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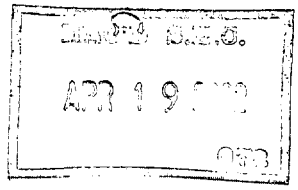


FORWARD

(THE REVOLUTION HAS BEGUN)

3-DIMENSIONAL PHARMACEUTICALS, INC.

2001 ANNUAL REPORT

→ WHAT'S AHEAD

3DP IS A DRUG DISCOVERY AND DEVELOPMENT COMPANY ADVANCING NOVEL COMPOUNDS INTO PATIENT TRIALS AND ULTIMATELY THE MARKETPLACE. **THROUGH OUR INNOVATIVE DISCOVERWORKS® TARGET-TO-DRUG TECHNOLOGY, WE ARE ABLE TO CREATE NOVEL THERAPIES BASED ON THE VAST AMOUNTS OF INFORMATION COMING FROM GENOMICS RESEARCH.** WE ARE TARGETING CANCER, INFLAMMATION, AND METABOLIC AND CARDIOVASCULAR DISEASES.

⇒ LETTER TO STOCKHOLDERS

No words better capture the performance of 3-Dimensional Pharmaceuticals, Inc. (3DP) in 2001 than outstanding growth. We nearly doubled the size of our drug discovery and development company to 200 people by year-end, significantly increased our investment in research and development, and reported revenues of $28 million. Especially exciting has been the progress of our pipeline programs aimed at cancer, inflammation, and metabolic and cardiovascular diseases.

In January 2002, we signed an agreement with GlaxoSmithKline plc to in-license a pre-IND compound for the prevention and treatment of thrombocytopenia, or low blood platelet count. This represents an important addition to our rapidly growing pipeline of cancer related therapies. With this new agent, 3DP has a total of four pre-IND programs, each of which has the potential to advance into human clinical trials within the next 24 months.

→ THE NEW PROTEOMICS FRONTIER

While the decoding of the human genome was the most important life sciences event in 2000, proteomics supplanted genomics as the cutting-edge frontier in 2001. Indeed, the estimated 35,000-50,000 genes in human cells may encode as many as a million proteins, many of which play important roles in disease. The major challenge facing scientists today is determining which proteins are suitable targets for drug discovery programs, and how they can be exploited as rapidly and cost-effectively as possible, even if their biochemical function is ambiguous or unknown.

As 2002 unfolds, 3DP's DiscoverWorks platform is strategically positioned to translate those needs into drug discovery programs that can generate significant numbers of high quality lead compounds and exploit the new biological targets that are starting to emerge from the genomics revolution. 3DP's drug leads are designed to be effective tools for validating new targets in a way that increases the likelihood they will be successful orally active drugs.

At the heart of this discovery process is DiscoverWorks, which seamlessly links together our ThermoFluor® high-throughput screening, DirectedDiversity® Probe and Synthetically Accessible compound libraries, DirectedDiversity chemi-informatics technology, and structure-based drug design.

→ ADVANCING THE PIPELINE

With DiscoverWorks as our lead generating engine, we continued to aggressively build our pipeline in 2001. Our goal is to discover, optimize (or chemically modify) and bring to the clinic small molecule drugs, a process, and

→ ***DAVID C. U'PRICHARD, PH.D.***
Chief Executive Officer

challenge, which our proprietary technology enables us to do faster and better and with fewer resources than many of the pharmaceutical companies. Our most advanced pipeline project covers a new class of orally active thrombin inhibitors and is partnered with Centocor, Inc., a Johnson & Johnson subsidiary. The market opportunity for safe and effective oral thrombin inhibitors to treat arterial and venous thrombosis is significant. In Phase I clinical trials, the first development compound exhibited good safety, surrogate efficacy and tolerability characteristics, and we are continuing additional pre-clinical studies to characterize its pharmacological profile. We are also developing alternative compounds from chemistry series different than the first development compound to expand the spectrum of compound pharmacological properties suitable for full development as oral thrombin inhibitors.

3DP's other partnered program has been its urokinase inhibitors. Here, our pre-clinical lead compounds have shown useful oral properties, as well as an ability to inhibit prostate cancer and melanoma tumor cell invasion and in the cardiovascular field, to inhibit the migration of blood vessel smooth muscle cells without causing the cells to die. In May 2000, we entered into a licensing and two-year research agreement with Schering AG, Germany covering development and commercialization of our urokinase inhibitors.

Nowhere was the strength of our technology more evident last year than in the rapid progress of three of our key discovery programs, each of which has the potential to produce an important new drug addressing significant medical needs. These programs, which are described more fully in the pages that follow, include our $\alpha_v\beta_3/\alpha_v\beta_5$ Integrin Antagonists, with applications in oncology, osteoporosis, rheumatoid arthritis and diabetic retinopathy; our C1s inhibitors to treat autoimmune disorders; and our hdm2-p53 antagonists to inhibit the growth of tumors.

→ GROWTH THROUGH COLLABORATIVE PARTNERING

Collaborative partnering in discovery and development with large pharmaceutical companies and other biotech companies is a core aspect of our business strategy. We will continue to use these collaborations to acquire cash, complementary biology, drug development expertise, drug compounds and targets.

Our DiscoverWorks drug discovery partnerships, for example, identify and optimize drug leads against therapeutically relevant targets supplied by our partners. 3DP's largest partnership to date is a three-year drug discovery agreement with the Bristol-Myers Squibb Company. During 2001, we extended our DiscoverWorks partnership with Boehringer Ingelheim Pharmaceuticals,Inc., which is focused on identifying new compounds to fight asthma and allergic diseases. At the end of the year, we signed a DiscoverWorks drug discovery partner-

ship with Johnson & Johnson Pharmaceutical Research & Development L.L.C. This collaboration, which is in addition to our existing thrombin inhibitor partnership with J&J's subsidiary, Centocor, is focused on discovering leads for several of its genomics derived targets.

We added to our growing partnership family in 2001 by announcing a collaboration with Athersys, Inc. Under this agreement, we are combining our chemistry with Athersys' functional genomics expertise to screen 3DP compounds against G-Protein Coupled Receptor (GPCR) protein targets, and jointly optimize hits to produce drug development candidates. This is a strategically important transaction for 3DP because it incorporates novel, GPCR targets, a very attractive protein class, into our pipeline, in addition to our previous practice of discovering and developing leads for targets in the public domain. Furthermore, the sequencing of the human genome is providing GPCR targets to research scientists in greater numbers than ever before.

→ FINANCIAL PERFORMANCE

Our financial results for 2001 are linked to our DiscoverWorks and other drug discovery and development partnerships. In 2001, we reported revenues of $28.4 million, up from $12.4 million in 2000. Our net loss for 2001 was $11.4 million, or $0.53 per share, compared to a net loss of $8.2 million, or $0.52 per share on a pro forma basis, for 2000. The net loss increase reflects the acceleration of our research and development programs, the bedrock of our business, where research and development expense increased from $14.6 million in 2000 to $29.6 million in 2001.

We remain a financially strong company, with approximately $100 million in cash, cash equivalents, and marketable securities at year-end. We intend to continue to build our financial base in the coming years through additional collaborative partnerships.

→ TECHNOLOGY ENHANCEMENTS

3DP is also continuing to strengthen its technology platform which, in turn, supports the company's pipeline and partnerships. In 2001, for example, we implemented a major expansion of our screening and optimization capacity and developed new target decryption capabilities using ThermoFluor. Through its unique functional proteomics application, ThermoFluor can discover leads for targets with unknown biological functions, including many of the thousands of new targets being identified through the sequencing of the human genome. We also increased the size of our Probe Library by over 50 percent, to 300,000 compounds. This year we intend to grow the library to about 500,000 compounds.

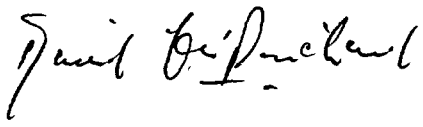
We've further enhanced our technology platform through an agreement with Cyprotex Services Limited that is aimed at applying its ADME (absorption-distribution-metabolism-excretion) prediction methodology to improving the success of our drug optimization process.

→ THE OUTLOOK

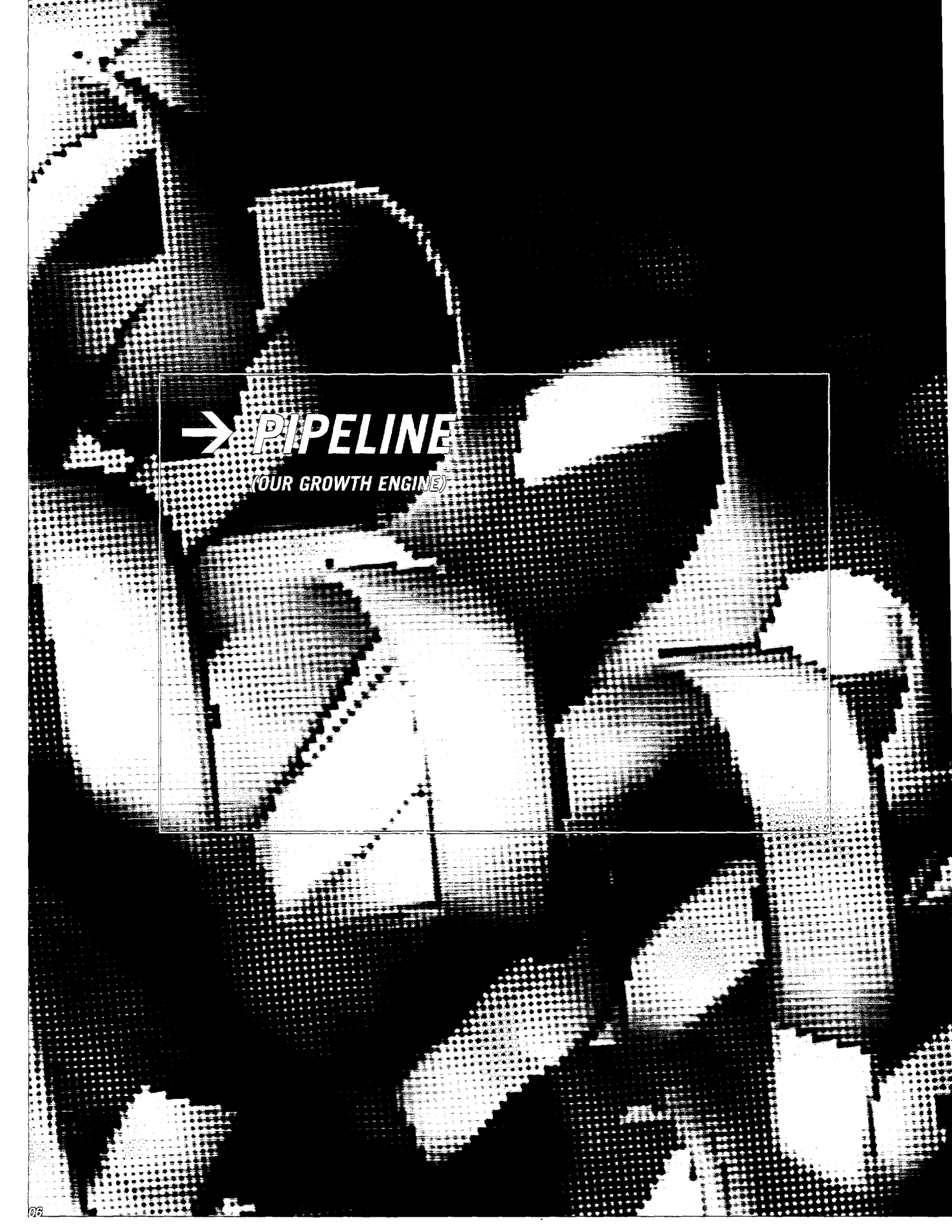
Our primary objective, during 2002 and beyond, is to continue to increase the value of 3DP by advancing its pipeline and enhancing existing resources with assets acquired through collaborative partnering. We are determined to advance our pre-clinical programs to the clinical stage, and hope to have at least one project in Phase II human trials within the next 24 months. Achievements like these will further demonstrate the ability of 3DP's highly integrated, "gene to clinic" drug discovery platform to identify and optimize small molecule leads through novel and beneficial collaborative partnerships.

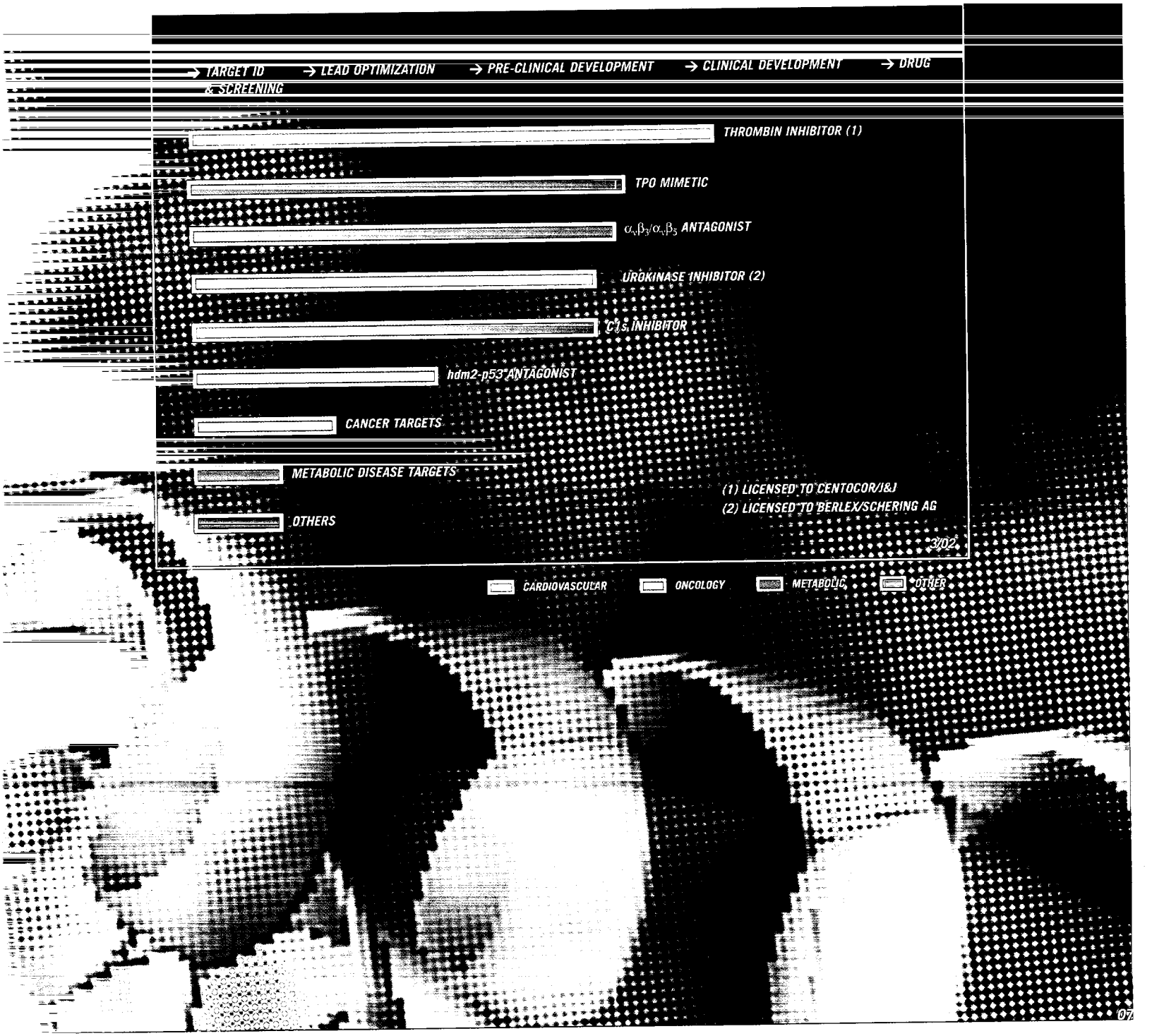
We are fortunate to have a highly talented management team with enormous pharmaceutical and biotech experience to manage our research initiatives. We strengthened that team last year with the addition of John Gill, our Chief Operating Officer, Brian MacDonald our Vice President of Development, and Melinda Rudolph our Vice President and General Counsel. Moreover, William Claypool, a recognized industry leader in the field of clinical development, joined our Board of Directors in January following the retirement of Bernard Canavan, whose expertise we will sorely miss. We also mourn the tragic death of Don Wiley, who had served as Chairman of our Scientific Advisory Board since 3DP's inception. I am pleased to report that Stephen Benkovic has agreed to serve as the new Chairman of our Scientific Advisory Board.

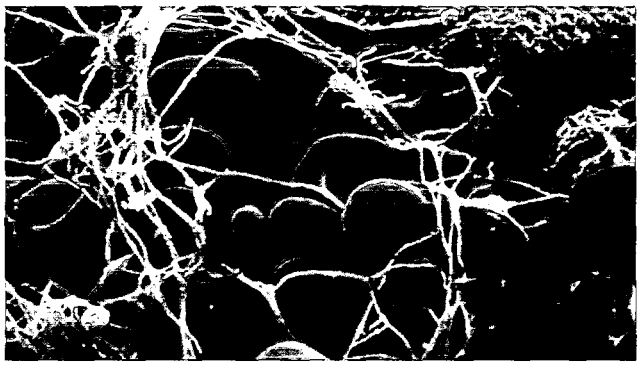
In sum, we are well-positioned scientifically, managerially and financially to move 3DP smartly forward from an early drug discovery to a full drug discovery and development company. We hope that you, our stockholders, share our excitement about the opportunities that lie ahead, and pledge that we will work harder than ever to succeed.



→ DAVID C. U'PRICHARD, PH.D.
Chief Executive Officer


→PIPELINE
(OUR GROWTH ENGINE)


TARGET ID & SCREENING
LEAD OPTIMIZATION
PRE-CLINICAL DEVELOPMENT
CLINICAL DEVELOPMENT
DRUG
THROMBIN INHIBITOR (1)
TPO MIMETIC
$\alpha_v\beta_3/\alpha_v\beta_5$ ANTAGONIST
UROKINASE INHIBITOR (2)
C1s INHIBITOR
hdm2-p53 ANTAGONIST
CANCER TARGETS
METABOLIC DISEASE TARGETS
OTHERS
(1) LICENSED TO CENTOCOR/J&J
(2) LICENSED TO BERLEX/SCHERING AG
3/02
CARDIOVASCULAR
ONCOLOGY
METABOLIC
OTHER



3DP's thrombin inhibitors are designed to prevent the formation of dangerous blood clots, like the one depicted here, which consist of threads of fibrin, an insoluble protein that forms a mesh which traps red blood cells. Our integration of structure-based drug design and DirectedDiversity chemistry made this development program possible.

⇒ THROMBIN INHIBITOR

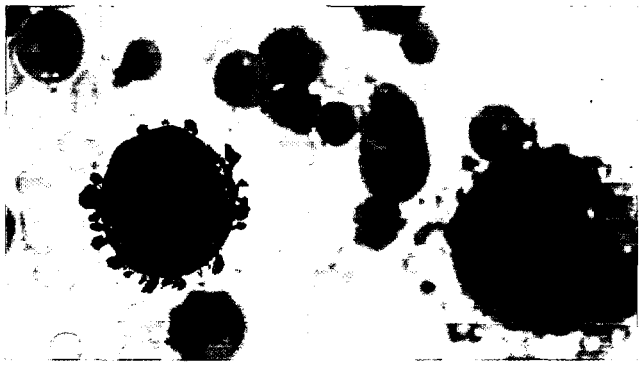
→ TARGETING AN UNMET MEDICAL NEED

The industry agrees that a safe and effective orally active thrombin inhibitor could be a significant drug, displacing the market for warfarin (Coumadin® and generic versions), the only oral anticoagulant currently available. Our agents have a novel mechanism of action with the potential to provide an enhanced safety profile and eliminate the need for constant patient monitoring.

In line with its strategy to seek partners where large or complex clinical trials are required, 3DP joined forces with Centocor, Inc., a Johnson & Johnson subsidiary, for development and marketing of 3DP's thrombin inhibitor program. Centocor is currently evaluating several compounds identified through this collaboration, and 3DP hopes to have a compound enter Phase II human trials within the next 24 months. In addition, 3DP has an option to co-develop and co-promote its thrombin inhibitors for deep vein thrombosis in the United States.

→ CREATING A SUPERIOR AGENT

As the most advanced program in 3DP's pipeline, the oral thrombin inhibitor program is among its biggest successes to date. Indeed, 3DP's oral thrombin inhibitors are chemically distinct from, and in many ways superior to, other inhibitors being studied. For the millions of people in the United States who are impacted each year by adverse blood clot formation and its potentially fatal consequences, the 3DP/Centocor thrombin inhibitors could constitute an important medical breakthrough.



The complex biology behind the body's production of platelets is depicted here. Because of its frequency in cancer patients, chemotherapy induced thrombocytopenia (the lowering of blood platelet count) is the initial focus of development work with the pre-IND compound, 3DP-3534, which stimulates the production of platelets.

⇒ TPO MIMETIC

→ THERAPY FOR CANCER PATIENTS

For many patients undergoing chemotherapy, thrombocytopenia, a lowering of the body's blood platelet count, is a highly adverse consequence. This condition prolongs blood clotting times, increases the risk of bruising and, in extreme cases, prompts internal hemorrhaging. Furthermore, these side effects frequently disrupt cancer treatment protocols, with obviously deleterious results.

Through a recently signed licensing agreement with GlaxoSmithKline plc, 3DP has acquired worldwide development, marketing and distribution rights to a pre-clinical compound, 3DP-3534, for the prevention and treatment of thrombocytopenia. 3DP-3534 is a synthetic compound that mimics the action of the thrombopoietin (TPO) protein, thereby stimulating the body to increase its production of blood platelets which, in turn, regulate the clotting process.

→ IMPROVING THE STANDARD OF CARE

The pre-IND compound acquired by 3DP has the potential to represent a significant improvement over the current standard of care for thrombocytopenia – platelet transfusions. About one third of the two million platelet transfusions performed annually are for cancer patients whose platelet count is impaired by chemotherapy.

The $\alpha_v\beta_3/\alpha_v\beta_5$ antagonist is designed to bind to the surface grooves of the $\alpha_v\beta_3$ and the $\alpha_v\beta_5$ proteins with equal potency. The $\alpha_v\beta_3$ structure is shown here. 3DP has leveraged its chemistry capabilities to develop an optimized lead with unique chemical properties. This agent is an orally active, non-cytotoxic antagonist of $\alpha_v\beta_3$ for use in cancer chemotherapy and other indications. The accessible surface model of $\alpha_v\beta_3$ was generated from the coordinate file 1jv2,[1,2] which is deposited in the protein data bank.

⇒ $\alpha_v\beta_3/\alpha_v\beta_5$ DUAL INTEGRIN ANTAGONIST

→ AN EXCITING BUT CHALLENGING INTEGRIN TARGET

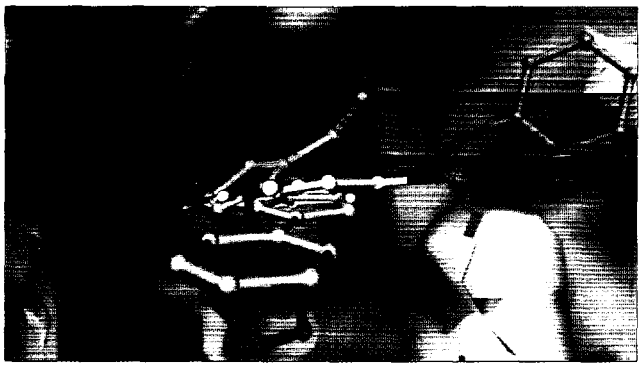
In a field that has stubbornly eluded scientists, 3DP's oral integrin antagonist program advanced dramatically last year, producing a series of lead compounds with good potency, selectivity and oral availability. The compounds are small molecule antagonists of the integrin $\alpha_v\beta_3/\alpha_v\beta_5$ receptors. Integrins are cell-surface proteins that serve as receptors for vitronectin and osteopontin, important proteins that regulate contact between cells. In this capacity, integrins serve as modulators of angiogenesis (the process by which tumors develop blood vessels), and of pathological cell/cell adhesion in diabetic retinopathy, macular degeneration, rheumatoid arthritis, and osteoporosis.

3DP's research has focused on finding selective antagonists which are equipotent at $\alpha_v\beta_3$ and $\alpha_v\beta_5$ integrins, thereby inhibiting cell adhesion or attachment, as well as the migration of endothelial cells to form blood vessels in tumors. Significantly, 3DP's lead compounds have not shown cytotoxicity or random killing of healthy cells.

→ MAXIMIZING OUR CHEMISTRIES

The early success of the $\alpha_v\beta_3/\alpha_v\beta_5$ dual integrin antagonists program underscores 3DP's ability to maximize its proprietary chemistry. We plan to aggressively develop these compounds ourselves within oncology, but may look for a partner for other indications.

1. H.M. Berman, J. Westbrook, Z. Feng, G. Gilliland, T.N. Bhat, H. Weissig, I.N. Shindyalov, P.E. Bourne: The Protein Data Bank. Nucleic Acids Research, 28 pp. 235-242 (2000)
2. Xiong, J.-P., Stehle, T., Diefenbach, B., Zhang, R., Dunker, R., Scott, D. L., Joachimiak, A., Goodman, S. L., Arnaout, M. A.: Crystal Structure of the Extracellular Segment of Integrin $\alpha_v\beta_3$ Science 294 pp. 339 (2001)



This composite view of several urokinase inhibitor molecular structures is helping 3DP scientists design better and more potent drugs. Like the thrombin program, 3DP's uPA inhibitors have been discovered through the tight integration of structure-based drug design and DirectedDiversity high-throughput chemistry.

⇒ UROKINASE INHIBITOR

→ CONTROLLING THE SPREAD OF CANCER

A growing body of scientific evidence shows urokinase plasminogen activator (uPA or urokinase) to be a promising target for agents that control the growth and spread of cancer. By drawing liberally from its experience with thrombin, a protease similar to uPA, 3DP is advancing in this important therapeutic arena.

Using our libraries of DirectedDiversity compounds and structure-based drug design, we have discovered several potent, orally active, small molecule inhibitors of urokinase, and are now working towards identifying a lead candidate. uPA inhibitors function as anti-angiogenesis agents, blocking the development of new blood vessels that tumors need to grow and spread to healthy organs. They may also be useful in treating the cardiovascular conditions of restenosis and atherosclerosis.

→ THE SCHERING PARTNERSHIP

Helping to progress our urokinase inhibitor project is our partnership agreement with Schering AG, Germany. Under the terms of the partnership, 3DP is responsible for further research and optimization of the compounds, while Schering holds the rights to develop, market and sell any resulting products.

This ribbon representation shows the protein structure of the C1s protease, which serves as the target for inhibitors being designed by 3DP and BioCryst. 3DP contributes its DirectedDiversity engine along with the expertise of its chemists in protease structure-based drug design. Through its considerable work in the field, BioCryst brings a strong understanding of the biology of the C1s complement protease and the classical complement pathways.

⇨ C1s COMPLEMENT CASCADE INHIBITOR

→ TARGETING AUTOIMMUNE DISEASE

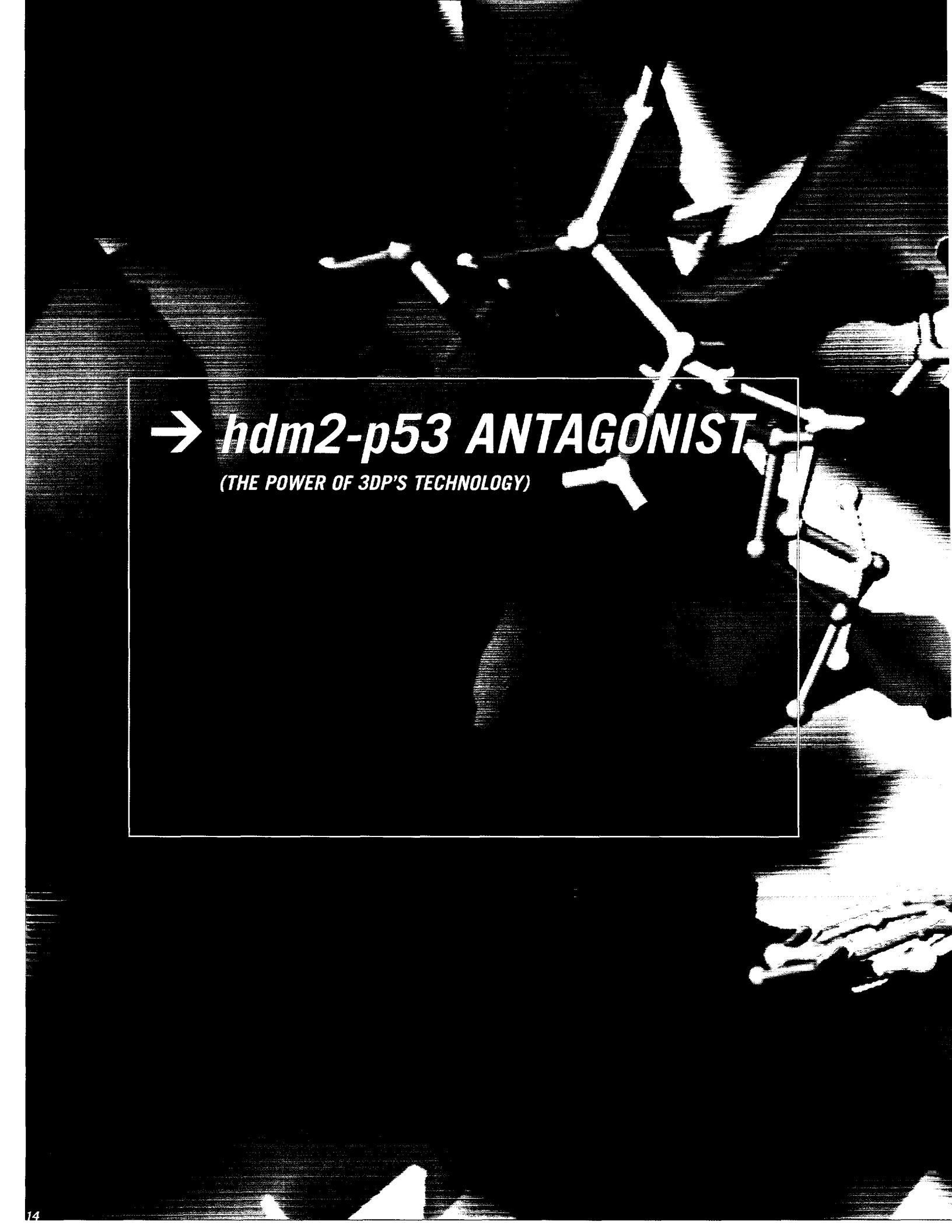
3DP has targeted the important field of autoimmune diseases, from lupus to rheumatoid arthritis to multiple sclerosis in collaboration with BioCryst Pharmaceuticals, Inc. This program builds on 3DP's expertise developed in thrombin and uPA by focusing on a target from the same enzyme class of serine proteases. The C1s protease when inappropriately activated, initiates a chain of events which results in damage to tissues. By targeting that enzyme with an inhibitor, we can control that damage and, consequently, a range of autoimmune diseases.

We have identified and are optimizing several C1s inhibitors using DiscoverWorks. During the past year, the program has achieved remarkable progress, generating a number of highly selective and potent leads from which we believe a candidate for clinical development will soon emerge.

→ RESEARCH ADVANTAGE

From a small molecule perspective, the C1s project is cutting edge research and may be unique to the industry. That advantage could eventually translate into an important opportunity given the agent's broad therapeutic applicability for a range of vastly under-treated diseases.

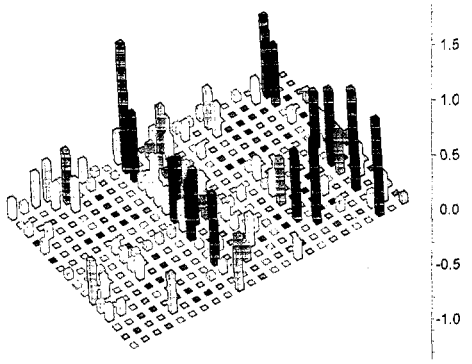
DISCOVERWORKS NOT ONLY SERVES AS A GENERATOR OF NEW PIPELINE PROJECTS, BUT AS THE FOUNDATION FOR THE SIX COLLABORATIONS WE HAVE DONE TO DATE WITH MAJOR LIFE SCIENCE INDUSTRY PARTNERS.



→ hdm2-p53 ANTAGONIST

(THE POWER OF 3DP'S TECHNOLOGY)

As it looks to the future, 3DP is excited about the potential for its hdm2-p53 antagonist, an agent targeting a complex protein-protein interaction that has thwarted scientists in the past. Not only is this discovery program making significant progress, but it also confirms the advantage obtained through integration of structure-based drug design, high-throughput ThermoFluor screening, and DirectedDiversity chemistry.



CHEMI-GENOMICS/PROTEOMICA *This read-out from a ThermoFluor instrument shows the binding affinity of various compounds to the target hdm2 protein (the taller the bar, the tighter the binding affinity). 3DP has developed its DiscoverWorks platform to provide a rapid and effective approach to drug discovery with genomics targets. The integration of 3DP's ThermoFluor high-throughput screening technology with the chemical optimization capabilities of our DirectedDiversity chemi-informatics and combinatorial chemistry allows us to rapidly discover drug leads that can be used to directly test ideas for drug action in biological disease models. This chemi-genomics approach can substantially reduce the time required for target validation as well as the overall drug discovery process. Our proteomica informatics system, which is currently under development, connects the world of bio-informatics and genome sequences to 3DP's DirectedDiversity chemical databases to aid both in the functional identification of new targets and selection of compounds with minimal unwanted side effects.*

⇒ *hdm2-p53 ANTAGONIST*

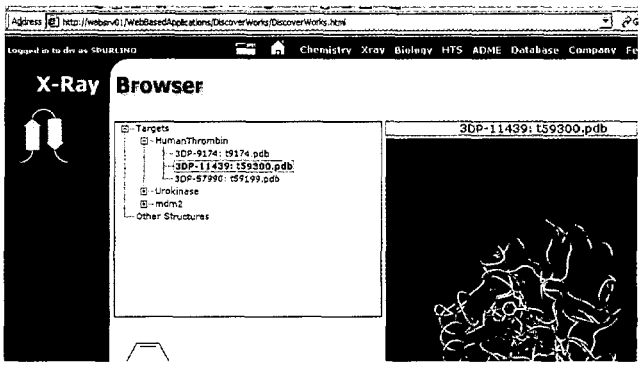
→ *MEETING A RESEARCH CHALLENGE*

More and more, 3DP's success can be linked to its ability to tackle tough drug discovery problems. Case in point: the complex protein-protein interaction of hdm2 and p53. Scientists have hypothesized for years that over-expression of hdm2 protein inhibits the proper functioning of p53, an important tumor suppressor protein. Yet we believe that no company working in this research space has yet been able to find small molecule chemicals to prove the hypothesis in a biological model, and commence drug development.

Within a year of taking up this challenge, 3DP has achieved extraordinary results on the road to developing an hdm2-p53 antagonist with strong therapeutic and market potential. Working with a full range of DiscoverWorks resources and capabilities, from high-throughput screening to structure-based lead compound optimization to chemistry-driven target validation, we have developed a number of very promising lead compounds from which a drug candidate may soon emerge.

→ *USING THE DISCOVERWORKS PROCESS*

The discovery process began with 3DP's confirmation through x-ray crystallography that a p53 peptide fragment binds in three dimensions to the hdm2 molecule, indicating a binding "pocket" and an opportunity for a thermodynamically favorable small molecule interaction (put another way, the target was "drugable"). We then used ThermoFluor, our high-throughput screening technology that measures the binding affinity of compounds in our chemistry libraries to the target protein in order to uncover compounds that



WEB-BASED INFORMATICS *The X-ray browser, shown here, is just one of a number of web-based applications that allow 3DP scientists to access information more easily from a wide range of disciplines. Indeed, the science of chemi-informatics is now being performed throughout 3DP via a new web-based delivery system. Chemists and others involved in DirectedDiversity drug design can instantly access important discovery data and perform key tasks like registering compounds, tracking compounds and biological data, and exploring chemistry libraries from a design perspective. Web-based applications also allow chemists to search and analyze virtual libraries on their desktops, and to make important selections from those libraries to support drug optimization programs.*

are active "hits." ThermoFlour technology allows a rapid, scaleable, direct read-out of inhibitor binding to hdm2. This is in contrast to the indirect read-outs from other assays used by competitors, a process that is slow (it can take a week for read-outs) and not easily scaleable for high-throughput.

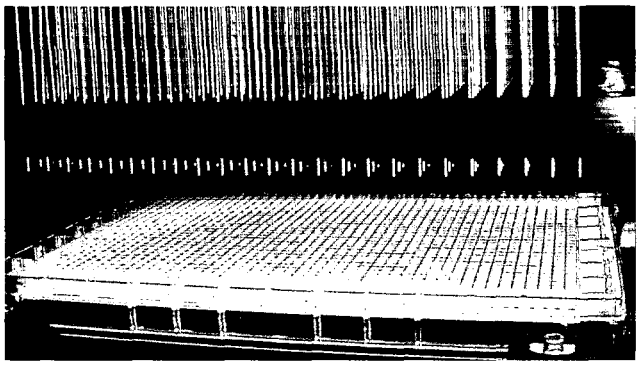
→ NARROWING THE FIELD OF CANDIDATES

In the case of our hdm2-p53 antagonist program, the initial ThermoFluor screen produced over 100 hits. This triggered the next stage of DiscoverWorks: synthesizing and testing structurally similar compounds, or analogs, in order to design even stronger leads. At the heart of this process is 3DP's DirectedDiversity chemi-informatics, a powerful software solution that analyzes data from screening and structure-based drug design to iteratively select additional compounds from our multi-billion compound library for synthesis and further screening. All compounds in this computer-based library are designed to be drug-like, with the computer holding precise instructions (i.e., the recipes) for their synthesis. Thus, the compounds can be selected, made and screened within a month of the previous screen. Compounds selected by DirectedDiversity chemi-informatics tend to be more potent and selective than hits identified in previous screenings.

On the next round of hdm2 screening, DirectedDiversity identified 52 compounds with improved properties, followed on the next iteration by 17 compounds with even more improved properties. A compound from the third round of screening had appropriate properties to test the hdm2-p53 hypothesis in biological disease models, and to begin lead optimization.

→ THE POWER OF X-RAY CRYSTALLOGRAPHY

Our next step was to ensure that our lead bound to the site of the protein-protein interaction of hdm2 and p53. This involved another core capability of 3DP's DiscoverWorks platform, structure-based drug design using x-ray crystallography, a field in which 3DP's skills and resources are among the best in the industry. X-ray crystallography pro-



Structure-based drug design is an important component of 3DP's DiscoverWorks platform. 3DP has developed high-throughput capabilities for performing X-ray crystallographic analyses of its drug leads bound to protein targets, including the use of robotics for protein crystallization and intense synchrotron X-ray sources for crystal data collection. 3DP has pioneered the integration of structure-based-design, where drugs are designed de novo based on the target 3D structure, with computer-directed combinatorial chemistry, which enables parallel compound synthesis and optimization. The above picture illustrates the set up of a high-throughput crystallization experiment.

vides, in effect, a set of eyes for scientists to visualize how compounds bind to a target. The process starts by forming crystals of the target protein and analyzing how the crystals scatter x-rays. This creates a three-dimensional view of that target that is displayed on a computer screen, allowing researchers to do atom-by-atom modifications of hits to produce drug leads with improved potency and specificity.

In the case of hdm2, we suspected the target protein's numerous nooks and crannies might be ideal terrain for a small molecule to bind. It was important to prove that our small molecule bound to the same site as p53, which indeed proved to be the case.

→ PROVING THE BIOLOGICAL HYPOTHESIS

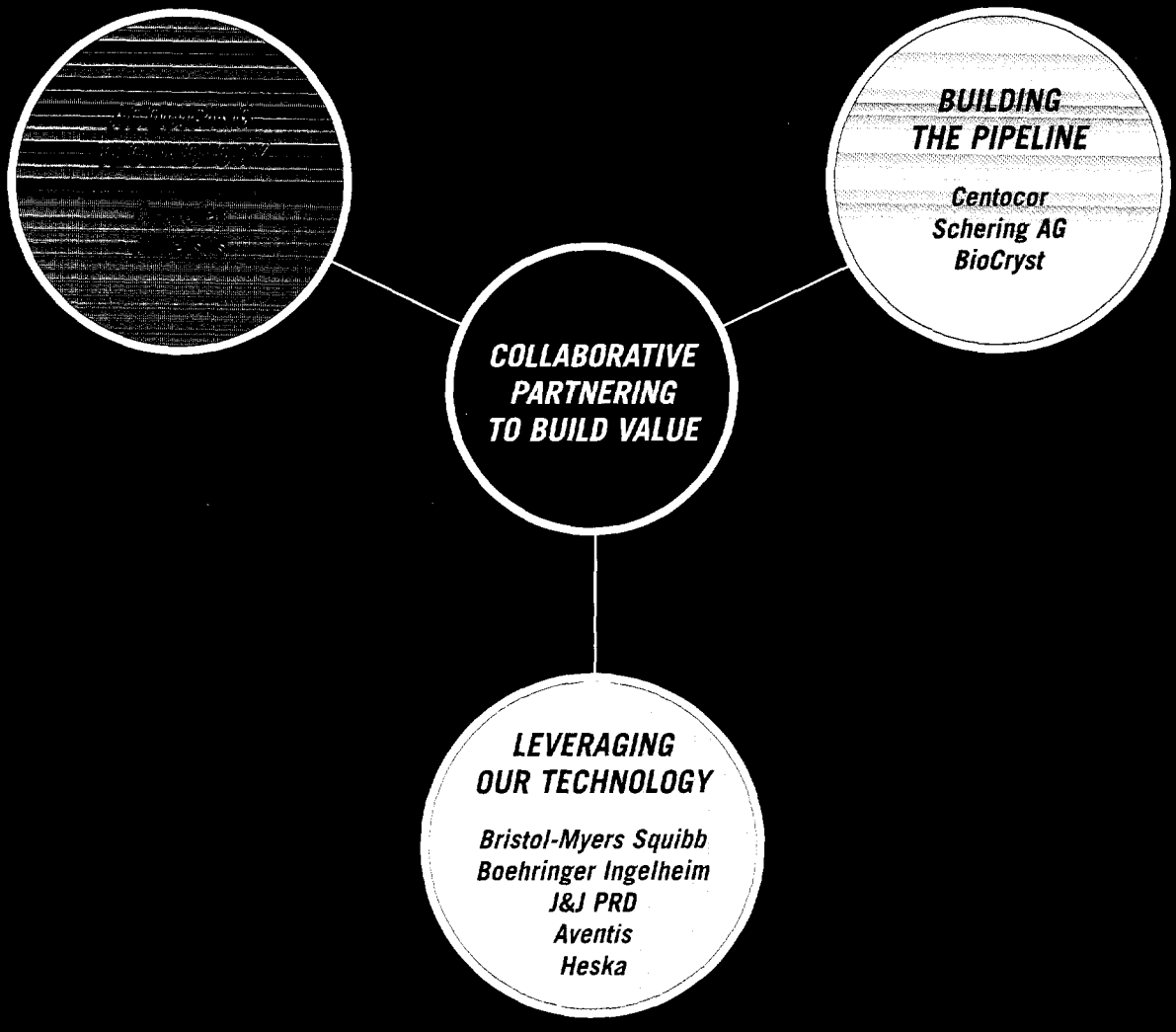
Our next step was to use our small molecule drug lead to prove the biological hypothesis that inhibiting the hdm2-p53 interaction will cause cancer cell death through the process known as apoptosis. Our scientists showed in an osteosarcoma model a strong, dose–dependent, apoptosis-related cell death caused by hdm2-p53 inhibition.

Thus, in less than a year, 3DP produced a small molecule drug lead and used it in a biological model to prove an important hypothesis relative to a drug target. This process is known as chemi-genomics, and our DiscoverWorks platform is ideally suited to establishing 3DP as a leader in this evolving discipline.

→ HUGE POTENTIAL TO IMPROVE CANCER TREATMENT

X-ray crystallography providing high-throughput "co-structure" analysis will tremendously facilitate ongoing lead optimization within our hdm2-p53 antagonist program, which is still in its early development phase. We are also optimistic that our hdm2-p53 antagonists can play an important role in improving the effectiveness of toxic chemo- and radiation therapies. This would allow doses to be reduced, thereby cutting back on toxicity and providing tremendous advantages for cancer patients. Backed by the strength of DiscoverWorks, we will continue to vigorously move this project closer to human trials.

→ COLLABORATIVE PARTNERING
A CORE ASPECT OF OUR BUSINESS STRATEGY



Collaborative partnering is a core aspect of our business strategy. These arrangements can take various forms ranging from comprehensive programs in areas of 3DP's expertise to specific R&D arrangements that utilize DiscoverWorks for target decryption and validation, lead generation and lead optimization. We will continue to use these collaborations to acquire cash, complementary biology, drug development expertise, drug compounds, targets, and other assets we need to continue to build our Company.

→ GROWTH THROUGH COLLABORATIVE PARTNERING

DISCOVERWORKS COLLABORATIONS - LEVERAGING OUR TECHNOLOGY

BRISTOL-MYERS SQUIBB COMPANY
Our collaboration with BMS is our largest and most comprehensive to date. It involves the use of our DiscoverWorks technology over a three-year period to discover and optimize novel small molecule drugs directed at biological targets supplied by this large pharmaceutical company.

BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.
3DP is applying its DirectedDiversity combinatorial chemistry technology to discover and refine innovative new compounds that are active against asthma and allergic disease under the terms of this partnership. Signed originally in 1999, the collaboration was recently extended to March 2003.

JOHNSON & JOHNSON PHARMACEUTICAL RESEARCH & DEVELOPMENT, L.L.C.
3DP is applying its proprietary technologies to discover and optimize small molecule drug leads directed to genomics targets identified by Johnson & Johnson Pharmaceutical Research & Development. This represents our second partnership with a Johnson & Johnson entity, the first being our alliance with Centocor on the oral thrombin inhibitor program.

AVENTIS CROPSCIENCE GmbH
3DP used its DirectedDiversity technology to assist Aventis in the discovery of novel compounds in the areas of plant and pest management. Under the terms of this agreement, 3DP provided libraries of its compounds to Aventis, while using its DirectedDiversity technology to optimize lead compounds identified by Aventis' screening.

HESKA CORPORATION
3DP had a research collaboration with Heska to apply its DirectedDiversity technology to the discovery and development of new veterinary therapeutic agents. Heska maintains exclusive worldwide rights to license any resulting veterinary therapeutic products for sale.

LICENSE AND RESEARCH AGREEMENTS - BUILDING OUR PIPELINE

CENTOCOR, INC. / JOHNSON & JOHNSON
3DP is partnering in the development of its most advanced pipeline program, oral thrombin inhibitors, with Centocor, a Johnson & Johnson subsidiary. Under the January 2001 agreement, 3DP is continuing to optimize several drug leads, while Centocor is responsible for development and worldwide commercialization. 3DP has an option to co-develop and co-promote oral thrombin inhibitors for deep vein thrombosis in the United States. During 2001 we expanded this collaboration to include compounds active against other targets in the coagulation cascade.

SCHERING AG, GERMANY
As part of an agreement signed in May 2000, Schering AG obtained exclusive worldwide rights to 3DP's urokinase inhibitor compounds. 3DP is responsible for further research and optimization of the compounds while Schering AG holds the rights to develop, market and sell any resulting products.

BIOCRYST PHARMACEUTICALS, INC.
3DP has a research collaboration with BioCryst to develop inhibitors of serine protease enzymes. That effort led to the discovery of C1s complement cascade inhibitors, small molecule agents which could have an impact on a wide range of autoimmune diseases, including rheumatoid arthritis, lupus, and multiple sclerosis.

TECHNOLOGY ENHANCEMENTS - LEVERAGING OUR TECHNOLOGY

3DP has teamed up with Athersys to discover and develop small molecule drugs by screening against targets derived from the G-Protein Coupled Receptor (GPCR) family of proteins. While drugs currently on the market target less than 100 GPCRs (with total annual sales of over $20 billion), the sequencing of the human genome has uncovered at least 600 previously unknown receptors that represent high potential drug targets.

This technology collaboration is designed to apply Cyprotex's ADME (absorption-distribution-metabolism-excretion) prediction methodology to 3DP's DiscoverWorks platform to improve the success of pre-clinical drug optimization. Using data from compounds literally just out of the chemist's flask, predictive ADME technology can model a compound's biological properties, enhancing the discovery process while reducing the amount of laboratory work.

→ SELECTED CONSOLIDATED FINANCIAL DATA

3-Dimensional Pharmaceuticals, Inc.

	Year ended December 31,				
	2001	2000	1999	1998	1997
	(in thousands except per share data)				
Statements of Operations Data:					
Research and grant revenue	**$ 28,399**	$ 12,409	$ 4,489	$ 5,095	$ 3,580
Costs and expenses					
Research and development	**29,614**	14,562	12,136	10,984	6,517
General and administrative	**15,334**	8,652	6,525	4,458	3,000
Litigation settlement	**—**	—	1,500	—	—
Total costs and expenses	**44,948**	23,214	20,161	15,442	9,517
Loss from operations	**(16,549)**	(10,805)	(15,672)	(10,347)	(5,937)
Interest income	**5,344**	3,458	328	868	521
Interest expense	**(237)**	(646)	(625)	(232)	(149)
Loss before income taxes	**(11,442)**	(7,993)	(15,969)	(9,711)	(5,565)
Provision for income taxes	**—**	159	—	—	—
Net loss	**(11,442)**	(8,152)	(15,969)	(9,711)	(5,565)
Declared and accrued cumulative dividends on preferred stock	**—**	(396)	(669)	(144)	—
Net loss applicable to common stock	**$(11,442)**	$ (8,548)	$(16,638)	$ (9,855)	$ (5,565)
Basic and diluted net loss per common share—historical	**$ (.53)**	$ (.97)	$ (27.37)	$ (22.20)	$ (27.55)
Weighted average common shares outstanding—historical	**21,626**	8,778	608	444	202
Basic and diluted net loss per common share—pro forma		$ (.52)	$ (1.57)		
Weighted average common shares outstanding—pro forma		15,663	10,198		

See our consolidated financial statements for a description of the computation of the historical and pro forma net loss per share and the number of shares used in the historical and pro forma per share calculations in "Statements of Operations Data" above.

	As of December 31,				
	2001	2000	1999	1998	1997
	(in thousands)				
Balance Sheet Data:					
Cash, cash equivalents and marketable securities	**$100,389**	$114,557	$ 7,645	$ 9,726	$ 8,953
Total assets	**117,119**	123,244	12,480	15,712	12,646
Notes payable—dividends and accrued interest	**—**	—	685	144	—
Deferred revenue, less current portion	**3,286**	9,619	—	—	—
Long-term debt, less current portion	**161**	1,315	2,330	3,270	820
Convertible notes and accrued interest	**—**	—	10,115	—	—
Settlement accrual, less current portion	**—**	—	500	—	—
Redeemable convertible preferred stock	**—**	—	34,834	34,834	24,461
Accumulated deficit	**(64,703)**	(53,261)	(45,109)	(29,140)	(19,429)
Total stockholders' equity (deficiency)	**92,246**	100,023	(41,748)	(25,384)	(15,702)

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→ MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

3-Dimensional Pharmaceuticals, Inc.

OVERVIEW

We are a small molecule drug discovery and development business that has a pipeline of drug candidates in the areas of cancer, inflammation, and metabolic and cardiovascular diseases. Almost all of the drug candidates in our pipeline were discovered using portions of our integrated set of proprietary technologies called DiscoverWorks®. We believe DiscoverWorks increases the productivity of the drug discovery process by making it faster than traditional drug discovery methods and by providing our scientists with the ability to design characteristics into drug candidates that increase the probability of development success. DiscoverWorks may also enable us to discover drugs that act on many of the thousands of new drug targets revealed from sequencing the human genome. We use DiscoverWorks to discover and develop drugs for our own pipeline and in collaboration with pharmaceutical and biotechnology companies.

To date, substantially all of our revenue has been from corporate collaborations, license agreements, and government grants. Revenue from corporate collaborations and licensing agreements consists of up-front fees, research and development funding, and milestone payments. Royalties from sales of developed products are not expected for at least several years, if at all.

We have incurred substantial operating losses since our inception in 1993. As of December 31, 2001, our accumulated deficit was $64.7 million. We have funded our operations primarily through public and private placements of equity securities totaling $153.0 million and cash received under collaborative agreements, license agreements, and government grants of $68.5 million. Our losses have resulted from costs incurred in research and development activities related to technology development, internally funded drug discovery and development programs, and associated administrative support costs. During 2001, we achieved a significant portion of our near term staffing needs, increasing our staff from 125 to 200, which includes 90 Ph.D.s. The staff expansion has enabled us to initiate and advance several internally funded programs. A key objective of ours is to continue to progress and expand our pipeline of drug candidates, which currently includes several programs in various stages of discovery and development.

For 2002, our existing collaborations, license agreements, and government grants are expected to provide revenues of approximately $24 million relating to up-front fees, research funding payments, and license fees. Not included in the 2002 estimate are potential milestone payments from existing agreements or revenues from any future collaborations. Although one of our goals is to enter into additional DiscoverWorks collaborations, our basic business model is to focus a greater portion of our resources on internally funded product research and development. As a result, we expect to incur increasing operating losses in 2002 and over the next several years. In connection with our objective to enhance our pipeline, in January 2002 we acquired worldwide rights to a pre-IND compound from GlaxoSmithKline plc, or GSK, for the prevention and treatment of thrombocytopenia, or low blood platelet count. We believe that this compound fits well with our strategic effect in oncology. All payments that we will make to GSK will be in 3DP stock. We made an initial payment of 0.5 million shares and are obligated to issue up to 1.9 million additional shares if the compound achieves certain key development and regulatory milestone events. We expect to recognize a non-cash in-process research and development charge in the first quarter of 2002 of $4.1 million for the initial 0.5 million shares.

Our ability to achieve profitability is dependent on the progress and commercialization of drug candidates from existing programs and collaborations and our ability to initiate and develop new programs and enter into additional collaborations with favorable economic terms. Payments under drug discovery and development agreements will be subject to significant fluctuation in both timing and amount and therefore our results of operations for any period may not be comparable to the results of operations for any other period.

CRITICAL ACCOUNTING POLICIES

Our significant accounting policies are described in Note B to the consolidated financial statements included in this Annual Report. We believe our most critical accounting policy is revenue recognition. Revenue from corporate collaborations and licensing agreements consists of up-front fees, research and development funding, and milestone payments. Non-refundable up-front fees are deferred and amortized to revenue over the related performance period. We estimate our performance period as the initial research term. The actual performance period may vary. We will adjust the performance period estimate based upon available facts and circumstances. Periodic payments for research and development activities and government grants are recognized over the period that we perform the related activities under the terms of the agreements. Revenue resulting from the achievement of milestone events stipulated in the agreements is recognized when we have (i) adequate evidence that the milestone has been achieved and (ii) the achievement of the milestone is deemed to be substantive. The determination whether the achievement of the milestone is substantive is generally based upon the ability to verify the developmental progress.

RESULTS OF OPERATIONS

YEARS ENDED DECEMBER 31, 2001 AND 2000

REVENUE

Our revenue for the year ended December 31, 2001 was $28.4 million, compared to $12.4 million for the year ended December 31, 2000. The revenue increase results from discovery collaborations and license agreements with Schering AG, Germany, Bristol-Myers Squibb Company and Centocor, Inc., a wholly owned subsidiary of Johnson & Johnson, that commenced in May 2000, July 2000 and December 2000, respectively. Included in the 2001 revenue is a $4.0 million payment resulting from a milestone that the Company achieved in October 2001 in connection with the Centocor, Inc. agreement. In December 2001, we entered into a DiscoverWorks drug discovery alliance with Johnson & Johnson Pharmaceutical Research & Development L.L.C. We will begin to recognize revenue from this agreement in 2002.

RESEARCH AND DEVELOPMENT EXPENSES

Our research and development expenses increased by $15.0 million to $29.6 million for the year ended December 31, 2001, compared to $14.6 million for the year ended December 31, 2000. During 2001, we increased our capacity to generate drug leads and added resources to progress our drug candidates to clinical trials. Related to our expansion were increases in personnel, scientific instrumentation, computing, and facilities expenses. We anticipate that research and development expenses will continue to increase as we advance more research and development programs towards and into human clinical trials.

GENERAL AND ADMINISTRATIVE EXPENSES

Our general and administrative expenses increased by $6.6 million to $15.3 million for the year ended December 31, 2001, compared to $8.7 million for the year ended December 31, 2000. The increase was primarily related to increased management and personnel expenses, increased investments in business development and facilities required to support our continued research and development efforts, and additional expenses relating to our operations as a public company.

OTHER INCOME (EXPENSES)

Interest income increased by $1.8 million to $5.3 million for the year ended December 31, 2001, compared to $3.5 million for the year ended December 31, 2000. The increase in interest income is attributable to the investment of the proceeds from our initial public offering and private placements of securities, as well as investment of the up-front fees we have received from our collaborators. Interest expense was $0.2 million for the year ended December 31, 2001 and $0.6 million for the year ended December 31, 2000. The decrease was due to the decrease in the amount of interest-bearing notes outstanding during the period.

PROVISION FOR INCOME TAXES

As of December 31, 2001, we had net operating loss carryforwards for federal income taxes of $43.4 million. We also had federal research and development tax credit carryforwards. Our utilization of the net operating loss and tax credit carryforwards may be subject to annual limitations pursuant to Section 382 of the Internal Revenue Code, and similar state provisions, as a result of changes in our ownership structure. The annual limitations may result in the expiration of net operating losses and credits prior to utilization.

At December 31, 2001 and 2000, the Company had deferred tax assets representing the benefit of net operating loss carryforwards, certain start up costs capitalized for tax purposes, up-front payments from collaborators taxable in the year received, and research and development tax credits. During the year ended December 31, 2000, we recorded a provision for federal and state income taxes of $0.2 million. The federal tax provision was based on the alternative minimum tax under which net operating loss carryforwards are available to offset 90% of our current tax liability. The Company did not record a benefit for the deferred tax asset because realization of the benefit was uncertain and, accordingly, a valuation allowance is provided to offset the deferred tax asset.

YEARS ENDED DECEMBER 31, 2000 AND 1999

REVENUE

Our revenue for the year ended December 31, 2000 was $12.4 million, compared to $4.5 million for the year ended December 31, 1999. The revenue increase results from discovery collaborations and license agreements with Schering AG, and Bristol-Myers Squibb, that commenced in May 2000 and July 2000, respectively. The 2000 revenue amount is net of a charge of approximately $0.4 million in connection with a modification of the Bristol-Myers Squibb agreement made during the fourth quarter of 2000. The modification resulted from an agreement with Bristol-Myers Squibb to terminate both Bristol-Myers Squibb's subscription to a planned GPCR structure database and its non-exclusive license to related technologies.

RESEARCH AND DEVELOPMENT EXPENSES

Our research and development expenses increased by $2.5 million to $14.6 million for the year ended December 31, 2000, compared to $12.1 million for the year ended December 31, 1999. During 2000, we continued to expand

our research and development investments, including clinical testing of our lead thrombin inhibitor compound, in our internally funded and collaborative programs. Related to our expansion were increases in personnel, scientific instrumentation, and facilities expenses.

GENERAL AND ADMINISTRATIVE EXPENSES

Our general and administrative expenses increased by $2.2 million to $8.7 million for the year ended December 31, 2000 compared to $6.5 million for the year ended December 31, 1999. The increase was primarily related to increased management and personnel expenses, increased investments in business development and facilities required to support our continued growth, and additional expenses relating to our operations as a public company.

OTHER INCOME (EXPENSES)

Interest income increased by $3.2 million to $3.5 million for the year ended December 31, 2000, compared to $0.3 million for the year ended December 31, 1999. The increase in interest income is attributable to the investment of the proceeds from our initial public offering and private placements of securities completed during this period, as well as investment of the up-front fees we have received from our collaborators. Interest expense was $0.6 million for the years ended December 31, 2000 and December 31, 1999.

PROVISION FOR INCOME TAXES

As of December 31, 2000, we had net operating loss carryforwards for federal income taxes of $35.1 million. We also had federal research and development tax credit carryforwards. Our utilization of the net operating loss and tax credit carryforwards may be subject to annual limitations pursuant to Section 382 of the Internal Revenue Code, and similar state provisions, as a result of changes in our ownership structure. The annual limitations may result in the expiration of net operating losses and credits prior to utilization.

At December 31, 2000 and 1999, the Company had deferred tax assets representing the benefit of net operating loss carryforwards, certain start up costs capitalized for tax purposes, up-front payments from collaborators taxable in the year received, and research and development tax credits. During the year ended December 31, 2000, we recorded a provision for federal and state income taxes of $0.2 million. The federal tax provision was based on the alternative minimum tax under which net operating loss carryforwards are available to offset 90% of our current tax liability. The Company did not record a benefit for the deferred tax asset because realization of the benefit was uncertain and, accordingly, a valuation allowance is provided to offset the deferred tax asset.

LIQUIDITY AND CAPITAL RESOURCES

At December 31, 2001, we had cash, cash equivalents, and marketable securities of $100.4 million and working capital of $82.0 million. We have funded substantially all of our operations through public and private placements of equity securities with aggregate proceeds of approximately $153.0 million, and cash received from corporate collaborations totaling $64.7 million, government grants totaling $3.8 million, capital equipment and leasehold improvement financing totaling $7.8 million, and interest earned on our cash balances. In addition, in February 2002 we repaid a $5.0 million short-term note and then entered into a series of loans totaling $6.5 million, payable over 36 to 48 months, to finance the purchase of capital equipment and leasehold improvements. We believe that our available cash and cash equivalents, and marketable securities, expected revenue from collaborations and license arrangements, existing capital resources, interest income, and additional borrowings should be sufficient to fund anticipated levels of operations for at least the next two years.

We expect that substantially all of our revenue for the foreseeable future will come from corporate collaborations, license agreements, government grants and interest earned on the proceeds from our sales of securities, primarily in our initial public offering in 2000. However, there can be no assurance that we will successfully enter into new agreements with collaborators or extend the terms of our existing collaborations. If we raise additional funds through collaborations and licensing arrangements, we may be required to relinquish some rights to our technologies or drug candidates, or grant licenses on terms that are not favorable to us. We expect to incur increasing operating losses over the next several years as we continue to focus a greater portion of our effort on internal product research and development and further develop our technologies. To the extent that funds from our existing and future collaborations are not sufficient to fund our activities, it will be necessary to raise additional funds through public offerings or private placements of securities, long-term borrowings or other methods of financing. There can be no assurance that such financing will be available on acceptable terms, if at all. If adequate funds are not available, we may have to delay or may not be able to continue developing our drug candidates.

The following table summarizes our obligations as of December 31, 2001 to make future principal payments under our current contractual obligations:

	Total	Less than 1 Year	1–3 Years	4–5 Years	After 5 Years
Short-term debt	$ 5,000,000	$5,000,000	—	—	—
Long-term debt	1,227,000	1,066,000	$ 161,000	—	—
Operating leases	13,469,000	2,418,000	7,432,000	$3,397,000	$222,000
Total contractual obligations	$19,696,000	$8,484,000	$7,593,000	$3,397,000	$222,000

In addition, pursuant to our lease agreement for our Cranbury, New Jersey research facility, we maintain a $750,000 standby letter of credit.

QUANTITATIVE AND QUALITATIVE DISCLOSURE ABOUT MARKET RISK

Our exposure to market risk for changes in interest rates relates primarily to the increase or decrease in the amount of interest income we can earn on our investment portfolio and on the increase or decrease in the amount of interest expense we must pay with respect to our various outstanding debt instruments. Our risk associated with fluctuating interest expense is limited to our long-term borrowings, the underlying interest rates of which are closely tied to market rates, and our investments in interest rate sensitive financial instruments. Under our current policies, we do not use interest rate derivative instruments to manage exposure to interest rate changes. We seek to ensure the safety and preservation of our invested principal funds by limiting default risk, market risk and reinvestment risk. We seek to minimize the risk of default by investing in investment grade securities. A hypothetical 100 basis point adverse move in interest rates along the entire interest rate yield curve would not materially affect the fair value of our interest rate sensitive financial instruments at December 31, 1999, December 31, 2000 or December 31, 2001. Declines in interest rates over time will, however, reduce our interest income while increases in interest rates over time will increase our interest expense.

→ CONSOLIDATED BALANCE SHEETS

3-Dimensional Pharmaceuticals, Inc.

	December 31, 2001	2000
Assets		
Current assets:		
Cash and cash equivalents	$ 19,519,000	$114,557,000
Marketable securities	80,870,000	—
Prepaid expenses and other current assets	3,087,000	977,000
Total current assets	103,476,000	115,534,000
Property and equipment, net	11,735,000	5,508,000
Restricted cash	835,000	—
Other assets	1,073,000	2,202,000
	$117,119,000	$123,244,000
Liabilities and Stockholders' Equity		
Current liabilities:		
Accounts payable and accrued expenses	$ 5,759,000	$ 3,193,000
Current portion of deferred revenue	9,601,000	7,385,000
Note payable	5,000,000	—
Current portion of long-term debt	1,066,000	1,209,000
Current portion of settlement accrual	—	500,000
Total current liabilities	21,426,000	12,287,000
Deferred revenue, less current portion	3,286,000	9,619,000
Long-term debt, less current portion	161,000	1,315,000
	24,873,000	23,221,000
Commitments and Contingencies		
Stockholders' Equity		
Preferred stock—$.001 par value: 5,000,000 shares authorized, none issued and outstanding at December 31, 2001 and 2000	—	—
Common stock—$.001 par value; 45,000,000 shares authorized, 21,988,238 and 21,385,798 shares issued and outstanding at December 31, 2001 and December 31, 2000	22,000	21,000
Additional paid-in capital	158,450,000	157,223,000
Note receivable from officer	(260,000)	(390,000)
Deferred compensation	(2,386,000)	(3,570,000)
Accumulated deficit	(64,703,000)	(53,261,000)
Accumulated other comprehensive income	1,123,000	—
Total stockholders' equity	92,246,000	100,023,000
	$117,119,000	$123,244,000

The accompanying notes are an integral part of these consolidated financial statements.

→ CONSOLIDATED STATEMENTS OF OPERATIONS

3-Dimensional Pharmaceuticals, Inc.

	Year Ended December 31,		
	2001	2000	1999
Research and grant revenue	$ 28,399,000	$ 12,409,000	$ 4,489,000
Costs and expenses:			
Research and development	29,614,000	14,562,000	12,136,000
General and administrative	15,334,000	8,652,000	6,525,000
Litigation settlement	—	—	1,500,000
	44,948,000	23,214,000	20,161,000
Loss from operations	(16,549,000)	(10,805,000)	(15,672,000)
Interest income	5,344,000	3,458,000	328,000
Interest expense	(237,000)	(646,000)	(625,000)
Loss before income taxes	(11,442,000)	(7,993,000)	(15,969,000)
Provision for income taxes	—	159,000	—
Net loss	(11,442,000)	(8,152,000)	(15,969,000)
Declared and accrued cumulative dividends on preferred stock	—	(396,000)	(669,000)
Net loss applicable to common stock	$(11,442,000)	$ (8,548,000)	$(16,638,000)
Basic and diluted net loss per common share—historical	$ (0.53)	$ (0.97)	$ (27.37)
Weighted average common shares outstanding—historical	21,626,000	8,778,000	608,000
Basic and diluted net loss per common share—pro forma		$ (0.52)	$ (1.57)
Weighted average common shares outstanding—pro forma		15,663,000	10,198,000

The accompanying notes are an integral part of these consolidated financial statements.

→ CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY (DEFICIT)

3-Dimensional Pharmaceuticals, Inc.

	Preferred Stock		Common Stock	
	Shares	Par Value	Shares	Par Value
Balance—December 31, 1998	1,400,000	$1,000	733,979	$ 1,000
Common stock issued pursuant to exercise of stock options	—	—	11,439	—
Value of options issued to consultants	—	—	—	—
Value of warrants issued in connection with bridge loan	—	—	—	—
Dividend declared on Series A-1 preferred	—	—	—	—
Forgiveness of loans made to officers	—	—	—	—
Net loss	—	—	—	—
Balance—December 31, 1999	1,400,000	1,000	745,418	1,000
Common stock issued pursuant to exercise of stock options, warrants and stock grants	—	—	622,010	—
Common stock issued pursuant to cashless exercise of warrants	—	—	1,017,230	1,000
Issuance of Series D preferred stock, net of offering costs of $24,000	625,000	1,000	—	—
Common stock issued pursuant to initial public offering net of offering costs of $7,362,500	—	—	5,750,000	6,000
Conversion of convertible preferred stock	(2,025,000)	(2,000)	723,214	1,000
Conversion of redeemable preferred stock	—	—	12,463,389	12,000
Conversion of notes payable—dividends and accrued interest	—	—	71,234	—
Dividends declared on Series A-1 preferred	—	—	—	—
Value of options issued to consultants	—	—	—	—
Deferred compensation charge in connection with option grants	—	—	—	—
Forfeiture of options subject to deferred compensation	—	—	—	—
Deferred compensation expense	—	—	—	—
Common stock reacquired	—	—	(6,697)	—
Forgiveness of loans made to officers	—	—	—	—
Net loss	—	—	—	—
Balance—December 31, 2000	—	—	21,385,798	21,000
Common stock issued pursuant to exercise of stock options	—	—	246,134	—
Common stock issued pursuant to exercise of warrants	—	—	356,306	1,000
Value of options issued to consultants	—	—	—	—
Compensation charge in connection with acceleration of vesting terms on options	—	—	—	—
Forfeiture of options subject to deferred compensation	—	—	—	—
Deferred compensation expense	—	—	—	—
Forgiveness of loans made to officers	—	—	—	—
Comprehensive loss:				
Net loss	—	—	—	—
Unrealized gain on investments	—	—	—	—
Comprehensive loss				
Balance—December 31, 2001	—	$ —	21,988,238	$22,000

The accompanying notes are an integral part of these consolidated financial statements.

Additional Paid-in Capital	Notes Receivable From Officers	Deferred Compensation	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity (Deficit)
$ 3,875,000	$(121,000)	$ —	$ —	$(29,140,000)	$(25,384,000)
11,000	—	—	—	—	11,000
18,000	—	—	—	—	18,000
26,000	—	—	—	—	26,000
(501,000)	—	—	—	—	(501,000)
—	51,000	—	—	—	51,000
—	—	—	—	(15,969,000)	(15,969,000)
3,429,000	(70,000)	—	—	(45,109,000)	(41,748,000)
1,605,000	(521,000)	—	—	—	1,084,000
(1,000)	—	—	—	—	—
4,976,000	—	—	—	—	4,977,000
78,882,000	—	—	—	—	78,888,000
1,000	—	—	—	—	—
63,523,000	—	—	—	—	63,535,000
1,068,000	—	—	—	—	1,068,000
(563,000)	—	—	—	—	(563,000)
392,000	—	—	—	—	392,000
3,983,000	—	(3,983,000)	—	—	—
(53,000)	—	53,000	—	—	—
	—	360,000	—	—	360,000
(19,000)	19,000	—	—	—	
—	182,000	—	—	—	182,000
—	—	—	—	(8,152,000)	(8,152,000)
157,223,000	(390,000)	(3,570,000)	—	(53,261,000)	100,023,000
603,000	—	—	—	—	603,000
10,000	—	—	—	—	11,000
433,000	—	—	—	—	433,000
365,000	—	—	—	—	365,000
(184,000)	—	184,000	—	—	—
—	—	1,000,000	—	—	1,000,000
—	130,000		—		130,000
—	—	—	—	(11,442,000)	(11,442,000)
—	—	—	1,123,000	—	1,123,000
					(10,319,000)
$158,450,000	$(260,000)	$(2,386,000)	$1,123,000	$(64,703,000)	$ 92,246,000

→ CONSOLIDATED STATEMENTS OF CASH FLOWS

3-Dimensional Pharmaceuticals, Inc.

	Year Ended December 31, 2001	2000	1999
Cash flows from operating activities			
Net loss	$ (11,442,000)	$ (8,152,000)	$(15,969,000)
Adjustments to reconcile net loss to net cash provided by (used in) operating activities:			
Depreciation and amortization	2,863,000	1,922,000	1,565,000
Amortization of premium on marketable securities	851,000	—	19,000
Amortization of discount on marketable securities	(691,000)	—	—
Accretion of interest on discounted note payable	34,000	26,000	—
Non-cash compensation expense	1,495,000	201,000	51,000
Valuation of options and warrants	433,000	752,000	44,000
Interest paid with common stock	—	49,000	—
Interest paid with preferred stock	—	239,000	—
Changes in:			
Other assets	(981,000)	(1,899,000)	260,000
Accounts payable and accrued expenses	2,566,000	454,000	1,620,000
Settlement accrual	(500,000)	(1,000,000)	1,500,000
Deferred revenue	(4,117,000)	16,116,000	345,000
Net cash provided by (used in) operating activities	(9,489,000)	8,708,000	(10,565,000)
Cash flows from investing activities			
Purchases of marketable securities	(137,978,000)	—	—
Maturities of marketable securities	58,071,000	—	7,267,000
Cash restricted for collateral	(835,000)	—	—
Acquisition of subsidiary, net of $25,000 cash acquired	—	—	(5,000)
Capital expenditures	(9,090,000)	(3,469,000)	(278,000)
Net cash provided by (used in) investing activities	(89,832,000)	(3,469,000)	6,984,000
Cash flows from financing activities			
Proceeds from sale of stock	—	102,212,000	—
Proceeds from exercise of options and warrants	614,000	1,068,000	11,000
Dividends paid on Series A-1 preferred stock	—	(229,000)	—
Proceeds from issuance of short-term debt	5,000,000	—	10,000,000
Repayment of long-term debt and notes payable	(1,331,000)	(1,378,000)	(1,224,000)
Net cash provided by financing activities	4,283,000	101,673,000	8,787,000
Net increase (decrease) in cash and cash equivalents	(95,038,000)	106,912,000	5,206,000
Cash and cash equivalents—beginning of year	114,557,000	7,645,000	2,439,000
Cash and cash equivalents—end of year	$ 19,519,000	$114,557,000	$ 7,645,000
Supplemental disclosures of cash flow information			
Cash paid for interest	$ 204,000	$ 331,000	$ 446,000
Noncash investing and financing activities:			
Equipment purchased under capital leases	—	—	$ 390,000
Dividends declared but not paid	—	—	$ 501,000
Note receivable exchanged for common stock	—	$ 521,000	—
Notes payable (including interest due of $89,000) exchanged for common stock	—	$ 1,068,000	—
Notes payable (including interest due of $353,000) exchanged for redeemable preferred stock	—	$ 10,353,000	—
Conversion of redeemable and convertible preferred stock to common stock	—	$ 71,751,000	—

The accompanying notes are an integral part of these consolidated financial statements.

NOTE A DESCRIPTION OF BUSINESS

3-Dimensional Pharmaceuticals, Inc. (the Company) is a drug discovery and development company that has a pipeline of drug candidates in the areas of cancer and inflammation, and metabolic and cardiovascular diseases. The Company has developed an integrated set of proprietary technologies called DiscoverWorks® to accelerate and improve the drug discovery process. DiscoverWorks enables scientists to design characteristics into drug candidates that the Company believes increases the probability of development success. The Company uses DiscoverWorks to discover and develop drugs for its own pipeline and in collaboration with pharmaceutical and biotechnology companies.

The Company has incurred net losses since inception in 1993 and may incur additional losses for at least the next several years. Through December 31, 2001, substantially all of the Company's revenue has been derived from corporate collaborations, license agreements, and government grants. The Company expects that substantially all of its funds for the next several years will result from payments from these sources, from outlicensing of technologies and internally developed drug candidates, and from interest income. The Company expects to spend significant resources to enhance its drug discovery technologies and to fund research and development of its pipeline of drug candidates. Through December 31, 2001, the Company's technologies have not been used in the development of any compound that has reached the point of commercialization. In order to achieve profitability, the Company must continue to develop products and technologies that can be commercialized by the Company or through existing and future collaborations.

NOTE B SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

1. PRINCIPLES OF CONSOLIDATION

The consolidated financial statements include the accounts of the Company and its wholly-owned subsidiaries. All intercompany balances have been eliminated in consolidation.

2. CASH, CASH EQUIVALENTS AND MARKETABLE SECURITIES

The Company considers all highly liquid investment instruments purchased with an original maturity of three months or less to be cash equivalents.

Marketable securities include investments in commercial paper, notes and bonds with original maturities of greater than three months having a remaining maturity of less than 24 months. These marketable securities are treated for accounting purposes as available-for-sale and as such are reported at their fair market values. At December 31, 2001, the Company had $1,123,000 of unrealized gains on these marketable securities. All realized gains and losses are recorded in the results of operations. Unrealized gains and losses have been recorded as a separate component of stockholders' equity.

3. RESTRICTED CASH

Restricted cash of $0.8 million at December 31, 2001 collateralizes a $0.8 million outstanding letter of credit associated with the lease of the Company's Cranbury research facility. The funds are invested in a money market fund. (Note K)

4. PROPERTY AND EQUIPMENT

Property and equipment are recorded at cost and depreciated using the straight-line method over estimated useful lives of two to five years. Leasehold improvements and equipment acquired under capital leases are amortized over the lesser of the economic useful life of the improvement or asset or the term of the lease. Expenditures for repairs and maintenance are charged to expense as incurred, while major renewals and improvements are capitalized.

5. REVENUE RECOGNITION

Revenue from corporate collaborations and licensing agreements consists of up-front fees, research and development funding and milestone payments. Non-refundable up-front fees are deferred and amortized to revenue over the related performance period. Periodic payments for research and development activities and government grants are recognized over the period that the Company performs the related activities under the terms of the agreements. Revenue resulting from the

achievement of milestone events stipulated in the agreements is recognized when the milestone is achieved.

In the year ended December 31, 1999, the Company changed its method of recognizing revenue with respect to non-refundable up-front fees received under corporate collaboration research agreements to the method described above to conform with the requirements of an accounting bulletin on revenue recognition issued by the staff of the Securities and Exchange Commission in December 1999 and retroactively restated its prior years' financial statements to reflect the application of the new method. Prior to the change, the Company recognized revenue from such fees upon the execution of the agreement.

6. RESEARCH AND DEVELOPMENT

Research and development costs are expensed as incurred.

7. ACCOUNTING FOR STOCK-BASED COMPENSATION

The Company accounts for its stock-based compensation plans under Accounting Principles Board Opinion No. 25, "Accounting for Stock Issued to Employees" (APB 25). In October 1995, the Financial Accounting Standards Board issued Statement No. 123, "Accounting for Stock-Based Compensation" (SFAS No. 123), which establishes a fair value-based method of accounting for stock-based compensation plans. The Company has adopted the disclosure-only alternative under SFAS No. 123, which requires disclosure of the pro forma effects on net loss and net loss per share as if stock-based employee compensation was measured under SFAS No. 123, as well as certain other information. The Company accounts for stock-based compensation to non-employees using the fair value method in accordance with SFAS No. 123. The Company has recognized deferred stock compensation related to certain stock option grants (see Note I).

8. USE OF ESTIMATES

The preparation of financial statements in conformity with generally accepted accounting principles in the United States requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities, the disclosure of contingent assets and liabilities at the date of the financial statements, and the reported amounts of revenue and expenses during the reporting period. Actual results could differ from those estimates.

9. PER SHARE DATA

Historical basic and diluted net loss per common share is computed by dividing the net loss increased by declared and accrued cumulative dividends on the Series A-1 preferred stock for the year by the weighted average number of common shares exclusive of outstanding shares of common stock which are subject to repurchase and are nonvested. As their effects would be anti-dilutive, shares of common stock issuable upon conversion of preferred stock (for the periods prior to conversion) and exercise of outstanding options and warrants as well as outstanding common shares which are nonvested during the periods were not included in computing diluted net loss per common share.

Securities and the related number of common shares not included in the diluted computation for the years ended December 31, 2001, 2000 and 1999 that could potentially dilute basic earnings per share, if any, in the future are as follows:

	Dilutive Potential Common Shares*		
	2001	2000	1999
Preferred stock (see below)	—	6,858,000	9,545,000
Options	2,991,000	2,115,000	2,023,000
Warrants	279,000	1,531,000	1,843,000
Common stock—subject to repurchase	111,000	179,000	115,000
	3,381,000	10,683,000	13,526,000

* Includes weighted average shares for period prior to conversion and exercise.

The preferred stock automatically converted into common stock on a 1 for .36 basis and certain nonvested common stock automatically became vested upon completion of the initial public offering of the Company's common stock in August 2000. Accordingly, pro forma basic and diluted net loss per common share on the accompanying consolidated statements of operations for the years ended December 31, 2000 and 1999

has been calculated by dividing net loss by the weighted average outstanding common shares as if the preferred stock were converted into common stock, and certain nonvested common stock was vested, as of the original date of issuance.

10. COMPREHENSIVE LOSS

Statement of Financial Accounting Standards No. 130, "Reporting Comprehensive Income," requires the reporting of all changes in equity of an enterprise that result from recognized transactions and other economic events of the period other than transactions with owners in their capacity as owners. The Company's other comprehensive income included unrealized gains on available-for-sale securities.

11. IMPAIRMENT OF LONG-LIVED ASSETS

As required by Statement of Financial Accounting Standards No. 121,"Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed of," the Company assesses the recoverability of any long-lived assets for which an indicator of impairment exists. Specifically, the Company calculates, and recognizes, any impairment losses by comparing the carrying value of these assets to its estimate of the undiscounted future operating cash flows. Although its current and historical operating cash flows are indicators of impairment, the Company believes that the future cash flows to be received from its long-lived assets will exceed the assets' carrying value. Accordingly, the Company has not recognized any impairment losses through December 31, 2001.

12. INCOME TAXES

The Company accounts for income taxes in accordance with Statement of Financial Accounting Standards No. 109, "Accounting for Income Taxes." The objective of this pronouncement is to recognize and measure, in accordance with enacted tax laws, the amount of current and deferred income taxes payable or refundable at the date of the financial statements as a result of all events that have been recognized in the financial statements.

NOTE C CERTAIN RESEARCH AND COLLABORATION AGREEMENTS

In December 1997, the Company entered into a research collaboration with Heska Corporation to assist in the discovery and development of new veterinary therapeutic agents. The agreement originally had a two-year research term, which was revived and extended until May 31, 2002. As of December 31, 2001, the Company received up-front cash payments and research funding aggregating approximately $2.7 million.

In October 1999, the Company entered into a research collaboration and license agreement with Hoechst Schering, AgrEvo GmbH, now part of Aventis CropScience GmbH, under which the Company utilized its DirectedDiversity® technology in the discovery of compounds applicable to plant and pest management. The initial term of the agreement was for two years and was extended until it expired in January 2002. As of December 31, 2001, the Company has received up-front payments, payment for delivery of compounds and research funding of approximately $3.4 million.

In December 1999, the Company entered into a collaboration with Boehringer Ingelheim Pharmaceuticals, Inc., or BIPI, to use its DirectedDiversity technology to assist BIPI in the discovery of new drugs for specific biological targets in humans. The initial term of the collaboration was for two years and, in April 2001, the collaboration was expanded to cover additional targets and the research term was extended until March 2003. As of December 31, 2001, the Company has received up-front payments and research funding aggregating approximately $4.1 million, and will receive additional committed research funding of approximately $3.1 million over the remaining term of the collaboration. The Company could also receive milestone payments of up to $2.4 million for the first product developed depending on whether stipulated milestones are met, and is eligible to receive additional milestone payments if subsequent products are developed. The Company is also entitled to receive royalties on the sales of resulting products.

In February 2000, the Company entered into a collaboration with DuPont Pharmaceuticals Company (acquired by Bristol-Myers Squibb Company in October 2001) under which

the Company would utilize its DirectedDiversity technology to develop new drugs for specific biological targets. As of December 31, 2001, the Company has received up-front payments and research funding aggregating approximately $2.6 million. The agreement expired on December 31, 2001, the end of the initial research term of the collaboration.

In March 2000, the Company was awarded and commenced a research project in which it was the recipient of a two-year Small Business Innovative Research (SBIR) award totaling up to $1 million. In addition, in June 2001, the Company was awarded and commenced an additional research project under a two-year SBIR Award totaling up to $1 million. The SBIRs are sponsored by the National Institutes of Health.

In May 2000, the Company entered into a license and research agreement with Schering AG, Germany, in which Schering AG obtained, for human therapeutic uses, exclusive worldwide rights to the Company's urokinase inhibitor compounds. During the initial two-year research and development term, the Company is to receive payments for research funding totaling $5 million, of which $4.1 million was received by December 31, 2001. In addition, the Company is eligible to receive milestone payments of up to approximately $23 million for the first product developed in a therapeutic area depending on whether stipulated milestones are met, and future milestone payments for additional therapeutic areas and royalties on the sales of any resulting products. In connection with the agreement, an affiliate of Schering AG made a $5 million equity investment in the Company consisting of shares of preferred stock that converted into 223,214 shares of common stock.

In July 2000, the Company entered into a collaboration with Bristol-Myers Squibb Company, or BMS, under which the Company will use its DiscoverWorks technologies to assist BMS in the discovery and development of new human drugs for specific biological targets. In the initial three-year term of the research collaboration, BMS will supply biological targets and the Company will create chemical libraries and screen such libraries against these targets. BMS may terminate research activities with 90 days notice, without cause, but must pay any remaining research funding during the initial research term or one-half of the remaining research funding during any extended term. Following the end of the initial research or any extended research term, either party may terminate the agreement on 30 days notice if no compound is being optimized or developed under the collaborative agreement. The Company received up-front cash licensing and technology access fees amounting to $19 million, net of a $4.5 million refund resulting from a modification of the agreement, and research funding of $14.4 million has been committed over the first three years of the collaboration of which $7.5 million was received by December 31, 2001. In addition, the Company could receive milestone payments through the clinical development stages, and royalty payments on the sales of any resulting products, with the amount at each level determined based on the Company's involvement in the related optimization and development activities. For each compound, depending on whether all pre-clinical and clinical milestones are met and depending on the Company's contribution to the development of the compound, the Company could receive milestone payments aggregating up to between $4.5 million and $15 million.

In December 2000, the Company entered into an agreement with Centocor, Inc., a subsidiary of Johnson & Johnson, under which Centocor acquired worldwide rights to the Company's direct thrombin inhibitor program. Centocor is responsible for development and worldwide commercialization of all compounds under the agreement. For the deep vein thrombosis indication, the Company has an option to co-develop and co-promote with Centocor in the United States. Under the agreement, the Company received an up-front cash payment of $6 million from Centocor, research funding of $0.8 million during the year ended December 31, 2001 and a milestone payment of $4 million in October 2001 and is eligible to receive additional committed research funding of $0.8 million over the remaining term of the contract. The Company could also receive milestone payments of up to $38 million based on the achievement of certain milestones for the first compound developed and approved under the agreement.

In addition, the Company is entitled to receive royalties on the sales of any products marketed under the agreement.

In December 2001, the Company entered into a collaboration with Johnson & Johnson Pharmaceutical Research & Development, L.L.C., or J & J PRD, to utilize the Company's DiscoverWorks technology to discover and optimize small molecule drug leads directed towards genomics targets identified by J & J PRD. The initial research term is for approximately one year, subject to renewal by mutual agreement. Under the terms of this agreement, the Company received an up-front technology access fee and committed research funding aggregating $3.6 million. The contract provides that the Company could also receive milestone payments of up to $4.2 million for the first product developed depending on whether stipulated milestones are met and could receive additional milestones if subsequent products are developed. The contract also provides that the Company is entitled to receive royalties on the sales of any resulting products.

All revenue from research and collaboration agreements is earned from activities performed in the United States. Revenue from foreign corporate collaborators (based on the location of the collaborator) comprised 13%, 30% and 23% of total collaboration revenues for the years ended December 31, 2001, 2000 and 1999, respectively.

Revenue from the Company's major customers as a percentage of total revenue, for the years ended December 31, 2001, 2000 and 1999 was comprised of the following:

Customer

	2001	2000	1999
A	43%	35%	—
B	30%	—	—
C	4%	16%	3%
D	9%	13%	—
E	8%	14%	—
F	—	—	37%
G	—	3%	27%
H	—	—	20%
	94%	81%	87%

Deferred revenue at December 31, 2001, which consists of unamortized up-front payments, is expected to be recognized as revenue in 2002 and 2003 in the amounts of $9,601,000 and $3,286,000, respectively.

NOTE D PROPERTY AND EQUIPMENT

Property and equipment, all of which are located in the United States, is summarized as follows:

As of December 31,

	2001	2000
Laboratory equipment, computer software and office equipment	$12,330,000	$6,720,000
Leasehold improvements	4,570,000	2,655,000
	16,900,000	9,375,000
Less accumulated depreciation and amortization	(5,165,000)	(3,867,000)
	$11,735,000	$5,508,000

NOTE E ACCOUNTS PAYABLE AND ACCRUED EXPENSES

Accounts payable and accrued expenses consist of the following:

As of December 31,

	2001	2000
Professional fees	$ 950,000	$ 289,000
Equipment	1,473,000	685,000
Payroll and related expenses	1,615,000	1,280,000
Trade payables	1,721,000	939,000
	$5,759,000	$3,193,000

NOTE F DEBT

1. SHORT-TERM NOTE PAYABLE

On December 31, 2001, the Company completed a short-term note financing for $5 million. The note bears interest at the prime rate of 4.75%. Principal and interest is due by February 28, 2002. The Company intends to repay the principal and interest and to arrange to refinance the amount borrowed as a long-term note.

2. CONVERTIBLE NOTES PAYABLE

On November 18, 1999, the Company completed a convertible note financing for $10 million.

The notes bore interest at the rate of prime + 1% per annum (9.5% through December 31, 1999). Principal and interest was due on the first anniversary of the closing date (the Maturity Date). The notes provided that, if prior to the Maturity Date the Company raised an additional $10 million through the sale of redeemable preferred stock, the notes and any unpaid accrued interest would convert into the redeemable preferred stock on the same terms and conditions as given to the new investors. On March 31, 2000, the Company raised $18.4 million through the sale of redeemable preferred stock, which upon completion of the IPO converted into 2,186,101 shares of common stock. In connection therewith, the $10 million of convertible notes and $353,000 of accrued interest were converted into shares of redeemable preferred stock, which upon completion of the IPO converted into 1,232,559 shares of common stock.

In connection with the sale of the notes, the Company issued warrants to purchase 1,250,000 shares of common stock exercisable at $3.50 per share for a period of one year. The Company recorded a noncash interest charge in connection with these warrants of $26,000 for the year ended December 31, 1999. All of the warrants were exercised prior to expiration, certain of which on a net issuance basis, resulting in the issuance of 1,119,285 shares of common stock.

3. LONG-TERM DEBT

Long-term debt, including capital lease obligations, was as follows:

As of December 31,

	2001	2000
Loan payable (a)	$1,010,000	$2,002,000
Note payable (b)	217,000	308,000
Capital lease obligations	—	214,000
	1,227,000	2,524,000
Current portion of long-term debt	1,066,000	1,209,000
Long-term debt	$ 161,000	$1,315,000

(a) During 1998 and 1999, the Company entered into a series of 48-month loans to finance the purchase of laboratory equipment and office equipment and certain tenant improvements at interest rates varying between 10.68% and 11.65%. The loans are payable in monthly installments of principal and interest aggregating $98,000 with final payments in 2002 and 2003 aggregating $362,000 and $39,000, respectively. Borrowings related to the purchase of laboratory equipment and office equipment are collateralized by the equipment.

(b) The note is payable in annual installments of $125,000 through December 2003. Interest on the note payable has been imputed at 10.0% per annum. As of December 31, 2001, the discounted amount of the note is $217,000 (face value $250,000).

Minimum principal repayments of long-term debt as of December 31, 2001 were as follows:

2002	$1,066,000
2003	161,000
Total	$1,227,000

NOTE G FAIR VALUE OF FINANCIAL INSTRUMENTS

Statement of Financial Accounting Standards No. 107, "Disclosures About Fair Value of Financial Instruments," requires the Company to disclose the estimated fair value of its financial instruments. The carrying amounts reported in the balance sheets for cash and cash equivalents, accounts payable and accrued expenses approximate fair value because of the short-term duration of those items. The carrying amounts of debt and notes payable approximate fair value because the interest rates on such debt approximate the market rate.

NOTE H REDEEMABLE PREFERRED STOCK AND EQUITY SECURITIES

1. PREFERRED STOCK

In August 2000, all outstanding Series A, B, C and D preferred shares and the notes payable were automatically converted into common shares of the Company on a 1 to .36 basis upon completion of the initial public offering of the Company's common stock.

2. COMMON STOCK

In August 2000, the Company completed an initial public offering of its common stock. The offering consisted of 5,000,000 shares, which were priced at $15 per share. The Company also granted its underwriters an option to purchase 750,000 shares to cover over allotments, which was exercised concurrently with the IPO. Net proceeds to the Company after subtracting underwriting discounts and expenses was $78,888,000.

3. WARRANTS

As of December 31, 2001, the Company has outstanding warrants to purchase common shares, all of which are exercisable, as follows:

Exercise Price	Expiration Date	Number of Common Shares Reserved
$0.03	2005	5,894
$0.03	2006	90,599
$0.03	2007	5,336
$7.00	2004	4,500
		106,329*

* Weighted average exercise price was $.32 and weighted average remaining contractual life was 4.44 years.

4. COMMON STOCK SUBJECT TO REPURCHASE

As of December 31, 2001 and 2000, 93,792 and 139,796 shares of common stock, respectively, are subject to repurchase by the Company. The shares are subject to repurchase at the Company's option at the original purchase prices, ranging from $2.94 to $6.30, in the event that the purchaser's relationship with the Company is terminated. The number of shares subject to repurchase by the Company decreases by 25% on the one-year anniversary of the sale, and further reduces upon later anniversary dates.

5. NOTE RECEIVABLE FROM OFFICER

At December 31, 2001, the Company has a note receivable from an officer with an unpaid balance of $260,000 in connection with a loan made in March 2000 to purchase 176,871 restricted shares of the Company's common stock. The loan is collateralized by the officer's beneficial interest in the stock. Under the terms of the note, interest accrues on the unpaid principal at approximately 7% per annum. Principal and accrued interest is to be paid in four equal installments with the first payment due six months from the loan date and the remaining payments due annually thereafter. The Company has forgiven installments of principal and interest due on loans to this officer and to other officers as part of the overall executive compensation program. These amounts have been recorded as compensation expense totaling $156,000, $182,000 and $58,000 for the years ended December 31, 2001, 2000 and 1999, respectively.

NOTE I EQUITY COMPENSATION PLANS

The Company has maintained two equity compensation plans (together the Plans) for the issuance of stock options and other stock grants to its employees, non-employee directors, advisors and consultants.

The Company's Equity Compensation Plan adopted in 1993, as amended, provides for the issuance of restricted stock and the granting of both incentive stock options and non-qualified stock options to purchase a total of 3,022,095 shares of common stock. The options vest over various periods, not exceeding five years, and expire no later than ten years from date of grant. Upon the close of the Company's IPO in August 2000, the Company stopped making grants under the 1993 Plan.

During 2000, the board of directors and stockholders approved the 2000 Equity Compensation Plan, which became effective upon the close of the IPO in August 2000 and provided for the granting of up to 2,200,000 shares of common stock. On May 14, 2001, the board of directors and stockholders increased the number of shares under the plan to 4,200,000 shares of common stock.

The 2000 Plan provides for grants of incentive stock options, nonqualified stock options, stock awards and performance units.

The Plans are administered by a committee of the board of directors. The committee has the authority to determine the term during which an option may be exercised (provided that no option may have a term of more than ten years), the exercise price of an option and the rate at which options may be exercised. Incentive stock options may be granted only to employees of the Company. Nonqualified stock options may be granted to employees, directors or consultants of the Company. For incentive stock options, the exercise price may not be less than the fair value of the stock on the date of grant.

The Company applies APB 25 in accounting for its employee stock option awards, which requires the recognition of compensation expense for the difference between the market value of the underlying common stock and the exercise price of the option at the grant date.

Pro forma information regarding net loss and loss per share is required by SFAS No. 123, and has been determined as if the Company had accounted for its employee stock options under the fair value method of that statement. The weighted average fair value of options granted during the years ended December 31, 2001, 2000 and 1999 is estimated to be $6.80, $13.83 and $.35, respectively. The fair value of these options was estimated at the date of grant using the Black-Scholes option-pricing model with the following assumptions:

Year Ended December 31,

	2001	2000	1999
Risk-free interest rate	5.7%	5.9%	6.6%
Expected life	6 Years	6 Years	6 Years
Expected volatility	102%	120%	10%
Dividend yield	0%	0%	0%

Had compensation cost for the Company's stock options been determined based upon the fair value at the grant date for awards under the Plans consistent with the methodology prescribed under SFAS No. 123, the Company's pro forma net loss and pro forma net loss per share would be as follows:

Year Ended December 31,

	2001	2000	1999
Net loss:			
Historical	$11,442,000	$ 8,152,000	$15,969,000
Pro forma	15,652,000	10,388,000	16,398,000
Basic and diluted net loss per share:			
Historical	$(0.53)	$(0.97)	$(27.37)
Pro forma	$(0.72)	$(1.23)	$(28.07)

The following table summarizes information about stock option activity under the Plans during the periods indicated:

	Incentive Options		Nonqualified Options	
	Shares	Weighted Average Exercise Price	Shares	Weighted Average Exercise Price
BALANCE—DECEMBER 31, 1998	1,020,149	$2.08	160,487	$1.92
Granted	157,585	2.94	727,619	3.67
Exercised	(11,439)	0.97	—	—
Forfeited	(31,541)	2.65	—	—
BALANCE—DECEMBER 31, 1999	1,134,754	2.20	888,106	3.35
Granted	455,170	11.07	431,090	15.17
Exercised	(200,569)	1.80	(191,433)	2.59
Forfeited	(133,832)	3.44	—	—
BALANCE—DECEMBER 31, 2000	1,255,523	5.34	1,127,763	8.00
Granted	1,096,421	10.16	230,142	12.66
Exercised	(196,974)	2.45	(49,214)	2.44
Forfeited	(134,998)	10.46	(86,891)	15.17
BALANCE—DECEMBER 31, 2001	2,019,972	$7.90	1,221,800	$8.59

The following table presents information relating to stock options outstanding and exercisable at December 31, 2001:

	Options Outstanding			Options Exercisable	
Range of Exercise Price	Number Outstanding	Weighted Average Remaining Life in Years	Weighted Average Exercise Price	Number Exercisable	Weighted Average Exercise Price
Incentive Stock Options					
$0.03 to $7.28	904,494	6.77	$ 3.52	559,235	$ 2.45
$7.29 to $30.00	1,115,478	9.20	11.44	48,690	16.02
	2,019,972	8.11	$ 7.90	607,925	$ 3.54
Nonqualified Stock Options					
$0.03 to $7.28	710,853	7.40	$ 3.89	382,965	$ 3.66
$7.29 to $30.00	510,947	8.98	15.14	109,503	17.54
	1,221,800	8.06	$ 8.59	492,468	$ 6.75

In addition to the stock option activity, the Company issued 7,143 shares of restricted stock at a weighted average purchase price per share of $6.30 under the Plans during the year ended December 31, 2000. The Company reacquired 6,697 unvested, restricted shares at a purchase price of $19,000 during 2000.

As of December 31, 2001, 2,689,242 common shares were available for future grants under the 2000 Plan.

The Company records expense for option grants to non-employees in the amount of the fair value per share, as computed using the Black-Scholes option-pricing model and variable plan accounting over the vesting period. The Company recognized non-cash expense of $433,000, $392,000 and $18,000 for the years ended December 31, 2001, 2000 and 1999, respectively in conjunction with such non-employee option grants.

During the year ended December 31, 2001, the Company recorded charges totaling $365,000 resulting from changes in terms of certain stock options to former employees, directors and consultants.

During the year ended December 31, 2000, in connection with the grant of options to employees and directors and the change in status of an option holder from a consultant to an employee, the Company recorded deferred stock compensation of $3,983,000, representing the difference between the exercise price and the market value of the Company's common stock on the dates such stock options were granted or status was changed. Deferred compensation is included as a component of stockholders' equity (deficit) and is being amortized to expense over the vesting period of the stock options. For the years ended December 31, 2001 and 2000, the Company incurred deferred stock compensation expense of $1,000,000 and $360,000, respectively.

NOTE J 401(K) PLAN

The Company maintains a defined contribution 401(k) plan available to eligible employees. Employee contributions are voluntary and are determined on an individual basis, limited to the maximum amount allowable under federal tax regulations. During the year ended December 31, 2001, the Company began making matching contributions in the amount of 50% of employee contributions up to 6%. The Company, at its discretion, may also make certain contributions to the plan. For the years ended December 31, 2001 and 2000, the Company contributed $266,000 as matching contributions and $226,000 as a discretionary contribution to the plan, respectively. The Company made no contributions during 1999.

NOTE K COMMITMENTS AND CONTINGENCIES

1. LEASES

The Company currently occupies approximately 104,500 square feet of space, including its corporate headquarters and clinical development offices in Yardley, Pennsylvania and two research facilities located in Exton, Pennsylvania and Cranbury, New Jersey. The Yardley facility includes 20,500 square feet of office space, which the Company occupied in October 2001 and which is leased through March 2006. The Company leases approximately 41,000 square feet of space in Exton, Pennsylvania which houses one of the Company's research and development facilities, including approximately 10,000 square feet, adjacent to its initial space, which the Company occupied in December 2000. The initial 31,000 square feet of the Exton facility is leased through June 2008 and the additional 10,000 square feet is subject to options allowing the Company to extend that portion of the lease term through June 2008.

The Company's other research and development facility includes approximately 43,000 square feet of space in Cranbury, New Jersey. The Cranbury facility is leased through May 2007. At December 31, 2001 minimal annual rentals under the leases are as follows:

	Amount
2002	$ 2,418,000
2003	2,460,000
2004	2,503,000
2005	2,469,000
2006	2,412,000
Thereafter	1,207,000
	$13,469,000

The leases provide for scheduled rental increases and escalations for increases in real estate taxes and certain operating expenses. At December 31, 2001, the Company has recorded a deferred rent liability in the amount of $84,000.

Rent expense was $2,224,000, $547,000 and $516,000 for the years ended December 31, 2001, 2000 and 1999, respectively.

2. LETTER OF CREDIT

The Company had an outstanding letter of credit at December 31, 2001, totaling $0.8 million pursuant to the lease for the Cranbury research facility. (Note B[3])

3. CONTINGENCIES

The Company may be, from time to time, party to various legal proceedings arising from normal business activities. Although the amount of any liability that could arise with respect to currently pending actions cannot be accurately predicted, management believes that the ultimate resolution of these matters will not have a material adverse effect on the Company's financial condition or result of operations.

NOTE L *INCOME TAXES*

As of December 31, 2001, the Company has a net operating loss carryforward and a research and development credit carryforward for federal income tax purposes of approximately $49,680,000 and $2,909,000 respectively, which begin to expire in 2016. In addition, the Company has an alternative minimum tax credit carryforward of $120,000 as of December 31, 2001.

Deferred tax assets, which represent the tax effects of loss and credit carryforwards and temporary differences between the financial statement amounts and the tax basis of assets and liabilities consist of:

As of December 31,

	2001	2000
Net operating loss carryforwards	$18,210,000	$14,760,000
Research and development credit carryforwards	2,909,000	1,321,000
Alternative minimum tax credit carryforward	120,000	117,000
Income deferred for financial statement purposes, taxable when received for tax purposes	4,930,000	6,652,000
Operating expenses, capitalized and amortized as start up costs for tax purposes	—	247,000
Other—depreciation and expenses not currently deductible	806,000	376,000
Total deferred tax asset	26,975,000	23,473,000
Valuation allowance	(26,975,000)	(23,473,000)
Net deferred tax asset	$ —	$ —

The Company has not recorded a benefit from its carryforwards or deductible temporary differences because realization of the benefit is uncertain and, therefore, a valuation allowance has been provided for the deferred tax asset at December 31, 2001 and 2000, respectively. The provision for income taxes for the year ended December 31, 2000 represents a provision for the federal alternative minimum tax and state income tax. The difference between the tax benefit computed at the statutory tax rate of 34% and the Company's effective tax rate is due to the increase in the valuation allowance of $3,502,000, $4,305,000 and $6,805,000 for the years ended December 31, 2001, 2000 and 1999, respectively, and the provision for the federal alternative minimum tax and state income tax of $159,000 for the year ended December 31, 2000. In subsequent years, the Company may be subject to an annual limitation on the utilization of its net operating loss and research and development tax credit carryforwards under Section 382 of the Internal Revenue Code.

NOTE M *SETTLEMENT OF LITIGATION*

In October 1998, a complaint was filed in the United States District Court for the District of Delaware by Anadys Pharmaceuticals, Inc. alleging that the Company infringed two Anadys U.S. Patents. On March 7, 2000, the Company and Anadys entered into a Settlement Agreement for a total of $1.5 million which was paid by the Company for settlement of the litigation.

NOTE N QUARTERLY RESULTS (UNAUDITED):

	Quarter ended				
	March 31	June 30	September 30	December 31	Total Year
2001					
Revenues	$ 5,796,000	$ 6,643,000	$ 5,788,000	$10,172,000	$28,399,000
Net loss	(1,641,000)	(3,094,000)	(4,965,000)	(1,742,000)	(11,442,000)
Basic net loss per common share—historical*	$ (0.08)	$ (0.14)	$ (0.23)	$ (0.08)	$ (0.53)
Diluted net loss per common stare—historical*	$ (0.08)	$ (0.14)	$ (0.23)	$ (0.08)	$ (0.53)
2000					
Revenues	$ 1,484,000	$ 2,131,000	$ 4,994,000	$ 3,800,000[1]	$12,409,000
Net income (loss)	(3,742,000)	(2,477,000)	409,000	(2,342,000)	(8,152,000)
Basic net income (loss) per common share—historical*	$ (5.92)	$ (3.76)	$ 0.03	$ (0.11)	$ (0.97)
Diluted net income (loss) per common share—historical*	$ (5.92)	$ (3.76)	$ 0.02	$ (0.11)	$ (0.97)
Basic net income (loss) per common share—pro forma	$ (0.36)	$ (0.18)	$ 0.02	$ (0.11)	$ (0.52)
Diluted net income (loss) per common share—pro forma	$ (0.36)	$ (0.18)	$ 0.02	$ (0.11)	$ (0.52)

* *Per common share amounts for the quarters and full years have been calculated separately. Accordingly, quarterly amounts do not add to the annual amounts because of differences in the weighted average common shares outstanding during each period principally due to the effect of the Company's issuing shares of its common stock during the year.*

[1] *During the quarter ended December 31, 2000, revenues included a $0.4 million adjustment resulting from a modification of the agreement with BMS.*

NOTE O TPO MIMETIC PROGRAM:

In January 2002, the Company acquired worldwide rights to a pre-IND compound, 3DP-3534, from GlaxoSmithKline plc, or GSK, for the prevention and treatment of thrombocytopenia, or low blood platelet count. All payments for the compound will be made to GSK in shares of the Company's stock. The Company made an initial payment of 0.5 million shares and is obligated to issue up to 1.9 million additional shares should the compound achieve certain key development and regulatory milestone events. With respect to the initial 0.5 million shares issued, the Company will recognize a non-cash in-process research and development charge in the first quarter of 2002 of $4.1 million.

→ REPORT OF INDEPENDENT PUBLIC ACCOUNTANTS

3-Dimensional Pharmaceuticals, Inc.

To 3-Dimensional Pharmaceuticals, Inc.:

We have audited the accompanying consolidated balance sheet of 3-Dimensional Pharmaceuticals, Inc. (a Delaware corporation) and subsidiaries as of December 31, 2001, and the related consolidated statements of operations, stockholders' equity (deficit) and cash flows for the year then ended. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audit.

We conducted our audit in accordance with auditing standards generally accepted in the United States. Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audit provides a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of 3-Dimensional Pharmaceuticals, Inc. and subsidiaries as of December 31, 2001, and the results of their operations and their cash flows for the year then ended in conformity with accounting principles generally accepted in the United States.

Arthur Andersen LLP

Philadelphia, Pennsylvania
February 19, 2002

→ OFFICERS AND DIRECTORS

3-Dimensional Pharmaceuticals, Inc.

SENIOR MANAGEMENT

David C. U'Prichard, Ph.D.
Chief Executive Officer

F. Raymond Salemme, Ph.D.
President and Chief Scientific Officer

John M. Gill
Chief Operating Officer

Roger F. Bone, Ph.D.
Senior Vice President, Research and Development

Scott M. Horvitz
Vice President, Finance and Administration
Secretary, Treasurer

Brian R. MacDonald, MB ChB and Ph.D.
Vice President, Development

Kathy A. Quay
Vice President, Human Resources

Melinda P. Rudolph
Vice President, General Counsel

BOARD OF DIRECTORS

James H. Cavanaugh, Ph.D.[1,3]
Chairman of the Board of Directors,
3-Dimensional Pharmaceuticals, Inc.
President, HealthCare Ventures LLC
Former President, SmithKline & French Laboratories—U.S.

William D. Claypool, M.D.[2]
Chief Executive Officer, Phoenix Data Systems, Inc.

John M. Gill
Chief Operating Officer, 3-Dimensional Pharmaceuticals, Inc.

Zola P. Horovitz, Ph.D.[1,2,3]
Retired Vice President, Business Development
and Planning
Bristol-Myers Squibb Company

David R. King[2]
Former partner, Morgan, Lewis & Bockius LLP
Former CEO of Principia Pharmaceuticals, Inc.,
and Delsys Pharmaceutical Corporation

Joshua Ruch[1,3]
Chairman and Chief Executive Officer
Rho Capital Partners, Inc.

F. Raymond Salemme, Ph.D.
President and Chief Scientific Officer,
3-Dimensional Pharmaceuticals, Inc.

David C. U'Prichard, Ph.D.
Chief Executive Officer, 3-Dimensional Pharmaceuticals, Inc.

Harold R. Werner
Managing Director, HealthCare Ventures, LLC

[1]*Member of Compensation Committee*
[2]*Member of Audit Committee*
[3]*Member of Nominating Committee*

CORPORATE INFORMATION

ANNUAL MEETING

The Annual Meeting of Stockholders will be held on May 17, 2002 at 9:00 a.m. at:
Four Seasons Hotel
One Logan Square
Philadelphia, PA 19103

GENERAL COUNSEL

Morgan, Lewis & Bockius LLP
Philadelphia, PA and Washington, DC

INDEPENDENT PUBLIC ACCOUNTANTS

Arthur Andersen, LLP
Philadelphia, PA

SEC FORM 10-K AND REQUESTS FOR INFORMATION

A copy of the Company's annual report on Form 10-K is available without charge upon written request to:

INVESTOR RELATIONS

3-Dimensional Pharmaceuticals, Inc.
Three Lower Makefield Corporate Center
1020 Stony Hill Road
Suite 300
Yardley, PA 19067
Or you may request a copy through our web page:
www.3dp.com

TRANSFER AGENT AND REGISTRAR

American Stock Transfer & Trust Company
59 Maiden Lane
New York, NY 10038
718.921.8200

FACILITIES

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Phone: 609.655.6900
Fax: 609.655.6930

Eagleview Corporate Center
665 Stockton Drive
Exton, PA 19341
Phone: 610.458.8959
Fax: 610.458.8249

COMMON STOCK PRICES

3-Dimensional Pharmaceuticals, Inc. stock trades on The Nasdaq Stock Market under the symbol DDDP. At February 11, 2002, there were 22,494,902 shares outstanding held by approximately 2,850 stockholders. The following table shows the range of high and low closing prices since our Common Stock began trading on August 4, 2000.

	HIGH	LOW
2000		
Third Quarter	$ 38.875	$15.000
Fourth Quarter	$ 35.000	$11.375
2001		
First Quarter	$ 15.125	$ 7.25
Second Quarter	$ 16.64	$ 7.75
Third Quarter	$ 10.39	$ 6.60
Fourth Quarter	$ 9.60	$ 5.54

Forward-Looking Statements

This report includes "forward-looking statements" within the meaning of the safe harbor provisions of the Private Securities Litigation Reform Act of 1995. These forward-looking statements include, but are not limited to, statements about our plans, objectives, representations and contentions and are not historical facts and typically are identified by use of terms such as "may," "will," "should," "could," "expect," "plan," "anticipate," "believe," "estimate," "predict," "potential," "continue," and similar words, although some forward-looking statements are expressed differently. You should be aware that the forward-looking statements included herein represent management's current judgment and expectations, but our actual results, events and performance could differ materially from those in the forward-looking statements. The forward-looking statements are subject to a number of risks, and uncertainties, including, but not limited to, risks associated with our new and uncertain technologies, clinical trials and product development, the long and arduous process of obtaining regulatory approval, our dependence on existing strategic alliances, our dependence on patents and proprietary rights, our ability to protect and enforce our patents and proprietary rights, the development and availability of competitive products or technologies and our ability to attract and retain talented employees and to manage our expansion as a company increasingly focused on internal product research and development. These risks and uncertainties are discussed in the section of the Company's Annual Report on Form 10-K, filed with the Securities and Exchange Commision entitled "Factors Affecting the Company's Prospects." We do not intend to update any of these factors or to publicly announce the results of any revisions to these forward-looking statements.

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