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As filed with the Securities and Exchange Commission on April 30, 2002

Registration No. 333-

SECURITIES AND EXCHANGE COMMISSION

Washington, D.C. 20549

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.)

240 East Grand Avenue
South San Francisco, CA 94080
(650) 624-1100
(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

BRIAN C. CUNNINGHAM
PRESIDENT AND CHIEF OPERATING OFFICER
RIGEL PHARMACEUTICALS, INC.
240 EAST GRAND AVENUE
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 94306-2155
(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. ☐

If any of the securities being 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. ☒

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

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. ☐

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

CALCULATION OF REGISTRATION FEE

Title of Securities to be Registered	Amount to be Registered (1)	Proposed Maximum Offering Price Per Share (2)	Proposed Maximum Aggregate Offering Price (2)	Amount of Registration Fee
Common Stock, $0.001 par value per share	17,673,751 shares	$4.645	$82,094,574	$7,553

(1) Also includes additional shares of common stock that may be issued as a result of stock splits, stock dividends or similar transactions.

(2) Estimated solely for the purpose of calculating the registration fee in accordance with Rule 457 under the Securities Act. The price per share and aggregate offering price are based on the average of the high and low prices of the registrant's common stock on April 23, 2002 as reported on the Nasdaq National Market.

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 that specifically states that this registration statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act or until the registration statement shall become effective on such date as the Securities and Exchange Commission, acting pursuant to said Section 8(a), may determine.

SUBJECT TO COMPLETION, DATED APRIL 30, 2002

The information in this prospectus is not complete and may be changed. The selling stockholders 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.

17,673,751 Shares

RIGEL PHARMACEUTICALS, INC.

Common Stock

The selling stockholders listed on page 12 are offering up to 17,673,751 shares of our common stock. We will not receive any proceeds from the sale of the shares by the selling stockholders.

Our common stock trades on the Nasdaq National Market under the trading symbol "RIGL." On April 29, 2002, the last reported sale price of our common stock was $4.27 per share.

The selling stockholders may sell the shares described in this prospectus in a number of different ways and at varying prices. See "Plan of Distribution" on page 13 for more information about how the selling stockholders may sell their shares.

We will not be paying any underwriting discounts or commissions in this offering.

INVESTING IN OUR SECURITIES INVOLVES A HIGH DEGREE OF RISK.
SEE "RISK FACTORS" BEGINNING ON PAGE 1.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED THESE SECURITIES OR DETERMINED IF THIS PROSPECTUS IS TRUTHFUL OR COMPLETE. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

, 2002

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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. The selling stockholders are offering to sell, and seeking offers to buy, shares of our common stock only 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 our common stock.

RIGEL

Rigel Pharmaceuticals, Inc. is a drug discovery and development company that uses advanced functional genomics tools to discover novel drug targets that can be used to develop orally administered small molecule drugs. Our technology is designed to identify molecules that play an important role in regulating a human cell's response to disease by testing a very large number of proteins in a very large number of cells to determine which proteins will change a cell's response to the disease. We currently have ten product development programs underway at Rigel, with five programs being proprietary programs in the product development areas of asthma/allergy, rheumatoid arthritis and inflammatory bowel disease, cancerous tumor growth and hepatitis C. We expect to begin clinical trials during 2002 with one or more drug candidates from these five programs. In addition to the Rigel-owned programs, we have five programs in connection with our corporate partners in the product development areas of asthma/allergy, autoimmunity, transplant rejection and two programs in cancerous tumor growth. With our support, one of our partners is conducting an additional program in chronic bronchitis at its premises. Rigel has multi-year collaborations with Pfizer Inc., Cell Genesys, Inc., Johnson & Johnson Pharmaceutical Research & Development, L.L.C. and Novartis Pharma A.G.

We were incorporated in Delaware on June 14, 1996. Our principal executive offices are located at 240 East Grand Avenue, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website is www.rigel.com. We have not incorporated by reference into this prospectus the information on our website, and you should not consider it to be a part of this document. Our web site address is included in this document as an inactive textual reference only.

RISK FACTORS

An investment in our securities is risky. Prior to making a decision about investing in our securities you should carefully consider the following risks, as well as the other information included or incorporated by reference in this prospectus. If any of the following risks actually occurs, our business could be harmed. In that case, the trading price of our common stock could decline, and you might lose all or part of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business. If any of these additional risks or uncertainties occurs, the trading price of our common stock could decline, and you might lose all or part of your investment.

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 toward later stages of development, we have not been profitable and have generated operating losses since we were incorporated in June 1996. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of December 31, 2001, we had an accumulated deficit of approximately $77.8 million. We expect to incur losses for at least the next several years and expect that these losses will actually increase as we expand our research and development activities, incur significant clinical and testing costs and expand our facilities. Moreover, our losses are expected to continue even if our current research projects are able to successfully identify potential drug targets. If the time required to generate revenues and achieve profitability is longer than anticipated or if we are unable to obtain necessary capital, we may not be able to fund and continue our operations.

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. Under many agreements, 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. We may not recognize revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, which could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business plan contemplates that we will need to generate meaningful revenue from royalties and licensing agreements. To date, we have not yet received any revenue from royalties for the sale of commercial 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.

We are unable to predict when, or if, we will become profitable, and even if we are able to achieve profitability at any point in time, we do not know if our operations will be able to maintain profitability during any future periods.

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

At the present time, our operations are in the early stages of drug identification and development. To date, we have only identified a few potential drug compounds, all of which are still in very early stages of development and have not yet been put into clinical testing. It is statistically unlikely that the few 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 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 and competition and additional costs and expenses that may exceed current estimates.

We might not be able to commercialize our drug candidates successfully if problems arise in the 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, and we do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of any potential products. 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, if and 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. There is also a risk that competitors and third parties may develop similar or superior products or have proprietary rights that preclude us from ultimately marketing our products, as well as the potential risk that our products may not be accepted by the marketplace.

If our current corporate collaborations or license agreements are unsuccessful or if conflicts develop with these relationships, 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 such 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, we may not receive any future milestone payments and will 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. More generally, our corporate collaboration agreements may terminate before the full term of the collaborations or 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.

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.

Conflicts might also arise with respect to our various relationships with third parties. If any of our corporate collaborators were to breach or terminate their 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. 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.

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, or whether current or any future collaborative arrangements will ultimately be successful. 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. 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.

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

Our operations 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 over 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.

We believe that our existing capital resources, including the funds received in the January and February 2002 offerings, together with the proceeds from current and future collaborations and tenant improvement financings, will be sufficient to support our current operating plan for at least the next 18 months. We will require additional financing in the future to fund our operations. Our future funding requirements will depend on 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 secure, on acceptable terms, adequate financing for the tenant improvement costs of our new facility;
- 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.

To the extent we raise additional capital by issuing equity securities, our stockholders may 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. If adequate funds are not available, we will not be able to continue developing our products.

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. Seven U.S. patents have been issued to us as of December 31, 2001, and we have numerous applications in the U.S. and abroad awaiting approval. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. No consistent policy regarding the breadth of claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

The degree of future protection for our proprietary rights is uncertain, and 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. 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.

Pharmexa (formerly M&E Biotech) has notified us that they have received patent protection in some European countries and Australia for a process they assert is similar to certain aspects of our technologies. Pharmexa has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In June 2001, we commenced administrative proceedings to oppose Pharmexa's European patent. Earlier in the year, Pharmexa commenced an administrative proceeding to oppose our Australian patent. Legal proceedings with respect to these patents could be lengthy, costly and require significant management time and other resources, which could adversely affect the pursuit of scientific and business goals. In addition, any such legal action could result in the award of damages or a court order preventing us from using the technology covered by the Pharmexa patent. In addition, any license or other transfer of rights to the patent by Pharmexa to a third party could adversely impact our ability to obtain a license to the patent. In the event we desire to seek a license to the patent, we may not be able to obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with European partners or may materially adversely affect our business in the jurisdictions that may be covered by the patent protection. We are also aware that Pharmexa has sought patent protection in other countries, including the U.S., and has the option to seek patent protection in other parts of the world. If Pharmexa were to receive such patent protection, it might conflict with or overlap with the patent rights we have under U.S. Patent No. 6,153,380 and others we are pursuing. We currently do not, and do not plan to, operate in any country other than the United States.

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.

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 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 covering research and development and testing.

Before commencing clinical trials in humans, we, or our collaborative partners, will need to submit and receive approval from the FDA of an IND. 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.

We may encounter difficulties in managing our growth, and these difficulties could increase our losses.

We have experienced a period of rapid and substantial growth that has placed, and will continue to place, a strain on our human and capital resources. The number of our employees increased from 31 at December 31, 1997 to 147 at December 31, 2001. Our ability to manage our operations and growth effectively requires us to continue to use funds to improve our operational, financial and management controls, reporting systems and procedures and to attract and retain sufficient numbers of talented employees. If we are unable to manage this growth effectively, our losses will increase.

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 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 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.

Being a small company with only 147 employees as of December 31, 2001, 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. Although we generally have not experienced problems retaining key employees, our employees can terminate their employment with us at any time. We also expect to encounter increasing difficulty in attracting enough qualified personnel as our operations expand and the demand for these professionals increases, and this difficulty could impede significantly the achievement of our research and development objectives.

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 47% of our common stock, based on their beneficial ownership as of April 16, 2002. 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.

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:

- 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 INFORMATION

Some of the statements in this prospectus and the documents incorporated by reference 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:

- our strategy and uncertainties relating to our technological approach;
- the progress of our research programs, including clinical testing;
- 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 uncertainty of obtaining regulatory approval;
- uncertainty of health care reform measures;
- uncertainty of potential proprietary rights;

- dependence on key personnel;

- history of operating losses and anticipation of future losses; and
- management of growth and risks of acquiring new technologies.

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 SEC. These forward-looking statements involve risks and uncertainties that could cause our actual results to differ materially from those in the forward-looking statements. We undertake no obligation to publicly release any revisions to the forward-looking statements or reflect events or circumstances after the date of this prospectus. The risks contained in this prospectus, among other things, should be considered in evaluating our prospects and future financial performance.

USE OF PROCEEDS

The proceeds from the sale of the common stock offered pursuant to this prospectus are solely for the accounts of the selling stockholders. We will not receive any proceeds from the sale of these shares of common stock.

SELLING STOCKHOLDERS

We are registering the shares covered by this prospectus on behalf of the selling stockholders named in the table below. We have agreed to register these shares pursuant to the registration rights set forth in Section 2.4 of the Amended and Restated Investor Rights Agreement, dated as of February 3, 2000, between Rigel and the stockholders named therein. We have registered the shares to permit each of the selling stockholders and its pledgees, donees, transferees or other successors-in-interest that receive their shares from each selling stockholder as a gift, partnership distribution or other non-sale related transfer after the date of this prospectus to resell the shares.

The following table sets forth the name of each selling stockholder, the number of shares owned by it, the number of shares that may be offered under this prospectus, the number of shares of our common stock owned by each selling stockholder as of April 16, 2002 and the number of shares of our common stock owned by each selling stockholder after this offering is completed. Except as otherwise disclosed below, none of the selling stockholders has, or within the past three years has had, any position, office or other material relationship with us. The number of shares in the column "Number of Shares Being Offered" represents all of the shares that the selling stockholder may offer under this prospectus. The selling stockholders may sell some, all or none of the shares registered hereunder. We do not know how long the selling stockholders will hold the shares before selling them, and we currently have no agreements, arrangements or understandings with the selling stockholders regarding the sale of any of the shares. The shares offered by this prospectus may be offered from time to time by the selling stockholders.

Beneficial ownership is determined in accordance with Rule 13d-3(d) promulgated by the SEC under the Securities Exchange Act of 1934. The percentages of shares owned prior to the offering are based on 45,305,663 shares of our common stock outstanding on April 16, 2002.

	Shares Beneficially Owned Prior to the Offering			Shares Beneficially Owned After the Offering (1)	
Name	Number	Percent (%)	Number of Shares Being Offered	Number	Percent (%)
Entities affiliated with Lombard, Odier & Cie (2)	7,214,538	15.9%	7,214,538	0	*
Entities affiliated with Alta Partners (3)	5,832,923	12.9%	4,682,923	1,150,000	2.5%
Entities affiliated with Frazier Healthcare II, L.P. (4)	4,347,719	9.6%	4,347,719	0	*
Novartis Pharma AG (5)	3,428,571	7.6%	1,428,571	2,000,000	4.4%
Total	20,823,751	46.0%	17,673,751	3,150,000	7.0%

* Less than 1%.

(1) Assumes the sale of all shares offered hereby.

(2) Includes 6,250,788 shares held by Lombard Odier & Cie for the benefit of the Lombard Odier Immunology Fund, 18,750 shares held for the benefit of private or institutional clients, and 945,000 shares held as custodian for the benefit of clients for which Lombard Odier & Cie does not maintain an asset management mandate. Lombard Odier & Cie has sole voting and dispositive power with respect to the shares held for the benefit of the Lombard Odier Immunology Fund, shares dispositive power with respect to the shares held for the benefit of private or institutional clients, and has no voting or dispositive power with respect to the remaining shares.

(3) Includes 4,578,327 shares held by Alta California Partners, L.P., 104,596 shares held by Alta Embarcadero Partners, LLC, 1,109,196 shares held by Alta BioPharma Partners, II L.P. and 40,804 shares held by Alta Embarcadero BioPharma Partners, II L.P. Jean Deleage, a director of Rigel since January 1997, is a managing director of Alta BioPharma Management Partners II, LLC (the general partner of Alta BioPharma Partners II, L.P.), a manager of Alta Embarcadero BioPharma Partners II, LLC, a general partner of Alta California Management Partners, L.P. (the general partner of Alta California Partners, L.P.) and a member of Alta Embarcadero Partners, LLC.

(4) Includes 4,332,575 shares held by Frazier Healthcare II, L.P., and 15,144 shares held by Frazier & Company, Inc. Alan D. Frazier, a director of Rigel since October 1997, is the president and controlling shareholder of Frazier and Company, Inc., which is the managing member of the general partner of Frazier Healthcare II, L.P.

(5) Novartis Pharma AG, Rigel's corporate partner, entered into a corporate collaboration with us in May 1999.

PLAN OF DISTRIBUTION

The selling stockholders may sell the shares from time to time. The selling stockholders will act independently of us in making decisions regarding the timing, manner and size of each sale. The sales may be made on one or more exchanges or in the over-the-counter market or otherwise, at prices and at terms then prevailing or at prices related to the then current market price or in privately-negotiated transactions. The selling stockholders may effect these transactions by selling the shares to or through broker-dealers. Each selling stockholder may sell its shares in one or more of, or a combination of:

- a block trade in which the broker-dealer will attempt to sell the shares as agent but may position and resell a portion of the block as principal to facilitate the transaction;

- purchases by a broker-dealer as principal and resale by a broker-dealer for its account under this prospectus;
- an exchange distribution in accordance with the rules of an exchange;
- ordinary brokerage transactions and transactions in which the broker solicits purchasers;
- privately negotiated transactions; or
- option agreements.

To the extent required, this prospectus may be amended or supplemented from time to time to describe a specific plan of distribution. If the plan of distribution involves an arrangement with a broker-dealer for the sale of shares through a block trade, special offering, exchange distribution or secondary distribution or a purchase by a broker or dealer, the amendment or supplement will disclose:

- the name of the selling stockholder and of the participating broker-dealer(s);
- the number of shares involved;
- the price at which the shares were sold;
- the commissions paid or discounts or concessions allowed to the broker-dealer(s), where applicable;
- that a broker-dealer(s) did not conduct any investigation to verify the information set out or incorporated by reference in this prospectus; and
- other facts material to the transaction.

From time to time, a selling stockholder may transfer, pledge, donate or assign its shares of common stock to lenders or others, and each of such persons will be deemed to be a "selling stockholder" for purposes of this prospectus. The number of shares of common stock beneficially owned by the selling stockholder will decrease as and when it takes such actions. The plan of distribution for the selling stockholder's shares of common stock sold under this prospectus will otherwise remain unchanged, except that the transferees, pledgees, donees or other successors will be selling stockholders hereunder. Upon being notified by a selling stockholder that a donee or pledgee intends to sell more than 500 shares, we will file a supplement to this prospectus.

The selling stockholders may enter into hedging transactions with broker-dealers in connection with distributions of the shares or otherwise. In these transactions, broker-dealers may engage in short sales of the shares in the course of hedging the positions they assume with a selling stockholder. The selling stockholders also may sell shares short and redeliver the shares to close out short positions. The selling stockholders may enter into option or other transactions with broker-dealers that require the delivery to the broker-dealer of the shares. The broker-dealer may then resell or otherwise transfer the shares under this prospectus. The selling stockholders also may loan or pledge the shares to a broker-dealer. The broker-dealer may sell the loaned shares or, upon a default, the broker-dealer may sell the pledged shares under this prospectus.

In effecting sales, broker-dealers engaged by the selling stockholders may arrange for other broker-dealers to participate in the resales. Broker-dealers or agents may receive compensation in the form of commissions, discounts or concessions from a selling stockholder. Broker-dealers or agents may also receive compensation from the purchasers of the shares for whom they act as agents or to whom they sell as principals, or both. Compensation as to a particular broker-dealer might be in excess of customary commissions and will be in amounts to be negotiated in connection with the sale. A broker-dealer or agent and any other participating broker-dealer or a selling stockholder may be deemed to be an "underwriter" within the meaning of Section 2(11) of the Securities Act in connection with sales of the shares. Accordingly, any commission, discount or concession received by them and any profit on the

resale of the shares purchased by them may be deemed to be underwriting discounts or commissions under the Securities Act. Because a selling stockholder may be deemed to be an "underwriter" within the meaning of Section 2(11) of the Securities Act, the selling stockholder will be subject to the prospectus delivery requirements of the Securities Act. In addition, any securities covered by this prospectus that qualify for sale under Rule 144 promulgated under the Securities Act may be sold under Rule 144 rather than under this prospectus. The selling stockholders have advised us that they have not entered into any agreements, understandings or arrangements with any underwriters or broker-dealers regarding the sale of the shares. There is no underwriter or coordinating broker acting in connection with the proposed sale of shares by the selling stockholders.

The shares will be sold only through registered or licensed brokers or dealers if required under applicable state securities laws. In addition, in some states the shares may not be sold unless they have been registered or qualified for sale in the applicable state or an exemption from the registration or qualification requirement is available and is complied with.

Under applicable rules and regulations under the Exchange Act, any person engaged in the distribution of the shares may not simultaneously engage in market making activities with respect to our common stock for a period of two business days prior to the commencement of the distribution. In addition, the selling stockholders will be subject to applicable provisions of the Exchange Act and the associated rules and regulations under the Exchange Act, including Regulation M, which provisions may limit the timing of purchases and sales of shares of our common stock by the selling stockholders. We will make copies of this prospectus available to the selling stockholders and have informed the selling stockholders of the need to deliver copies of this prospectus to purchasers at or prior to the time of any sale of the shares.

We will bear all costs, expenses and fees in connection with the registration of the shares. The selling stockholders will pay all commissions and discounts, if any, attributable to the sales of the shares. The selling stockholders may agree to indemnify any broker-dealer or agent that participates in transactions involving sales of the shares against specific liabilities, including liabilities arising under the Securities Act. As set forth in the Amended and Restated Investor Rights Agreement, we have agreed to indemnify the selling stockholders against specific liabilities in connection with the offering of the shares, including liabilities arising under the Securities Act.

We have agreed to use all reasonable efforts to maintain the effectiveness of this registration statement under the Securities Act until the earlier of: (i) the date on which all of the shares have been sold; or (ii) the date 90 days after the date of this prospectus; provided, however, that we currently anticipate that we will maintain the effectiveness of this registration statement for a longer period in order to provide flexibility to the selling stockholders. The selling stockholders may sell all, some or none of the shares offered by this prospectus.

LEGAL MATTERS

The validity of the shares of common stock offered hereby will be passed upon by Cooley Godward LLP, Palo Alto, California.

EXPERTS

Ernst & Young LLP, independent auditors, have audited our financial statements included in our annual report on Form 10-K for the year ended December 31, 2001, as set forth in their report, which is incorporated by reference in this prospectus and elsewhere in the registration statement. Our financial statements are incorporated by reference in reliance on Ernst & Young LLP's report, given on their authority as experts in accounting and auditing.

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 SEC. We have filed with the SEC a resale registration statement on Form S-3 under the Securities Act with respect to the shares of common stock offered under this prospectus. 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 SEC's public reference room at Room 1024, 450 Fifth Street, N.W., Washington, D.C. 20549, as well as at the SEC's regional offices located in Chicago, Illinois and New York, New York. You can request copies of these documents by writing to the SEC and paying a fee for the copying cost. Please call the SEC at 1-800-SEC-0330 for more information about the operation of the public reference rooms. Our SEC filings are also available at the SEC's web site at www.sec.gov. In addition, you can read and copy our SEC filings at the office of the National Association of Securities Dealers, Inc. at 1735 K Street, N.W., Washington, D.C. 20006.

The SEC 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 SEC prior to the date of this prospectus, while information that we file later with the SEC will automatically update and supersede this information. We incorporate by reference the documents listed below and any future filings we will make with the SEC under Sections 13(a), 13(c), 14 and 15(d) of the Securities Exchange Act of 1934.

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

- Our Annual Report on Form 10-K for the year ended December 31, 2001; and
- The description of our common stock set forth in our registration statement on Form 8-A, filed with the SEC on October 3, 2000.

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, 240 East Grand Avenue, South San Francisco, California, 94080, telephone: (650) 624-1100.

WE HAVE NOT AUTHORIZED ANY DEALER, SALESPERSON OR OTHER PERSON TO GIVE ANY INFORMATION OR REPRESENT ANYTHING NOT CONTAINED IN THIS PROSPECTUS. YOU SHOULD RELY ONLY ON THE INFORMATION PROVIDED OR INCORPORATED BY REFERENCE IN THIS PROSPECTUS. YOU SHOULD NOT RELY ON ANY UNAUTHORIZED INFORMATION. THIS PROSPECTUS DOES NOT OFFER TO SELL OR BUY ANY SHARES IN ANY JURISDICTION IN WHICH IT IS UNLAWFUL. THE INFORMATION IN THIS PROSPECTUS IS CURRENT AS OF THE DATE ON THE COVER.

17,673,751 Shares

RIGEL PHARMACEUTICALS, INC.

Common Stock

PROSPECTUS

_____ ___, 2002

PART II

INFORMATION NOT REQUIRED IN THE PROSPECTUS

Item 14. Other Expenses of Issuance and Distribution

We will bear no expenses in connection with any sale or other distribution by the selling stockholders of the shares being registered hereunder other than the expenses of the preparation and distribution of this registration statement and the prospectus included in this registration statement. The extent of these expenses is set forth in the following table. All of the amounts shown are estimates, except for the registration fee.

SEC registration fee	$	7,553
Accounting fees and expenses		8,000
Legal fees and expenses		25,000
Printing and miscellaneous expenses		4,447
Total	$	45,000

Item 15. Indemnification of Officers and Directors

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

or proceeding involving any of our directors, officers, employees or agents in which indemnification would be required or permitted. We believe that our charter provisions and indemnification agreements are necessary to attract and retain qualified persons as directors and officers.

Item 16. Exhibits

(a) Exhibits

Exhibit Number	Description of Document
4.1	Specimen Common Stock Certificate. (1)
5.1	Opinion of Cooley Godward LLP.
23.1	Consent of Ernst & Young LLP, independent auditors.
23.2	Consent of Cooley Godward LLP (included in Exhibit 5.1).
24.1	Power of Attorney (included on signature page).

(1) Filed as an exhibit to our registration statement on Form S-1, as amended (File No. 333-45864), originally filed with the SEC on September 15, 2000, and incorporated herein by reference.

Item 17. Undertakings

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 to include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement.

(2) That, for the purpose of determining any liability under the Securities Act, each post-effective amendment shall be deemed to be a new registration statement relating to the securities it offers, and the offering of the 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 that remain unsold at the termination of this offering.

(4) That, for purposes of determining any liability under the Securities Act, each filing of the registrant's annual report pursuant to section 13(a) or section 15(d) of the Exchange Act (and, where applicable, each filing of an employee benefit plan's annual report pursuant to section 15(d) of the Exchange Act) that is incorporated by reference in the 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.

Insofar as indemnification for liabilities arising under the Securities Act 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 SEC this form of indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against these 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 a 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 Securities Act and will be governed by the final adjudication of this issue.

SIGNATURES

Pursuant to the requirements of the Securities Act, 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 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 April 30, 2002.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER

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

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints James M. Gower and James H. Welch, and each of them, as true and lawful attorneys-in-fact and agents, with full powers of substitution and resubstitution, for them and in their name, place and stead, in any and all capacities, to sign any and all amendments (including pre-effective and post-effective amendments and registration statements filed pursuant to Rule 462) to this registration statement, and to file the same, with all exhibits thereto, and other documents in connection therewith, with the SEC, and generally to do all such things in their names and behalf in their capacities as officers and directors to enable Rigel to comply with the provisions of the Securities Act and all requirements of the SEC, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he or she might or could do in person, ratifying and confirming all that said attorneys-in-fact and agents, or any of them, or their or his or her substitutes or substitute, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Act, this registration statement has been signed below by the following persons in the capacities and 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)	April 30, 2002
/s/ JAMES H. WELCH James H. Welch	Vice President, Chief Financial Officer and Secretary (Principal Financial and Accounting Officer)	April 30, 2002
/s/ DONALD G. PAYAN Donald G. Payan	Executive Vice President, Chief Scientific Officer and Director	April 30, 2002
/s/ JEAN DELEAGE Jean Deleage	Director	April 30, 2002

/s/ ALAN D. FRAZIER Alan D. Frazier	Director	April 30, 2002
/s/ WALTER H. MOOS Walter H. Moos	Director	April 30, 2002
/s/ STEPHEN A. SHERWIN Stephen A. Sherwin	Director	April 30, 2002
/s/ THOMAS S. VOLPE Thomas S. Volpe	Director	April 30, 2002

INDEX TO EXHIBITS

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(1) Filed as an exhibit to our registration statement on Form S-1, as amended (File No. 333-45864), originally filed with the SEC on September 15, 2000, and incorporated herein by reference.

QuickLinks

QuickLinks -- Click here to rapidly navigate through this document

Exhibit 5.1

April 30, 2002

Rigel Pharmaceuticals, Inc.
240 East Grand Avenue
South San Francisco, CA 94080

Ladies and Gentlemen:

You have requested our opinion with respect to certain matters in connection with the filing by Rigel Pharmaceuticals, Inc. (the "Company") of a Registration Statement on Form S-3 (the "Registration Statement") with the Securities and Exchange Commission covering the offering for resale of up to 17,673,751 shares of the Company's common stock, $.001 par value (the "Shares").

In connection with this opinion, we have examined and relied upon the Registration Statement and related Prospectus included therein, your Amended and Restated Certificate of Incorporation and Bylaws, a certificate executed by an officer of the Company, to the effect that the consideration for the Shares was received by the Company in accordance with the provisions of the applicable Board of Directors resolutions and any plan or agreement relating to the issuance of the Shares, and such other documents, records, certificates, memoranda and other instruments as we deem necessary as a basis for this opinion. We have assumed the genuineness and authenticity of all documents submitted to us as originals, the conformity to originals of all documents submitted to us as copies thereof and the due execution and delivery of all documents where due execution and delivery are a prerequisite to the effectiveness thereof.

On the basis of the foregoing, and in reliance thereon, we are of the opinion that the Shares have been validly issued and are fully paid and nonassessable. We consent to the filing of this opinion as an exhibit to the Registration Statement and to the reference to our firm under the caption "Legal Matters" in the Prospectus included in the Registration Statement.

Very truly yours,

COOLEY GODWARD LLP

By: /s/ Suzanne Sawochka Hooper

Suzanne Sawochka Hooper

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Exhibit 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS

We consent to the reference to our firm under the caption "Experts" in the Registration Statement (Form S-3) and related prospectus of Rigel Pharmaceuticals, Inc. for the registration of 17,673,751 shares of its common stock and to the incorporation by reference therein of our report dated January 25, 2002, except for note 9 as to which the date is February 20, 2002, with respect to the financial statements of Rigel Pharmaceuticals, Inc. included in its Annual Report on Form 10-K for the year ended December 31, 2001, filed with the Securities and Exchange Commission.

/s/ ERNST & YOUNG LLP

Palo Alto, California
April 29, 2002

QuickLinks

Exhibit 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS