will be engaged in only with, such persons, and persons within the United Kingdom who receive this communication (other than persons who fall within (2) above) should not rely or act upon this communication.

Italy

This prospectus supplement and the accompanying prospectus have not been and will not be filed with or cleared by the Italian securities exchange commission (Commissione Nazionale per le società e la Borsa – the "CONSOB") pursuant to Legislative Decree No. 58 of 24 February 1998 (as amended, the "Finance Law") and to CONSOB Regulation No. 11971 of 14 May 1999 (as amended, the "Issuers Regulation"). Accordingly, copies of this prospectus supplement and the accompanying prospectus or any other document relating to our common stock may not be distributed, made available or advertised in Italy, nor may our common stock be offered, purchased, sold, promoted, advertised or delivered, directly or indirectly, to the public other than (i) to Professional Investors (such being the persons and entities as defined pursuant to article 31(2) of CONSOB Regulation No. 11522 of 1 July 1998, as amended, the Intermediaries Regulation) pursuant to article 100 of the Finance Law; (ii) to prospective investors where the offer of our common stock relies on the exemption from the investment solicitation rules pursuant to, and in compliance with, the conditions set out by article 100 of the Finance Law and article 33 of the Issuers Regulation, or by any applicable exemption; provided that any such offer, sale, promotion, advertising or delivery of our common stock or distribution of this prospectus supplement and the accompanying prospectus, or any part thereof, or of any other document or material relating to our common stock in Italy is made: (a) by investment firms, banks or financial intermediaries authorized to carry out such activities in the Republic of Italy in accordance with the Finance Law, the Issuers Regulation, Legislative Decree No. 385 of 1 September 1993 (as amended, the "Banking Law"), the Intermediaries Regulation, and any other applicable laws and regulations; and (b) in compliance with any applicable notification requirement or duty which may, from time to time, be imposed by CONSOB, Bank of Italy or by any other competent authority.

Germany

Any offer or solicitation of securities within Germany must be in full compliance with the German Securities Prospectus Act (Wertpapierprospektgesetz – WpPG). The offer and solicitation of securities to the public in Germany requires the publication of a prospectus that has to be filed with and approved by the German Federal Financial Services Supervisory Authority (Bundesanstalt für Finanzdienstleistungsaufsicht – BaFin). This prospectus supplement and the accompanying prospectus have not been and will not be submitted for filing and approval to the BaFin and, consequently, will not be published. Therefore, this prospectus supplement and the accompanying prospectus do not constitute a public offer under the German Securities Prospectus Act (Wertpapierprospektgesetz). This prospectus supplement, the accompanying prospectus and any other document relating to our common stock, as well as any information contained therein, must therefore not be supplied to the public in Germany or used in connection with any offer for subscription of our common stock to the public in Germany, any public marketing of our common stock or any public solicitation for offers to subscribe for or otherwise acquire our common stock. This prospectus supplement, the accompanying prospectus and other offering materials relating to the offer of our common stock are

Table of Contents

strictly confidential and may not be distributed to any person or entity other than the designated recipients hereof.

France

This prospectus supplement and the accompanying prospectus have not been prepared in the context of a public offering of financial securities in France within the meaning of Article L.411-1 of the French Code Monétaire et Financier and Title I of Book II of the Règlement Général of the Autorité des marchés financiers (the "AMF") and therefore has not been and will not be filed with the AMF for prior approval or submitted for clearance to the AMF. Consequently, the shares of our common stock may not be, directly or indirectly, offered or sold to the public in France and offers and sales of the shares of our common stock may only be made in France to qualified investors (investisseurs qualifiés) acting for their own, as defined in and in accordance with Articles L.411-2 and D.411-1 to D.411-4, D.734-1, D.744-1, D.754-1 and D.764-1 of the French Code Monétaire et Financier. None of this prospectus supplement, the accompanying prospectus or any other offering material may be released, issued or distributed to the public in France or used in connection with any offer for subscription or sale of the shares of our common stock to the public in France. The subsequent direct or indirect retransfer of the shares of our common stock to the public in France may only be made in compliance with Articles L.411-1, L.411-2, L.412-1 and L.621-8 through L.621-8-3 of the French Code Monétaire et Financier.

Sweden

This is not a prospectus under, and has not been prepared in accordance with the prospectus requirements provided for in, the Swedish Financial Instruments Trading Act [lagen (1991:980) om handel med finansiella instrument] nor any other Swedish enactment. Neither the Swedish Financial Supervisory Authority nor any other Swedish public body has examined, approved, or registered this document.

Table of Contents

Legal Matters

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

Experts

Ernst & Young LLP, independent registered public accounting firm, has audited our financial statements included in our Annual Report on Form 10-K for the year ended December 31, 2010, and the effectiveness of our internal control over financial reporting as of December 31, 2010, as set forth in their reports, which are incorporated by reference in this prospectus supplement, the accompanying prospectus and elsewhere in the registration statement. Our financial statements and our management's assessment of the effectiveness of internal control over financial reporting as of December 31, 2010 are incorporated by reference in reliance on Ernst & Young LLP's reports, given on their authority as experts in accounting and auditing.

Where You Can Find More Information

This prospectus supplement and the accompanying prospectus are part of the registration statement on Form S-3 we filed with the SEC under the Securities Act on December 14, 2010, and do not contain all the information set forth in the registration statement. Whenever a reference is made in this prospectus supplement or the accompanying prospectus to any of our contracts, agreements or other documents, the reference may not be complete and you should refer to the exhibits that are a part of the registration statement or the exhibits to the reports or other documents incorporated by reference in this prospectus supplement and the accompanying prospectus for a copy of such contract, agreement or other document. Because we are subject to the information and reporting requirements of the Exchange Act, we file annual, quarterly and current reports, proxy statements and other information with the SEC. Our SEC filings are available to the public over the Internet at the SEC's website at www.sec.gov. You may also 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 further information on the operation of the Public Reference Room.

Information Incorporated by Reference

The SEC allows us to "incorporate by reference" information from other documents that we file with the SEC, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is considered to be part of this prospectus supplement and the accompanying prospectus and should be read with the same care. Information contained in this prospectus supplement and the accompanying prospectus and information that we file with the SEC in the future and incorporate by reference in this prospectus supplement and the accompanying prospectus will automatically update and supersede this information. We incorporate by reference the documents listed below and any future filings (other than Current Reports on Form 8-K furnished under Item 2.02 or Item 7.01 and exhibits filed on such form that are related to such items) we make with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act after the date of the prospectus supplement and before the sale of all the securities covered by this prospectus supplement (Commission File No. 0-29889):

our Annual Report on Form 10-K for the year ended December 31, 2010, filed with the SEC on March 1, 2011;

the information specifically incorporated by reference into our Annual Report on Form 10-K for the year ended December 31, 2010 from our definitive proxy statement on Schedule 14A, filed with the SEC on April 4, 2011;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

Table of Contents

our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2011, filed with the SEC on May 3, 2011;

our Current Reports on Form 8-K filed with the SEC on February 9, 2011, May 6, 2011 and May 20, 2011; and

the description of our common stock, which is registered under Section 12 of the Exchange Act in our registration statement on Form 8-A, filed with the SEC on October 3, 2000, including any amendments or reports filed for the purpose of updating such description.

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. Requests should be directed to: Rigel Pharmaceuticals, Inc., Attn: Corporate Secretary, 1180 Veterans Boulevard, South San Francisco, CA 94080, telephone: (650) 624-1100.

S-25

PROSPECTUS

\$125,000,000

**Common Stock
Preferred Stock
Debt Securities
Warrants
Units**

From time to time, we may offer and sell up to \$125,000,000 of any combination of the securities described in this prospectus, either individually or in units. We may also offer common stock or preferred stock upon conversion of debt securities, common stock upon conversion of preferred stock, or common stock, preferred stock or debt securities upon the exercise of warrants.

We will provide the specific terms of these offerings and securities in one or more supplements to this prospectus. We may also authorize one or more free writing prospectuses to be provided to you in connection with these offerings. The prospectus supplement and any related free writing prospectus may also add, update or change information contained in this prospectus. You should carefully read this prospectus, the applicable prospectus supplement and any related free writing prospectus, as well as any documents incorporated by reference, before buying any of the securities being offered.

Our common stock is traded on The NASDAQ Global Market under the symbol "RIGL." On December 10, 2010, the last reported sale price of our common stock on The NASDAQ Global Market was \$8.10. The applicable prospectus supplement will contain information, where applicable, as to other listings, if any, on The NASDAQ Global Market or any securities market or other securities exchange of the securities covered by the applicable prospectus supplement.

This prospectus may not be used to consummate a sale of any securities unless accompanied by a prospectus supplement.

The securities may be sold directly by us to investors, through agents designated from time to time or to or through underwriters or dealers, on a continuous or delayed basis. For additional information on the methods of sale, you should refer to the section entitled "Plan of Distribution" in this prospectus. If any agents or underwriters are involved in the sale of any securities with respect to which this prospectus is being delivered, the names of such agents or underwriters and any applicable fees, commissions, discounts and over-allotment options will be set forth in a prospectus supplement. The price to the public of such securities and the net proceeds that we expect to receive from such sale will also be set forth in a prospectus supplement.

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 7, 2011.

TABLE OF CONTENTS

	Page
ABOUT THIS PROSPECTUS	1
PROSPECTUS SUMMARY	3
RISK FACTORS	7
DISCLOSURE REGARDING FORWARD-LOOKING STATEMENTS	7
RATIO OF EARNINGS TO FIXED CHARGES AND RATIO OF COMBINED FIXED CHARGES AND PREFERRED STOCK DIVIDENDS	8
USE OF PROCEEDS	8
DESCRIPTION OF CAPITAL STOCK	9
DESCRIPTION OF DEBT SECURITIES	13
DESCRIPTION OF WARRANTS	20
DESCRIPTION OF UNITS	22
LEGAL OWNERSHIP OF SECURITIES	23
PLAN OF DISTRIBUTION	27
LEGAL MATTERS	28
EXPERTS	28
WHERE YOU CAN FIND ADDITIONAL INFORMATION	29

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement on Form S-3 that we filed with the Securities and Exchange Commission, or SEC, utilizing a "shelf" registration process. Under this shelf registration process, we may offer and sell shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of \$125,000,000. This prospectus provides you with a general description of the securities we may offer. Each time we offer a type or series of securities under this prospectus, we will provide a prospectus supplement that will contain more specific information about the terms of that offering. We may also authorize one or more free writing prospectuses to be provided to you that may contain material information relating to these offerings. The prospectus supplement, and any related free writing prospectus that we may authorize to be provided to you, may also add, update or change the information contained in this prospectus or in the documents incorporated by reference into this prospectus. We urge you to carefully read this prospectus, any applicable prospectus supplement and any related free writing prospectus, together with the information incorporated herein by reference as described under the heading "Where You Can Find Additional Information," before buying any of the securities being offered. **THIS PROSPECTUS MAY NOT BE USED TO CONSUMMATE A SALE OF SECURITIES UNLESS IT IS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.**

You should rely only on the information contained in, or incorporated by reference into, this prospectus or any applicable prospectus supplement, along with the information contained in any related free writing prospectus that we have authorized for use in connection with a specific offering. We have not authorized anyone to provide you with different information. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus, any applicable prospectus supplement or any related free writing prospectus that we may authorize to be provided to you. You must not rely on any unauthorized information or representation. This prospectus is an offer to sell only the securities offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. The information in this prospectus, any applicable prospectus supplement or any related free writing prospectus is accurate only as of the date on the

front of the document, and any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus, any applicable prospectus supplement or any related free writing prospectus, or any sale of a security. Our business, financial condition, results of operations and prospects may have changed since those dates.

This prospectus contains summaries of certain provisions contained in some of the documents described herein, but reference is made to the actual documents for complete information. All of the summaries are qualified in their entirety by the actual documents. Copies of some of the documents referred to herein have been filed, will be filed or will be incorporated by reference as exhibits to the registration statement of which this prospectus is a part, and you may obtain copies of those documents as described below under the heading "Where You Can Find Additional Information."

PROSPECTUS SUMMARY

This summary highlights selected information contained elsewhere in this prospectus or incorporated by reference into this prospectus, and does not contain all of the information that you need to consider in making your investment decision. You should carefully read the entire prospectus, the applicable prospectus supplement and any related free writing prospectus, including the risks of investing in our securities discussed 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. You should also carefully read the information incorporated by reference into this prospectus, including our financial statements, and the exhibits to the registration statement of which this prospectus is a part.

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 and autoimmune diseases, as well as muscle and metabolic diseases. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib (R788), an oral syk inhibitor that has started its phase 3 clinical trial program for rheumatoid arthritis, and R343, an inhaled syk inhibitor that is in clinical trials for asthma.

Corporate Information

We were incorporated in Delaware in June 1996. Our principal executive office is located at 1180 Veterans Boulevard, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website address is www.rigel.com. The information contained in, or accessible through, our website does not constitute a part of this prospectus or any prospectus supplement.

The Securities We May Offer

We may offer shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, with a total value of up to \$125,000,000 from time to time under this prospectus, together with any applicable prospectus supplement and any related free writing prospectus, at prices and on terms to be determined by market conditions at the time of any offering. This prospectus provides you with a general description of the securities we may offer. Each time we offer a type or series of securities under this prospectus, we will provide a prospectus supplement that will describe the specific amounts, prices and other important terms of the securities, including, to the extent applicable:

designation or classification;

aggregate principal amount or aggregate offering price;

maturity date, if applicable;

original issue discount, if any;

rates and times of payment of interest or dividends, if any;

redemption, conversion, exercise, exchange or sinking fund terms, if any;

ranking;

restrictive covenants, if any;

voting or other rights, if any;

conversion or exchange prices or rates, if any, and, if applicable, any provisions for changes to or adjustments in the conversion or exchange prices or rates and in the securities or other property receivable upon conversion or exchange; and

material or special U.S. federal income tax considerations, if any.

The applicable prospectus supplement and any related free writing prospectus that we may authorize to be provided to you may also add, update or change any of the information contained in this prospectus or in the documents we have incorporated by reference. However, no prospectus supplement or free writing prospectus will offer a security that is not registered and described in this prospectus at the time of the effectiveness of the registration statement of which this prospectus is a part.

THIS PROSPECTUS MAY NOT BE USED TO CONSUMMATE A SALE OF SECURITIES UNLESS IT IS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.

We may sell the securities directly to investors or to or through agents, underwriters or dealers. We, and our agents or underwriters, reserve the right to accept or reject all or part of any proposed purchase of securities. If we do offer securities to or through agents or underwriters, we will include in the applicable prospectus supplement:

the names of those agents or underwriters;

applicable fees, discounts and commissions to be paid to them;

details regarding over-allotment options, if any; and

the net proceeds to us.

Common Stock. We may issue shares of our common stock from time to time. 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 stockholders. Subject to preferences that may be applicable to any outstanding shares of preferred stock, the holders of our common stock are entitled to receive ratably such dividends as may be declared by our Board of Directors out of legally available funds. Upon our liquidation, dissolution or winding up, holders of our common stock are entitled to share ratably in all assets remaining after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of 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. In this prospectus, we have summarized certain general features of the common stock under "Description of Capital Stock Common Stock." We urge you, however, to read the applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to any common stock being offered.

Preferred Stock. We may issue shares of our preferred stock from time to time, in one or more series. Our Board of Directors will determine the designations, voting powers, preferences and rights of the preferred stock being offered, as well as the qualifications, limitations or restrictions thereof, including dividend rights, conversion rights, preemptive rights, terms of redemption or repurchase, liquidation preferences, sinking fund terms and the number of shares constituting any series or the designation of any series. Convertible preferred stock will be convertible into our common stock or exchangeable for our other securities. Conversion may be mandatory or at the holder's option and would be at prescribed conversion rates. As of the date of this prospectus, there are no shares of preferred stock outstanding.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

If we sell any series of preferred stock under this prospectus and any applicable prospectus supplement, we will fix the designations, voting powers, preferences and rights of such series of preferred stock, as well as the qualifications, limitations or restrictions thereof, in the certificate of designation relating to that series. We will file as an exhibit to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of any certificate of designation that describes the terms of the series of preferred stock that we are offering before the issuance of the related series of preferred stock. In this prospectus, we have summarized certain general features of the preferred stock under "Description of Capital Stock - Preferred Stock." We urge you, however, to read the applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to the series of preferred stock being offered, as well as the complete certificate of designation that contains the terms of the applicable series of preferred stock.

Debt Securities. We may issue debt securities from time to time, in one or more series, as either senior or subordinated debt or as senior or subordinated convertible debt. The senior debt securities will rank equally with any other unsecured and unsubordinated debt. The subordinated debt securities will be subordinate and junior in right of payment, to the extent and in the manner described in the instrument governing the debt, to all of our senior indebtedness. Convertible debt securities will be convertible into or exchangeable for our common stock or our other securities. Conversion may be mandatory or at the holder's option and would be at prescribed conversion rates.

The debt securities will be issued under an indenture that we will enter into with a national banking association or other eligible party, as trustee. In this prospectus, we have summarized certain general features of the debt securities under "Description of Debt Securities." We urge you, however, to read the applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to the series of debt securities being offered, as well as the complete indenture and any supplemental indentures that contain the terms of the debt securities. We have filed a form of indenture as an exhibit to the registration statement of which this prospectus is a part, and supplemental indentures and forms of debt securities containing the terms of the debt securities being offered will be filed as exhibits to the registration statement of which this prospectus is a part or will be incorporated by reference from reports that we file with the SEC.

Warrants. We may issue warrants for the purchase of common stock, preferred stock and/or debt securities in one or more series. We may issue warrants independently or together with common stock, preferred stock and/or debt securities, and the warrants may be attached to or separate from these securities. In this prospectus, we have summarized certain general features of the warrants under "Description of Warrants." We urge you, however, to read the applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to the particular series of warrants being offered, as well as the complete warrant agreements and warrant certificates, as applicable, that contain the terms of the warrants. We have filed the forms of the warrant agreements and forms of warrant certificates containing the terms of the warrants that we may offer as exhibits to the registration statement of which this prospectus is a part. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the supplemental forms of warrant agreements and warrant certificates, as applicable, that describe the terms of the particular series of warrants we are offering, and any supplemental agreements, before the issuance of such warrants.

We will evidence each series of warrants by warrant certificates or agreements that we will issue. Warrants may be issued under an applicable warrant agreement that we enter into with a warrant agent. We will indicate the name and address of the warrant agent, if any, in the applicable prospectus supplement relating to a particular series of warrants.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

Units. We may issue, in one or more series, units consisting of common stock, preferred stock, debt securities and/or warrants for the purchase of common stock, preferred stock and/or debt securities in any combination. In this prospectus, we have summarized certain general features of the units under "Description of Units." We urge you, however, to read the applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to the series of units being offered, as well as the complete unit agreement that contains the terms of the units. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of unit agreement and any supplemental agreements that describe the terms of the series of units we are offering before the issuance of the related series of units.

We will evidence the units by unit certificates or agreements that we will issue. Units may be issued under a unit agreement that we enter into with a unit agent. We will indicate the name and address of the unit agent, if applicable, in the applicable prospectus supplement relating to the units being offered.

RISK FACTORS

Investing in our securities involves a high degree of risk. You should carefully review 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, before deciding whether to purchase any of the securities being offered. 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 securities, and the occurrence of any of these risks might cause you to lose all or part of your investment. Moreover, the risks described are not the only ones that we face. Additional risks not presently known to us or that we currently believe are immaterial may also significantly impair our business operations.

DISCLOSURE REGARDING FORWARD-LOOKING STATEMENTS

This prospectus and the documents incorporated by reference into this prospectus contain certain "forward-looking statements" within the meaning of the "safe harbor" provisions of the Private Securities Litigation Reform Act of 1995 with respect to our financial condition, results of operations and business. Words such as "anticipates," "expects," "intends," "plans," "predicts," "believes," "seeks," "estimates," "could," "would," "will," "may," "can," "continue," "potential," "should," and the negative of these terms or other comparable terminology often identify forward-looking statements. Statements in this prospectus and the other documents incorporated by reference that are not historical facts are hereby identified as "forward-looking statements" for the purpose of the safe harbor provided by Section 21E of the Securities Exchange Act of 1934, as amended, and Section 27A of the Securities Act of 1933, as amended. These forward-looking statements are not guarantees of future performance and are subject to risks and uncertainties that could cause actual results to differ materially from the results contemplated by the forward-looking statements, including the risks discussed under "Risk Factors" contained in the applicable prospectus supplement, in any free writing prospectuses that we may authorize to be provided to you, 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. These forward-looking statements include, but are not limited to, statements about:

our business and scientific strategies;

the progress of our product development programs, including clinical testing, and the timing of results thereof;

our corporate collaborations and revenues that may be received from our collaborations;

our drug discovery technologies;

our research and development expenses;

protection of our intellectual property;

sufficiency of our cash resources; and

our operations and legal risks.

Many of the important factors that will determine these results are beyond our ability to control or predict. You are cautioned not to put undue reliance on any forward-looking statements, which speak only as of the date such forward-looking statements are made. You should carefully read this prospectus, the applicable prospectus supplement and any related free writing prospectus, together with the information incorporated herein by reference as described under the heading "Incorporation by Reference," completely and with the understanding that our actual future results may be materially different from what we expect. Except as otherwise required by law, we do not assume any obligation to publicly update or release any revisions to these forward-looking statements to reflect events or circumstances after the date of this prospectus or to reflect the occurrence of unanticipated events.

**RATIO OF EARNINGS TO FIXED CHARGES AND RATIO OF
COMBINED FIXED CHARGES AND PREFERRED STOCK DIVIDENDS**

The following table sets forth our ratio of earnings to fixed charges and the ratio of our earnings to combined fixed charges and preferred stock dividends for the nine month period ended September 30, 2010. Our earnings were insufficient to cover fixed charges and combined fixed charges and preferred stock dividends in the years ended December 31, 2005, 2006, 2007, 2008 and 2009. "Earnings" consist of net income (loss) from operations before income taxes and fixed charges. "Fixed charges" consist of interest expense and the portion of operating lease expense that represents interest. The extent to which earnings were insufficient to cover fixed charges and combined fixed charges and preferred stock dividends for those periods is shown below. Amounts shown are in thousands, except the ratios.

	Nine Month Period Ended September 30, 2010	Year Ended December 31,				
		2009	2008	2007	2006	2005
Ratio of earnings to fixed charges	41					
Ratio of earnings to combined fixed charges and preferred stock dividends	41					
Deficiency of earnings available to cover fixed charges		\$ (111,640)	\$ (132,435)	\$ (74,272)	\$ (37,637)	\$ (45,256)
Deficiency of earnings available to cover combined fixed charges and preferred stock dividends		\$ (111,640)	\$ (132,435)	\$ (74,272)	\$ (37,637)	\$ (45,256)

USE OF PROCEEDS

Except as described in the applicable prospectus supplement or in any related free writing prospectus we have authorized for use in connection with a specific offering, we currently intend to use the net proceeds from the sale of the securities offered hereby 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 we believe 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

General

As of the date of this prospectus, our authorized capital stock consists of 100,000,000 shares of common stock, \$0.001 par value per share, and 10,000,000 shares of preferred stock, \$0.001 par value per share. As of December 7, 2010, there were 52,157,471 shares of our common stock outstanding. No shares of preferred stock were outstanding as of December 7, 2010.

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 applicable provisions of our amended and restated certificate of incorporation, 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 is a part, see "Where You Can Find Additional 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 stockholders. The holders of our common stock do not have cumulative voting rights. Additionally, 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 outstanding shares of preferred stock, the holders of common stock are entitled to receive ratably such dividends as may be declared by our Board of Directors out of legally available funds. Upon our liquidation, dissolution or winding up, holders of our common stock are entitled to share ratably in all assets remaining available for distribution to our stockholders after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of 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. Additional shares of authorized common stock may be issued, as authorized by our Board of Directors from time to time, without stockholder approval, except as may be required by applicable stock exchange requirements.

The rights of the holders of our common stock are subject to, and may be adversely affected by, the rights of holders of shares of any preferred stock that we may designate and issue in the future.

Preferred Stock

Pursuant to our amended and restated certificate of incorporation, our Board of Directors has the authority, without further action by the stockholders (unless such stockholder action is required by applicable law or NASDAQ rules), to designate and issue up to 10,000,000 shares of preferred stock in one or more series, to establish from time to time the number of shares to be included in each such series, to fix the designations, voting powers, preferences and rights of the shares of each wholly unissued series, and any qualifications, limitations or restrictions thereof, and to increase or decrease the number of shares of any such series, but not below the number of shares of such series then outstanding.

We will fix the designations, voting powers, preferences and rights of the preferred stock of each series, as well as the qualifications, limitations or restrictions thereof, in the certificate of designation relating to that series. We will file as an exhibit to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of any

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

certificate of designation that describes the terms of the series of preferred stock we are offering before the issuance of that series of preferred stock. This description will include:

the title and stated value;

the number of shares we are offering;

the liquidation preference per share;

the purchase price per share;

the dividend rate per share, dividend period and payment dates and method of calculation for dividends;

whether dividends will be cumulative or non-cumulative and, if cumulative, the date from which dividends will accumulate;

our right, if any, to defer payment of dividends and the maximum length of any such deferral period;

the procedures for any auction and remarketing, if any;

the provisions for a sinking fund, if any;

the provisions for redemption or repurchase, if applicable, and any restrictions on our ability to exercise those redemption and repurchase rights;

any listing of the preferred stock on any securities exchange or market;

whether the preferred stock will be convertible into our common stock, and, if applicable, the conversion price, or how it will be calculated, the conversion period;

whether the preferred stock will be exchangeable into debt securities, and, if applicable, the exchange price, or how it will be calculated, and the exchange period;

voting rights, if any, of the preferred stock;

preemptive rights, if any;

restrictions on transfer, sale or other assignment, if any;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

whether interests in the preferred stock will be represented by depositary shares;

a discussion of material or special U.S. federal income tax considerations, if any, applicable to the preferred stock;

the relative ranking and preferences of the preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs;

any limitations on the issuance of any class or series of preferred stock ranking senior to or on a parity with the series of preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs; and

any other specific terms, preferences, rights or limitations of, or restrictions on, the preferred stock.

The General Corporation Law of the State of Delaware, the state of our incorporation, provides that the holders of preferred stock will have the right to vote separately as a class (or, in some cases, as a series) on an amendment to our certificate of incorporation if the amendment would change the par value or, unless the certificate of incorporation provides otherwise, the number of authorized shares of the class or change the powers, preferences or special rights of the class or series so as to adversely

affect the class or series, as the case may be. This right is in addition to any voting rights that may be provided for in the applicable certificate of designation.

Our Board of Directors may authorize the issuance of preferred stock with voting or conversion rights that could adversely affect the voting power or other rights of the holders of our common stock. Preferred stock could be issued quickly with terms designed 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 our common stock.

Stock Options and Warrants

As of December 7, 2010, there were 11,341,098 shares of our common stock reserved for issuance or future grant under our 2000 Equity Incentive Plan, 1,097,812 shares available for issuance under our 2000 Employee Stock Purchase Plan and 882,211 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan. In addition, as of such date, an entity held warrants to purchase 200,000 shares of our common stock and certain other individuals held options to purchase 9,696,141 shares of our common stock.

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

Delaware Takeover Statute. We are subject to Section 203 of the Delaware General Corporation Law. Section 203 generally prohibits a public Delaware corporation such as us 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:

prior to the date of the transaction, the Board of Directors of the corporation approved either the business combination or the transaction which resulted in the stockholder becoming an interested stockholder;

upon consummation of the transaction which resulted in the stockholder becoming an interest stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction commenced, excluding for purposes of determining the number of shares outstanding (a) shares owned by persons who are directors and also officers and (b) employee stock plans in which employee participants do not have the right to determine confidentially whether shares held subject to the plan will be tendered in a tender or exchange offer; or

on or subsequent to the date of the transaction, the business combination is approved by the board and authorized at an annual or special meeting of stockholders, and not by written consent, by the affirmative vote of at least 66²/₃% of the outstanding voting stock which is not owned by the interested stockholder.

Section 203 defines a business combination to include:

any merger or consolidation involving the corporation and the interested stockholder;

any sale, lease, exchange, mortgage, pledge, transfer or other disposition (in one transaction or a series of transactions) involving the interested stockholder of 10% or more of the assets of the corporation (or its majority-owned subsidiary);

subject to certain exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder;

subject to exceptions, any transaction involving the corporation that has the effect, directly or indirectly, of increasing the proportionate share of the stock or any class or series of the corporation beneficially owned by the interested stockholder; and

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

the receipt by the interested stockholder of the benefit, directly or indirectly (except proportionately as a stockholder of such corporation), of any loans, advances, guarantees, pledges or other financial benefits, other than certain benefits set forth in Section 203, provided by or through the corporation.

In general, Section 203 defines an interested stockholder as any entity or person beneficially owning 15% or more of the outstanding voting stock of the corporation and any entity or person that is an affiliate or associate of such entity or person.

Charter Documents. Provisions of our amended and restated certificate of incorporation and amended and restated bylaws may delay or discourage transactions involving an actual or potential change in our control or change in our management, including transactions in which stockholders might otherwise receive a premium for their shares, or transactions that our stockholders might otherwise deem to be in their best interests. Therefore, these provisions could adversely affect the price of our common stock. 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 10,000,000 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.

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. The transfer agent for any series of preferred stock that we may offer under this prospectus will be named and described in the prospectus supplement for that series.

NASDAQ Global Market Listing

Our common stock is listed on The NASDAQ Global Market under the trading symbol "RIGL."

DESCRIPTION OF DEBT SECURITIES

We may issue debt securities from time to time, in one or more series, as either senior or subordinated debt or as senior or subordinated convertible debt. While the terms we have summarized below will apply generally to any debt securities that we may offer under this prospectus, we will describe the particular terms of any debt securities that we may offer in more detail in the applicable prospectus supplement or any related free writing prospectuses. The terms of any debt securities offered under a prospectus supplement may differ from the terms described below.

Unless the context requires otherwise, whenever we refer to the indenture, we also are referring to any supplemental indentures that specify the terms of a particular series of debt securities.

We will issue the debt securities under an indenture that we will enter into with the trustee named in the indenture. The indenture will be qualified under the Trust Indenture Act of 1939. We have filed a form of the indenture as an exhibit to the registration statement of which this prospectus is a part, and supplemental indentures and forms of debt securities containing the terms of the debt securities being offered will be filed as exhibits to the registration statement of which this prospectus is a part or will be incorporated by reference from reports that we file with the SEC.

The following summaries of material provisions of the debt securities and the indenture are subject to, and qualified in their entirety by reference to, all of the provisions of the indenture, including any supplemental indentures applicable to a particular series of debt securities. We urge you to read the applicable prospectus supplements and any related free writing prospectuses related to the debt securities that we may offer under this prospectus, as well as the complete indenture that contains the terms of the debt securities.

General

The indenture does not limit the amount of debt securities that we may issue. It provides that we may issue debt securities up to the principal amount that we may authorize and may be in any currency or currency unit that we may designate. Except for the limitations on consolidation, merger and sale of all or substantially all of our assets contained in the indenture, the terms of the indenture do not contain any covenants or other provisions designed to give holders of any debt securities protection against changes in our operations, financial condition or transactions involving us.

We may issue the debt securities issued under an indenture as "discount securities," which means they may be sold at a discount below their stated principal amount. These debt securities, as well as other debt securities that are not issued at a discount, may be issued with "original issue discount," or OID, for U.S. federal income tax purposes because of interest payment and other characteristics or terms of the debt securities. Certain U.S. federal income tax considerations applicable to debt securities issued with OID will be described in more detail in any applicable prospectus supplement.

We will describe in the applicable prospectus supplement the terms of the series of debt securities being offered, including:

the title;

any limit on the amount that may be issued;

whether or not we will issue the series of debt securities in whole or in part in the form of a global security or securities; the terms and conditions, if any, upon which such global security or securities may be exchanged in whole or in part for other individual securities; and the depositary for such global security or securities;

the maturity date or dates;

the form of debt securities of the series;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

the applicability of any guarantees;

whether and under what circumstances, if any, we will pay additional amounts on any debt securities held by a person who is not a United States person for tax purposes, and whether we can redeem the debt securities if we have to pay such additional amounts;

if the price (expressed as a percentage of the aggregate principal amount thereof) at which such debt securities will be issued is a price other than the principal amount thereof, the portion of the principal amount thereof payable upon declaration of acceleration of the maturity thereof, or if applicable, the portion of the principal amount of such debt securities that is convertible into another security or the method by which any such portion shall be determined;

any and all other terms (including terms, to the extent applicable, relating to any auction or remarketing of the debt securities of that series and any security for our obligations with respect to such debt securities) with respect to such series, including any terms which may be required by or advisable under United States laws or regulations or advisable in connection with the marketing of debt securities of that series;

the interest rate or rates, which may be fixed or variable, or the method for determining the rate and the date interest will begin to accrue, the dates interest will be payable and the regular record dates for interest payment dates or the method for determining such dates;

whether or not the debt securities will be secured or unsecured, and the terms of any secured debt;

whether the debt securities rank as senior debt, senior subordinated debt, subordinated debt or any combination thereof, and the terms of any subordination;

whether the debt securities will be convertible into or exchangeable for, or based upon the price of, shares of common stock, preferred stock or other securities of ours or any other person and, if so, the terms and conditions upon which such debt securities will be so convertible or exchangeable, including the conversion or exchange price, as applicable, or how it will be calculated and may be adjusted, any mandatory or optional (at our option or the holders' option) conversion or exchange features, the applicable conversion or exchange period and the manner of settlement for any conversion or exchange, which may, without limitation, include the delivery of cash as well as the delivery of securities;

if other than the full principal amount thereof, the portion of the principal amount of debt securities of the series which shall be payable upon declaration of acceleration of the maturity thereof;

any changes in or additions to the covenants applicable to the particular debt securities being issued, including, among others, the consolidation, merger or sale covenant;

additions to or changes in the Events of Default with respect to the debt securities and any change in the right of the trustee or the holders to declare the principal, premium, if any, and interest, if any, with respect to such debt securities to be due and payable;

additions to or changes in or deletions of the provisions relating to covenant defeasance and legal defeasance;

additions to or changes in the provisions relating to satisfaction and discharge of an indenture;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

additions to or changes in the provisions relating to the modification of an indenture both with and without the consent of holders of debt securities issued under an indenture;

whether interest will be payable in cash or additional debt securities at our or the holders' option and the terms and conditions upon which the election may be made;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

additional or alternative provisions, if any, related to defeasance and discharge of the offered debt securities;

the place where payments will be payable;

restrictions on transfer, sale or other assignment, if any;

our right, if any, to defer payment of interest and the maximum length of any such deferral period;

the date, if any, after which, and the price at which, we may, at our option, redeem the series of debt securities pursuant to any optional or provisional redemption provisions and the terms of those redemption provisions;

the date, if any, on which, and the price at which we are obligated, pursuant to any mandatory sinking fund or analogous fund provisions or otherwise, to redeem, or at the holder's option to purchase, the series of debt securities and the currency or currency unit in which the debt securities are payable;

a discussion of material United States federal income tax considerations, if any, applicable to the debt securities;

information describing any book-entry features;

whether the debt securities are to be offered at a price such that they will be deemed to be offered at an "original issue discount" as defined in paragraph (a) of Section 1273 of the Internal Revenue Code;

the denominations in which we will issue the series of debt securities, if other than denominations of \$1,000 and any integral multiple thereof;

the currency of payment of debt securities if other than U.S. dollars and the manner of determining the equivalent amount in U.S. dollars; and

any other specific terms, preferences, rights or limitations of, or restrictions on, the debt securities, including any additional events of default or covenants provided with respect to the debt securities, and any terms that may be required by us or advisable under applicable laws or regulations.

Conversion or Exchange Rights

We will set forth in the prospectus supplement the terms on which a series of debt securities may be convertible into or exchangeable for our common stock or our other securities. We will include provisions as to whether conversion or exchange is mandatory, at the option of the holder or at our option. We may include provisions pursuant to which the number of shares of our common stock or our other securities that the holders of the series of debt securities receive would be subject to adjustment.

Consolidation, Merger or Sale

Unless we provide otherwise in the prospectus supplement applicable to a particular series of debt securities, the indenture will not contain any covenant that restricts our ability to merge or consolidate, or sell, convey, transfer or otherwise dispose of all or substantially all of our assets. However, any successor to or acquirer of such assets must assume all of our obligations under the indenture or the debt securities, as appropriate. If the debt securities are convertible into or exchangeable for our other securities or securities of other entities, the person with whom we consolidate or merge or to whom we sell all of our property must make provisions for the conversion of the debt securities into securities

that the holders of the debt securities would have received if they had converted the debt securities before the consolidation, merger or sale.

Events of Default Under the Indenture

Unless we provide otherwise in the prospectus supplement applicable to a particular series of debt securities, the following are events of default under the indenture with respect to any series of debt securities that we may issue:

if we fail to pay any installment of interest on any series of debt securities as and when the same becomes due and payable, and such default continues for 90; provided, however, that a valid extension of an interest payment period by us in accordance with the terms of any indenture supplemental thereto shall not constitute a default in the payment of interest for this purpose;

if we fail to pay the principal of, or premium, if any, on any series of debt securities as and when the same becomes due and payable whether at maturity, upon redemption, by declaration or otherwise, or in any payment required by any sinking or analogous fund established with respect to such series; provided, however, that a valid extension of the maturity of such debt securities in accordance with the terms of any indenture supplemental thereto shall not constitute a default in the payment of principal or premium, if any;

if we fail to observe or perform any other covenant or agreement contained in the debt securities or the indenture, other than a covenant specifically relating to another series of debt securities, and our failure continues for 90 days after we receive written notice of such failure, requiring the same to be remedied and stating that such is a notice of default thereunder, from the trustee or holders of at least 25% in aggregate principal amount of the outstanding debt securities of the applicable series; and

if specified events of bankruptcy, insolvency or reorganization occur.

If an event of default with respect to debt securities of any series occurs and is continuing, other than an event of default specified in the last bullet point above, the trustee or the holders of at least 25% in aggregate principal amount of the outstanding debt securities of that series, by notice to us in writing, and to the trustee if notice is given by such holders, may declare the unpaid principal of, premium, if any, and accrued interest, if any, due and payable immediately. If an event of default specified in the last bullet point above occurs with respect to us, the principal amount of and accrued interest, if any, of each issue of debt securities then outstanding shall be due and payable without any notice or other action on the part of the trustee or any holder.

The holders of a majority in principal amount of the outstanding debt securities of an affected series may waive any default or event of default with respect to the series and its consequences, except defaults or events of default regarding payment of principal, premium, if any, or interest, unless we have cured the default or event of default in accordance with an indenture. Any waiver shall cure the default or event of default.

Subject to the terms of the indenture, if an event of default under an indenture shall occur and be continuing, the trustee will be under no obligation to exercise any of its rights or powers under such indenture at the request or direction of any of the holders of the applicable series of debt securities, unless such holders have offered the trustee reasonable indemnity. The holders of a majority in principal amount of the outstanding debt securities of any series will have the right to direct the time, method and place of conducting any proceeding for any remedy available to the trustee, or exercising

any trust or power conferred on the trustee, with respect to the debt securities of that series, provided that:

the direction so given by the holder is not in conflict with any law or the applicable indenture; and

subject to its duties under the Trust Indenture Act of 1939, the trustee need not take any action that might involve it in personal liability or might be unduly prejudicial to the holders not involved in the proceeding.

A holder of the debt securities of any series will have the right to institute a proceeding under the indenture or to appoint a receiver or trustee, or to seek other remedies only if:

the holder has given written notice to the trustee of a continuing event of default with respect to that series;

the holders of at least 25% in aggregate principal amount of the outstanding debt securities of that series have made written request, and such holders have offered reasonable indemnity to the trustee to institute the proceeding as trustee; and

the trustee does not institute the proceeding, and does not receive from the holders of a majority in aggregate principal amount of the outstanding debt securities of that series other conflicting directions within 90 days after the notice, request and offer.

These limitations do not apply to a suit instituted by a holder of debt securities if we default in the payment of the principal, premium, if any, or interest on, the debt securities.

Modification of Indenture; Waiver

We and the trustee may change an indenture without the consent of any holders with respect to specific matters:

to fix any ambiguity, defect or inconsistency in an indenture or in the debt securities of any series;

to comply with the provisions described above under "Description of Debt Securities Consolidation, Merger or Sale;"

to comply with any requirements of the SEC in connection with the qualification of any indenture under the Trust Indenture Act of 1939;

to add to, delete from or revise the conditions, limitations, and restrictions on the authorized amount, terms, or purposes of issue, authentication and delivery of debt securities, as set forth in an indenture;

to provide for the issuance of and establish the form and terms and conditions of the debt securities of any series as provided above under "Description of Debt Securities General" to establish the form of any certifications required to be furnished pursuant to the terms of an indenture or any series of debt securities, or to add to the rights of the holders of any series of debt securities;

to evidence and provide for the acceptance of appointment under any indenture by a successor trustee;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

to provide for uncertificated debt securities in addition to or in place of certificated debt securities and to make all appropriate changes for such purpose;

to add to our covenants such new covenants, restrictions, conditions or provisions for the protection of the holders, and to make the occurrence, or the occurrence and the continuance,

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

of a default in any such additional covenants, restrictions, conditions or provisions an event of default or to surrender any right or power conferred upon us in an indenture; or

to make any change that does not materially adversely affect the interests of any holder of debt securities of any series.

In addition, under the indenture, the rights of holders of a series of debt securities may be changed by us and the trustee with the written consent of the holders of at least a majority in aggregate principal amount of the outstanding debt securities of each series that is affected. However, unless we provide otherwise in the prospectus supplement applicable to a particular series of debt securities, we and the trustee may make the following changes only with the consent of each holder of any outstanding debt securities affected:

extending the fixed maturity of any series of debt securities;

reducing the principal amount, reducing the rate of or extending the time of payment of interest, or reducing any premium payable upon the redemption of any series of debt securities; or

reducing the percentage of debt securities, the holders of which are required to consent to any amendment, supplement, modification or waiver.

Discharge

The indenture provides that we can elect to be discharged from our obligations with respect to one or more series of debt securities, except for specified obligations, including obligations to:

provide for payment;

register the transfer or exchange of debt securities of the series;

replace stolen, lost or mutilated debt securities of the series;

pay principal of and premium and interest on any debt securities of the series;

maintain paying agencies;

hold monies for payment in trust;

recover excess money held by the trustee;

compensate and indemnify the trustee; and

appoint any successor trustee.

In order to exercise our rights to be discharged, we must deposit with the trustee money or government obligations sufficient to pay all the principal of, any premium, if any, and interest on, the debt securities of the series on the dates payments are due.

Form, Exchange and Transfer

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

We will issue the debt securities of each series only in fully registered form without coupons and, unless we provide otherwise in the applicable prospectus supplement, in denominations of \$1,000 and any integral multiple thereof. The indenture provides that we may issue debt securities of a series in temporary or permanent global form and as book-entry securities that will be deposited with, or on behalf of, The Depository Trust & Clearing Corporation or another depository named by us and identified in a prospectus supplement with respect to that series. See "Legal Ownership of Securities" below for a further description of the terms relating to any book-entry securities.

At the option of the holder, subject to the terms of the indenture and the limitations applicable to global securities described in the applicable prospectus supplement, the holder of the debt securities of any series can exchange the debt securities for other debt securities of the same series, in any authorized denomination and of like tenor and aggregate principal amount.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

Subject to the terms of the indenture and the limitations applicable to global securities set forth in the applicable prospectus supplement, holders of the debt securities may present the debt securities for exchange or for registration of transfer, duly endorsed or with the form of transfer endorsed thereon duly executed if so required by us or the security registrar, at the office of the security registrar or at the office of any transfer agent designated by us for this purpose. Unless otherwise provided in the debt securities that the holder presents for transfer or exchange, we will impose no service charge for any registration of transfer or exchange, but we may require payment of any taxes or other governmental charges.

We will name in the applicable prospectus supplement the security registrar, and any transfer agent in addition to the security registrar, that we initially designate for any debt securities. We may at any time designate additional transfer agents or rescind the designation of any transfer agent or approve a change in the office through which any transfer agent acts, except that we will be required to maintain a transfer agent in each place of payment for the debt securities of each series.

If we elect to redeem the debt securities of any series, we will not be required to:

issue, register the transfer of, or exchange any debt securities of that series during a period beginning at the opening of business 15 days before the day of mailing of a notice of redemption of any debt securities that may be selected for redemption and ending at the close of business on the day of the mailing; or

register the transfer of or exchange any debt securities so selected for redemption, in whole or in part, except the unredeemed portion of any debt securities we are redeeming in part.

Information Concerning the Trustee

The trustee, other than during the occurrence and continuance of an event of default under an indenture, undertakes to perform only those duties as are specifically set forth in the applicable indenture. Upon an event of default under an indenture, the trustee must use the same degree of care as a prudent person would exercise or use in the conduct of his or her own affairs. Subject to this provision, the trustee is under no obligation to exercise any of the powers given it by the indenture at the request of any holder of debt securities unless it is offered reasonable security and indemnity against the costs, expenses and liabilities that it might incur.

Payment and Paying Agents

Unless we otherwise indicate in the applicable prospectus supplement, we will make payment of the interest on any debt securities on any interest payment date to the person in whose name the debt securities, or one or more predecessor securities, are registered at the close of business on the regular record date for the interest.

We will pay principal of and any premium and interest on the debt securities of a particular series at the office of the paying agents designated by us, except that unless we otherwise indicate in the applicable prospectus supplement, we will make interest payments by check that we will mail to the holder or by wire transfer to certain holders. Unless we otherwise indicate in the applicable prospectus supplement, we will designate the corporate trust office of the trustee as our sole paying agent for payments with respect to debt securities of each series. We will name in the applicable prospectus supplement any other paying agents that we initially designate for the debt securities of a particular series. We will maintain a paying agent in each place of payment for the debt securities of a particular series.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

All money we pay to a paying agent or the trustee for the payment of the principal of or any premium or interest on any debt securities that remains unclaimed at the end of two years after such principal, premium or interest has become due and payable will be repaid to us, and the holder of the debt security thereafter may look only to us for payment thereof.

Governing Law

The indenture and the debt securities will be governed by and construed in accordance with the laws of the State of New York, except to the extent that the Trust Indenture Act of 1939 is applicable.

DESCRIPTION OF WARRANTS

The following description, together with the additional information we may include in any applicable prospectus supplements and free writing prospectuses, summarizes the material terms and provisions of the warrants that we may offer under this prospectus, which may consist of warrants to purchase common stock, preferred stock or debt securities and may be issued in one or more series. Warrants may be offered independently or together with common stock, preferred stock or debt securities offered by any prospectus supplement, and may be attached to or separate from those securities. While the terms we have summarized below will apply generally to any warrants that we may offer under this prospectus, we will describe the particular terms of any series of warrants in more detail in the applicable prospectus supplement. The following description of warrants will apply to the warrants offered by this prospectus unless we provide otherwise in the applicable prospectus supplement. The applicable prospectus supplement for a particular series of warrants may specify different or additional terms.

We have filed forms of the warrant agreements and forms of warrant certificates containing the terms of the warrants that may be offered as exhibits to the registration statement of which this prospectus is a part. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of warrant agreement and warrant certificate, as applicable, that describe the terms of the particular series of warrants we are offering, and any supplemental agreements, before the issuance of such warrants. The following summaries of material terms and provisions of the warrants are subject to, and qualified in their entirety by reference to, all the provisions of the warrant agreement and warrant certificate, as applicable, and any supplemental agreements applicable to a particular series of warrants that we may offer under this prospectus. We urge you to read the applicable prospectus supplement related to the particular series of warrants that we may offer under this prospectus, as well as any related free writing prospectuses, and the complete warrant agreement and warrant certificate, as applicable, and any supplemental agreements, that contain the terms of the warrants.

General

We will describe in the applicable prospectus supplement the terms of the series of warrants being offered, including:

the offering price and aggregate number of warrants offered;

the currency for which the warrants may be purchased;

if applicable, the designation and terms of the securities with which the warrants are issued and the number of warrants issued with each such security or each principal amount of such security;

if applicable, the date on and after which the warrants and the related securities will be separately transferable;

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

in the case of warrants to purchase debt securities, the principal amount of debt securities purchasable upon exercise of one warrant and the price at, and currency in which, this principal amount of debt securities may be purchased upon such exercise;

in the case of warrants to purchase common stock or preferred stock, the number of shares of common stock or preferred stock, as the case may be, purchasable upon the exercise of one warrant and the price at which these shares may be purchased upon such exercise;

the effect of any merger, consolidation, sale or other disposition of our business on the warrant agreements and the warrants;

the terms of any rights to redeem or call the warrants;

any provisions for changes to or adjustments in the exercise price or number of securities issuable upon exercise of the warrants;

the dates on which the right to exercise the warrants will commence and expire;

the manner in which the warrant agreements and warrants may be modified;

a discussion of material or special U.S. federal income tax considerations, if any, of holding or exercising the warrants;

the terms of the securities issuable upon exercise of the warrants; and

any other specific terms, preferences, rights or limitations of or restrictions on the warrants.

Before exercising their warrants, holders of warrants will not have any of the rights of holders of the securities purchasable upon such exercise, including:

in the case of warrants to purchase debt securities, the right to receive payments of principal of, or premium, if any, or interest on, the debt securities purchasable upon exercise or to enforce covenants in the applicable indenture; or

in the case of warrants to purchase common stock or preferred stock, the right to receive dividends, if any, or payments upon our liquidation, dissolution or winding up or to exercise voting rights, if any.

Exercise of Warrants

Each warrant will entitle the holder to purchase the securities that we specify in the applicable prospectus supplement at the exercise price that we describe in the applicable prospectus supplement. The warrants may be exercised as set forth in the prospectus supplement relating to the warrants offered. Unless we otherwise specify in the applicable prospectus supplement, warrants may be exercised at any time up to the close of business on the expiration date set forth in the prospectus supplement relating to the warrants offered thereby. After the close of business on the expiration date, unexercised warrants will become void.

Upon receipt of payment and the warrant certificate or agreement, as applicable, properly completed and duly executed at the corporate trust office of the warrant agent, if any, or any other office, including ours, indicated in the prospectus supplement, we will, as soon as practicable, issue and deliver the securities purchasable upon such exercise. If less than all of the warrants represented by such warrant certificate or agreement are exercised, a new warrant certificate or agreement will be issued for the remaining warrants.

Governing Law

Unless we provide otherwise in the applicable prospectus supplement, the warrants and warrant agreements will be governed by and construed in accordance with the laws of the State of New York.

Enforceability of Rights by Holders of Warrants

Each warrant agent, if any, will act solely as our agent under the applicable warrant agreement and will not assume any obligation or relationship of agency or trust with any holder of any warrant. A single bank or trust company may act as warrant agent for more than one issue of warrants. A warrant agent will have no duty or responsibility in case of any default by us under the applicable warrant agreement or warrant, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a warrant may, without the consent of the related warrant agent or the holder of any other warrant, enforce by appropriate legal action its right to exercise, and receive the securities purchasable upon exercise of, its warrants.

Outstanding Warrants

As of December 7, 2010, there were outstanding warrants to purchase 200,000 shares of our common stock at an exercise price of \$6.61 per share. Certain of the outstanding warrants may be exercised for cash or on a cashless basis, in which case we will deliver, upon exercise, the number of shares with respect to which the warrant is being exercised reduced by a number of shares having a value (as determined in accordance with the terms of the applicable warrant) equal to the aggregate exercise price of the shares with respect to which the warrant is being exercised.

DESCRIPTION OF UNITS

The following description, together with the additional information that we include in any applicable prospectus supplements and in any related free writing prospectuses that we may authorize to be distributed to you, summarizes the material terms and provisions of the units that we may offer under this prospectus. While the terms we have summarized below will apply generally to any units that we may offer under this prospectus, we will describe the particular terms of any series of units in more detail in the applicable prospectus supplement. The terms of any units offered under a prospectus supplement may differ from the terms described below.

We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of unit agreement that describes the terms of the series of units we are offering, and any supplemental agreements, before the issuance of the related series of units. The following summaries of material terms and provisions of the units are subject to, and qualified in their entirety by reference to, all the provisions of the unit agreement and any supplemental agreements applicable to a particular series of units. We urge you to read the applicable prospectus supplements related to the particular series of units that we may offer under this prospectus, as well as any related free writing prospectuses and the complete unit agreement and any supplemental agreements that contain the terms of the units.

General

We may issue, in one more series, units consisting of common stock, preferred stock, debt securities and/or warrants for the purchase of common stock, preferred stock and/or debt securities in any combination. Each unit will be issued so that the holder of the unit is also the holder of each security included in the unit. Thus, the holder of a unit will have the rights and obligations of a holder of each included security. The unit agreement under which a unit is issued may provide that the securities included in the unit may not be held or transferred separately, at any time or at any time before a specified date.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

We will describe in the applicable prospectus supplement the terms of the series of units being offered, including:

the designation and terms of the units and of the securities comprising the units, including whether and under what circumstances those securities may be held or transferred separately;

any provisions of the governing unit agreement that differ from those described below; and

any provisions for the issuance, payment, settlement, transfer or exchange of the units or of the securities comprising the units.

The provisions described in this section, as well as those described under "Description of Capital Stock," "Description of Debt Securities" and "Description of Warrants" will apply to each unit and to any common stock, preferred stock, debt security or warrant included in each unit, respectively.

Issuance in Series

We may issue units in such amounts and in such numerous distinct series as we determine.

Enforceability of Rights by Holders of Units

Each unit agent, if any, will act solely as our agent under the applicable unit agreement and will not assume any obligation or relationship of agency or trust with any holder of any unit. A single bank or trust company may act as unit agent for more than one series of units. A unit agent will have no duty or responsibility in case of any default by us under the applicable unit agreement or unit, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a unit may, without the consent of the related unit agent or the holder of any other unit, enforce by appropriate legal action its rights as holder under any security included in the unit.

Title

We, and any unit agent and any of their agents, may treat the registered holder of any unit certificate as an absolute owner of the units evidenced by that certificate for any purpose and as the person entitled to exercise the rights attaching to the units so requested, despite any notice to the contrary. See "Legal Ownership of Securities" below.

LEGAL OWNERSHIP OF SECURITIES

We can issue securities in registered form or in the form of one or more global securities. We describe global securities in greater detail below. We refer to those persons who have securities registered in their own names on the books that we or any applicable trustee, depository or warrant agent maintain for this purpose as the "holders" of those securities. These persons are the legal holders of the securities. We refer to those persons who, indirectly through others, own beneficial interests in securities that are not registered in their own names, as "indirect holders" of those securities. As we discuss below, indirect holders are not legal holders, and investors in securities issued in book-entry form or in street name will be indirect holders.

Book-Entry Holders

We may issue securities in book-entry form only, as we will specify in the applicable prospectus supplement. This means securities may be represented by one or more global securities registered in the name of a financial institution that holds them as depository on behalf of other financial institutions that participate in the depository's book-entry system. These participating institutions, which are

referred to as participants, in turn, hold beneficial interests in the securities on behalf of themselves or their customers.

Only the person in whose name a security is registered is recognized as the holder of that security. Securities issued in global form will be registered in the name of the depository or its participants. Consequently, for securities issued in global form, we will recognize only the depository as the holder of the securities, and we will make all payments on the securities to the depository. The depository passes along the payments it receives to its participants, which in turn pass the payments along to their customers who are the beneficial owners. The depository and its participants do so under agreements they have made with one another or with their customers; they are not obligated to do so under the terms of the securities.

As a result, investors in a book-entry security will not own securities directly. Instead, they will own beneficial interests in a global security, through a bank, broker or other financial institution that participates in the depository's book-entry system or holds an interest through a participant. As long as the securities are issued in global form, investors will be indirect holders, and not holders, of the securities.

Street Name Holders

We may terminate a global security or issue securities in non-global form. In these cases, investors may choose to hold their securities in their own names or in "street name." Securities held by an investor in street name would be registered in the name of a bank, broker or other financial institution that the investor chooses, and the investor would hold only a beneficial interest in those securities through an account he or she maintains at that institution.

For securities held in street name, we will recognize only the intermediary banks, brokers and other financial institutions in whose names the securities are registered as the holders of those securities, and we will make all payments on those securities to them. These institutions pass along the payments they receive to their customers who are the beneficial owners, but only because they agree to do so in their customer agreements or because they are legally required to do so. Investors who hold securities in street name will be indirect holders, not holders, of those securities.

Legal Holders

Our obligations, as well as the obligations of any applicable trustee and of any third parties employed by us or a trustee, run only to the legal holders of the securities. We do not have obligations to investors who hold beneficial interests in global securities, in street name or by any other indirect means. This will be the case whether an investor chooses to be an indirect holder of a security or has no choice because we are issuing the securities only in global form.

For example, once we make a payment or give a notice to the holder, we have no further responsibility for the payment or notice even if that holder is required, under agreements with depository participants or customers or by law, to pass it along to the indirect holders but does not do so. Similarly, we may want to obtain the approval of the holders to amend an indenture, to relieve us of the consequences of a default or of our obligation to comply with a particular provision of an indenture or for other purposes. In such an event, we would seek approval only from the holders, and not the indirect holders, of the securities. Whether and how the holders contact the indirect holders is up to the holders.

Special Considerations For Indirect Holders

If you hold securities through a bank, broker or other financial institution, either in book-entry form or in street name, you should check with your own institution to find out:

how it handles securities payments and notices;

whether it imposes fees or charges;

how it would handle a request for the holders' consent, if ever required;

whether and how you can instruct it to send you securities registered in your own name so you can be a holder, if that is permitted in the future;

how it would exercise rights under the securities if there were a default or other event triggering the need for holders to act to protect their interests; and

if the securities are in book-entry form, how the depositary's rules and procedures will affect these matters.

Global Securities

A global security is a security that represents one or any other number of individual securities held by a depositary. Generally, all securities represented by the same global securities will have the same terms.

Each security issued in book-entry form will be represented by a global security that we deposit with and register in the name of a financial institution or its nominee that we select. The financial institution that we select for this purpose is called the depositary. Unless we specify otherwise in the applicable prospectus supplement, The Depository Trust & Clearing Corporation, known as DTC, will be the depositary for all securities issued in book-entry form.

A global security may not be transferred to or registered in the name of anyone other than the depositary, its nominee or a successor depositary, unless special termination situations arise. We describe those situations below under "Special Situations When a Global Security Will Be Terminated." As a result of these arrangements, the depositary, or its nominee, will be the sole registered owner and holder of all securities represented by a global security, and investors will be permitted to own only beneficial interests in a global security. Beneficial interests must be held by means of an account with a broker, bank or other financial institution that in turn has an account with the depositary or with another institution that does. Thus, an investor whose security is represented by a global security will not be a holder of the security, but only an indirect holder of a beneficial interest in the global security.

If the prospectus supplement for a particular security indicates that the security will be issued in global form only, then the security will be represented by a global security at all times unless and until the global security is terminated. If termination occurs, we may issue the securities through another book-entry clearing system or decide that the securities may no longer be held through any book-entry clearing system.

Special Considerations For Global Securities

The rights of an indirect holder relating to a global security will be governed by the account rules of the investor's financial institution and of the depositary, as well as general laws relating to securities transfers. We do not recognize an indirect holder as a holder of securities and instead deal only with the depositary that holds the global security.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

If securities are issued only in the form of a global security, an investor should be aware of the following:

an investor cannot cause the securities to be registered in his or her name, and cannot obtain non-global certificates for his or her interest in the securities, except in the special situations we describe below;

an investor will be an indirect holder and must look to his or her own bank or broker for payments on the securities and protection of his or her legal rights relating to the securities, as we describe above;

an investor may not be able to sell interests in the securities to some insurance companies and to other institutions that are required by law to own their securities in non-book-entry form;

an investor may not be able to pledge his or her interest in a global security in circumstances where certificates representing the securities must be delivered to the lender or other beneficiary of the pledge in order for the pledge to be effective;

the depositary's policies, which may change from time to time, will govern payments, transfers, exchanges and other matters relating to an investor's interest in a global security;

we and any applicable trustee have no responsibility for any aspect of the depositary's actions or for its records of ownership interests in a global security, nor do we or any applicable trustee supervise the depositary in any way;

the depositary may, and we understand that DTC will, require that those who purchase and sell interests in a global security within its book-entry system use immediately available funds, and your broker or bank may require you to do so as well; and

financial institutions that participate in the depositary's book-entry system, and through which an investor holds its interest in a global security, may also have their own policies affecting payments, notices and other matters relating to the securities.

There may be more than one financial intermediary in the chain of ownership for an investor. We do not monitor and are not responsible for the actions of any of those intermediaries.

Special Situations When a Global Security Will Be Terminated

In a few special situations described below, the global security will terminate and interests in it will be exchanged for physical certificates representing those interests. After that exchange, the choice of whether to hold securities directly or in street name will be up to the investor. Investors must consult their own banks or brokers to find out how to have their interests in securities transferred to their own name, so that they will be direct holders. We have described the rights of holders and street name investors above.

Unless we provide otherwise in the applicable prospectus supplement, the global security will terminate when the following special situations occur:

if the depositary notifies us that it is unwilling, unable or no longer qualified to continue as depositary for that global security and we do not appoint another institution to act as depositary within 90 days;

if we notify any applicable trustee that we wish to terminate that global security; or

if an event of default has occurred with regard to securities represented by that global security and has not been cured or waived.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

The applicable prospectus supplement may also list additional situations for terminating a global security that would apply only to the particular series of securities covered by the applicable prospectus supplement. When a global security terminates, the depository, and not we or any applicable trustee, is responsible for deciding the names of the institutions that will be the initial direct holders.

PLAN OF DISTRIBUTION

We may sell the securities from time to time pursuant to underwritten public offerings, negotiated transactions, block trades or a combination of these methods. We may sell the securities to or through underwriters or dealers, through agents, or directly to one or more purchasers. We may distribute securities from time to time in one or more transactions:

at a fixed price or prices, which may be changed;

at market prices prevailing at the time of sale;

at prices related to such prevailing market prices; or

at negotiated prices.

A prospectus supplement or supplements (and any related free writing prospectus that we may authorize to be provided to you) will describe the terms of the offering of the securities, including, to the extent applicable:

the name or names of the underwriters, if any;

the purchase price of the securities or other consideration therefor, and the proceeds, if any, we will receive from the sale;

any over-allotment options under which underwriters may purchase additional securities from us;

any agency fees or underwriting discounts and other items constituting agents' or underwriters' compensation;

any public offering price;

any discounts or concessions allowed or reallocated or paid to dealers; and

any securities exchange or market on which the securities may be listed.

Only underwriters named in the prospectus supplement will be underwriters of the securities offered by the prospectus supplement.

If underwriters are used in the sale, they will acquire the securities for their own account and may resell the securities from time to time in one or more transactions at a fixed public offering price or at varying prices determined at the time of sale. The obligations of the underwriters to purchase the securities will be subject to the conditions set forth in the applicable underwriting agreement. We may offer the securities to the public through underwriting syndicates represented by managing underwriters or by underwriters without a syndicate. Subject to certain conditions, the underwriters will be obligated to purchase all of the securities offered by the prospectus supplement, other than securities covered by any over-allotment option. Any public offering price and any discounts or concessions allowed or reallocated or paid to dealers may change from time to time. We may use underwriters with whom we have a material relationship. We will describe in the prospectus supplement, naming the underwriter, the nature of any such relationship.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

We may sell securities directly or through agents we designate from time to time. We will name any agent involved in the offering and sale of securities and we will describe any commissions we will pay the agent in the prospectus supplement. Unless the prospectus supplement states otherwise, our agent will act on a best-efforts basis for the period of its appointment.

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

We may authorize agents or underwriters to solicit offers by certain types of institutional investors to purchase securities from us at the public offering price set forth in the prospectus supplement pursuant to delayed delivery contracts providing for payment and delivery on a specified date in the future. We will describe the conditions to these contracts and the commissions we must pay for solicitation of these contracts in the prospectus supplement.

We may provide agents and underwriters with indemnification against civil liabilities, including liabilities under the Securities Act, or contribution with respect to payments that the agents or underwriters may make with respect to these liabilities. Agents and underwriters may engage in transactions with, or perform services for, us in the ordinary course of business.

All securities we may offer, other than common stock, will be new issues of securities with no established trading market. Any underwriters may make a market in these securities, but will not be obligated to do so and may discontinue any market making at any time without notice. We cannot guarantee the liquidity of the trading markets for any securities.

Any underwriter may engage in over-allotment, stabilizing transactions, short-covering transactions and penalty bids in accordance with Regulation M under the Exchange Act. Over-allotment involves sales in excess of the offering size, which create a short position. Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum price. Syndicate-covering or other short-covering transactions involve purchases of the securities, either through exercise of the over-allotment option or in the open market after the distribution is completed, to cover short positions. Penalty bids permit the underwriters to reclaim a selling concession from a dealer when the securities originally sold by the dealer are purchased in a stabilizing or covering transaction to cover short positions. Those activities may cause the price of the securities to be higher than it would otherwise be. If commenced, the underwriters may discontinue any of the activities at any time.

Any underwriters that are qualified market makers on The NASDAQ Global Market may engage in passive market making transactions in the common stock on The NASDAQ Global Market in accordance with Regulation M under the Exchange Act, during the business day prior to the pricing of the offering, before the commencement of offers or sales of the common stock. Passive market makers must comply with applicable volume and price limitations and must be identified as passive market makers. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for such security; if all independent bids are lowered below the passive market maker's bid, however, the passive market maker's bid must then be lowered when certain purchase limits are exceeded. Passive market making may stabilize the market price of the securities at a level above that which might otherwise prevail in the open market and, if commenced, may be discontinued at any time.

In compliance with guidelines of the Financial Industry Regulatory Authority, or FINRA, the maximum consideration or discount to be received by any FINRA member or independent broker dealer may not exceed 8% of the aggregate amount of the securities offered pursuant to this prospectus and any applicable prospectus supplement.

LEGAL MATTERS

Cooley LLP, Palo Alto, California will pass for us upon the validity of the securities being offered by this prospectus and the applicable prospectus supplements, and counsel named in the applicable prospectus supplement will pass upon legal matters for any underwriters, dealers or agents.

EXPERTS

Ernst & Young LLP, independent registered public accounting firm, has audited our financial statements included in our Annual Report on Form 10-K for the year ended December 31, 2009, and the effectiveness of our internal control over financial reporting as of December 31, 2009, as set forth in their reports, which are incorporated by reference in this prospectus and elsewhere in the registration statement. Our financial statements are incorporated by reference in reliance on Ernst & Young LLP's reports, given on their authority as experts in accounting and auditing.

WHERE YOU CAN FIND ADDITIONAL INFORMATION

This prospectus is part of a registration statement we filed with the Securities and Exchange Commission. You should rely only on the information that we have provided or incorporated by reference in this prospectus, any applicable prospectus supplement and any related free writing prospectuses that we may authorize to be provided to you. We have not authorized anyone to provide you with different information. The information in this prospectus, any applicable prospectus supplement or any related free writing prospectus is accurate only as of the date on the front of the document, and any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus, any applicable prospectus supplement or any related free writing prospectus, or any sale of a security.

We file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission. You may read and copy any document filed by us with the Securities and Exchange Commission at the Securities and Exchange Commission's Public Reference Room at 100 F Street NE, Washington, D.C. 20549. You may obtain information on the operation of the Public Reference Room by calling the Securities and Exchange Commission at (800) SEC-0330. The Securities and Exchange Commission maintains a website that contains reports, proxy statements and other information regarding issuers that file electronically with the Securities and Exchange Commission, including Rigel. The address of the Securities and Exchange Commission website is <http://www.sec.gov>. We maintain a website at www.rigel.com. Information found on, or accessible through, our website is not a part of, and is not incorporated into, this prospectus, and you should not consider it part of this prospectus or part of any prospectus supplement.

Important Information Incorporated By Reference

The Securities and Exchange Commission allows us to "incorporate by reference" information into this prospectus, which means that we can disclose important information to you by referring you to another document filed separately with the Securities and Exchange Commission. The SEC file number for the documents incorporated by reference in this prospectus is 0-29889. The documents incorporated by reference into this prospectus contain important information that you should read about us.

The following documents are incorporated by reference into this document:

Our Annual Report on Form 10-K for the fiscal year ended December 31, 2009, filed with the SEC on March 2, 2010;

Our Quarterly Reports on Form 10-Q for the quarters ended March 31, 2010, June 30, 2010 and September 30, 2010, filed with the SEC on May 4, 2010, August 3, 2010 and November 2, 2010, respectively;

Our Current Reports on Form 8-K, filed with the SEC on February 18, 2010 and June 1, 2010; and

The description of our common stock, which is registered under Section 12 of the Exchange Act, in our registration statement on Form 8-A, filed with the SEC on October 3, 2000, including any amendments or reports filed for the purpose of updating such description.

We also incorporate by reference into this prospectus all documents (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) that are filed by us with the Securities and Exchange Commission pursuant to Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act (i) after the date of the filing of the registration statement of which this prospectus is a part and prior to effectiveness of such registration statement, or (ii) from the date of this prospectus but prior to the termination of the offering. These documents

Edgar Filing: RIGEL PHARMACEUTICALS INC - Form 424B5

include periodic reports, such as Annual Reports on Form 10-K, Quarterly Reports on Form 10-Q and Current Reports on Form 8-K, as well as proxy statements.

We will provide to each person, including any beneficial owner, to whom a prospectus is delivered, without charge upon written or oral request, a copy of any or all of the documents that are incorporated by reference into this prospectus but not delivered with the prospectus, including exhibits which are specifically incorporated by reference into such documents. Requests should be directed to: Rigel Pharmaceuticals, Inc., Attn: Corporate Secretary, 1180 Veterans Boulevard, South San Francisco, CA 94080, telephone: (650) 624-1100.

Any statement contained herein or in a document incorporated or deemed to be incorporated by reference into this document will be deemed to be modified or superseded for purposes of the document to the extent that a statement contained in this document or any other subsequently filed document that is deemed to be incorporated by reference into this document modifies or supersedes the statement.

Table of Contents

16,300,000 Shares

Common Stock

Prospectus Supplement

Joint Book-Running Managers

Jefferies

J.P. Morgan

Co-Manager

Piper Jaffray

May 26, 2011
