RIGEL PHARMACEUTICALS INC
Form S-3/A
June 23, 2003

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As filed with the Securities and Exchange Commission on June 23, 2003

Registration No. 333-105431

SECURITIES AND EXCHANGE COMMISSION

Washington, D.C. 20549

Amendment No. 1
to

FORM S-3

REGISTRATION STATEMENT
UNDER
THE SECURITIES ACT OF 1933

RIGEL PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware	**94-3248524**
(State or other jurisdiction of incorporation or organization)	(I.R.S. Employer Identification No.)

1180 Veterans Blvd.
South San Francisco, CA 94080
(650) 624-1100

(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

James M. Gower
Chief Executive Officer
Rigel Pharmaceuticals, Inc.
1180 Veterans Blvd.
South San Francisco, CA 94080
(650) 624-1100

(Name, address, including zip code, and telephone number, including area code, of agent for service)

Copies to:

Suzanne Sawochka Hooper, Esq.
Cooley Godward LLP
Five Palo Alto Square
3000 El Camino Real
Palo Alto, CA 94306
(650) 843-5000

Approximate date of commencement of proposed sale to the public: As soon as practicable after this Registration Statement becomes effective.

If the only securities being registered on this form are being offered pursuant to dividend or interest reinvestment plans, please check the following box. o

If any of the securities registered on this form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, other than securities offered only in connection with dividend or interest reinvestment plans, check the following box. ý

If this form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering. o

If this form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering. o

If delivery of the prospectus is expected to be made pursuant to Rule 434, please check the following box. o

The Registrant hereby amends this Registration Statement on such date or dates as may be necessary to delay its effective date until the Registrant shall file a further amendment which specifically states that this Registration Statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933 or until the Registration Statement shall become effective on such date as the Commission, acting pursuant to said Section 8(a), may determine.

The information in this prospectus is not complete and may be changed. We may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities and is not soliciting offers to buy these securities in any state where such offer or sale is not permitted.

SUBJECT TO COMPLETION, DATED JUNE 23, 2003

15,625,000 Shares

RIGEL PHARMACEUTICALS, INC.

Common Stock

Rigel Pharmaceuticals, Inc. is distributing to its stockholders, at no charge, non-transferable subscription rights to purchase up to an aggregate of 15,625,000 shares of Rigel common stock at a cash subscription price of $0.64 per share. Each stockholder will receive one subscription right for each share of Rigel common stock owned of record on April 29, 2003. Each subscription right will entitle the holder to purchase of a share of Rigel common stock, rounded down in the aggregate to the nearest whole number. We refer to this as the "basic subscription privilege." Each subscription right will carry with it an over-subscription privilege for shares that are not otherwise purchased through the exercise of the basic subscription privilege.

The subscription rights will expire if they are not exercised by 5:00 p.m. Central Daylight time on , 2003, the expected expiration date of the rights offering. We, in our sole discretion, may extend the period for exercising the subscription rights. Subscription rights that are not exercised by the expiration date of the rights offering will expire and will have no value. You should carefully consider whether or not to exercise your subscription rights before the expiration date.

Investing in our common stock involves risks. **You should consider carefully the risk factors beginning on page 5 before deciding whether to exercise your subscription rights.**

Rigel common stock trades on the Nasdaq National Market under the symbol "RIGL."

	Per Share	Aggregate
Subscription Price	$0.64	$10,000,000
Estimated Expenses	$[ ]	$176,000
Net Proceeds to Rigel	$[ ]	$9,824,000

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 , 2003.

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This prospectus is part of a registration statement we filed with the Securities and Exchange Commission. You should rely only on the information we have provided or incorporated by reference in this prospectus. We have not authorized anyone to provide you with information different from that contained in this prospectus. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus. 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. You should assume that the information in this prospectus is accurate only as of the date on the front of the document and that 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 or any sale of a security.

The rights offering will be commenced only after the completion of a private placement as described in the proxy statement filed by Rigel on May 19, 2003, which is subject to customary closing conditions. Please note that share numbers and share prices in this preliminary prospectus do not give effect to the reverse stock split anticipated to be completed prior to the rights offering as described in the proxy statement filed by Rigel on May 19, 2003.

PROSPECTUS SUMMARY

This section answers in summary form some questions you may have about the rights offering and highlights some of the information contained elsewhere in this prospectus. Because this section is a summary, it does not contain all of the important information that you should consider before exercising the subscription rights or investing in Rigel common stock. You should read the entire prospectus carefully, including the "Risk Factors" section and the documents listed under "Where You Can Find More Information." For convenience, references in this prospectus to "we," "us" or "Rigel" mean Rigel Pharmaceuticals, Inc.

About Rigel

Rigel's mission is to become a source of novel, small-molecule drugs to meet large, unmet medical needs. Our business model is to develop a portfolio of drug candidates and to take these through Phase II clinical trials, after which we intend to seek partners for completion of clinical trials, regulatory approval and marketing. We have identified three lead product development programs: mast cell inhibition to treat immunologic diseases such as asthma/allergy and autoimmune disorders, antiviral agents to treat Hepatitis C, and ubiquitin ligases, a new class of cancer drug targets. We have begun clinical testing of our first product candidate, for the treatment of allergic rhinitis, and plan to begin clinical trials of two additional drug candidates for the treatment of Hepatitis C and rheumatoid arthritis within the next twelve months. Our approach to drug discovery is based on advanced, proprietary functional genomics techniques that allow us to identify targets with a demonstrable role in a disease pathway and to screen efficiently for those targets that are likely to be amenable to drug modulation. We were incorporated in Delaware in June 1996, and we are based in South San Francisco, California.

QUESTIONS & ANSWERS ABOUT THE RIGHTS OFFERING

What is the rights offering?

The rights offering is a distribution of non-transferable subscription rights on a pro rata basis to all of our stockholders. We are distributing subscription rights for every share of our common stock held on April 29, 2003, the record date. If all subscription rights are exercised, we will issue approximately 15,625,000 shares of our common stock in the rights offering, raising gross proceeds of approximately $10 million.

What is the purpose of the rights offering?

We recently entered into an agreement to sell 71,874,999 shares of our common stock at $0.64 per share and warrants to purchase an additional 14,374,997 shares of our common stock at $0.64 per share for aggregate gross proceeds of approximately $46 million in a private placement (the "private placement") led by MPM Capital, L.P. that includes Frazier Healthcare, Alta Partners and HBM BioVentures (the "private placement investors"). The private placement investors have waived any right to participate in the rights offering. Our primary purpose for the rights offering is to allow the holders of our common stock at the time that we signed the agreement providing for the private placement an opportunity to further invest in Rigel and restore a portion, although not all, of their proportionate interest in our common stock at the same price per share of common stock as was paid by the private placement investors. The rights offering does not include the warrants that were issued to the private placement investors. The rights offering will commence only after the completion of the private placement. Additionally, through the rights offering we hope to raise approximately $10 million of additional capital.

If I am a stockholder, what happens to my ownership interest in Rigel as compared to my ownership interest after the private placement?

The private placement will have a highly dilutive effect on the holders of our common stock prior to the consummation of the private placement. For purposes of example only, a stockholder who owned approximately 5.0% of our outstanding stock as of April 29, 2003 would own approximately 2.0% of the shares outstanding immediately after the private placement, assuming the issuance of 71,874,999 shares of our common stock to the private placement investors, and would own 1.7% of the shares outstanding immediately after the private placement, assuming full exercise of the warrants to purchase 14,374,997 shares of our common stock issued in the private placement. If you exercise your basic subscription privilege in full, your proportionate ownership interest in Rigel will be less than your proportionate ownership interest in Rigel as of the time that we signed the agreement providing for the private placement, but you will restore a portion of your proportionate ownership interest. If you exercise your over-subscription privilege and receive shares pursuant to the over-subscription privilege, you will restore an increased portion of your proportionate ownership interest in Rigel as of the time that we signed the agreement providing for the private placement. If you do not exercise your subscription rights, your proportionate ownership interest in Rigel will be less than your proportionate ownership interest in Rigel as of the time that we signed the agreement providing for the private placement. If you do not exercise your subscription rights, you will lose any value inherent in the subscription rights.

What is a subscription right?

Each full subscription right entitles stockholders to purchase of a share of our common stock, rounded down in the aggregate to the nearest whole number, at a subscription price of $0.64 per share and carries with it a basic subscription privilege and an over-subscription privilege. The subscription right has been calculated taking into account the waiver of any Rights held by the private placement investors.

What is the basic subscription privilege?

The basic subscription privilege of the subscription rights entitles you to purchase of a share of our common stock, rounded down in the aggregate to the nearest whole number, at the subscription price for every subscription right you hold.

What is the over-subscription privilege?

The over-subscription privilege included with the subscription rights entitles you, if you fully exercise your basic subscription privilege, to subscribe for additional shares of our common stock at the subscription price to the extent that other subscription rights holders do not exercise their subscription rights. If sufficient shares are available, we will honor all over-subscription requests in full. If over-subscription requests exceed the shares available, we will allocate the available shares pro rata among those who over-subscribed based on the number of shares subscribed for pursuant to the basic subscription privilege. "Pro rata" means in proportion to the number of shares of our common stock that you and the other subscription rights holders have purchased by exercising your basic subscription privileges on your common stock holdings.

When does the rights offering expire?

The rights offering expires at 5:00 p.m. Central Daylight time on , 2003. We may extend the expiration date until , 2003 for any reason. We may extend the expiration date until some later date if a material event occurs and we need more time to adequately disclose information to subscription rights holders. See "The Rights Offering Expiration Date; Amendment and Termination."

Am I required to subscribe in the rights offering?

No.

What happens if I choose not to exercise my subscription rights?

You will retain your current number of shares of our common stock even if you do not exercise your subscription rights. If you choose not to exercise your subscription rights, then the percentage of our common stock that you own will decrease.

May I sell or transfer my subscription rights if I do not want to purchase any shares?

No. The subscription rights are not transferable. Only you may exercise the subscription rights.

How do I exercise my subscription rights if my shares are held in the name of my broker, custodian bank or other nominee?

If you hold your shares in a brokerage account, custodian bank or by another nominee, you will not receive a subscription rights certificate. We will ask your broker, custodian bank or other nominee to notify you of the rights offering. If you wish to exercise your subscription rights, you will need to have your broker, custodian bank or other nominee act for you. To indicate your decision, you should complete and return to your broker, custodian bank or other nominee the form entitled "Beneficial Owner Election Form." You should receive this form from your broker, custodian bank or other nominee with the other rights offering materials. You should contact your broker, custodian bank or other nominee if you do not receive this form, but you believe you are entitled to participate in this offering.

How do I exercise my subscription rights if my shares are held in my name?

If you hold your shares directly, you will receive a subscription rights certificate. You may exercise your subscription rights by completing and signing the purchase form that appears on the back of each subscription rights certificate. You must then send the completed and signed form, along with payment in full of the subscription price for all shares of our common stock to be purchased through the basic subscription privilege and, if exercised, the over-subscription privilege, to Wells Fargo Bank MN, N.A., the subscription agent.

The subscription agent must receive these documents and the subscription payment no later than the time and date the rights offering expires.

You may also exercise your subscription rights by following the procedures for guaranteed delivery described under "The Rights Offering Guaranteed Delivery Procedures" beginning on page 25. In this case, you must deliver the Notice of Guaranteed Delivery and subscription payment to the subscription agent by the time and date the rights offering expires. You must also deliver the properly completed subscription rights certificate to the subscription agent no later than three business days following the time and date the rights offering expires.

We have provided more detailed instructions on how to exercise your subscription rights under "The Rights Offering Exercise of Subscription Rights" beginning on page 22 and with the subscription rights certificate accompanying this prospectus.

What should I do if I want to participate in the rights offering and I am a stockholder in a foreign country or in the armed services?

The subscription agent will mail subscription certificates to you if you are a rights holder whose address is outside the United States or if you have an army post office or a fleet post office address. To

exercise your subscription rights, you must notify the subscription agent on or prior to 5:00 p.m. Central Daylight time on , 2003, and take all other steps that are necessary to exercise your subscription rights, on or prior to that time. If you do not follow these procedures prior to the expiration of the rights offering, your subscription rights will expire.

If I exercise rights in the rights offering, may I cancel or change my decision?

No. All exercises of subscription rights are irrevocable.

Will I be charged a sales commission or a fee if I exercise my subscription rights?

We will not charge a brokerage commission or a fee to subscription rights holders for exercising their rights. However, if you exercise your subscription rights through a broker or nominee, you will be responsible for any fees charged by your broker or nominee.

If the rights offering is not completed, will my subscription payment be refunded to me?

Yes. The subscription agent will hold all funds it receives in escrow until completion of the rights offering. If the rights offering is not completed, the subscription agent will return, without interest, all subscription payments.

What are the United States federal income tax consequences of exercising my subscription rights?

A holder of our common stock generally should not recognize income or loss for federal income tax purposes in connection with the receipt or exercise of subscription rights in the rights offering. We urge you to consult your own tax advisor with respect to the particular tax consequences of the rights offering or the related share issuance to you. See "Certain United States Federal Income Tax Consequences" on page 28.

Are there risks involved in exercising my subscription rights?

Yes. A purchase of our common stock involves a high degree of risk. You should read and carefully consider the information set forth under "Risk Factors" beginning on page 5 and the information contained elsewhere in this prospectus. You should decide whether to subscribe for our common stock based upon your own assessment of your best interests.

What is the recommendation of Rigel's board of directors regarding the rights offering?

Rigel's board of directors makes no recommendation as to whether or not you should subscribe for our common stock.

Whom should I contact with questions?

If you have questions or need assistance, please contact James Welch at:

Rigel Pharmaceuticals, Inc.
1180 Veterans Blvd.
South San Francisco, CA 94080
(650) 624-1100

For further assistance on how to subscribe for shares, you may also contact the subscription agent for the rights offering by telephone at:

Wells Fargo Shareowner Services
1-800-468-9716

RISK FACTORS

You should carefully consider the following factors, together with the other information contained in this prospectus, before exercising subscription rights or purchasing the Rigel common stock we are offering. An investment in Rigel common stock involves a high degree of risk and may not be appropriate for investors who cannot afford to lose their entire investment.

Risks Relating to the Rights Offering

As a holder of our common stock, you may suffer significant dilution of your percentage ownership of our common stock.

The private placement will have a highly dilutive effect on the holders of our common stock prior to the consummation of the private placement. If you do not exercise your subscription rights and shares are purchased by other stockholders in the rights offering, your proportionate voting and ownership interest will be further reduced and the percentage that your original shares represent of our expanded equity after exercise of the subscription rights will be diluted. For example, if you own 200,000 shares of our common stock before the rights offering, or approximately % of our outstanding common stock, and you exercise none of your subscription rights while all other subscription rights are exercised by other stockholders, then your percentage ownership would be reduced to approximately %. The magnitude of the reduction of your percentage ownership will depend upon the extent to which you subscribe in the rights offering.

The subscription price per share is not an indication of our value, and you may not be able to sell shares purchased upon the exercise of your subscription rights at a price equal to or greater than the subscription price.

The subscription price per share does not necessarily bear any relationship to the value of our assets, operations, cash flows, earnings, financial condition or any other established criteria for value. As a result, you should not consider the subscription price as an indication of the current value of our company or our common stock. We cannot assure you that you will be able to sell shares purchased in this offering at a price equal to or greater than the subscription price.

The rights offering may cause the price of our common stock to decrease immediately, and this decrease may continue.

The subscription price per share of $0.64 equals % of the current market price of our common stock determined by averaging the closing price of our common stock on the Nasdaq National Market for the five trading days ending on , 2003. This discount, along with the number of shares we propose to issue and ultimately will issue if the rights offering is completed, may result in an immediate decrease in the market value of our common stock. This decrease may continue after the completion of the rights offering.

If you exercise your subscription rights, you may not revoke the exercise of your subscription rights, and you may be unable to sell any shares you purchase at a profit.

The public trading market price of our common stock may decline after you elect to exercise your subscription rights. If that occurs, you may have committed to buy shares of common stock at a price above the prevailing market price and you will have an immediate unrealized loss. Moreover, we cannot assure you that following the exercise of subscription rights you will be able to sell your shares of common stock at a price equal to or greater than the subscription price.

Your ability to sell shares of our common stock purchased in the rights offering may be delayed by the time required to deliver the stock certificates.

Until shares are delivered upon expiration of the rights offering, you may not be able to sell the shares of our common stock that you purchase in the rights offering. Certificates representing shares of our common stock purchased will be delivered as soon as practicable after expiration of the rights offering.

You may not revoke the exercise of your subscription rights even if there is a decline in our common stock price prior to the expiration date of the subscription period.

Even if our common stock price declines below the subscription price for the common stock in the rights offering, resulting in a loss on your investment upon the exercise of rights to acquire shares of our common stock, you may not revoke or change your exercise of rights after you send in your subscription forms and payment.

You may not revoke the exercise of your subscription rights even if we decide to extend the expiration date of the subscription period.

We may, in our sole discretion, extend the expiration date of the subscription period to a date no later than , 2003, unless our board of directors believes that a material event has occurred and we need more time to disclose adequately to you information about the event. During any potential extension of time, our common stock price may decline below the subscription price and result in a loss on your investment upon the exercise of rights to acquire shares of our common stock. If the expiration date is extended after you send in your subscription forms and payment, you still may not revoke or change your exercise of rights.

You will not receive interest on subscription funds returned to you.

If we cancel the rights offering, neither we nor the subscription agent will have any obligation with respect to the subscription rights except to return, without interest, any subscription payments to you.

The subscription rights are not transferable and there is no market for the subscription rights.

You may not sell, give away or otherwise transfer your subscription rights. The subscription rights are only transferable by operation of law. Because the subscription rights are non-transferable, there is no market or other means for you to directly realize any value associated with the subscription rights. You must exercise the subscription rights and acquire additional shares of our common stock to realize any value.

Because we may terminate the offering, your participation in the offering is not assured.

Once you exercise your subscription rights, you may not revoke the exercise for any reason unless we amend the offering. If we decide to terminate the offering, we will not have any obligation with respect to the subscription rights except to return any subscription payments, without interest.

If you do not act promptly and follow subscription instructions, your subscription rights may be rejected.

Stockholders who desire to purchase shares in the rights offering must act promptly to ensure that all required forms and payments are actually received by the subscription agent prior to 5:00 p.m. Central Daylight time on , 2003, the expiration date of the rights offering. If you fail to complete and sign the required subscription forms, send an incorrect payment amount, or otherwise fail to follow the subscription procedures that apply to your desired transaction, the subscription agent may,

depending on the circumstances, reject your subscription or accept it to the extent of the payment received. Neither we nor our subscription agent undertakes to contact you concerning, or attempt to correct, an incomplete or incorrect subscription form or payment. We have the sole discretion to determine whether a subscription exercise properly follows the subscription procedures.

Risks Related to Rigel

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

Our operations will require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the expansion of our facilities and the absence of any meaningful revenues for the foreseeable future. The amount of future funds needed will depend largely on the success of our collaborations and our research activities, and we do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our infrastructure and research and development activities.

To the extent we raise additional capital by issuing equity securities, our stockholders would at that time would experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend on many uncertain factors.

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

- our ability to maintain our existing collaboration partnerships;
- our ability to establish, and the scope of, new collaborations;
- the progress and number of research programs carried out at Rigel;
- the progress of the research and development efforts of our collaborators;
- any changes in the breadth of our research and development programs;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- our ability to maintain and establish new corporate relationships and research collaborations;
- our ability to acquire or license other technologies or compounds, if any;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;

our ability to manage our growth;

competing technological and market developments;

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

the costs and timing of regulatory approvals; and

expenses associated with unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to

product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

Our workforce reduction announced in January 2003 and any future workforce and expense reductions may have an adverse impact on our ability to make significant progress on our internal programs.

In January 2003, we announced a workforce reduction of 25 employees in order to reduce expenses. In light of our continued need for funding and expense control, we may be required to implement further workforce and expense reductions this year. Workforce and expense reductions have resulted, and further reductions could result, in reduced progress on our internal programs. In addition, employees, whether or not directly affected by a reduction, may seek future employment with our business partners or competitors. Although our employees are required to sign a confidentiality agreement at the time of hire, the confidential nature of certain proprietary information may not be maintained in the course of any such future employment. Further, we believe that our future success will depend in large part upon our ability to attract and retain highly skilled personnel. We may have difficulty attracting such personnel as a result of a perceived risk of future workforce and expense reductions. In addition, the implementation of expense reduction programs may result in the diversion of efforts of our executive management team and other key employees, which could adversely affect our business.

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

Due in large part to the significant research and development expenditures required to identify and validate new drug candidates and advance our programs into clinical testing, we have not been profitable and have generated operating losses since we were incorporated in June 1996. The extent of our future losses and the timing of potential profitability are highly uncertain, and we may never achieve profitable operations. We have incurred net losses of $37.0 million, $23.8 million and $25.3 million in each of the last three fiscal years, respectively. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of March 31, 2003, we had an accumulated deficit of approximately $122.6 million.

There is a high risk that early-stage drug discovery and development might not successfully generate good drug candidates.

At the present time, the majority of our operations are in the early stages of drug identification and development. To date, only one of our drug compounds has made it into the clinical testing stage. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential drug candidates will actually lead to successful drug development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our one drug compound in the clinic and our future leads for potential drug compounds will be subject to the risks and failures inherent in the development of pharmaceutical products based on new technologies. These risks include, but are not limited to, the inherent difficulty in selecting the right drug target and avoiding unwanted side effects as well as the unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, marketing, competition and costs and expenses that may exceed current estimates.

For example, we began a Phase I clinical trial of R112 in September 2002 in Britain. In this initial safety study, conducted with healthy volunteers, no significant adverse events were observed. The data from this trial was incorporated into an investigational new drug, or IND, application that was filed with the United States Food and Drug Administration, or FDA, in November 2002. Approval to proceed was received from the FDA in December 2002 and a clinical trial is now underway at National

Jewish Medical Center in Denver, Colorado. The clinical trial will evaluate the effectiveness of R112 in patients with documented allergies. We expect to have the preliminary initial results of this study in July or August of 2003. We cannot predict the results of this study or the impact the results will have on our business.

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

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

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

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

In addition, we typically rely on third-party clinical investigators to conduct our clinical trials and other third-party organizations to oversee the operations of such trials and to perform data collection and analysis. As a result, we may face additional delaying factors outside our control if these parties do not perform their obligations in a timely fashion. While we have not yet experienced delays that have materially impacted our clinical trials or product development costs, delays of this sort could occur for the reasons identified above or other reasons. If we have delays in testing or approvals, our product development costs will increase. For example, we may need to make additional payments to third-party investigators and organizations to retain their services or we may need to pay recruitment incentives. If the delays are significant, our financial results and the commercial prospects for our product candidates will be harmed, and our ability to become profitable will be delayed.

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

Our ability to generate revenues in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. Our ability to enter into new collaborations and the revenue, if any, that may be recognized under these collaborations is highly uncertain. If we are unable to enter into new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on the trading price of our stock.

To date, most of our revenues have been related to the research phase of each of our collaborative agreements. Such revenues are for specified periods, and the impact of such revenues on our results of

operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenue may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any milestone payments under these

agreements. Our receipt of revenue from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. In late 2001, we recorded the first revenue from achievement of milestones in both the Pfizer and Johnson & Johnson collaborations. During 2002, we recorded our first milestone for both Novartis and Daiichi. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to recognize revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business requires us to generate meaningful revenue from royalties and licensing agreements. To date, we have not received any revenue from royalties for the commercial sale of drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

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

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us, such failure might delay ongoing research and development efforts at Rigel because we might not receive any future milestone payments and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. For example, the funded research phase of our collaboration with Pfizer has been completed and the development portion of our collaboration is ongoing at Pfizer. In addition, in May 2002, Novartis elected to conclude the research phases of our two initial joint projects in the autoimmunity and transplant rejection areas, after 42 months, effective November 2002 and February 2003, respectively. Pursuant to the collaboration agreement, Novartis had the option to end the research phase on these programs after 24 months or 42 months. More generally, our current corporate collaboration agreements may terminate upon a breach or a change of control. We may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all.

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

We are also a party to various license agreements that give us rights to use specified technologies in our research and development processes. The agreements pursuant to which we have in-licensed

technology permit our licensors to terminate the agreements under certain circumstances. If we are not able to continue to license these and future technologies on commercially reasonable terms, our product development and research may be delayed.

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

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

If any of our corporate collaborators were to breach or terminate its agreement with us or otherwise fail to conduct the collaborative activities successfully and in a timely manner, the preclinical or clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated. We generally do not control the amount and timing of resources that our corporate

collaborators devote to our programs or potential products. We do not know whether current or future collaborative partners, if any, might pursue alternative technologies or develop alternative products either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaborative arrangements with us.

If we fail to enter into new collaborative arrangements in the future, our business and operations would be negatively impacted.

Although we have established several collaborative arrangements and various license agreements, we do not know if we will be able to establish additional arrangements in the future. For example, there have been, and may continue to be, a significant number of recent business combinations among large pharmaceutical companies that have resulted, and may continue to result, in a reduced number of potential future corporate collaborators, which may limit our ability to find partners who will work with us in developing and commercializing our drug targets. We entered into only one collaboration, with Daiichi, in 2002. If business combinations involving our existing corporate collaborators were to occur, the effect could be to diminish, terminate or cause delays in one or more of our corporate collaborations.

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

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. We have over 100 pending patent applications and 25 issued patents in the United States that are owned or exclusively licensed in our field as well as pending corresponding foreign patent applications. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. Additional uncertainty may result from because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

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

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

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

We are a party to certain in-license agreements that are important to our business, and we generally do not control the prosecution of in-licensed technology. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we exercise over our internally-developed technology. Moreover, some of our academic institution licensors, research collaborators and scientific advisors have rights

to publish data and information in which we have rights. If we cannot maintain the confidentiality of our technology and other confidential information in connection with our collaborations, then our ability to receive patent protection or protect our proprietary information will be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights.

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

Our success will also depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to ours or our licensors, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. For example, in June 2002, we resolved a dispute with Inoxell A/S (formed as a spinout from Pharmexa formally M&E Biotech) by entering into a global patent settlement concerning certain drug target identification technologies, which includes both cross-licensing and joint ownership to certain patents and allows for worldwide freedom of operation for both companies. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if we or our collaborators would be successful in any such litigation. Any legal action against our collaborators or us

claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

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

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

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

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

- must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;
- must meet requirements for institutional review board oversight;

must meet requirements for informed consent;

are subject to continuing FDA oversight;

may require large numbers of test subjects; and

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

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

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

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

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

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

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face competition from pharmaceutical and biotechnology companies both in the United States and abroad.

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

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

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the

approval of the FDA or other regulatory agencies for drug candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or products under development or obtain regulatory approval in the United States or elsewhere.

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

The drugs we hope to develop may be rejected by the marketplace due to many factors, including cost. Our ability to commercially exploit a drug may be limited due to the continuing efforts of

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

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

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

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

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

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

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

As a small company with only 134 employees as of May 15, 2003, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and regulatory and clinical personnel. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

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

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

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

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

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

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

If our officers, directors and largest stockholders choose to act together, they may be able to significantly affect our management and operations, acting in their best interests and not necessarily those of other stockholders.

Our directors, executive officers and principal stockholders and their affiliates beneficially own approximately 46% of our common stock, based on their beneficial ownership as of April 29, 2003. Accordingly, they collectively will have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise this ability in a manner that advances their best interests and not necessarily those of other stockholders.

On April 29, 2003, we entered into a definitive agreement for the sale of $46.0 million of newly issued shares of common stock and warrants to purchase common stock in a private placement led by MPM Capital, L.P. that includes Frazier Healthcare, Alta Partners and HBM BioVentures. Under the

terms of the agreement, we have agreed to issue to the investors 71,874,999 shares of common stock at a price of $0.64 per share and warrants to purchase an additional 14,374,997 shares of common stock at an exercise price of $0.64 per share. As a result of their combined approximate

70% ownership (without giving effect to the exercise of the warrants and assuming 46,376,004 shares outstanding on April 29, 2003), the investors would have control over Rigel following the closing of the transactions contemplated by the purchase agreement. If we successfully consummate the proposed private placement, the investors would hold the requisite percentage of our outstanding shares so as to permit them, if they chose to act in concert, to take actions requiring stockholder approval without obtaining the approval of our other stockholders. In addition, we would use our commercially reasonable best efforts to elect designees of MPM Capital as two of our nine board members as of the closing of the private placement.

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

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

- the receipt or failure to receive the significant amount of additional funding necessary to conduct our business;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- 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.

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 at least two-thirds of our capital stock;
- authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;
- limit who may call a special meeting of stockholders;

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

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

provide for a board of directors with staggered terms.

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.

FORWARD LOOKING STATEMENTS

Some of the statements in this prospectus and the documents incorporated by reference other than statements of historical fact are forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and within the meaning of Section 21E of the Securities Exchange Act of 1934, as amended, that are subject to the "safe harbor" created by those sections. These forward-looking statements include but are not limited to statements about:

risks associated with the success of research and product development programs;

results achieved in future preclinical studies and clinical trials;

sufficiency of our cash resources;

dependence on revenues from existing and new collaborations;

uncertainty of product development, need for additional capital and uncertainty of change;

our research and development and other expenses;

our operations and legal risks;

governmental regulation and the regulatory approval process;

uncertainty of health care reform measures;

uncertainty of potential proprietary rights;

the scope and validity of patents;

our proprietary technology and corporate partnerships;

dependence on key personnel;

history of operating losses and anticipation of future losses;

competitive technologies and products;

management of growth and risks of acquiring new technologies; and

our ability to complete the rights offering and the timing thereof.

These forward-looking statements are generally identified by words such as "expect," "anticipate," "intend," "believe," "hope," "assume," "estimate," "plan," "will" and other similar words and expressions. Discussions containing these forward-looking statements may be found, among other places, in "Business" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" incorporated by reference from our most recent annual report on Form 10-K, as well as any amendments thereto reflected in subsequent filings with the Securities and Exchange Commission. These forward-looking statements involve risks and uncertainties that could cause our actual results to differ materially from those in the forward-looking statements. Reference is made to discussion about

risks that may affect our business under "Risk Factors" above. We do not undertake any obligation to update forward-looking statements. The risks contained in this prospectus, among other things, should be considered in evaluating our prospects and future financial performance.

USE OF PROCEEDS

Our gross proceeds from the rights offering depend on the number of shares that are purchased. If all of the subscription rights offered by this prospectus are exercised, then we will receive approximately $10 million. We currently intend to use the net proceeds from the rights 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, the net proceeds will be invested in investment-grade, interest-bearing securities.

THE RIGHTS OFFERING

Before exercising any subscription rights, you should read carefully the information set forth under "Risk Factors."

The subscription rights

We will distribute to each holder of our common stock on the record date, which was 5:00 p.m. Central Daylight time on April 29, 2003, at no charge, one non-transferable subscription right for each share of our common stock owned. If all subscription rights are exercised, we will sell a total of approximately 15,625,000 shares of our common stock. The subscription rights will be evidenced by non-transferable subscription rights certificates. Although we will distribute subscription rights to each of our stockholders on the record date, the private placement investors have waived any subscription privileges in order to enhance the subscription privileges of our other common stockholders.

Each subscription right will allow you to purchase of a share of our common stock, rounded down to the nearest whole number, at the subscription price of $0.64 per share. If you elect to exercise your basic subscription privilege in full, you will also be entitled to subscribe, at the subscription price, for additional shares of our common stock under your over-subscription privilege to the extent that other stockholders do not exercise their basic subscription privileges in full. If the number of shares available after satisfaction of all basic subscriptions is insufficient to satisfy fully all elections to exercise the over-subscription privilege, we will allocate the excess shares pro rata among those over-subscribing. We will base the pro rata allocation on the number of shares subscribed for pursuant to the basic subscription privilege. The opportunity to

exercise the over-subscription privilege is available to all subscription rights holders on the same terms.

If you hold your shares in a brokerage account or by a custodian bank or other nominee, you will not receive a subscription rights certificate, and your subscription rights must be exercised through the broker, custodian bank or other nominee. The following describes the rights offering in general and assumes (unless specifically provided otherwise) that you are a record holder of our common stock. If you hold your shares in a brokerage account or by a custodian bank or other nominee, please contact your broker, custodian bank or other nominee to participate in the rights offering.

If you hold your shares directly, you will receive a non-transferable subscription rights certificate. As a holder of subscription rights you will be entitled to two subscription privileges: (1) a basic subscription privilege and (2) an over-subscription privilege. These privileges are described below.

We will not issue fractional shares in the rights offering, but rather will round down any fractional shares to the nearest whole share. For example, if you exercise 100 subscription rights, you will receive

shares of our common stock, instead of shares of our common stock you would have received without rounding.

Your purchase of shares of our common stock pursuant to the rights offering is not conditioned upon the subscription of any minimum number of shares by you and the other holders of the subscription rights.

Before exercising any subscription rights, you should read the information set forth under "Risk Factors" beginning on page 5 carefully.

Expiration date; amendments and termination

You may exercise the basic subscription privilege and the over-subscription privilege at any time before 5:00 p.m. Central Daylight time on , 2003, the expiration date for the rights offering. We may, in our sole discretion, extend the time for exercising the subscription rights. We will not extend the date the subscription rights expire beyond , 2003, unless our board of directors believes that a material event has occurred and we need more time to disclose adequately to you the information about the event. If the commencement of the rights offering is delayed for a period of time, the expiration date of the rights offering will be similarly extended. If we elect to extend the date the subscription rights expire, we will issue a press release announcing the extension before the first Nasdaq National Market trading day after the most recently announced expiration date.

We reserve the right, in our sole discretion, to amend, terminate or modify the terms of the rights offering. If we terminate the rights offering, all affected subscription rights will expire without value and we will promptly return all of your subscription payments to you, without interest or deduction.

If you do not exercise your subscription rights before the time they expire, then your subscription rights will be null and void. We will not be obligated to honor your exercise of subscription rights if the subscription agent receives the documents relating to your exercise after the time they expire, regardless of when you transmitted the documents, except when you have timely transmitted the documents pursuant to the guaranteed delivery procedures described below.

Subscription privileges

Your subscription rights entitle you to the basic subscription privilege and the over-subscription privilege.

Basic Subscription Privilege. With the basic subscription privilege, you may purchase of a share of our common stock, rounded down in the aggregate to the nearest whole number, per subscription right, upon delivery of the required documents and payment of the subscription price of $0.64 per share, before the time the subscription rights expire. You are not required to exercise all of your subscription rights unless you wish to purchase shares under your over-subscription privilege. We will deliver to the record holders who purchase share in the rights offering certificates representing the shares purchased with a holder's basic subscription privilege as soon as practicable after the rights offering has expired.

Over-Subscription Privilege. In addition to your basic subscription privilege, you may subscribe for additional shares of our common stock, upon delivery of the required documents and payment of the subscription price of $0.64 per share before the time the subscription rights expire, if you exercised your basic subscription privilege in full and other holders of subscription rights do not exercise their basic subscription privileges in full.

Pro Rata Allocation. If there are not enough shares to satisfy all subscriptions pursuant to the exercise of the over-subscription privilege, we will allocate the remaining shares pro rata (subject to the elimination of fractional shares) among those over-subscribing. Pro rata means in proportion to the

number of shares you and the other holders have purchased by exercising the basic subscription privileges. If there is a pro rata allocation of the remaining shares and the pro ration results in the allocation to you of a greater number of shares than you subscribed for pursuant to the over-subscription privilege, then we will allocate to you only the number of shares for which you subscribed. We will allocate the remaining shares among all other holders exercising their over-subscription privilege.

Full Exercise of the Basic Subscription Privilege. You may exercise the over-subscription privilege only if you exercise your basic subscription privilege in full. To determine if you have fully exercised your basic subscription privilege, we will consider only the basic subscription privileges held by you in the same capacity. For example, suppose you were granted subscription rights for shares of our common stock you own individually and shares of our common stock you own collectively with your spouse. If you wish to exercise your over-subscription privilege with respect to the subscription rights you own individually, but not with respect to subscription rights you own collectively with your spouse, you only need to exercise your basic subscription privilege with respect to your individually owned subscription rights. You do not have to subscribe for any shares under the basic subscription privilege owned collectively with your spouse to exercise your individual over-subscription privilege.

When you complete the portion of the subscription rights certificate to exercise your over-subscription privilege, you will be representing and certifying that you have fully exercised your basic subscription privilege as to shares of our common stock you hold in that capacity. You must exercise your over-subscription privilege at the same time you exercise your basic subscription privilege in full.

If you own your shares of our common stock through your bank, broker or other nominee holder who will exercise your over-subscription privilege on your behalf, the nominee holder will be required to certify to us and the subscription agent:

the number of shares held on the record date on your behalf;

the number of subscription rights you exercised under your basic subscription privilege;

that your entire basic subscription privilege held in the same capacity has been exercised in full; and

the number of shares of common stock you subscribed for pursuant to the over-subscription privilege.

Your nominee holder must also disclose to us certain other information received from you.

If you exercise less than all of the subscription rights evidenced by your subscription rights certificate by so indicating on your subscription rights certificate, the subscription agent will, if you so request, issue to you a new rights certificate evidencing the unexercised subscription rights. A new subscription rights certificate will be issued to you according to your instructions upon the partial exercise of subscription rights only if the subscription agent receives a properly endorsed subscription rights certificate no later than the fifth business day prior to the expiration date of the rights offering. After that date no new subscription rights certificates will be issued. Accordingly, after such date if you exercise less than all of your subscription rights you will lose the power to exercise your remaining subscription rights.

Return of Excess Payment. If you exercised your over-subscription privilege and are allocated less than all of the shares of our common stock for which you wished to subscribed, your excess payment for shares that were not allocated to you will be returned to you by mail, without interest or deduction, as soon as practicable after the expiration date of the rights offering. We will deliver to the record holders who purchase shares in the rights offering certificates representing the shares of our common

stock that were purchased as soon as practicable after the expiration date of the rights offering and after all pro rata allocations and adjustments have been completed.

Transferability of Subscription Rights. You may not transfer your subscription rights. Only you may exercise your subscription rights.

Subscription Price. To exercise your subscription rights, you must pay in cash the subscription price of $0.64 per share of our common stock.

Record date

The record date for the rights offering was April 29, 2003, at 5:00 p.m., Central Daylight time.

Subscription agent

We have appointed Wells Fargo Bank as subscription agent for the rights offering. We will pay the fees and expenses of the subscription agent. We also have agreed to indemnify the subscription agent from certain liabilities that it may incur in connection with the rights offering. Wells Fargo's telephone number is (800) 468-9716.

Exercise of subscription rights

You may exercise your subscription rights by delivering the following to the subscription agent at or before 5:00 p.m. Central Daylight time on , 2003, the expiration date of the rights offering:

- your properly completed and executed subscription rights certificate evidencing those subscription rights with any required signature guarantees or other supplemental documentation; and
- your payment in full of the subscription price for each share of our common stock subscribed for under your basic subscription privilege and over-subscription privilege.

If you are a beneficial owner of shares of our common stock whose shares are registered in the name of a broker, custodian bank or other nominee, you should instruct your broker, custodian bank or other nominee to exercise your subscription rights and deliver all documents and payment on your behalf prior to 5:00 p.m. Central Daylight time on , 2003, the expiration date of the rights offering.

Your subscription rights will not be considered exercised unless the subscription agent receives from you, your broker, custodian or nominee, as the case may be, all of the required documents and your full subscription price payment prior to 5:00 p.m. Central Daylight time on , 2003, the expiration date of the rights offering.

Once you exercise your subscription rights, you cannot revoke your subscription. In order to exercise your subscription rights, you must exercise them before they expire.

Method of payment

Your payment of the subscription price must be made in U.S. dollars for the full number of shares of our common stock for which you are subscribing by either:

- check or bank draft drawn upon a U.S. bank or postal, telegraphic or express money order payable to Wells Fargo Bank, as subscription agent; or
- wire transfer of immediately available funds to the account maintained by the subscription agent for such purpose at Wells Fargo Bank, MN, N.A., ABA No. 091000019, Account No. 1067899 (marked: "Rigel Pharmaceuticals, Inc. Subscription").

Receipt of Payment

Your payment of the subscription price will be deemed to have been received by the subscription agent only upon:

clearance of any uncertified check;

receipt by the subscription agent of any certified check or bank draft drawn upon a U.S. bank or any postal, telegraphic or express money order; or

receipt of collected funds in the subscription agent's account designated above.

Clearance of uncertified checks

You should note that funds paid by uncertified personal checks may take at least five business days to clear. If you wish to pay the subscription price by an uncertified personal check, we urge you to make payment sufficiently in advance of the time the subscription rights expire to ensure that your payment is received and clears by that time. We urge you to consider using a certified or cashier's check, money order or wire transfer of funds to avoid missing the opportunity to exercise your subscription rights.

Delivery of subscription materials and payment

You should deliver the subscription rights certificate and payment of the subscription price, as well as any Nominee Holder Certifications, Notices of Guaranteed Delivery and DTC Participant Over-Subscription Forms,

if by mail, hand or overnight courier to:
Wells Fargo Bank
161 North Concord Exchange
South St. Paul, MN 55075
Attn: Corporate Actions, Rigel Pharmaceuticals, Inc. Rights Offering

You may call the subscription agent at (800) 468-9716.

Your delivery to another address or by any method other than as set forth above will not constitute valid delivery.

Calculation of subscription rights exercised

If you do not indicate the number of subscription rights being exercised, or do not forward full payment of the total subscription price for the number of subscription rights that you indicate are being exercised, then you will be deemed to have exercised the basic subscription privilege with respect to the maximum number of subscription rights that may be exercised for the aggregate subscription price payment you delivered to the subscription agent. If your aggregate subscription price payment is greater than the amount you owe for your subscription, you will be deemed to have exercised the full basic subscription privilege and the over-subscription privilege to purchase the maximum number of shares of our common stock with your overpayment. If we do not apply your full subscription price payment to your purchase of shares of our common stock, we will return the excess amount to you by mail without interest or deduction as soon as practicable after the expiration date of the rights offering.

Your funds will be held by the subscription agent until shares of our common stock are issued

The subscription agent will hold your payment of the subscription price in a segregated account with other payments received from holders of subscription rights until we issue to you your shares of our common stock upon completion of the rights offering.

If you exercised your over-subscription privilege and are allocated less than all of the shares of our common stock for which you wished to subscribe, the excess funds you paid for shares of our common stock that are not allocated to you will be returned by mail without interest or

deduction as soon as practicable after the expiration date of the subscription rights.

Medallion guarantee may be required

Your signature on each subscription rights certificate must be guaranteed by an eligible institution (a member firm of a registered national securities exchange or a member of the National Association

of Securities Dealers, Inc. or a commercial bank or trust company having an office or correspondent in the United States), subject to standards and procedures adopted by the subscription agent, unless

- your subscription rights certificate provides that the shares of our common stock you subscribed for are to be delivered to you as record holder of those subscription rights; or
- you are an eligible institution.

Notice to beneficial holders

If you are a broker, a trustee or a depositary for securities who holds shares of our common stock for the account of others on April 29, 2003 (a "nominee record date holder"), you should notify the respective beneficial owners of such shares of the subscription rights as soon as possible to find out such beneficial owners' intentions with respect to exercising their subscription rights. You should obtain instructions from the beneficial owner with respect to the subscription rights, as set forth in the instructions we have provided to you for your distribution to beneficial owners. If the beneficial owner so instructs, you should complete the appropriate subscription rights certificates and submit them to the subscription agent with the proper payment. If you hold shares of our common stock for the account(s) of more than one beneficial owner, you may exercise the number of subscription rights to which all such beneficial owners in the aggregate otherwise would have been entitled had they been direct record holders of our common stock on the record date, provided that you, as a nominee record holder, make a proper showing to the subscription agent by submitting the form entitled "Nominee Holder Certification" that we will provide to you with your rights offering materials. If you did not receive this form, you should contact the subscription agent to request a copy.

Beneficial owners

If you are a beneficial owner of shares of our common stock or will receive your subscription rights through a broker, custodian bank or other nominee, we will ask your broker, custodian bank or other nominee to notify you of the rights offering. If you wish to exercise your subscription rights, you will need to have your broker, custodian bank or other nominee act for you. If you hold certificates of our common stock directly and would prefer to have your broker, custodian bank or other nominee act for you, you should contact your nominee and request it to effect the transactions for you. To indicate your decision with respect to your subscription rights, you should complete and return to your broker, custodian bank or other nominee the form entitled "Beneficial Owners Election Form." You should receive this form from your broker, custodian bank or other nominee with the other rights offering materials. If you wish to obtain a separate subscription rights certificate, you should contact the nominee as soon as possible and request that a separate subscription rights certificate be issued to you. You should contact your broker, custodian bank or other nominee if you do not receive this form, but you believe you are entitled to participate in the rights offering. We are not responsible if you do not receive the form from your broker, custodian bank or nominee or if you receive it without sufficient time to respond.

Instructions for completing your subscription rights certificate

You should read and follow the instructions accompanying the subscription rights certificates carefully. If you want to exercise your subscription rights, you must send your subscription rights certificates to the subscription agent. **You should not send the subscription rights certificates to Rigel**.

You are responsible for the method of delivery of your subscription rights certificate(s) with your subscription price payment to the subscription agent. If you send your subscription rights certificate(s) and subscription price payment by mail, we recommend that you send them by registered mail, properly insured, with return receipt requested. You should allow a sufficient number of days to ensure delivery to the subscription agent and clearance of payment prior to the time the subscription rights expire.

Because uncertified personal checks may take at least five business days to clear, we strongly urge you to pay, or arrange for payment, by means of certified or cashier's check, money order or wire transfer of funds.

Determinations regarding the exercise of your subscription rights

We will decide all questions concerning the timeliness, validity, form and eligibility of your exercise of subscription rights. Our decisions will be final and binding. We, in our sole discretion, may waive any defect or irregularity, or permit a defect or irregularity to be corrected within such time as we may determine. We will not be required to make uniform determinations in all cases. We may reject the exercise of any of your subscription rights because of any defect or irregularity. Your subscription will not be deemed to have been received or accepted until all irregularities have been waived by us or cured by you within such time we decide, in our sole discretion.

Neither we nor the subscription agent will be under any duty to notify you of a defect or irregularity in connection with your submission of subscription rights certificates. We will not be liable for failing to give you such notice. We reserve the right to reject your exercise of subscription rights if your exercise is not in accordance with the terms of the rights offering or in proper form. We will also not accept your exercise of subscription rights if our issuance of shares of our common stock pursuant to your exercise could be deemed unlawful or materially burdensome.

Regulatory limitation

We will not be required to issue shares of our common stock pursuant to the rights offering to you if, in our opinion, you would be required to obtain prior clearance or approval from any state or federal regulatory authorities to own or control such shares if, at the time the subscription rights expire, you have not obtained such clearance or approval.

Guaranteed delivery procedures

If you wish to exercise your subscription rights, but you do not have sufficient time to deliver the subscription rights certificates evidencing your subscription rights to the subscription agent on or before the time the subscription rights expire, you may exercise your subscription rights by the following guaranteed delivery procedures:

- deliver to the subscription agent on or prior to the rights offering expiration date your subscription price payment in full for each share of our common stock you subscribed for under your basic subscription privilege and your over-subscription privilege (in the manner set forth in " Exercise of Subscription Rights" beginning on page 22);

- deliver to the subscription agent on or prior to the rights offering expiration date the form entitled "Notice of Guaranteed Delivery," substantially in the form provided with the "Instructions as to Use of Rigel Pharmaceuticals, Inc. Subscription Rights Certificates" distributed with your subscription rights certificates; and

- deliver the properly completed subscription rights certificate evidencing the subscription rights being exercised and the related nominee holder certification, if applicable, with any required signature guarantee, to the subscription agent within three Nasdaq National Market trading days following the date the Notice of Guaranteed Delivery was delivered to the subscription agent.

Your Notice of Guaranteed Delivery must be substantially in the form provided with the Instructions as to Use of Rigel Pharmaceuticals, Inc. Subscription Rights Certificates distributed to you with your subscription rights certificate. Your Notice of Guaranteed Delivery must come from an eligible institution (a member firm of a registered national securities exchange or a member of the

National Association of Securities Dealers, Inc. or a commercial bank or trust company having an office or correspondent in the United States).

In your Notice of Guaranteed Delivery you must state:

- your name;
- the number of subscription rights represented by your subscription rights certificates, the number of shares of our common stock you are subscribing for pursuant to the basic subscription privilege and the number of the shares of our common stock, if any, you are subscribing for pursuant to the over-subscription privilege; and
- your guarantee that you will deliver to the subscription agent any subscription rights certificates evidencing the subscription rights you are exercising within three Nasdaq National Market trading days following the date the subscription agent receives your Notice of Guaranteed Delivery.

You may deliver the Notice of Guaranteed Delivery to the subscription agent in the same manner as the subscription rights certificate at the address set forth in " Delivery of Subscription Materials and Payment" beginning on page 23. You may alternatively transmit the Notice of Guaranteed Delivery to the subscription agent by facsimile transmission at (651) 450-2452.

The subscription agent will send you additional copies of the form of Notice of Guaranteed Delivery if you need them. Please call the subscription agent at (800) 468-9716 to request any copies of the form of Notice of Guaranteed Delivery.

Questions about exercising subscription rights

You may direct any questions or require assistance regarding the method of exercising your subscription rights, additional copies of this prospectus, the Instructions as to the Use of Rigel Pharmaceuticals, Inc. Subscription Rights Certificates, the Nominee Holder Certification, the Notice of Guaranteed Delivery or other subscription documents referred to herein, to Wells Fargo Shareowner Services at the following telephone number and address.

161 North Concord Exchange
South St. Paul, MN 55075
Attn: Corporate Actions, Rigel Pharmaceuticals, Inc. Rights Offering
(800) 468-9716

No revocation

Once you have exercised your basic subscription privilege and/or over-subscription privilege, you may not revoke your exercise. Subscription rights not exercised prior to the expiration date of the rights offering will expire and will have no value.

Procedures for DTC participants

We expect that your exercise of your basic subscription privilege and your over-subscription privilege may be made through the facilities of The Depository Trust Company ("DTC"). If your subscription rights are held of record through DTC, you may exercise your basic subscription privilege and your over-subscription privilege by instructing DTC to transfer your subscription rights from your account to the account of the subscription agent, together with certification as to the aggregate number of subscription rights you are exercising and the number of shares of our common stock you are subscribing for under your basic subscription privilege and your over-subscription privilege, if any, and your subscription price payment for each share of our common stock that you subscribed for pursuant to your basic subscription privilege and your over-subscription privilege.

Determination of subscription price

We believe that the $0.64 per share subscription price meets our objective of raising the maximum amount of net proceeds while providing you with an opportunity to make an additional investment in our common stock. Our board of directors chose the $0.64 per share subscription price to equal the per share price paid by the private placement investors in the private placement.

The $0.64 per share subscription price should not be considered an indication of the actual value of Rigel or of our common stock. We cannot assure you that the market price of our common stock will not decline during or after the rights offering. We also cannot assure you that you will be able to sell shares of common stock purchased during the rights offering at a price equal to or greater than $0.64 per share. We urge you to obtain a current quote for our common stock before exercising your subscription rights. On , 2003, the closing price of our common stock was $. Our common stock is traded on the Nasdaq National Market under the symbol "RIGL."

No recommendations to subscription rights holders

An investment in shares of our common stock must be made according to each investor's evaluation of its own best interests and after considering all of the information in this prospectus, including the "Risk Factors" section of this prospectus and all of the information incorporated by reference in this prospectus. None of our board of directors, our officers or any other person are making any recommendations as to whether or not you should exercise your subscription rights. You should make your decision based on your own assessment of your best interests.

Non-U.S. and certain other stockholders

We will not mail subscription rights certificates to record date holders whose addresses are outside the United States or who have an army post office or fleet post office address. Instead, we will have the subscription agent hold such subscription rights certificates for such holders' accounts. To exercise their subscription rights, such holders must notify the subscription agent prior to 11:00 a.m. Central Daylight time on , 2003, three business days prior to the expiration date, and must establish to the satisfaction of the subscription agent that such exercise is permitted under applicable law.

Issuance of common stock

The subscription agent will issue to you certificates representing shares of our common stock you purchase pursuant to the rights offering as soon as practicable after the time the subscription rights expire.

Your payment of the subscription price will be retained by the subscription agent, and will not be delivered to us, until your subscription is accepted and you are issued your stock certificates. We will not pay you any interest on funds paid to the subscription agent, regardless of whether such funds are applied to the subscription price or returned to you. You will have no rights as a stockholder of Rigel with respect to shares of our common stock subscribed for until certificates representing such shares are issued to you. Unless otherwise instructed in the subscription rights certificates, your certificates for shares issued pursuant to your exercise of subscription rights will be registered in your name.

If the rights offering is not completed for any reason, the subscription agent will promptly return, without interest, all funds received by it.

Shares of common stock outstanding after the rights offering

Assuming we issue all of the shares of our common stock offered in the rights offering and the private placement is consummated, approximately 133,876,003 shares of our common stock will be

issued and outstanding after the expiration of the rights offering (without giving effect to the exercise of the warrants issued in the private placement). Based on the 118,251,003 shares of our common stock outstanding as of June , 2003 (immediately after the private placement), our issuance of shares in the rights offering would result in a % increase in the number of outstanding shares of our common stock.

Other matters

We are not making the rights offering in any state or other jurisdiction in which it is unlawful to do so. We will not sell or accept an offer to purchase our common stock from you if you are a resident of any such state or other jurisdiction. We may delay the commencement of the rights offering in certain states or other jurisdictions in order to comply with the laws of such states or other jurisdictions. We do not expect that there will be any changes in the terms of the rights offering. However, we may decide, in our sole discretion, not to modify the terms of the rights offering as may be requested by certain states or other jurisdictions. If that happens and you are a resident of that state, you will not be eligible to participate in the rights offering.

CERTAIN UNITED STATES FEDERAL INCOME TAX CONSEQUENCES

The following discussion is a summary of certain United States federal income tax consequences of the rights offering to holders of our common stock that hold such stock as a capital asset for United States federal income tax purposes. This discussion is based on laws, regulations, rulings and decisions in effect on the date hereof, all of which are subject to change (possibly with retroactive effect) and to differing interpretations. This discussion applies only to holders that are U.S. persons and does not address all aspects of United States federal income taxation that may be relevant to holders in light of their particular circumstances or to holders who may be subject to special tax treatment under the Internal Revenue Code, including, without limitation, holders of our warrants, holders who are dealers in securities or foreign currency, foreign persons, insurance companies, tax-exempt organizations, banks, financial institutions, broker-dealers, holders who hold common stock as part of a hedge, straddle, conversion or other risk reduction transaction, or who acquired common stock pursuant to the exercise of compensatory stock options or otherwise as compensation.

Moreover, this summary does not address the tax consequences of the rights offering under state, local or foreign tax laws. ACCORDINGLY, YOU SHOULD CONSULT YOUR OWN TAX ADVISORS TO DETERMINE THE SPECIFIC TAX CONSEQUENCES OF THE RIGHTS OFFERING TO YOU.

Issuance of the subscription rights

If you hold Rigel common stock on the record date, you should not recognize taxable income upon the receipt of the subscription rights.

In general, a distribution by a corporation to its stockholders of subscription rights to acquire stock of the distributing corporation is not taxable. An exception to this general rule applies in the case of a distribution which constitutes a disproportionate distribution with respect to any class or classes of stock of the corporation. A distribution of stock rights constitutes a disproportionate distribution if it is a part of a distribution or a series of distributions (including deemed distributions) that has the effect of (1) the receipt of property (including cash) by some stockholders and (2) an increase in the proportionate interests of other stockholders in the assets or earnings and profits of the distributing corporation.

The distribution of the subscription rights to all stockholders except the private placement investors should not constitute a disproportionate distribution taxable as a dividend since (1) the private placement was made with the expectation of promptly thereafter making the distribution of

subscription rights to the remaining stockholders, and the subscription rights may be exercised on the same economic terms as the private placement, (2) there is a single class of stock outstanding, and (3) there has not been nor is there expected to be any property distributions to any stockholder in connection with the distribution of subscription rights.

We intend to treat the distribution of subscription rights as a nontaxable distribution. If the Internal Revenue Service were to take a contrary position with respect to this matter, by deeming the distribution of subscription rights to constitute a taxable distribution, a person receiving a right would recognize a dividend, taxable as ordinary income, in an amount equal to the fair market value of the right received, but only to the extent of Rigel's current and accumulated earnings and profits, if any. To the extent the deemed distribution exceeds such current and accumulated earnings and profits, any excess would be treated first as a nontaxable recovery of adjusted tax basis in your Rigel common stock with respect to which the right was distributed and then as gain from the sale or exchange of your Rigel common stock. Your tax basis in a right received in a taxable distribution would equal the fair market value of the right as of the date of distribution of the right. Your holding period in the right would begin on the day following the date of distribution of the right.

The following discussion assumes that the distribution of the subscription rights will be treated as a nontaxable distribution.

Basis and holding period of the subscription rights

Generally, if you hold Rigel common stock on the record date, your basis in the subscription rights you receive will be zero. If, however, (1) the fair market value of the subscription rights on the date we issue the subscription rights is 15% or more of the fair market value (on that same date) of our common stock, or (2) you properly elect under Section 307 of the Internal Revenue Code in your federal income tax return to allocate part of the basis of your Rigel common stock to the subscription rights, then your basis in your shares of Rigel common stock will be allocated between your Rigel common stock and the subscription rights in proportion to the fair market values of each on the date we issue the subscription rights. We have not obtained an independent appraisal of the valuation of the subscription rights and, therefore, each stockholder

individually must determine how Internal Revenue Code Section 307 will apply in that stockholder's particular situation.

The holding period of your subscription rights will include your holding period (as of the date of issuance) of the Rigel common stock with respect to which we distributed the subscription rights to you.

Expiration of the subscription rights

If your basis in your subscription rights is zero, and you allow your subscription rights to expire unexercised, you will not recognize any gain or loss.

If you have a basis in your subscription rights and you allow your subscription rights to expire unexercised, you will recognize a loss equal to the basis of those subscription rights. Any loss you recognize on the expiration of your subscription rights will be a capital loss if the Rigel common stock obtainable by you upon exercise of the subscription rights would be a capital asset.

Exercise of the subscription rights, basis and holding period of acquired shares

You will not recognize any gain or loss upon the exercise of your subscription rights. Your basis in each share of Rigel common stock you acquire through exercise of your subscription rights will equal the sum of the subscription price you paid to exercise your subscription rights and your basis, if any, in the subscription rights. Your holding period for the Rigel common stock you acquire through exercise of your subscription rights will begin on the date you exercise your subscription rights.

Sale or exchange of common stock

If you sell or exchange shares of Rigel common stock, you will generally recognize gain or loss on the transaction. The gain or loss you recognize will be equal to the difference between the amount you realize on the transaction and your basis in the shares you sell. Such gain or loss generally will be capital gain or loss so long as you held the shares as a capital asset at the time of the sale or exchange. Gain or loss from a capital asset held for more than one year will generally be taxable as long term capital gain or loss.

Information reporting and backup withholding

You may be subject to backup withholding with respect to the rights offering. However, you will not be subject to backup withholding if you: (1) are a corporation or fall within certain other exempt categories and, when required, demonstrate that fact; or (2) provide a correct taxpayer identification number and certify under penalties of perjury that your taxpayer identification number is correct and that you are not subject to backup withholding because you previously failed to report all dividends and interest income.

Any amount withheld under these rules will be credited against your federal income tax liability. We may require you to establish your exemption from backup withholding or make other arrangements with respect to the payment of backup withholding.

THIS SUMMARY IS INCLUDED FOR GENERAL INFORMATION ONLY. YOU SHOULD CONSULT YOUR OWN TAX ADVISORS REGARDING THE CONSEQUENCES OF THE RIGHTS OFFERING TO YOUR PARTICULAR TAX SITUATION, INCLUDING STATE AND LOCAL INCOME AND OTHER TAX LAWS.

PLAN OF DISTRIBUTION

We are making the rights offering directly to you, the holders of our common stock. We have not employed any brokers, dealers or underwriters in connection with the rights offering and will not pay any underwriting commissions, fees or discounts in connection with the rights offering. Some of our directors or officers may assist in the rights offering. These individuals will not receive any commissions or compensation other than their normal directors' fees or employment compensation.

We will bear all costs, expenses and fees in connection with the rights offering. We will pay the subscription agent a fee of $15,000 and reimburse the subscription agent for certain expenses incurred in connection with the rights offering. We estimate that our total expenses in connection with the rights offering, including fees to the subscription agent, will be $176,000.

LEGAL MATTERS

The validity of the shares of common stock offered in the rights offering and the tax matters discussed in this prospectus will be passed upon for us by Cooley Godward LLP, Palo Alto, California.

EXPERTS

The financial statements of Rigel Pharmaceuticals, Inc. appearing in Rigel Pharmaceuticals, Inc.'s Annual Report (Form 10-K) for the year ended December 31, 2002, as amended, have been audited by Ernst & Young LLP, independent auditors, as set forth in their report thereon included therein and incorporated herein by reference. Such financial statements are incorporated herein by reference in reliance upon such report given on the authority of such firm as experts in accounting and auditing.

With respect to the unaudited condensed consolidated interim financial information for the three-month periods ended March 31, 2003 and March 31, 2002, incorporated by reference in this Prospectus,

Ernst & Young LLP have reported that they have applied limited procedures in accordance with professional standards for a review of such information. However, their separate report, included in Rigel Pharmaceuticals, Inc.'s Quarterly Report on Form 10-Q for the quarter ended March 31, 2003, and incorporated herein by reference, states that they did not audit and they do not express an opinion on that interim financial information. Accordingly, the degree of reliance on their report on such information should be restricted considering the limited nature of the review procedures applied. The independent auditors are not subject to the liability provisions of Section 11 of the Securities Act of 1933 (the "Act") for their report on the unaudited interim financial information because that report is not a "report" or a "part" of the Registration Statement prepared or certified by the auditors within the meaning of Sections 7 and 11 of the Act.

WHERE YOU CAN FIND MORE INFORMATION

We are a reporting company and file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission. We have filed with the Securities and Exchange Commission a registration statement on Form S-3 under the Securities Act with respect to the shares of our common stock to be issued in the rights offering. This prospectus does not contain all of the information set forth in the registration statement and the exhibits to the registration statement. For further information with respect to us and the securities offered under this prospectus, we refer you to the registration statement and the exhibits and schedules filed as a part of the registration statement. You may read and copy the registration statement, as well as our reports, proxy statements and other information, at the Securities and Exchange Commission's public reference room at Room 1024, 450 Fifth Street, N.W., Washington, D.C. 20549. You can request copies of these documents by writing to the Securities and Exchange Commission and paying a fee for the copying cost. Please call the Securities and Exchange Commission at 1-800-SEC-0330 for more information about the operation of the public reference rooms. Our Securities and Exchange Commission filings are also available at the Securities and Exchange Commission's web site at www.sec.gov. In addition, you can read and copy our Securities and Exchange Commission filings at the office of the National Association of Securities Dealers, Inc. at 1735 K Street, N.W., Washington, D.C. 20006.

The Securities and Exchange Commission allows us to "incorporate by reference" the information contained in documents that we file with them, which means that we can disclose important information to you by referring to those documents. The information incorporated by reference is considered to be part of this prospectus. Information in this prospectus supersedes information incorporated by reference that we filed with the Securities and Exchange Commission prior to the date of this prospectus, while information that we file later with the Securities and Exchange Commission will automatically update and supersede this information. We incorporate by reference the documents listed below and any future filings we will make with the Securities and Exchange Commission under Sections 13(a), 13(c), 14 and 15(d) of the Securities Exchange Act of 1934.

We incorporate by reference into this prospectus the following documents, which contain important information about us and our business and financial results:

our Quarterly Report on Form 10-Q for the quarter ended March 31, 2003;

our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, as amended on May 8, 2003;

our proxy statement for the 2003 annual meeting of stockholders, filed with the Securities and Exchange Commission on May 19, 2003; and

the description of our common stock set forth in our registration statement on Form 8-A, filed with the Securities and Exchange Commission on October 3, 2000.

We may file additional documents with the Securities and Exchange Commission pursuant to Sections 13(a), 13(c), 14 or 15(d) of the Securities Exchange Act of 1934 on or after the date of this prospectus and before the expiration of the rights offering. The Securities and Exchange Commission allows us to incorporate by reference into this prospectus such documents. You should consider any statement contained in this prospectus (or in a document incorporated into this prospectus) to be modified or superseded to the extent that a statement in a subsequently filed document modifies or supersedes such statement.

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

15,625,000 Shares

Rigel Pharmaceuticals, Inc.

Common Stock

PROSPECTUS

, 2003

PART II

INFORMATION NOT REQUIRED IN PROSPECTUS

ITEM 14. OTHER EXPENSES OF ISSUANCE AND DISTRIBUTION

The following table sets forth the estimated expenses in connection with the issuance and distribution of the securities offered hereby.

Securities and Exchange Commission Registration Fee	$	809.00
Subscription Agent Fee	$	15,000*
Printing and Engraving Expenses.	$	15,000*
Legal Fees and Expenses.	$	125,000*
Accounting Fees and Expenses	$	10,000*
Miscellaneous.	$	10,191*
Total.	$	176,000*

* Estimated.

ITEM 15. INDEMNIFICATION OF DIRECTORS AND OFFICERS

As permitted by Delaware law, our amended and restated certificate of incorporation provides that no director will be personally liable to us or our stockholders for monetary damages for breach of fiduciary duty as a director, except for liability:

- for any breach of duty of loyalty to us or to our stockholders;
- for acts or omissions not in good faith or that involve intentional misconduct or a knowing violation of law;
- for unlawful payment of dividends or unlawful stock repurchases or redemptions under Section 174 of the Delaware General Corporation Law; or
- for any transaction from which the director derived an improper personal benefit.

Our amended and restated certificate of incorporation further provides that we must indemnify our directors to the fullest extent permitted by Delaware law. In addition, our amended and restated bylaws provide that:

- we are required to indemnify our directors and officers to the fullest extent permitted by Delaware law, subject to limited exceptions;
- we may indemnify our other employees and agents to the extent that we indemnify our officers and directors, unless otherwise prohibited by law, our amended and restated certificate of incorporation, our amended and restated bylaws or agreements;
- we are required to advance expenses to our directors and executive officers as incurred in connection with legal proceedings against them for which they may be indemnified; and

the rights conferred in the amended and restated bylaws are not exclusive.

We have entered into indemnification agreements with each of our directors and certain officers. These agreements, among other things, require us to indemnify each director and officer to the fullest extent permitted by Delaware law, including indemnification for expenses such as attorneys' fees, judgments, fines and settlement amounts incurred by the director or officer in any action or proceeding, including any action by or in the right of Rigel, arising out of the person's services as a

director or officer of us, any subsidiary of ours or any other company or enterprise to which the person provides services at our request. At present, we are not aware of any pending or threatened litigation.

ITEM 16. EXHIBITS

EXHIBIT NUMBER	DESCRIPTION
3.1	Amended and Restated Certificate of Incorporation of Rigel (1)
3.2	Amended and Restated Bylaws of Rigel (1)
4.1	Form of Specimen Certificate for Subscription Rights of Rigel
5.1	Opinion of Cooley Godward LLP (2)
8.1	Opinion of Cooley Godward LLP with respect to tax matters (2)
15.1	Letter regarding unaudited interim financial information
23.1	Consent of Ernst & Young LLP, Independent Auditors
23.2	Consent of Cooley Godward LLP (included in Exhibit 5.1) (2)
23.3	Consent of Cooley Godward LLP (included in Exhibit 8.1) (2)
24.1	Power of Attorney (2)
99.1	Form of Instructions to Stockholders as to use of Subscription Rights
99.2	Form of Notice of Guaranteed Delivery for Subscription Rights
99.3	Form of Letter to Stockholders who are Record Holders
99.4	Form of Letter to Stockholders who are Beneficial Holders
99.5	Form of Letter to Clients of Stockholders who are Beneficial Holders
99.6	Form of Beneficial Owner Election Form
99.7	Form of Nominee Holder Certification
99.8	Form of Subscription Agent Agreement between Rigel and Wells Fargo Bank, MN, N.A.

(1) Filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference

(2) Previously filed

ITEM 17. UNDERTAKINGS

(a) The undersigned registrant hereby undertakes:

(1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:

(i) To include any prospectus required by Section 10(a)(3) of the Securities Act of 1933;

(ii) To reflect in the prospectus any facts or events arising after the effective date of this registration statement (or the most recent post-effective amendment hereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in this registration statement. Notwithstanding the foregoing, any increase or decrease in volume of securities offered (if the total dollar value of securities offered would not exceed that which was registered) and any deviation from the low or high end of the estimated maximum offering range may be reflected in the form of prospectus filed with the Commission pursuant to Rule 424(b) if, in the aggregate, the changes in volume and price represent no more than a 20 percent change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective registration statement;

(iii) To include any material information with respect to the plan of distribution not previously disclosed in this registration statement or any material change to such information in this registration statement;

provided, however, that paragraphs (a)(1)(i) and (a)(1)(ii) do not apply if the information required to be included in a post-effective amendment by those paragraphs is contained in periodic reports filed with or furnished to the Commission by the registrant pursuant to Section 13 or Section 15(d) of the Securities Exchange Act of 1934 that are incorporated by reference in the registration statement.

(2) That, for the purpose of determining any liability under the Securities Act of 1933, each such post-effective amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.

(b)

The undersigned registrant hereby undertakes that, for purposes of determining any liability under the Securities Act of 1933, each filing of the registrant's annual report pursuant to Section 13(a) or Section 15(d) of the Securities Exchange Act of 1934 (and, where applicable, each filing of an employee benefit plan's annual report pursuant to Section 15(d) of the Securities Exchange Act of 1934) that is incorporated by reference in this registration statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(c)

Insofar as indemnification for liabilities arising under the Securities Act of 1933 may be permitted to directors, officers and controlling persons of the registrant pursuant to the foregoing provisions, or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer or controlling person of the registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Act and will be governed by the final adjudication of such issue.

SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, the registrant certifies that it has reasonable grounds to believe that it meets all of the requirements for filing on Form S-3 and has duly caused this Amendment No. 1 to the Registration Statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on June 23, 2003.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER

James M. Gower,
Chairman of the Board and Chief Executive Officer

Pursuant to the requirements of the Securities Act of 1933, this registration statement has been signed by the following persons in the capacities on the dates indicated.

Signature	Title	Date
/s/ JAMES M. GOWER James M. Gower	Chairman of the Board and Chief Executive Officer (Principal Executive Officer)	June 23, 2003
/s/ JAMES H. WELCH James H. Welch	Vice President, Chief Financial Officer and Secretary (Principal Financial and Accounting Officer)	June 23, 2003
* Donald G. Payan	Executive Vice President, Chief Scientific Officer and Director	June 23, 2003
* Jean Deleage	Director	June 23, 2003
* Alan D. Frazier	Director	June 23, 2003
* Walter H. Moos	Director	June 23, 2003
* Stephen A. Sherwin	Director	June 23, 2003
* Thomas S. Volpe	Director	June 23, 2003

*

James H. Welch, by signing his name hereto, does hereby sign this Amendment No. 1 to the Registration Statement on behalf of the directors of the registrant above whose typed names asterisks appear, pursuant to powers of attorney duly executed by such directors and filed with the Securities and Exchange Commission.

By: /s/ JAMES H. WELCH

James H. Welch
Attorney-in-fact

INDEX TO EXHIBITS

EXHIBIT NUMBER	DESCRIPTION
3.1	Amended and Restated Certificate of Incorporation of Rigel (1)
3.2	Amended and Restated Bylaws of Rigel (1)
4.1	Form of Specimen Certificate for Subscription Rights of Rigel
5.1	Opinion of Cooley Godward LLP (2)
8.1	Opinion of Cooley Godward LLP with respect to tax matters (2)
15.1	Letter regarding unaudited interim financial information
23.1	Consent of Ernst & Young LLP, Independent Auditors
23.2	Consent of Cooley Godward LLP (included in Exhibit 5.1) (2)
23.3	Consent of Cooley Godward LLP (included in Exhibit 8.1) (2)
24.1	Power of Attorney (2)
99.1	Form of Instructions to Stockholders as to use of Subscription Rights
99.2	Form of Notice of Guaranteed Delivery for Subscription Rights
99.3	Form of Letter to Stockholders who are Record Holders
99.4	Form of Letter to Stockholders who are Beneficial Holders
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