

KERYX BIOPHARMACEUTICALS, INC.




about KERYX

Keryx Biopharmaceuticals is a drug discovery company that develops innovative therapies through its proprietary technologies for the treatment of unmet medical conditions including cancer, diabetes and immunological disorders.

Keryx is building a pipeline of drug candidates utilizing its novel KinAce™ drug discovery platform technology. This technology, which links bioinformatics with innovative protein chemistry is being developed to allow for rapid and rational development of drug candidates that target a vast range of protein kinases associated with numerous diseases. The Company's most advanced kinase-modulating drug candidate, KRX-123, is in late-stage pre-clinical development for the treatment of hormone-resistant prostate cancer. Keryx's other drug development and technology programs include KRX-101 (sulodexide), a novel treatment for diabetic nephropathy, and the Small Integrated Building-blocks (SIB) technology platform, for the conversion of peptides and other existing drugs into small molecules. In August 2001, KRX-101 was granted "Fast Track" status by the United States Food and Drug Administration and Keryx is preparing to initiate Phase III clinical trials for this drug. In addition, Keryx has initiated a Phase II clinical trial of KRX-101 for the treatment of AIDS-related kidney disease, a condition known as HIV-associated nephropathy.

This Annual Report to Shareholders contains forward-looking statements. For this purpose, any statement that is not a statement of historical fact should be considered a forward-looking statement. We often use the words "believes", "anticipates", "plans", "expects", "intends" and similar expressions to help identify forward-looking statements. There are a number of important factors that could cause Keryx's actual results to differ materially from those indicated or implied by forward-looking statements. These factors include, without limitation, those set forth in our Annual Report on Form 10-K, which we have filed with the SEC. We disclaim any intention or obligation to update or revise any forward-looking statements, whether as a result of new information, future events or otherwise.

Keryx is traded on Nasdaq (KERX) and the London AIM (KRX).



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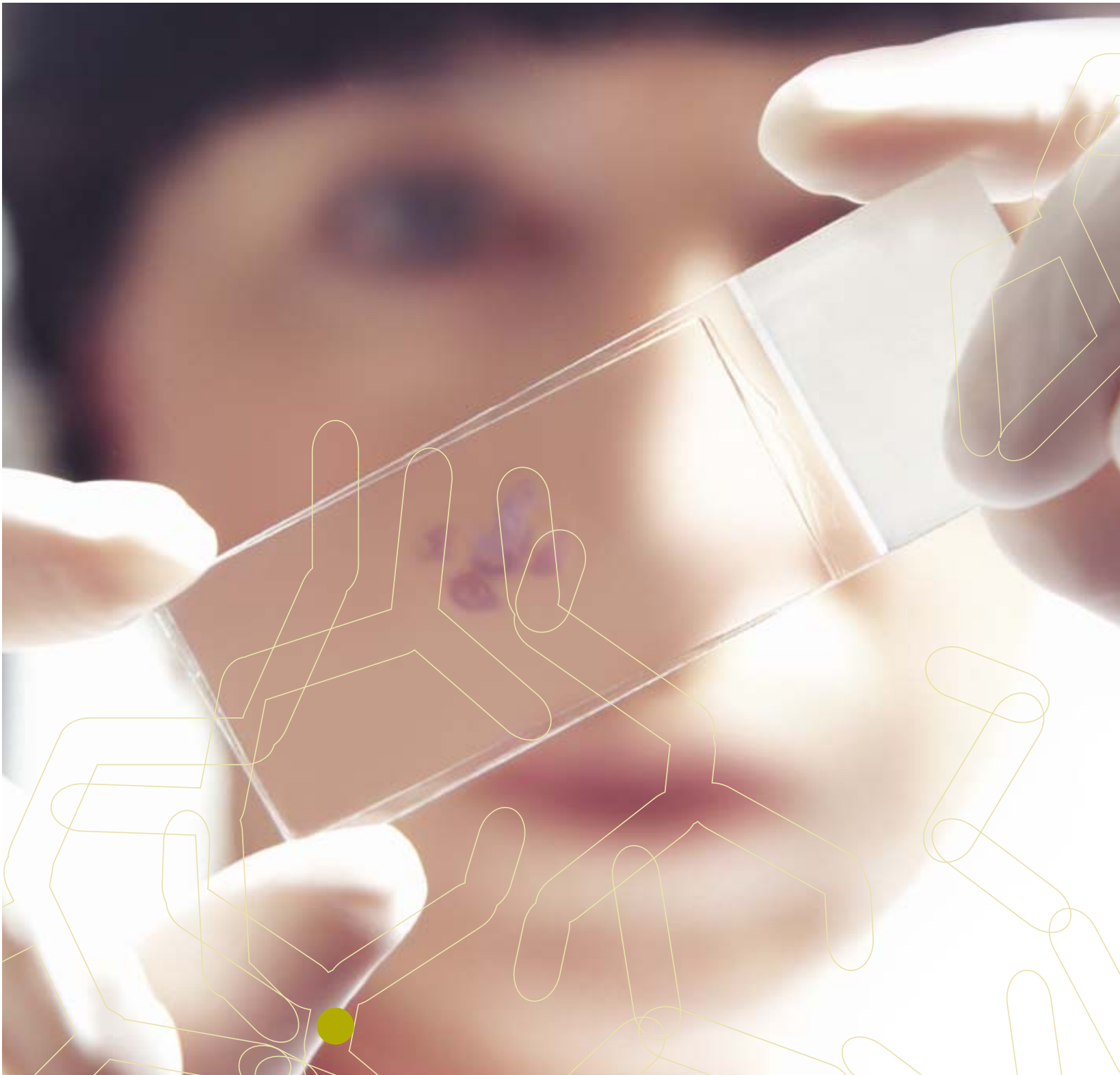
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KRX-101

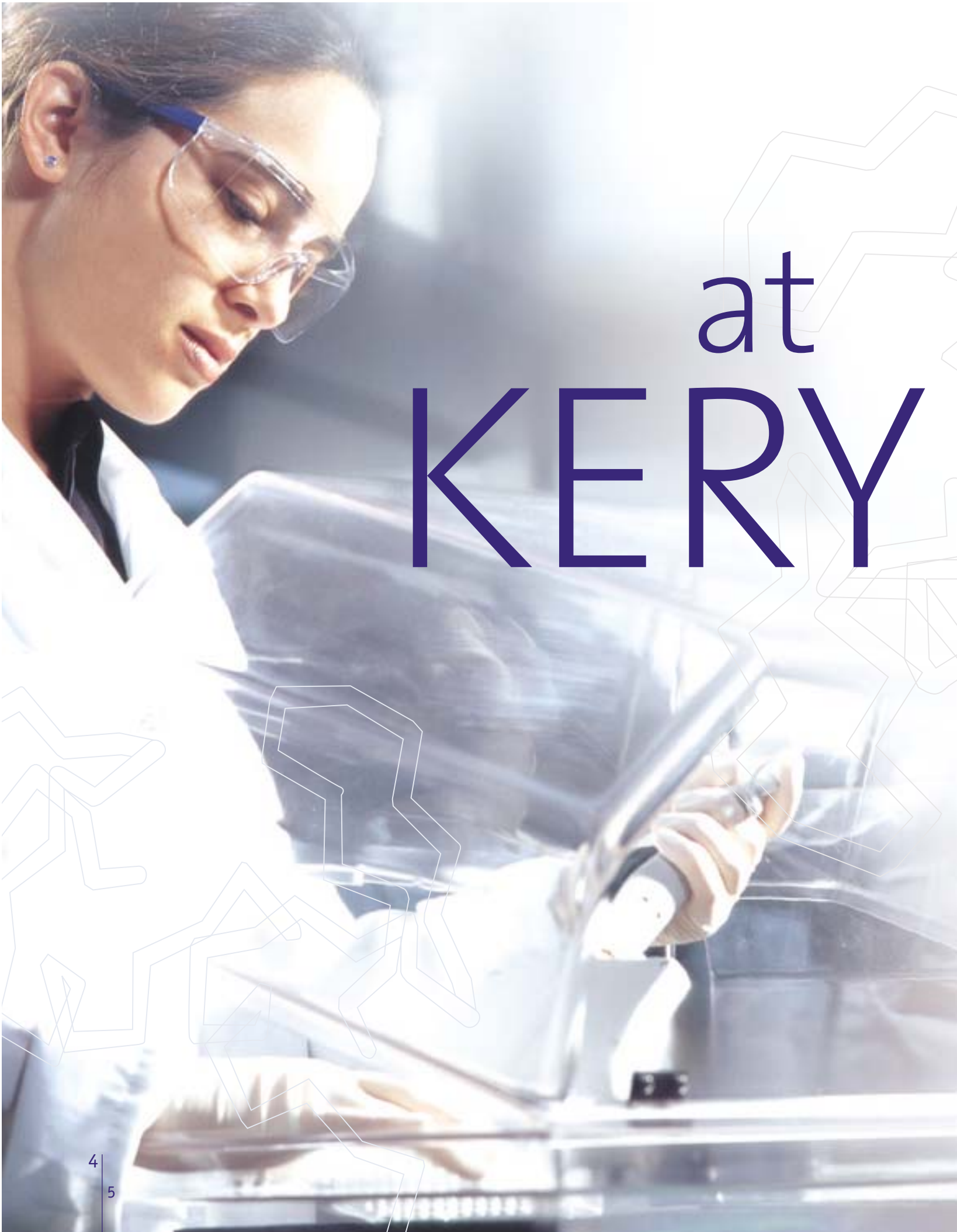
KRX-101 is an in-licensed compound for the treatment of diabetic kidney disease (diabetic nephropathy) for which no adequate treatment currently exists. The FDA recently granted Keryx "Fast Track" status designation for further clinical development of KRX-101 in treating this disease. The potential annual market for KRX-101 for treating diabetic nephropathy is estimated to be in excess of \$1 billion in the U.S. alone.

Pending FDA approval, Keryx is preparing to initiate Phase III trials for KRX-101 in 2002, and is in negotiations with potential strategic partners to continue further development and commercialization of the drug.

Another exciting area in the development of KRX-101 is a possible treatment for AIDS-related kidney disease, known as HIV-associated nephropathy (HIVAN). A Phase II trial has been initiated in South Africa for this indication – which will hopefully lead to important progress in the battle against AIDS-related mortality.

Keryx believes that KRX-101 may prove to be efficacious in treating additional non-diabetes-related conditions, and has filed multiple patents to protect the use of KRX-101 for them.

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A BETTER FUTURE



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THE KINACE™ PLATFORM

Kinases are a large class of enzymes that regulate the transmission of signals between and within cells. This important family of enzymes plays a key role in the way cells function and communicate. If this communication is compromised, various diseases, such as cancer, diabetes, obesity, and immunological disorders, can occur. Recent scientific developments have validated the potential of kinases as specific and important pharmaceutical leads.

X WE ARE BUILDING A STRONG FOUNDATION

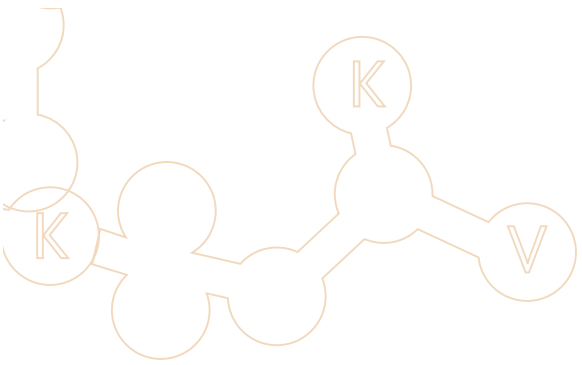
Keryx Biopharmaceuticals – Drug Development Pipeline

	Indication	Development Stage	
Drug Candidate	Metabolic Disease		
	KRX-101	Diabetic Nephropathy	Pre-Phase III
	KRX-683	Type II Diabetes	Pre-clinical
	Immunology		
	KRX-101	HIVAN	Phase II
	KRX-211	Septic Shock	Pre-clinical
KRX-211	Rheumatoid Arthritis	Pre-clinical	
	Oncology		
	KRX-123	Hormone-Resistant Prostate Cancer	Advanced Pre-clinical

KinAce is Keryx's proprietary rational signal transduction modulation platform. It represents a practical use of the human genomics database to systematically generate drug candidates that target specific protein kinases. Using a proprietary bioinformatics-based approach, KinAce requires only the amino acid sequence of the target kinase in order to generate a drug lead. This significantly shortens the drug development process. The rapid generation of specific kinase modulators using KinAce also provides a powerful tool for discovering new kinase functions. This is an important resource to identify kinase-related drug targets.

Keryx has licensed exclusive worldwide rights to the KinAce technology, which includes a fundamental U.S. patent. Several additional patent applications are pending in various jurisdictions throughout the world.

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developing best resources



Keryx has invested significant resources in developing the Company's infrastructure, expanding its global presence and recruiting the very best team of scientists and strategic corporate executives to position Keryx for strong growth. Research collaborations have been solidified with leading academic institutions. Keryx has built fully-equipped, modern research laboratories in its Jerusalem R&D center. Offices in Cambridge, Massachusetts and Jerusalem, Israel facilitate vital access to both North American and European markets. In 2002, the Company's activities are expected to increase in all development areas, and Keryx firmly believes it is well placed and ready to realize its long-term strategic mission.

*Powerful kinase modulation
technology platform*

*Experienced strategic
management team*

*International presence
and research collaborations*

*Diverse pipeline of
important drug candidates*

to promote discovery
and enhance growth





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OFFERING
PEOPLE
PROMISE AND
HOPE FOR
TOMORROW...

KRX-123

A KinAce-developed novel treatment for hormone-resistant prostate cancer

Using the KinAce platform, Keryx has identified and developed a compound for the treatment of hormone-resistant prostate cancer (HRPC). This drug candidate, KRX-123, is based on inhibiting the over-expression of the Lyn kinase, associated with this type of prostate cancer.

According to the U.S.-based National Cancer Institute, one in six American men will develop prostate cancer. A particularly virulent form of advanced prostate cancer, HRPC, is currently incurable. With over 150,000 cases reported annually in the Western world, the potential market for a viable treatment is estimated to be in excess of \$450 million per year.

In vivo experiments indicate that KRX-123 is well tolerated and may have potential in preventing proliferation of the malignancy, reducing tumor size, and restoring tumor apoptosis in HRPC patients.

KRX-123 is currently in advanced pre-clinical development. Keryx expects to file its IND to enter clinical trials for KRX-123 in 2002.

KRX-683

A KinAce-developed drug candidate for the treatment of Type II diabetes

Using the KinAce platform, Keryx has discovered a novel connection between the G-Protein Coupled Receptor (GRK) kinase and Type II diabetes. This led to the development of a GRK kinase-derived inhibitor drug, KRX-683. KRX-683 has proven efficacious in in vivo experiments using nutritionally induced diabetes models. These in vivo tests indicate that KRX-683 may have the potential to reverse the metabolic syndrome and restore normal glucose metabolism.

According to the American Diabetes Association, there are over 10 million diagnosed diabetics in the U.S. alone. Between 90 and 95 percent suffer from Type II diabetes. The potential market for KRX-683 as a treatment of Type II diabetes is estimated to be in excess of \$2 billion per year.

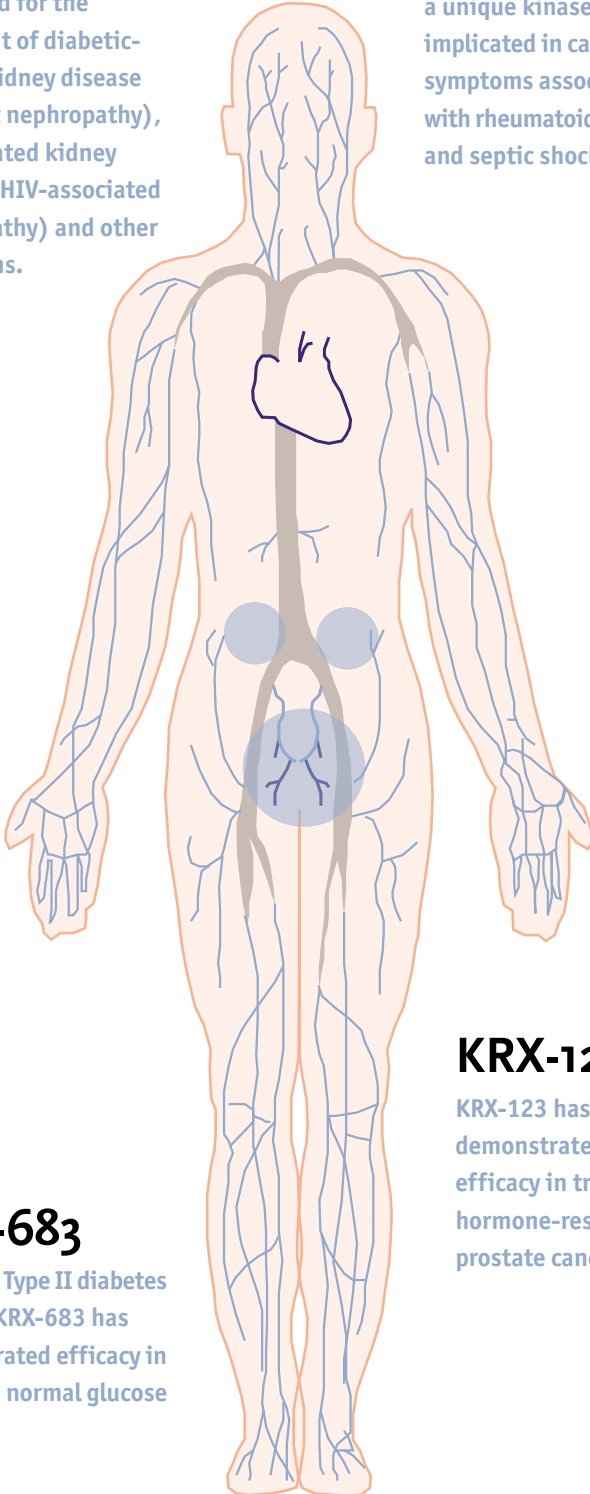
KRX-683 will begin formal pre-clinical development during 2002 in anticipation of Phase I clinical trials.

KRX-101

KRX-101 is an in-licensed compound being developed for the treatment of diabetic-related kidney disease (diabetic nephropathy), AIDS-related kidney disease (HIV-associated nephropathy) and other conditions.

KRX-211

In *in vivo* models, KRX-211 has been shown to inhibit the activity of a unique kinase implicated in causing the symptoms associated with rheumatoid arthritis and septic shock.



KRX-683

In *in vivo* Type II diabetes models, KRX-683 has demonstrated efficacy in restoring normal glucose uptake.

KRX-123

KRX-123 has demonstrated *in vivo* efficacy in treating hormone-resistant prostate cancer.

KRX-211

A KinAce-developed drug candidate for the treatment of septic shock and rheumatoid arthritis

Using the KinAce platform, Keryx has generated KRX-211, a compound that modulates the activity of a particular protein kinase implicated in septic shock. Keryx has conducted in vivo studies that have shown efficacy in activating mediators of the response caused by septic shock. On this basis, Keryx and the National Institutes of Health (NIH) signed a Materials Cooperative Research and Development Agreement (MCRADA), pursuant to which the NIH will conduct and fund further large-scale pre-clinical testing on KRX-211 during 2002.

Septic shock, a life threatening reaction to a severe infection, affects approximately 500,000 people annually, with an approximately 50 percent fatality rate.

Additional work with this kinase has generated very exciting initial data regarding a potential treatment for rheumatoid arthritis. Over 4.5 million people suffer from this disease in the U.S. and Europe, according to Scrip and the Arthritis Foundation. In vivo studies indicate that KRX-211 inhibits the activity of the kinase, resulting in significant improvement of rheumatoid arthritis symptoms.





“Simply put, the KinAce™ technology is a novel concept and I believe that it represents a revolution in drug discovery and development .”

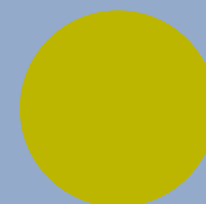
Prof. Rony Seger
Chief Scientific Officer

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- FAST-TRACK STATUS FOR KRX-101 GRANTED BY THE FDA
- KRX-101 PHASE II TRIALS INITIATED FOR HIVAN
- SET TO BEGIN PHASE III TRIALS FOR KRX-101 FOR DIABETIC NEPHROPATHY
- IN-LICENSING OF COMPLEMENTARY SMALL MOLECULE TECHNOLOGY
- PREPARATIONS ONGOING FOR INITIATING CLINICAL TRIALS FOR KRX-123
- KEY PATENTS SECURED

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Morris Laster, M.D.
Chairman of the Board



Benjamin Corn, M.D.
CEO and President

Building a Strong Foundation

“I believe that the upcoming year for Keryx will prove to be a watershed for the Company when years of foundation building and preparations are expected to begin to bear fruit. These are exciting times for us in particular and the

Benjamin Corn, M.D.
CEO and President

Dear Shareholders:

2001 was a very active year at Keryx Biopharmaceuticals, during which we built and strengthened our company's infrastructure and cultivated core talent and capabilities. These efforts substantially strengthened the company and are expected to significantly contribute to the achievement of our ambitious plans.

We emerged as a truly multinational company during 2001, with vital access to both U.S. and European markets. We expanded our U.S. presence in Cambridge, Massachusetts, and built a full-scale modern research laboratory in Israel.

This lab enables us to perform in-house in vitro assays, complex chemistry-based research, comprehensive screening, peptide synthesis and more – saving costs and valuable development time. Our state-of-the-art bioinformatics lab, which is adjacent to the research laboratory, serves as a catalyst for the generation of intellectual property and scientific synergies.

In 2001, we added several key people to the Keryx team. Thomas Humphries, M.D., who joined as Senior Vice President, Clinical Development, has over 20 years of drug development experience with big pharma companies. His experience includes hands-on development responsibilities for nine pharmaceutical products – including several “blockbuster drugs,” and direct responsibility for over 20 NDAs, ANDAs and INDs. Rony Seger, Ph.D., an internationally acclaimed researcher in the areas of kinases and signal transduction and a tenured professor at the prestigious Weizmann Institute of Science, came on board as Chief Scientific Officer. Finally, Barry Cohen joined as our new Vice President, Business Development. He has held several important marketing and business development positions with big pharma companies. These individuals have added important depth to our management team, and are extremely instrumental in helping Keryx realize its potential.

KRX-101- New Hope for Life-Threatening Diseases

During the year, we made substantial progress with KRX-101 (sulodexide), our in-licensed Phase III drug for the treatment of diabetic kidney disease, also known as diabetic nephropathy. First, KRX-101 was granted “Fast Track” status designation from the U.S. Food and Drug Administration (FDA). Fast Track is typically granted to a drug only when two criteria are met: 1) the disease which the drug targets represents an unmet medical need, and 2) the drug has demonstrated therapeutic potential for such disease. Diabetic nephropathy is a life-threatening condition, and there is no approved therapy for Type II diabetic patients suffering from it. In addition, the Phase II study of KRX-101 demonstrated its potential as an effective drug therapy for diabetic nephropathy.



We are currently preparing to initiate a Phase III clinical trial of KRX-101 for the treatment of diabetic nephropathy. The trial, which is to be conducted in the United States, is a major undertaking, and, if successfully concluded, will serve as the basis for a New Drug Approval (NDA) application to the FDA.

A second major milestone in the development of KRX-101 was our receipt of approval from the South African Medicines Control Council for the initiation of a Phase II clinical trial of KRX-101 for the treatment of Human Immunodeficiency Virus Associated Nephropathy (HIVAN) in AIDS patients. The trial was activated in February 2002. Based upon the pathophysiology of HIVAN, we believe that KRX-101 has the potential to have a therapeutic effect on this life threatening complication of AIDS, and we hope it will play an important role in the global battle against AIDS-related deaths.

KinAce™ - Innovative Drug Discovery for the Cures of the Future

The role of kinase-based drugs in treating cancer, metabolic diseases and immunological disorders is gaining momentum. The rapid development of kinase inhibitor drugs, such as Herceptin by Genentech and Gleevec by Novartis, provides confirmation of the potential and promise of the field. Keryx's core drug discovery platform, KinAce, encompasses a novel approach by focusing on specific diseases or kinase targets using bioinformatics to discover and develop new kinase inhibitors. The KinAce platform, discussed in more detail elsewhere in this report, is being applied to uncover new kinase-based targets and to generate drug candidates for those targets, and is also offered as a service to pharmaceutical companies.

Development of several drug candidates discovered using the KinAce platform has progressed significantly in 2001.

KRX-123 is the company's most advanced KinAce candidate. KRX-123, a compound for the treatment of hormone-resistant prostate cancer (HRPC), is a specific kinase inhibitor that targets the Lyn kinase, which is inappropriately expressed in HRPC. During 2001, several *in vivo* experiments showed promising results for treating this incurable and life-threatening disease. We plan to file an IND to initiate clinical studies in humans in 2002. In addition, in October 2001, Keryx presented data on KRX-123 at the prestigious, peer-reviewed European Cancer Conference (ECCO), which showcases promising oncology drug candidates.

Another candidate, KRX-683, has shown promise for the treatment of Type II diabetes. *In vivo* studies using nutritionally-induced diabetes models progressed well during 2001, and have shown that KRX-683 may have the potential to reverse the metabolic syndrome to restore normal glucose metabolism. We plan to initiate advanced pre-clinical development of this compound in 2002, in anticipation of Phase I clinical trials.

Applying the KinAce technology to the sphere of immunology, we have generated KRX-211, a compound to modulate the activity of a unique protein kinase implicated in a life-threatening reaction to severe infection, known as septic shock. Keryx has conducted *in vivo* studies of KRX-211 that have shown efficacy in preventing septic shock-induced symptoms. Furthermore, our research indicates that the same kinase implicated in septic shock may also be implicated in rheumatoid arthritis. We are continuing *in vivo* experiments to verify that KRX-211 prevents the pathologic changes associated with rheumatoid arthritis by inhibiting the inflammatory pathways initiated by the targeted kinase.

We look forward to continuing our development of these three candidates in the coming months, and are hopeful that they will be entering the clinic in the near future.

In January 2002, Keryx obtained the exclusive worldwide license to a novel technology known as Small Integrated Building-blocks (SIB), for the conversion of peptides and other existing drugs into small molecules that have the potential for oral delivery. The SIB technology, which has already demonstrated *in vitro* efficacy, is a natural complement to the KinAce platform. KinAce excels in generating therapeutic small peptide drugs for life threatening indications, such as KRX-123. However, for diseases which are not life-threatening, and for which the treatment is longer-term, small molecules offer an advantage over peptides because of their potential for oral delivery and their improved pharmacokinetic properties.

In addition, SIB provides Keryx with the ability to offer pharmaceutical companies that have existing peptide and rigid small molecule drugs an opportunity to convert them into more valuable small molecule compounds.

A Strong Intellectual Property Portfolio

The Company has rights to 11 families of issued patents and several pending patent applications related to the use of KRX-101 (sulodexide) for the treatment of diabetic nephropathy, as well as additional indications, including diabetic neuropathy (nerve damage), HIV-associated nephropathy, pre-eclampsia (pregnancy-related disease), and inflammatory bowel disease (Crohn's disease, colitis). While Keryx's current focus is on the advancement of the clinical program of KRX-101 for the treatment of diabetic nephropathy and HIVAN, we believe that KRX-101 has long-term potential for the treatment of all the abovementioned diseases and that the relevant patent applications, if issued, will serve as a foundation on which to expand the compound's future clinical development.

Keryx also has what we believe to be a strong patent position protecting the KinAce technology. In January 2001, the USPTO issued the first fundamental patent covering the KinAce technology. The patent focuses on one of the most important classes of kinases, known as serine threonine kinases, which are implicated in diseases such as cancer, diabetes, obesity, and autoimmune disorders. In addition, we have 13 patent applications pending in various jurisdictions, including applications aimed to protect key regulatory regions of the kinase upon which the KinAce technology focuses, and the specific use of KinAce-derived compounds for the treatment of prostate cancer, Type II diabetes, and other diseases, such as colon and breast cancer.

We intend to expand our intellectual property portfolio by filing additional patent applications over the coming year.

In conclusion

We want to thank our dedicated and extremely talented staff of over sixty professionals, for their commitment and support, without which we would not have been able to execute our ambitious strategy to seek innovative approaches to kinase-based drug discovery, and identify novel opportunities for discovering cures for life-threatening diseases.

Finally, as we look forward to a promising 2002, we would like to thank our loyal investors and research partners for their continued commitment and dedication.



Morris Laster, M.D.
Chairman of the Board



Benjamin Corn, M.D.
Chief Executive Officer and President