



Pain Therapeutics, Inc.

Annual Report 2000

Better Painkillers

Pain Therapeutics is developing and plans to commercialize proprietary combination drugs for the treatment of pain. We believe our drugs will address the shortcomings of conventional painkillers, such as morphine or oxycodone. Our goal is to build a specialty pharmaceutical franchise in pain management. We plan to achieve this goal by focusing on clinical research and by retaining commercial rights to our products.

+

Large Target Markets

The clinical use of opioid drugs to treat patients with moderate to severe pain is widely accepted throughout the world. The target market for our drugs exceeds \$2 billion in the U.S. alone.

+

Unmet Clinical Need

Despite widespread clinical use, opioid painkillers have significant adverse side effects at all doses. Many patients are under-treated for pain or voluntarily take less than the prescribed doses of painkillers due to fears of addiction or tolerance.

+

New Scientific Insights

Traditionally, it was widely believed that opioid painkillers produced pain relief by activating a single pathway into the brain. Published results now suggest the existence of *two* pathways that modulate pain signals into the brain.

+

Intellectual Property

PTI's technology is protected by multiple patents covering both composition of matter and use claims, including five issued patents in the U.S. and 10 foreign issued patents and patent applications.

Pipeline of Proprietary Products

Product Description	Development Stage	Indication	Target Population/Market Opportunity
PTI-555 Oral morphine/ low-dose naltrexone	Phase II	Severe Pain	The principal use of oral morphine is for the treatment of patients suffering from chronic severe pain, such as that experienced by cancer patients.
PTI-501 Injectable morphine/ low-dose naloxone	Phase II	Severe Pain	We are developing this drug for the treatment of patients suffering from severe acute pain following major surgery.
PTI-601 Tramadol/ low-dose naltrexone	Phase II	Moderate Pain	In 1999, U.S. sales of tramadol exceeded \$450 million. Tramadol is principally used to treat chronic moderate pain, such as arthritis pain.
PTI-701 Hydrocodone- acetaminophen/ low-dose naltrexone	Phase II	Moderate Pain	In 1999, U.S. sales of hydrocodone and similar weak opioids used to treat moderate to severe pain exceeded \$1.3 billion. Hydrocodone combination products are currently sold under various names, such as Vicodin®.
PTI-801 Immediate release oxycodone/ low-dose naltrexone	Entering Phase II	Moderate to Severe Pain	Oxycodone is a widely used prescription painkiller in the U.S. and is currently sold under various names and formulations, including OxyContin® and Percocet®.

Dear Fellow Shareholder,

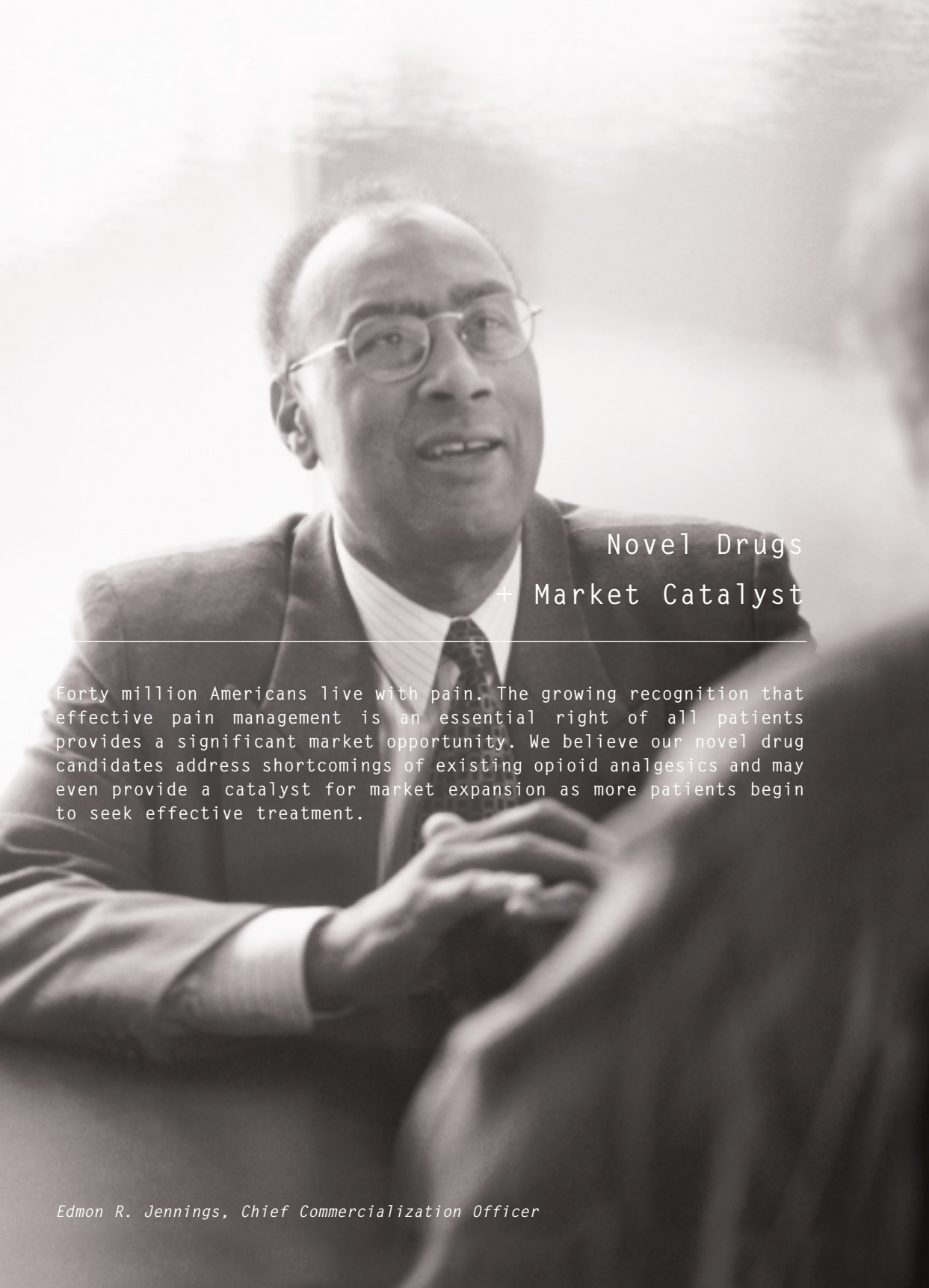
The year 2000 was one of substantial success and progress for Pain Therapeutics, Inc., (PTI). This letter is my opportunity to report on Pain Therapeutics' progress. It is also meant to help you understand how we build value for shareholders and how we are setting the stage for even more progress to come. In the next few pages we'll discuss some of the highlights of the year 2000 and how we plan to continue to deliver results.

A chronology of the year begins with a general sigh of relief when Y2K bugs failed to appear. This relief quickly turned into a wave of euphoria in the capital markets for all things technology, including the publication of human genome maps. Early in the year, it looked to us like many of the basic rules of economics and finance were being brushed aside. In the face of these anomalies, we decided it would be wise to postpone a public offering. Instead, we chose to raise cash in a private placement. In February 2000, we closed a \$15 million private placement led by Cascade

Focus
+ Meaningful Business Model

Our most important achievements during the year were not financial. We are, first and foremost, a clinical research company. Our entire focus is to get unique drug candidates approved by the FDA. We believe our strategic focus on drug development leads, in time, to a highly profitable business model. The development of new drug therapies also offers our employees and collaborators unique humanitarian rewards.

Remi Barbier, President and Chief Executive Officer



Novel Drugs + Market Catalyst

Forty million Americans live with pain. The growing recognition that effective pain management is an essential right of all patients provides a significant market opportunity. We believe our novel drug candidates address shortcomings of existing opioid analgesics and may even provide a catalyst for market expansion as more patients begin to seek effective treatment.

Edmon R. Jennings, Chief Commercialization Officer

Investment, LLC. We used these funds to initiate further clinical trials. By mid-year we felt the right time had come to take PTI public. In July 2000, we successfully raised \$69 million in an initial public offering. We believe the cash from this transaction meets the Company's current financial needs.

Our most important achievements of the year, however, were not financial. We are, first and foremost, a clinical research company. Our strategy is to focus on getting drug candidates approved by the U.S. Food and Drug Administration (FDA) and other regulatory agencies. It is in the clinical area that we believe Pain Therapeutics made the biggest strides during the year. Before discussing our clinical progress, however, I would like to shed some light on our role in what is one of the most rapidly evolving topics in today's medical world: pain management.

For anyone who has had first-hand experience with severe pain, there is no need to describe its effects. Severe pain is overwhelming. It is associated with about 70 percent of all in-patient hospital stays. Many patients accept acute pain as a tollgate on the road to recovery. Others, however, never really find relief from the grind of continuous pain. The National Institutes of Health, for example, reports that nearly 40 million Americans are unable to find relief from their pain. Moreover, the effects of severe chronic pain pervade a patient's entire quality of life, since chronic pain commonly affects mood, personality and social relations.

Most drugs used to treat severe pain are derived from opium, a natural by-product of the poppy plant. This tells us many of today's pain medications are relatively unchanged from drugs used hundreds of years ago. We started PTI to bring opioid pain medications into the age of modern

medicine. Of course, it is also appealing that the U.S. market for opioid pain medications exceeds \$2 billion.

The science behind our drugs is based on years of academic research. Over the past decade, two neuro-scientists from Albert Einstein College of Medicine, Professors Stanley Crain and Ke-fei Shen, have discovered fundamental new insights into how the brain perceives pain. Their insights led to many experiments using exquisitely small doses of organic compounds in combination with conventional opioid painkillers. The goal of these pre-clinical experiments was to achieve and to maintain analgesia (pain relief) without invoking tolerance, a common side effect of opioid use. Their experiments worked beautifully! In effect, Drs. Crain and Shen showed that the right dose of the right combination of compounds could eliminate opioid tolerance. In other words, it could make the analgesic effects of morphine last longer and could be used to relieve pain at lower doses. After the appropriate patent applications were filed, the results of their pre-clinical experiments were subsequently published in a number of prestigious technical journals, including *Brain Research* and *Proceedings of the National Academy of Sciences*.

In cooperation with Albert Einstein College of Medicine, PTI is building upon Drs. Crain and Shen's insights to develop new and improved opioid painkillers. How improved? Compared to conventional opioid painkillers, we believe PTI's drug candidates offer significantly enhanced pain relief in treating patients with acute pain. We also believe PTI's drug candidates can reduce or eliminate tolerance in patients with chronic pain who require continuous doses of painkillers. And in both acute and chronic cases, we believe PTI's drug candidates may provide pain relief to those patients who no longer respond to opioid painkillers, or perhaps never did.

Old Drugs
+ New Scientific Insight

Opioid analgesics are among the oldest drugs in clinical use, yet they have not undergone the intense scientific or clinical scrutiny that is required of modern drugs. Therein lies PTI's opportunity. We find ourselves taking advantage of new scientific insights about a class of important therapeutics that are widely used in the clinic.

*Barry M. Sherman, M.D., Executive Vice President and Chief Medical Officer
Grant L. Schoenhard, Ph.D., Vice President Preclinical Development*

Capitalization
+ Operating Efficiencies

In the year 2000 we built an infrastructure that better reflects who we are: a growing, well-capitalized but cost conscious publicly traded company. Rather than build every function from scratch, for example, we outsourced certain key functions. This blend of in-house infrastructure and outsourcing provides us the operating efficiencies of a first class clinical research company.

David L. Johnson, CPA, Chief Financial Officer

This last point is the most important contribution that our company can make to the field of pain management. For reasons that are not fully understood, some people respond better than others to morphine, oxycodone and other opioid painkillers. We believe PTI's drug candidates can enhance or level out the analgesic response rate across patient populations. Compared to conventional opioid painkillers, we believe PTI's drug candidates offer a more uniform response rate, decreased tolerance and enhanced pain relief. We believe these are the attributes of a better painkiller. If our drug candidates are approved by the FDA, we also believe these attributes have significant clinical value and commercial appeal.

Our drugs are fairly simple to explain, but the business of getting them approved by the FDA is complex. The development of our novel drugs requires more than the simple sequence of Phase I, II and III trials. Multiple Phase II trials, each a little different from the others, are the norm rather than the exception. The process is lengthy, expensive and relies a great deal on experience and execution. Fortunately, PTI's efforts are guided by a management team that has years of experience in drug development. Prior to joining PTI, members of our team were involved in getting over 10 drugs approved by the FDA for leading biopharmaceutical companies. This outstanding track record gives us confidence that we can make steady progress towards obtaining new drug approvals.

Throughout the year 2000, PTI completed several Phase II clinical trials in patients with post-surgical pain. These clinical trials were all designed as dose-ranging studies, yet in many instances our drug candidates demonstrated statistically meaningful effects against both placebo



Remi Barbier
President and
Chief Executive Officer

and conventional painkillers, such as morphine. By year-end, PTI had clinical data on over 1,000 patients. We consistently completed these clinical trials on time and on budget. More importantly, the data from these Phase II clinical trials encourage us to move our drug candidates into the next phases of clinical development.

As always, great people are the architects of progress. In these few pages, we have introduced you to some of PTI's energetic leaders. These people work for you. Please spend a few minutes getting to know them. We realize that ultimately, our success will be measured by how all of us at PTI perform for you, the shareholder.

All of us here at PTI thank you for your support. We will continue to keep you apprised of our progress.

Respectfully,

A handwritten signature in blue ink that reads "Remi Barbier".

Remi Barbier
Shareholder
President and Chief Executive Officer
Chairman of the Board

Selected Financial Data

	May 4, 1998 (inception) through December 31, 1998	Year ended December 31,		May 4, 1998 (inception) through December 31, 2000
		1999	2000	2000
Statement of operations data:				
Licensing fees	\$ 100,000	\$ —	\$ —	\$ 100,000
Research and development	200,000	3,967,289	12,596,169	16,763,458
General and administrative	122,168	692,185	7,708,740	8,523,093
Total operating expenses	422,168	4,659,474	20,304,909	25,386,551
Operating loss	(422,168)	(4,659,474)	(20,304,909)	(25,386,551)
Interest income	33,961	160,689	2,825,919	3,020,569
Net loss before income taxes	(388,207)	(4,498,785)	(17,478,990)	(22,365,982)
Income tax expense	800	800	800	2,400
Net loss	(389,007)	(4,499,585)	(17,479,790)	(22,368,382)
Return to series C preferred shareholders for beneficial conversion feature	—	—	(14,231,595)	(14,231,595)
Loss available to common shareholders	\$ (389,007)	\$ (4,499,585)	\$ (31,711,385)	\$ (36,599,977)
Basic and diluted loss per share	\$ (0.39)	\$ (1.35)	\$ (2.33)	
Weighted average shares used in computing basic and diluted loss per share	985,961	3,345,397	13,634,513	
December 31,	1998	1999	2000	

Balance sheet data:

Cash and cash equivalents	\$2,333,512	\$ 9,339,669	\$ 78,926,830
Working capital	2,264,038	9,095,831	77,320,445
Total assets	2,382,600	9,441,173	81,147,046
Total liabilities	108,108	300,587	2,452,378
Series C redeemable convertible preferred stock	—	—	—
Series B redeemable convertible preferred stock	—	9,703,903	—
Series A convertible preferred stock	2,660	2,660	—
Total stockholders' equity (deficit)	2,274,492	(563,317)	78,694,668

Management's Discussion and Analysis of Financial Condition and Results of Operations

This discussion and analysis should be read in conjunction with our financial statements and accompanying notes included elsewhere in this report. Operating results are not necessarily indicative of results that may occur in future periods.

The following discussion contains forward-looking statements that are based upon current expectations. Our actual results and the timing of events may differ significantly from the results discussed in the forward-looking statements. Examples of such forward-looking statements include, but are not limited to: statements about future operating losses and anticipated operating and capital expenditures; statements about increases in our research and development expenses; statements about the timing and progress of our clinical trials; statements about future non-cash charges related to option grants; statements about the sufficiency of our current resources to fund our operations over the next 12 months; statements about anticipated hiring; statements about the build-out of our new facility and the timing of the relocation of our offices; and statements about the effect of changes in interest rates on our business and financial results. Such forward-looking statements involve risks and uncertainties, including, but not limited to, those risks and uncertainties relating to difficulties or delays in development, testing, regulatory approval, production and marketing of the Company's drug candidates, unexpected adverse side effects or inadequate therapeutic efficacy of the Company's drug candidates that could slow or prevent product approval (including the risk that current and past results of clinical trials are not indicative of future results of clinical trials), the uncertainty of patent protection for the Company's intellectual property or trade secrets and the Company's ability to obtain additional financing if necessary. In addition such statements are subject to the risks and uncertainties as set forth in the "Risk Factors" section of the Company's Form 10-K dated April 2, 2001 and other filings with the Securities and Exchange Commission and elsewhere in this document.

Overview

Pain Therapeutics, Inc. is developing a new generation of opioid painkillers with improved clinical benefits. We use our technology to reformulate existing opioid painkillers into new drugs which we believe offer enhanced pain relief, fewer adverse side effects and reduced tolerance and addiction compared to existing opioid painkillers. We currently have four opioid painkillers in various stages of Phase II clinical trials.

We have yet to generate any revenues from product sales. We have not been profitable and, since our inception, we have incurred a cumulative deficit of approximately \$22.4 million through December 31, 2000. These losses have resulted principally from costs incurred in connection with research and development activities, including costs of clinical trials and clinical supplies associated with our product candidates,

Management's Discussion and Analysis of Financial Condition and Results of Operations

salaries and other personnel related costs, including the amortization of deferred compensation associated with options granted to employees and non-employees, and general corporate expenses.

We expect to incur additional operating losses for the next several years. We also expect to continue to incur significant operating and capital expenditures and anticipate that our expenses will increase substantially in the foreseeable future as we:

- continue to undertake preclinical and clinical trials for our product candidates;
- seek regulatory approvals for our product candidates;
- develop, formulate, manufacture and commercialize our drugs;
- implement additional internal systems, develop new infrastructure and complete build-out of our new facility;
- acquire or in-license additional products or technologies, or expand the use of our technology;
- maintain, defend and expand the scope of our intellectual property; and
- hire additional personnel.

Product revenue will depend on our ability to receive regulatory approvals for, and successfully market, our product candidates. In the event that our development efforts result in regulatory approval and successful commercialization of our product candidates, we will generate revenue from direct sales of our products and/or, if we license our products to future collaborators, from the receipt of license fees and royalties from licensed products.

Sources of revenue for the foreseeable future may also include payments from potential collaborative arrangements, including license fees, funded research payments, milestone payments and royalties based on revenues received from products commercialized under such arrangements.

Results of Operations

Year Ended December 31, 2000 and 1999

Agreement with Albert Einstein College of Medicine In May 1998, we entered into an exclusive, worldwide license agreement with Albert Einstein College of Medicine for all patents and pending patent applications relating to low-dose opioid antagonist technology. Pursuant to the terms of the license agreement, in 1998 we paid Albert Einstein College of Medicine a one-time licensing fee which was recognized as license fee expense in accordance with Financial Accounting Standards No. 2, Accounting for Research and Development Costs, as this technology has no alternative future use. In addition, we have paid Albert Einstein College of Medicine research payments that have been recognized as research and development

expense. We are also required to make milestone payments to Albert Einstein College of Medicine upon the achievement of certain regulatory and clinical events, including amounts due upon receipt of our first drug approval in the U.S. and in specified foreign countries. Finally, we must pay Albert Einstein College of Medicine royalties based on a percentage of net sales of our products. If a product is combined with a drug or other substance for which we are paying an additional royalty, the royalty rate we pay to Albert Einstein College of Medicine is generally reduced by one-half. No milestone payments have been triggered.

Research and Development Research and development expense consists of drug development work associated with our product candidates, primarily costs of clinical trials and clinical supplies, research payments to the Albert Einstein College of Medicine and salaries and other personnel related expenses. Research and development expenses were \$12.6 million for the year ended December 31, 2000 compared to \$4.0 million for the year ended December 31, 1999. The increase was primarily due to increases in clinical development activities for our product candidates, an increase in amortization of deferred compensation (as described below), charges resulting from stock issuance pursuant to restricted stock purchase agreements and increases in salaries and other personnel related costs associated with increasing staffing in support of these activities. We expect research and development expenses to increase significantly over the next several years as we increase our development efforts and our product candidates enter into further clinical trials. There will be future non-cash charges for the amortization of deferred compensation related to options granted to employees and consultants.

General and Administrative General and administrative expense consists primarily of salaries and other personnel related expenses to support our activities, consulting and professional services expenses, facilities expenses and other general corporate expenses. General and administrative expenses increased to \$7.7 million for the year ended December 31, 2000 from \$0.7 million for the year ended December 31, 1999. This increase was primarily attributable to increases in the amortization of deferred compensation (as described below), charges resulting from stock issuance pursuant to restricted stock purchase agreements, salaries and other personnel related costs associated with increased staffing, consulting and professional services expenses. There will be future non-cash charges for the amortization of deferred compensation related to options granted to employees and consultants.

Deferred Non-Cash Compensation Deferred stock compensation for options granted to employees represents the difference between the exercise price of the option and the fair value of our common stock on the date of grant in accordance with Accounting Principles Board Opinion No. 25 and its related interpretations. Deferred compensation for non-employees is recorded at the fair value of the options granted

Management's Discussion and Analysis of Financial Condition and Results of Operations

in accordance with Statement of Financial Accounting Standards No. 123 and is periodically re-measured until the underlying options vest in accordance with Emerging Issues Task Force No. 96-18. Deferred compensation is amortized over the vesting period of the options granted.

In connection with the grant of stock options to employees as well as the re-measurement of deferred stock compensation for grants of stock options to non-employees, we recorded deferred stock compensation of \$6.2 million for the period ended December 31, 2000 and \$6.5 million for the period ended December 31, 1999. These amounts were recorded as a component of stockholders' equity (deficit) and are being amortized as charges to operations. We recognized non-cash stock compensation amortization expense for options granted of \$3.9 million and \$1.5 million in research and development expense for the period ended December 31, 2000 and 1999, respectively and \$4.8 million and \$0.1 million in general and administrative expense for the period ended December 31, 2000 and 1999, respectively.

Interest Income Interest income increased to \$2.8 million for the year ended December 31, 2000 from \$0.2 million for the year ended December 31, 1999. This increase resulted from higher average balances of cash and cash equivalents following the sale of our series B and series C redeemable convertible preferred stock in the fourth quarter of 1999 and the first quarter of 2000, respectively, and the completion of our initial public offering in July 2000.

Return to Series C Preferred Stockholders for Beneficial Conversion Feature

In February 2000 we issued 3,044,018 shares of Series C redeemable convertible preferred stock for \$14.2 million, net of issuance costs. We determined that our series C preferred stock was issued with a beneficial conversion feature. The beneficial conversion feature has been recognized by allocating a portion of the preferred stock proceeds equal to the intrinsic value of that feature, limited to the net proceeds received (\$14.2 million), to additional paid-in capital. The intrinsic value is calculated at the date of issue as the difference between the conversion price of the preferred stock and the fair value of our common stock, into which the preferred stock is convertible, multiplied by the number of common shares into which the preferred stock is convertible, limited to the net proceeds received. As our series C preferred stock was convertible into common stock at the option of the holder, at the issuance date of the preferred stock the entire \$14.2 million discount resulting from the allocation of proceeds to the beneficial conversion feature has been treated as a dividend and recognized as a return to the preferred stockholders for purposes of computing basic and diluted loss per share in the period ended December 31, 2000. Upon completion of our initial public offering in July 2000, all of our convertible preferred and redeemable convertible preferred stock automatically converted into common stock on a one to one basis.

Year Ended December 31, 1999 and
Period from May 4, 1998 (Inception) through December 31, 1998

Licensing Fees The licensing fee payments made pursuant to the terms of the license agreement with the Albert Einstein College of Medicine have been charged to licensing fees.

Research and Development Research and development expenses increased to \$4.0 million for the year ended December 31, 1999 from \$0.2 million for the period ended December 31, 1998. The increase in expenses in 1999 was primarily attributable to the initiation of clinical trials in the second quarter of 1999, the amortization of deferred compensation and increases in salaries and other personnel related costs associated with increased staffing in support of these activities. There will be future non-cash charges for the amortization of deferred compensation related to options granted to employees and consultants.

General and Administrative General and administrative expenses increased to \$0.7 million for the year ended December 31, 1999 from \$0.1 million for the period ended December 31, 1998. This increase was primarily attributable to salaries and other personnel related costs associated with increased staffing, the amortization of deferred compensation, increased professional services expenses and the longer period over which general corporate expenses were incurred in 1999. There will be future non-cash charges for the amortization of deferred compensation related to options granted to employees and consultants.

Deferred Non-Cash Compensation For the year ended December 31, 1999 we granted stock options to employees and non-employee consultants for which we recorded deferred compensation of approximately \$6.5 million and recognized non-cash stock compensation amortization expense of \$1.5 million in research and development expense and \$0.1 million in general and administrative expense. No options were granted in 1998.

Interest Income Interest income increased to approximately \$161,000 for the year ended December 31, 1999 from \$34,000 for the period ended December 31, 1998. This increase resulted from higher average balances of cash and cash equivalents following the sale of our series B redeemable convertible preferred stock.

Liquidity and Capital Resources

Since inception, we have financed our operations primarily through the private placement of our preferred stock and the public sale of our common stock. In February 2000 we received net cash proceeds of \$15.2 million from issuance of our series C redeemable convertible preferred stock, and in July 2000 we received net proceeds of \$62.9 million from issuance of common stock in our initial public offering. As of December 31, 2000, cash and cash equivalents were \$78.9 million. Currently, our cash and cash equivalents are primarily invested in money market funds.

Management's Discussion and Analysis of Financial Condition and Results of Operations

Net cash used in operating activities was \$7.4 million for the year ended December 31, 2000 compared to \$2.7 million for the year ended December 31, 1999. Cash used in operating activities related to the funding of net operating losses and prepaid expenses, that were partially offset by increases in non-cash compensation, non-cash charges resulting from stock issuances pursuant to stock purchase agreements and accounts payable and accrued liabilities.

Our investing activities used cash of \$1.3 million for the year ended December 31, 2000 compared to \$38,545 for the year ended December 31, 1999. Investing activities consisted of purchases of property and equipment as well as the funding of tenant improvements in conjunction with the build-out of new office space. We expect to continue to make investments in our infrastructure to support our operations, including the purchase of property and equipment and the ongoing funding of tenant improvements as we complete the build-out of our new facility.

Our financing activities provided cash of \$78.3 million for the year ended December 31, 2000. In February 2000 we issued an aggregate of 3,044,018 shares of our series C redeemable convertible preferred stock, raising total net cash proceeds of \$15.2 million. On July 19, 2000 we completed our initial public offering in which we sold 5,000,000 shares of common stock at \$12.00 per share for net proceeds of \$54.5 million, net of underwriting discounts, commissions and offering expenses. On July 27, 2000 the underwriters exercised an over-allotment option to purchase an additional 750,000 shares resulting in net proceeds of \$8.4 million.

We currently occupy approximately 6,150 square feet of subleased office space. The lease term under these sublease agreements is presently on a month-to-month basis subject to certain notice provisions in order to terminate the agreements.

In July 2000 we entered into an agreement to lease approximately 10,000 square feet of space to be used as general office space. Future lease payments under this agreement total \$1.8 million and commenced in October 2000 through the ten-year term of the lease. The construction of tenant improvements is currently in progress. We expect to relocate to this facility by the second quarter of 2001 at which time the sublease agreements noted above will be terminated. We believe that this facility will be adequate and suitable for our current needs.

We expect our cash requirements to increase as we continue our development efforts, implement additional internal systems and develop new infrastructure, hire additional personnel and expand our leased facilities. Additionally, as our clinical development efforts grow we anticipate a significant cash requirement for working capital growth, capital expenditures and investment in infrastructure. The amount and timing of cash requirements will depend on regulatory and market acceptance of our products, if any, and the resources we

devote to researching and developing, formulating, manufacturing, commercializing and supporting our products. We believe that our current resources should be sufficient to fund our operations for at least the next 12 months. However, we may require additional financing within this timeframe and such additional funding, if needed, may not be available on terms acceptable to us or at all. Further, any additional equity financing may be dilutive to current shareholders.

Recent Accounting Pronouncements

In June 1998, the Financial Accounting Standards Board ("FASB") issued Statement of Financial Accounting Standards No. 133, Accounting for Derivative Instruments and Hedging Activities ("SFAS 133"), which establishes accounting and reporting standards for derivative instruments, including certain derivative instruments embedded in other contracts, and for hedging activities. In accordance with SFAS 133, an entity is required to recognize all derivatives as either assets or liabilities in the statement of financial position and measure those instruments at fair value. SFAS 133 requires that changes in the derivative's fair value be recognized currently in earnings unless specific hedge accounting criteria are met. Special accounting for qualifying hedges allows a derivative's gains and losses to offset related results on the hedged item in the income statement and requires that a company formally document, designate and assess the effectiveness of transactions that receive hedge accounting. SFAS 133, as amended by SFAS 137 and 138, shall be effective for the Company beginning January 1, 2001. We believe that the implementation of SFAS 133, as amended, will not have a material effect on our results of operations or financial position.

Quantitative and Qualitative Disclosures About Market Risks

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities that we may invest in may be subject to market risk. This means that a change in prevailing interest rates may cause the principal amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the principal amount of our investment will probably decline. To minimize this risk in the future, we intend to maintain our portfolio of cash equivalents and short-term investments in a variety of securities, including commercial paper, government and non-government debt securities and/or money market funds which invest in such securities. In general, money market funds are not subject to market risk because the interest paid on such funds fluctuates with the prevailing interest rate. We had no holdings of derivative financial or commodity instruments, and as of December 31, 2000 all of our cash and cash equivalents were in money market and checking funds with variable, market rates of interest.

Balance Sheets

December 31,	1999	2000
Assets		
Current assets:		
Cash and cash equivalents	\$ 9,339,669	\$ 78,926,830
Interest receivable	15,362	445,326
Prepaid expenses	41,387	400,667
Total current assets	9,396,418	79,772,823
Property and equipment, net	44,755	1,299,223
Other assets	—	75,000
Total assets	\$ 9,441,173	\$ 81,147,046
Liabilities and Stockholders' Equity (Deficit)		
Liabilities:		
Accounts payable	\$ 300,587	\$ 2,313,279
Accrued liabilities	—	139,099
Total current liabilities	300,587	2,452,378
Commitments and contingencies		
Redeemable convertible preferred stock:		
Series C \$.001 par value; 3,200,000 shares authorized, none issued and outstanding in 1999 and 2000; liquidation preference and redemption value of \$5.00 per share	—	—
Series B \$.001 par value; 5,405,405 shares authorized, 5,405,405 issued and outstanding in 1999 and none issued and outstanding in 2000; liquidation preference and redemption value of \$1.85 per share	9,703,903	—
	9,703,903	—
Stockholders' equity (deficit):		
Preferred stock; \$.001 par value; 10,000,000 shares authorized, none issued and outstanding	—	—
Convertible preferred stock— Series A \$.001 par value; 3,500,000 shares authorized, 2,659,489 shares issued and outstanding in 1999, none issued and outstanding in 2000; liquidation preference of \$1.00 per share	2,660	—
Common stock, \$.001 par value; 20,000,000 shares authorized, 9,445,000 issued and outstanding in 1999; 120,000,000 shares authorized, 26,738,316 shares issued and outstanding in 2000	9,445	26,739
Additional paid-in-capital	9,367,750	106,182,319
Deferred compensation	(4,980,180)	(5,073,091)
Notes receivable	(74,400)	(72,917)
Deficit accumulated during the development stage	(4,888,592)	(22,368,382)
Total stockholders' equity (deficit)	(563,317)	78,694,668
Total liabilities and stockholders' equity (deficit)	\$ 9,441,173	\$ 81,147,046

See accompanying notes to financial statements.

Statements of Operations

	May 4, 1998 (inception) through December 31, 1998	Year ended December 31,		May 4, 1998 (inception) through December 31, 2000
		1999	2000	2000
Operating expenses:				
Licensing fees	\$ 100,000	\$ —	\$ —	\$ 100,000
Research and development	200,000	3,967,289	12,596,169	16,763,458
General and administrative	122,168	692,185	7,708,740	8,523,093
Total operating expenses	422,168	4,659,474	20,304,909	25,386,551
Operating loss	(422,168)	(4,659,474)	(20,304,909)	(25,386,551)
Other income:				
Interest income	33,961	160,689	2,825,919	3,020,569
Net loss before income taxes	(388,207)	(4,498,785)	(17,478,990)	(22,365,982)
Income tax expense	800	800	800	2,400
Net loss	(389,007)	(4,499,585)	(17,479,790)	(22,368,382)
Return to series C preferred shareholders for beneficial conversion feature	—	—	(14,231,595)	(14,231,595)
Loss available to common shareholders	\$(389,007)	\$(4,499,585)	\$(31,711,385)	\$(36,599,977)
Basic and diluted loss per share	\$ (0.39)	\$ (1.35)	\$ (2.33)	
Weighted-average shares used in computing basic and diluted loss per share	985,961	3,345,397	13,634,513	

See accompanying notes to financial statements.

Statements of Stockholders' Equity (Deficit)

For the period May 4, 1998 (inception) through December 31, 1998, and the years ended December 31, 1999 and 2000	Series A convertible preferred stock	
	Shares	Par value
Balance at May 4, 1998 (inception)	—	\$ —
Common stock issued on June 22, 1998 at \$0.001 per share	—	—
Series A convertible preferred stock issued between August 14, 1998 and October 28, 1998 at \$1.00 per share (net of issuance costs of \$19,490)	2,659,489	2,660
Common stock issued on September 23, 1998 at \$0.10 per share for notes receivable	—	—
Common stock issued on September 23, 1998 at \$0.10 for cash	—	—
Net loss	—	—
Balance at December 31, 1998	2,659,489	2,660
Common stock issued between April 1 and May 3, 1999 at \$0.10 per share for notes receivable	—	—
Issuance of common stock pursuant to exercise of stock options	—	—
Issuance of warrants in connection with lease in August 1999	—	—
Deferred compensation with respect to options issuances during 1999	—	—
Amortization of deferred compensation	—	—
Compensation expense with respect to non-employee option grants	—	—
Payment on notes receivable	—	—
Net loss	—	—
Balance at December 31, 1999	2,659,489	2,660
Common stock issued pursuant to initial public offering at \$12.00 per share, net of issuance costs	—	—
Common stock issued at \$0.20 per share for notes receivable	—	—
Issuance of common stock pursuant to exercise of stock options	—	—
Issuance of warrants in connection with series C preferred stock offering	—	—
Deferred compensation with respect to option issuances	—	—
Amortization of deferred compensation	—	—
Compensation related to stock purchase rights	—	—
Common stock issued to employees under the employee stock purchase plan	—	—
Payment on notes receivable	—	—
Conversion of series A convertible preferred stock to common at \$1.00 per share	(2,659,489)	(2,660)
Conversion of series B redeemable convertible preferred stock to common at \$1.85 per share	—	—
Conversion of series C redeemable convertible preferred stock to common at \$5.00 per share	—	—
Beneficial conversion feature of series C preferred stock	—	—
Return to series C preferred shareholders for beneficial conversion feature	—	—
Net loss	—	—
Balance at December 31, 2000	—	\$ —

See accompanying notes to financial statements.

Common stock		Additional paid-in capital	Deferred compensation	Notes receivable for stock	Deficit accumulated during development stage	Stockholders' equity (deficit)
Shares	Par value					
—	\$ —	\$ —	\$ —	\$ —	\$ —	\$ —
8,500,000	8,500	—	—	—	—	8,500
—	—	2,637,339	—	—	—	2,639,999
350,000	350	34,650	—	(35,000)	—	—
150,000	150	14,850	—	—	—	15,000
—	—	—	—	—	(389,007)	(389,007)
9,000,000	9,000	2,686,839	—	(35,000)	(389,007)	2,274,492
444,000	444	43,956	—	(44,400)	—	—
1,000	1	99	—	—	—	100
—	—	33,810	—	—	—	33,810
—	—	6,515,027	(6,515,027)	—	—	—
—	—	—	1,534,847	—	—	1,534,847
—	—	88,019	—	—	—	88,019
—	—	—	—	5,000	—	5,000
—	—	—	—	—	(4,499,585)	(4,499,585)
9,445,000	9,445	9,367,750	(4,980,180)	(74,400)	(4,888,592)	(563,317)
5,750,000	5,750	62,933,167	—	—	—	62,938,917
245,000	245	48,755	—	(49,000)	—	—
184,740	185	42,614	—	—	—	42,799
—	—	963,240	—	—	—	963,240
—	—	6,206,177	(6,206,177)	—	—	—
—	—	—	6,113,266	—	—	6,113,266
—	—	2,646,000	—	—	—	2,646,000
4,664	5	47,567	—	—	—	47,572
—	—	—	—	50,483	—	50,483
2,659,489	2,660	—	—	—	—	—
5,405,405	5,405	9,698,498	—	—	—	9,703,903
3,044,018	3,044	14,228,551	—	—	—	14,231,595
—	—	14,231,595	—	—	—	14,231,595
—	—	(14,231,595)	—	—	—	(14,231,595)
—	—	—	—	—	(17,479,790)	(17,479,790)
26,738,316	\$26,739	\$106,182,319	\$ (5,073,091)	\$ (72,917)	\$ (22,368,382)	\$ 78,694,668

Statements of Cash Flows

	May 4, 1998 (inception) through December 31, 1998	Year ended December 31,		May 4, 1998 (inception) through December 31, 2000
		1999	2000	2000
Cash flows from operating activities:				
Net loss	\$ (389,007)	\$ (4,499,585)	\$ (17,479,790)	\$ (22,368,382)
Adjustments to reconcile net loss to net cash used in operating activities:				
Depreciation and amortization	518	4,244	44,933	49,695
Amortization of deferred compensation	—	1,534,847	6,113,266	7,648,113
Non-cash expense for options and warrants issued	—	121,829	2,646,000	2,767,829
Loss on disposal of property and equipment	—	—	2,729	2,729
Changes in operating assets and liabilities:				
Interest receivable	(3,138)	(12,224)	(429,964)	(445,326)
Prepaid expenses	(35,496)	(5,891)	(359,280)	(400,667)
Other assets	—	—	(75,000)	(75,000)
Accounts payable	108,108	162,479	2,012,692	2,283,279
Accrued liabilities	—	—	139,099	139,099
Net cash used in operating activities	(319,015)	(2,694,301)	(7,385,315)	(10,398,631)
Cash flows used in investing activities:				
Purchase of property and equipment	(10,972)	(38,545)	(1,302,130)	(1,351,647)
Cash flows from financing activities:				
Proceeds from issuance of series B redeemable convertible preferred stock, net	—	9,733,903	—	9,733,903
Proceeds from issuance of series C redeemable convertible preferred stock, net	—	—	15,194,835	15,194,835
Stock subscription received	—	5,000	50,483	55,483
Proceeds from issuance of series A convertible preferred stock, net	2,639,999	—	—	2,639,999
Proceeds from issuance of common stock	23,500	100	90,371	113,971
Proceeds from initial public offering, net	—	—	62,938,917	62,938,917
Net cash provided by financing activities	2,663,499	9,739,003	78,274,606	90,677,108
Net increase in cash and cash equivalents	2,333,512	7,006,157	69,587,161	78,926,830
Cash and cash equivalents at beginning of period	—	2,333,512	9,339,669	—
Cash and cash equivalents at end of period	\$2,333,512	\$ 9,339,669	\$ 78,926,830	\$ 78,926,830
Supplemental cash flow information:				
Cash paid for income tax	\$ —	\$ 1,600	\$ 800	\$ 2,400

See accompanying notes to financial statements.

Notes to Financial Statements

1. Business

Pain Therapeutics, Inc. (a development stage enterprise) is a clinical-stage specialty pharmaceutical company which was incorporated on May 4, 1998. Since our inception in May 1998, we have licensed proprietary technology from Albert Einstein College of Medicine and have devoted substantially all of our resources to the development of a new generation of opioid painkillers with improved clinical benefits, which are based on the acquired technology. In the course of our development activities, we have sustained operating losses and expect such losses to continue through the next several years.

Our development activities involve inherent risks. These risks include, among others, dependence on key personnel and determination of patentability of our products and processes. In addition, we have product candidates which have not yet obtained Food and Drug Administration approval. Successful future operations depend on our ability to obtain approval for and commercialize these products.

2. Summary of Significant Accounting Policies

Cash and Cash Equivalents We consider all highly liquid financial instruments with original maturities of three months or less to be cash equivalents. Cash and cash equivalents consist of cash maintained at one financial institution and money market funds.

Use of Estimates The preparation of financial statements in conformity with generally accepted accounting principles requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Actual results could differ from those estimates.

Income Taxes Income taxes are accounted for under the asset and liability method. Deferred tax assets and liabilities are recognized for the future tax consequences attributable to differences between the financial statement carrying amounts of existing assets and liabilities and their respective tax bases, and operating loss and tax credit carryforwards. Deferred tax assets and liabilities are measured using enacted tax rates expected to apply to taxable income in the years in which those temporary differences are expected to be recovered or settled. The effect on deferred tax assets and liabilities of a change in tax rates is recognized in income in the period that includes the enactment date. Deferred tax assets are reduced by a valuation allowance when, in the opinion of management, it is more likely than not that some or all of the deferred tax assets may not be realized.

Property and Equipment Property and equipment are stated at cost, net of accumulated depreciation and amortization. Depreciation is calculated using the straight-line method over the estimated useful lives of the assets, generally two to five years.

Notes to Financial Statements

Fair Value of Financial Instruments Interest and stock subscriptions receivables are considered to have carrying amounts that approximate fair value because of the short maturity of these financial instruments. Notes receivable are considered to have carrying amounts that approximate fair value as they bear a market rate of interest.

Research and Development Costs Research and development costs and the costs of obtaining licenses used in research and development are charged to expense as incurred.

Impairment of Long-Lived Assets We review, as circumstances dictate, the carrying amount of our long-lived assets. The purpose of these reviews is to determine whether the carrying amounts are recoverable. Recoverability is determined by comparing the projected undiscounted net cash flows of the long-lived assets against their respective carrying amounts. The amount of impairment, if any, is measured based on the excess of the carrying value over the fair value. No events or changes in circumstances have occurred with respect to the Company's long-lived assets that would indicate that an impairment analysis should have been performed.

Stock-Based Compensation Statement of Financial Accounting Standards (SFAS) No. 123, Accounting for Stock-Based Compensation, establishes a fair-value method of accounting for stock options and similar equity instruments. The fair-value method requires compensation cost to be measured at the grant date based on the value of the award, and recognized over the service period. SFAS No. 123 allows companies to account for stock-based compensation to employees under either the provisions of SFAS No. 123 or the provisions of Accounting Principles Board (APB) Opinion No. 25 and its related interpretations. We have elected to account for our stock-based compensation to employees in accordance with the provisions of APB Opinion No. 25 and provide the pro forma disclosures required under SFAS No. 123.

Deferred stock compensation for options granted to employees represents the difference between the exercise price of the option and the fair value of our common stock on the date of grant in accordance with APB Opinion No. 25 and its related interpretations. Deferred compensation for non-employees is recorded at the fair value of the options granted in accordance with SFAS No. 123 and is periodically re-measured as the underlying options vest in accordance with Emerging Issues Task Force (EITF) Issue No. 96-18 Accounting for Equity Instruments that Are Issued to Other than Employees for Acquiring, or in Conjunction with Selling Goods or Services. The compensation expense related to all grants is amortized over the vesting period of the related stock options in accordance with Financial Accounting Standards Board Interpretation No. 28 (FIN 28), as that methodology most closely approximates the way in which our options are earned by the option holder.

Comprehensive Loss We have no components of other comprehensive loss other than our net loss and, accordingly, our comprehensive loss is equivalent to our net loss for all periods presented.

Business Segments SFAS No. 131, Disclosures about Segments of an Enterprise and Related Information, requires an enterprise to report segment information based on how management internally evaluates the operating performance of its business units (segments). Our operations are confined to one business segment: the discovery and development of new opioid painkillers.

Loss per Share Basic loss per share is computed on the basis of the weighted-average number of shares outstanding for the reporting period. The Company has recomputed its weighted average shares outstanding for all periods presented, and basic and diluted loss per share, to exclude those common shares issued and outstanding that remain subject to the Company's repurchase rights. If those shares were included in the calculation, the basic and diluted loss per share would be proportionately less. In management's opinion, the difference is not material. (See note 5). Diluted loss per share is computed on the basis of the weighted-average number of common shares plus dilutive potential common shares outstanding using the treasury-stock method. Potential dilutive common shares consist of convertible preferred stock, common shares issued and outstanding subject to the Company's repurchase rights, outstanding stock options and outstanding warrants. All potential dilutive common shares were excluded from the calculation of diluted loss per share because the representative share increments would be anti-dilutive. Upon the closing of our initial public offering in July 2000, all of our convertible preferred stock automatically converted into shares of common stock on a one to one basis.

Reclassifications Certain reclassifications have been made to the prior year financial statements to conform with the presentation in 2000.

3. Property and Equipment

Property and equipment consisted of the following at December 31:

	1999	2000
Furniture and fixtures	\$34,814	\$ 68,398
Computers and software	14,703	156,278
Leasehold improvements	—	15,626
	49,517	240,302
Accumulated depreciation	(4,762)	(48,976)
	44,755	191,326
Construction in progress	—	1,107,897
Total	\$44,755	\$1,299,223

Construction in progress represents costs incurred relative to the construction of tenant improvements at a facility to be used as general office space. We expect to relocate to this facility by the second quarter of 2001.

4. Redeemable Convertible Preferred Stock

In 1999 we issued 5,405,405 shares of series B redeemable convertible preferred stock at a price of \$1.85 per share. In February 2000, we issued 3,044,018 shares of series C redeemable convertible preferred stock at a price of \$5.00 per share. Upon the closing of our initial public offering in July 2000, all shares of our then outstanding redeemable convertible preferred stock automatically converted into shares of common stock on a one to one basis. At December 31, 2000, there were no shares of redeemable convertible preferred stock issued or outstanding.

Return to Series C Preferred Stockholders for Beneficial Conversion Feature

In February 2000, we issued 3,044,018 shares of series C redeemable convertible preferred stock for \$14.2 million, net of issuance costs. We determined that our series C preferred stock was issued with a beneficial conversion feature. The beneficial conversion feature has been recognized by allocating a portion of the preferred stock proceeds equal to the intrinsic value of that feature, limited to the net proceeds received (\$14.2 million), to additional paid-in capital. The intrinsic value is calculated at the date of issue as the difference between the conversion price of the preferred stock and the fair value of our common stock, into which the preferred stock is convertible, multiplied by the number of common shares into which the preferred stock is convertible, limited to the net proceeds received. As our series C preferred stock was convertible into common stock at the option of the holder, at the issuance date of the preferred stock the entire \$14.2 million discount resulting from the allocation of proceeds to the beneficial conversion feature has been treated as a dividend and recognized as a return to the preferred stockholders for purposes of computing basic and diluted loss per share in the period ended December 31, 2000. Upon the closing of our initial public offering in July 2000, all 3,044,018 shares of our series C redeemable convertible preferred stock automatically converted into shares of common stock on a one to one basis.

5. Stockholders' Equity (Deficit)

On July 19, 2000, we completed an initial public offering in which we sold 5,000,000 shares of common stock at \$12.00 per share. On July 27, 2000, we sold an additional 750,000 shares of common stock at \$12.00 per share per our underwriter's exercise of the underwriters' over-allotment option at \$12.00 per share. We received net proceeds from these sales of common stock of approximately \$62.9 million, after deducting underwriting discounts and commission of approximately \$4.8 million and expenses of the offering of approximately \$1.2 million. Upon the closing of the offering, all 11,108,912 shares of our then outstanding preferred stock automatically converted into common stock on a one to one basis.

At December 31, 2000 our authorized capital stock consisted of 120,000,000 shares of common stock and 10,000,000 shares of undesignated preferred stock.

Common Stock On June 22, 1998, we issued 8,500,000 shares of common stock at \$0.001 per share. All of these shares were issued subject to a repurchase option. The shares are released from our repurchase option over a four-year vesting period at the rate of 1/48 at the end of each month from the vesting start date until all shares are released. Our repurchase option is exercisable only within 90 days following the termination of the purchaser's employment, at which time we are able to repurchase the unvested shares at the original purchase price of \$0.001 per share. As of December 31, 2000, 2,125,000 shares of common stock were not vested and, therefore, were subject to repurchase by us in the event of termination of the purchaser's employment.

On September 23, 1998, under the terms of the 1998 Stock Plan (see below), we granted stock purchase rights and subsequently issued 500,000 shares of common stock at \$0.10 per share in exchange for \$35,000 in full-recourse promissory notes and \$15,000 in cash. Such shares were issued pursuant to a restricted stock purchase agreement. The shares are released from our repurchase option over a four-year vesting period at the rate of 1/48 at the end of each month from the vesting start date until all shares are released. Our repurchase option is exercisable only within 90 days following the termination of the purchaser's employment or provision of services, at which time we are able to repurchase the unvested shares at the original purchase price of \$0.10 per share. As of December 31, 2000, 225,000 shares of common stock were not vested and, therefore, were subject to repurchase by us in the event of termination of the purchaser's employment or provision of services to us.

On February 25, 1999, under the terms of the 1998 Stock Plan (see below), we granted stock purchase rights and subsequently issued 444,000 additional shares of common stock at \$0.10 per share in exchange for full-recourse promissory notes. Such shares were issued pursuant to a restricted stock purchase agreement. The shares are released from our repurchase option over a two-year vesting period at the rate of 1/24 at the end of each month from the vesting start date until all shares are released. As of December 31, 2000, all shares of common stock were vested.

On December 10, 1999, under the terms of the 1998 Stock Plan (see below) we granted stock purchase rights and subsequently issued 245,000 additional shares of common stock at \$0.20 per share in exchange for full recourse promissory notes. Such shares were issued pursuant to a restricted stock purchase agreement. The shares are released from our repurchase option over a four-year vesting period at the rate of 1/48 at the end of each month from the vesting start date until all shares are released. Our repurchase option is exercisable only within 90 days following the termination of the purchaser's employment or provision of

Notes to Financial Statements

services, at which time we are able to repurchase the unvested shares at the original purchase price of \$0.20 per share. As of December 31, 2000, 187,709 shares of common stock were not vested and, therefore, were subject to repurchase by us in the event of termination of the purchaser's employment or provision of services to us.

Preferred Stock The board of directors has the authority to issue the preferred stock in one or more series and to fix the rights, preferences, privileges, restrictions and the number of shares constituting any series or the designation of the series.

In 1998 we issued 2,659,489 shares of series A convertible preferred stock at a price of \$1.00 per share. Upon the closing of our initial public offering in July 2000, all shares of our then outstanding convertible preferred stock automatically converted into shares of common stock on a one to one basis. At December 31, 2000, there were no shares of preferred stock issued or outstanding.

Warrants In June 1998, we issued a warrant to purchase 150,000 shares of series A convertible preferred stock at an exercise price of \$1.00 per share to one of the holders of the series A convertible preferred stock, in consideration of such holder's advance of funds to us prior to the closing of the series A convertible preferred stock financing. The warrant expires on June 5, 2010. Upon the closing of our initial public offering in July 2000, this warrant to purchase 150,000 shares of series A convertible preferred stock was converted to a warrant to purchase the same number of common shares. The shares of common stock underlying this warrant are entitled to certain registration rights.

In August 1999, we issued a warrant to purchase 70,000 shares of common stock at an exercise price of \$1.00 per share to the Company's landlord in connection with the commercial lease of the Company's facilities. The warrant will expire on July 19, 2005 (or sooner under certain circumstances). The shares of common stock underlying this warrant are not entitled to any registration rights. The fair value of this warrant of \$33,810 was estimated using a Black-Scholes model and the following assumptions: estimated volatility of 60%, a risk-free interest rate of 5.27%, no dividend yield, and an expected life equal to the contractual life of 5 years. This fair value was amortized to rent expense over the lease term.

In connection with the issuance of our series C preferred stock in February 2000, we issued a warrant to purchase 120,000 shares of common stock at \$5.00 per share. The warrant will expire on February 1, 2005. The shares of common stock underlying this warrant are not entitled to any registration rights. The fair value of this warrants of \$963,240 was estimated using a Black-Scholes model and the following assumptions: estimated volatility of 60%, a risk-free interest rate of 4.59%, no dividend yield, and an expected life equal to the contractual life of 5 years. The fair value was recognized as an increase to additional paid-in capital.

2000 Employee Stock Purchase Plan In June 2000, our shareholders approved the Company's 2000 Employee Stock Purchase Plan (the "2000 Purchase Plan"). A total of 500,000 shares of common stock have been reserved for issuance under the 2000 Purchase Plan, plus annual increases equal to the lesser of (i) 1,000,000 shares, (ii) 1% of the outstanding shares on such date, or (iii) a lesser amount determined by our board of directors. The 2000 Purchase Plan permits eligible participants to purchase common stock through payroll deductions of up to 15% of the participant's compensation. The maximum number of shares a participant may purchase during a six-month purchase period is 7,500 shares. The purchase price of the stock is generally 85% of the lower of the fair market value of the common stock at the beginning of the offering period or at the end of the purchase period. The 2000 Purchase Plan contains consecutive, overlapping 24-month offering periods. Each offering period includes four six-month purchase periods. The offering periods generally start on the first trading day on or after May 1 and November 1 of each year, provided that the initial offering period commenced on July 14, 2000. In 2000 employees purchased 4,664 shares at a per share price of \$10.20.

1998 Stock Plan In February 2000 our stockholders approved an amendment to our 1998 Stock Plan, which amended and restated the 1998 Stock Plan originally approved by the board of directors in September 1998. At December 31, 2000 a total of 4,700,000 of common stock were authorized for issuance under the 1998 Stock Plan, plus annual increases, beginning fiscal year 2000, equal to the lesser of (i) 2,000,000 shares, (ii) 5% of the outstanding shares of common stock on the last day of the immediately preceding fiscal year, or (iii) an amount determined by the board of directors.

Under the 1998 Stock Plan, employees, directors and consultants ("Service Providers") may be granted options that allow for the purchase of shares of our common stock. Non-statutory stock options and stock purchase rights may be granted to all Service Providers (see Common Stock above for description of stock purchase rights granted). Incentive stock options may only be granted to employees.

Non-statutory stock options may be granted under the 1998 Stock Plan at a price not less than 110% and 85% of the fair value of the stock on the date the option is granted where (a) the options are granted to Service Providers who, at the time of grant, own stock representing more than 10% of the voting power of all classes of stock, and (b) the options are granted to any other Service Provider, respectively. Incentive stock options may be granted under the 1998 Stock Plan at a price not less than 110% and 100% of the fair market value of the stock on the date the option is granted where (a) the options are granted to employees who, at the time of the grant, own stock representing more than 10% of the voting power of all classes of stock, and (b) the options are granted to any other employee, respectively. The term of the non-statutory and incentive stock options granted is ten years or less from the date of the grant, as provided for in the individual option agreement.

Notes to Financial Statements

Vesting provisions of individual options may vary, except in the case of options granted to officers, directors and consultants where vesting is at a rate of no less than 20% per year over five years from the date of grant. Forfeited options become available for reissuance under the 1998 Stock Plan.

There were no options granted during the period from May 4, 1998 (inception) through December 31, 1998.

The following table summarizes option activity under the 1998 Stock Plan:

	Options outstanding			
	Number of options	Range of exercise prices		Weighted-average exercise price
Options outstanding as of December 31, 1998	—	\$	—	\$ —
Granted	1,361,200	0.10-	0.20	0.12
Exercised	(1,000)		0.10	0.10
Forfeited	(65,000)		0.10	0.10
Options outstanding as of December 31, 1999	1,295,200	\$0.10-	0.20	\$0.12
Granted	934,000	1.00-	18.63	6.98
Exercised	(184,740)	0.10-	9.00	0.22
Forfeited	(38,209)	0.10-	9.00	3.45
Options outstanding as of December 31, 2000	2,006,251	\$0.10-\$18.63		\$3.14

Shares available for grant under the 1998 Stock Plan were 14,800 and 1,319,009 as of December 31, 1999 and 2000, respectively.

The following table summarizes information about stock options outstanding as of December 31, 2000:

Exercise price	Options outstanding			Options exercisable	
	Number of options	Weighted average remaining contractual life (years)	Weighted average exercise price	Number of vested options	Weighted average exercise price
\$ 0.10	778,126	8.48	\$ 0.10	216,766	\$ 0.10
0.20	327,875	8.92	0.20	72,770	0.20
1.00	231,250	9.09	1.00	33,854	1.00
2.00	241,000	9.19	2.00	47,520	2.00
9.00	75,000	9.35	9.00	11,770	9.00
10.00	120,000	9.46	10.00	15,000	10.00
12.00	30,000	9.52	12.00	3,125	12.00
14.13	128,000	9.96	14.13	3,811	14.13
18.63	75,000	9.71	18.63	4,688	18.63
\$0.10-\$18.63	2,006,251	8.95	\$ 3.14	409,304	\$ 1.47

As of December 31, 1999 and 2000 there were 133,213 and 409,304 fully vested and exercisable shares with a weighted average exercise price of \$0.11 and \$1.47 per share, respectively.

Pursuant to SFAS No. 123, Accounting for Stock-Based Compensation, we are required to disclose the pro forma effects on net loss and net loss per share as if we had elected to use the fair value approach to account for all of our employee stock-based compensation plans. Had compensation cost of our plans been determined in a manner consistent with the fair value approach of SFAS No. 123, our pro forma net loss and pro forma net loss per share would have been reduced to the pro forma amounts indicated below:

December 31,	1998	1999	2000
Net loss as reported	\$389,007	\$4,499,585	\$31,711,385
Adjusted pro forma net loss	389,007	4,505,402	32,757,896
Net loss per share basic and diluted as reported	(0.39)	(1.35)	(2.33)
Adjusted pro forma	(0.39)	(1.35)	(2.40)

The per share weighted-average of stock options granted was \$4.90 in 1999 and \$9.80 in 2000. For employee stock options, the weighted-average fair value of each option granted was estimated on the date of grant using the minimum value method in 1999 or the Black-Scholes option pricing model for 2000 with the following weighted-average assumptions used for grants in 1999 and 2000, respectively: dividend yield of zero for all years; volatility of 0 percent and 75 percent; a risk-free interest rate ranging from 5.5–6.2% and 5.5–7.1%; and expected life of five years for all years. The weighted-average fair value for non-employee options was determined using a Black-Scholes option valuation model and the following assumptions for 1999 and 2000, respectively: estimated volatility 60% and 75%, a risk free interest rate ranging from 5.5–6.3% and 5.1–6.3%, no dividend yield, and an expected life of the option equal to the options' contractual life of 10 years from the date of grant.

For the 2000 Employee Stock Purchase Plan, the weighted-average fair value of purchase rights granted was \$6.84 per share in 2000 calculated using the Black-Scholes option-pricing model with the following weighted-average assumptions: dividend yield of zero; volatility of 75%; risk-free interest rate of 5.1%; expected life of 2 years.

We granted stock options under the 1998 Stock Plan to employees for which we recorded deferred compensation of \$2,283,565 and \$4,939,000 for the years ended December 31, 1999 and 2000, respectively. Deferred compensation for options granted to non-employees was \$4,231,462 and \$1,267,177 for years ended December 31, 1999 and 2000, respectively. No options were granted in 1998.

Notes to Financial Statements

For employees, deferred compensation represents the difference between the exercise price of the option and the fair value of our common stock on the date of grant in accordance with APB No. 25 and its related interpretations. For non-employees, deferred compensation is recorded at the fair value of the options granted in accordance with SFAS No. 123 and EITF 96-18.

Compensation expense is being recognized over the vesting period for employees and the service period for non-employees in accordance with FIN No. 28. For the year ended December 31, 2000, amounts amortized to the statement of operations as compensation expense for employees and non-employees was \$3,618,431 and \$2,494,835, respectively. In 1999 amounts amortized to the statement of operations as compensation expense for employees and non-employees was \$187,621 and \$1,347,226, respectively.

6. Income Taxes

Income tax expense for the period from May 4, 1998 (inception) through December 31, 1998 and for the year ended December 31, 1999 and 2000 is comprised of the following:

	Current	Deferred	Total
1998:			
Federal	\$ —	—	\$ —
State	800	—	800
Total	\$800	—	\$800
1999:			
Federal	\$ —	—	\$ —
State	800	—	800
Total	\$800	—	\$800
2000:			
Federal	\$ —	—	\$ —
State	800	—	800
Total	\$800	—	\$800

Tax expense differed from the amounts computed by applying the U.S. federal income tax rate of 34% to pretax income for the years ended December 31, 1999 and 2000 as a result of the following:

	1999	2000
Computed "expected" tax expense (benefit)	\$(1,529,587)	\$(5,942,856)
Current NOLs for which no benefit was realized	1,528,441	5,929,137
Permanent differences	1,146	13,719
State taxes	800	800
	\$ 800	\$ 800

The tax effect of temporary differences that give rise to significant portions of the deferred tax assets as of December 31, 1999 and 2000 is as follows:

	1999	2000
Deferred tax assets:		
Intangible assets	\$ 8,817	\$ —
Stock related compensation	634,542	4,135,660
Net operating loss carryforward	1,323,944	4,579,583
Accrued liabilities and depreciation	—	13,302
State taxes	571	272
Research and development credit	120,247	616,806
Gross deferred tax assets	2,088,121	9,345,623
Valuation allowance	(2,088,121)	(9,345,623)
Net deferred tax assets	\$ —	\$ —

We have recorded a valuation allowance of \$2,088,121 and \$9,345,623 against the deferred tax assets related to temporary differences and credits for federal and state income tax purposes as of December 31, 1999 and 2000, respectively. The net change in the total valuation allowance for the years ended December 31, 1999 and 2000 was an increase of \$1,922,123 and \$7,257,502, respectively. We believe that realization of these deferred tax assets is not assured, and therefore we have not recognized the related deferred tax benefits.

As of December 31, 2000, we have operating loss carryforwards of \$11,497,000 expiring through 2020 for federal purposes and California net operating loss carryforwards of \$11,496,000 expiring through 2010. We have federal research credits expiring through 2020 of approximately \$518,000. We have California research credits, carrying forward indefinitely, of approximately \$150,000.

Under provisions of the Internal Revenue Code, should substantial changes in our ownership occur, the utilization of net operating loss carryforwards may be limited.

7. Agreement with Albert Einstein College of Medicine

In May 1998, we entered into an exclusive, worldwide license agreement with Albert Einstein College of Medicine for all patents and pending patent applications relating to low-dose opioid antagonist technology. Pursuant to the terms of the license agreement, in 1998 we paid Albert Einstein College of Medicine a one-time licensing fee which was recognized as license fee expense in accordance with Financial Accounting Standards No. 2, Accounting for Research and Development Costs, as this technology has no alternative future use. In addition, we have paid Albert Einstein College of Medicine research payments that have been

Notes to Financial Statements

recognized as research and development expense. We are also required to make milestone payments to Albert Einstein College of Medicine upon the achievement of certain regulatory and clinical events, including amounts due upon receipt of our first drug approval in the U.S. and in specified foreign countries. Finally, we must pay Albert Einstein College of Medicine royalties based on a percentage of net sales of our products. If a product is combined with a drug or other substance for which we are paying an additional royalty, the royalty rate we pay to Albert Einstein College of Medicine is generally reduced by one-half. No milestone payments have been triggered.

8. Leases

We currently lease office space on a month-to-month basis, and we also lease office space and equipment pursuant to non-cancelable operating leases that will expire at various dates through 2010.

Future minimum lease payments are as follows for the years ended December 31,:

2001	\$ 186,099
2002	185,435
2003	184,107
2004	178,258
2005 and thereafter	1,021,924

Rent expense under non-cancelable operating leases was \$9,428, \$36,992 and \$150,125 for the period from May 4, 1998 through December 31, 1998 and for the years ended December 31, 1999, and 2000, respectively.

9. Selected Quarterly Financial Data (Unaudited)

Quarter Ended	March 31	June 30	September 30	December 31
2000				
Net loss	\$(5,808,137)	\$(3,513,291)	\$(5,270,677)	\$(2,887,685)
Basic and diluted loss per share ⁽¹⁾	\$ (4.09)	\$ (0.62)	\$ (0.26)	\$ (0.12)
1999				
Net loss	\$ (91,050)	\$ (637,447)	\$ (1,779,305)	\$ (1,991,783)
Basic and diluted loss per share	\$ (0.04)	\$ (0.21)	\$ (0.49)	\$ (0.47)

(1) In February 2000 we issued our series C redeemable convertible preferred stock and determined that it was issued with a beneficial conversion feature. The allocation of proceeds to the beneficial conversion feature has been treated as a dividend and recognized as a return to the preferred stockholders for purposes of computing basic and diluted loss per share in the quarter ended March 31, 2000. (See footnote 4.)

Independent Auditors' Report

The Board of Directors

Pain Therapeutics, Inc.:

We have audited the accompanying balance sheets of Pain Therapeutics, Inc. (a development stage enterprise) as of December 31, 1999 and 2000, and the related statements of operations, stockholders' equity (deficit) and cash flows for the period from May 4, 1998 (inception) through December 31, 1998, for the years ended December 31, 1999 and 2000 and for the period from May 4, 1998 (inception) through December 31, 2000. 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 audits.

We conducted our audits in accordance with auditing standards generally accepted in the United States of America. 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 audits provide 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 Pain Therapeutics, Inc. (a development stage enterprise) as of December 31, 1999 and 2000 and the results of its operations and its cash flows for the period from May 4, 1998 (inception) through December 31, 1998, for the years ended December 31, 1999 and 2000 and for the period from May 4, 1998 (inception) through December 31, 2000, in conformity with accounting principles generally accepted in the United States of America.

KPMG LLP

San Francisco, California

March 2, 2001

Corporate Directory

Corporate Headquarters

416 Browning Way
South San Francisco, California
94080
650-624-8200
www.paintrials.com

General Counsel

Wilson Sonsini Goodrich & Rosati
Professional Corporation
Palo Alto, California

Registrar and Transfer Agent

Communications concerning
transfer requirements, certificate
exchanges, lost certificates, changes
of address and name changes
should be directed to the
Transfer Agent:

Mellon Investor Services LLC
85 Challenger Road
Ridgefield Park, New Jersey 07660
800-356-2017

Form 10-K

A copy of the Pain Therapeutics,
Inc. Annual Report to the
Securities and Exchange
Commission (Form 10-K) may
be obtained without charge
upon request from:

Investor Relations
416 Browning Way
South San Francisco, California
94080

Investor Relations and Shareholder Inquiries

Shareholders, security analysts,
investment professionals, interested
investors, and the media should
direct their inquiries to:

Investor Relations
416 Browning Way
South San Francisco, California
94080
650-624-8200
www.paintrials.com
investor-relations@paintrials.com

Stock Information

The Company's initial public
offering was July 14, 2000. The
Company's common stock trades
on the Nasdaq Stock Market®
under the symbol PTIE. No
dividends have been paid on the
common stock to date and the
Company does not anticipate paying
dividends in the foreseeable future.
On February 28, 2001 there were
145 holders of record of the
Company's common stock.

Price Range of Common Stock

The following table lists the high
and low reported sales prices for
the Company's common stock as
reported on the Nasdaq Stock
Market®.

Quarter	2000	
	High	Low
Third Quarter (from 7/14/00)	\$26.375	\$14.00
Fourth Quarter	\$23.125	\$ 8.00

Annual Meeting

The Annual Meeting of
Stockholders will be held at
10:00 a.m. Pacific Time on
May 31, 2001 at the offices of
Wilson Sonsini Goodrich & Rosati,
650 Page Mill Road, Palo Alto,
California.

Officers and Board of Directors

Officers

Remi Barbier
President and
Chief Executive Officer
Chairman of the Board

Barry M. Sherman, M.D.
Executive Vice President and
Chief Medical Officer

Edmon R. Jennings
Chief Commercialization Officer

David L. Johnson, CPA
Chief Financial Officer

Grant L. Schoenhard, Ph.D.
Vice President
Preclinical Development

Kathleen C. Dumas
Vice President
Regulatory Affairs

Michael S. Zamloot
Vice President
Technical Operations

Board of Directors

Remi Barbier
President and
Chief Executive Officer
Chairman of the Board
Pain Therapeutics, Inc.

Gert Caspritz, Ph.D. ⁽¹⁾
Partner
TVM-Techno Venture Management

Nadav Friedmann, Ph.D., M.D. ⁽²⁾
President, EMET Research, Inc.
and previously President and
Chief Executive Officer
Daiichi Pharmaceutical
Corporation

Wilfred Konneker, Ph.D. ⁽¹⁾
President, Konneker Development
Corporation and previously
Vice President
Radio Pharmaceuticals Division
Mallinckrodt, Inc.

Michael O'Donnell, Esq.
Partner
Wilson Sonsini Goodrich & Rosati

Sanford Robertson ^{(1) (2)}
Partner
Francisco Partners

(1) Member of Audit Committee

(2) Member of Compensation Committee



*Pain has an element of blank;
It cannot recollect
When it began, or if there were
A day when it was not.*

—Emily Dickinson

Pain Therapeutics, Inc.

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