SECURITIES AND EXCHANGE COMMISSION
WASHINGTON, D.C. 20549

FORM 10-K

ANNUAL REPORT UNDER SECTION 13 OR 15(d)
OF THE SECURITIES EXCHANGE ACT OF 1934

(MARK ONE)

[X] ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934

FOR THE YEAR ENDED DECEMBER 31, 2000

OR

[ ] TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934

COMMISSION FILE NUMBER: 33-32370

PAIN THERAPEUTICS, INC.
(EXACT NAME OF REGISTRANT AS SPECIFIED IN ITS CHARTER)

DELAWARE	91-1911336
(STATE OR OTHER JURISDICTION OF INCORPORATION OR ORGANIZATION)	(I.R.S. EMPLOYER IDENTIFICATION NUMBER)

REMI BARBIER
PRESIDENT AND CHIEF EXECUTIVE OFFICER
250 EAST GRAND AVENUE, SUITE 70
SOUTH SAN FRANCISCO, CA 94080
(650) 624-8200
(ADDRESS, INCLUDING ZIP CODE, OR REGISTRANT'S PRINCIPAL EXECUTIVE OFFICES AND TELEPHONE NUMBER, INCLUDING AREA CODE)

SECURITIES REGISTERED PURSUANT TO SECTION 12(b) OF THE ACT: NONE

SECURITIES REGISTERED PURSUANT TO SECTION 12(g) OF THE ACT: COMMON STOCK, $0.001 PAR VALUE

Indicate by check mark whether the Registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the Registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes [X] No [ ]

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this form 10-K. [X]

The aggregate market value of voting stock held by non-affiliates of the Registrant was approximately $126,293,853 as of February 28, 2001, based upon the closing price on the Nasdaq National Market reported for such date. This calculation does not reflect a determination that certain persons are affiliates of the Registrant for any other purpose. The number of shares outstanding of the Registrant's common stock on February 28, 2001 was 26,738,316 shares.

DOCUMENTS INCORPORATED BY REFERENCE

Portions of the Registrant's Proxy Statement for its 2001 Annual Meeting of Stockholders (the "Proxy Statement"), to be filed with the Securities and Exchange Commission, are incorporated by reference to Part III of this Form 10-K Report.

PAIN THERAPEUTICS, INC.

FORM 10-K

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PART I

This document contains a number of forward-looking statements. See note regarding forward-looking statements in "Management's Discussion and Analysis of Financial Condition and Results of Operations". Our business is subject to numerous risks and uncertainties. See "Risk Factors."

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 number, scope, 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, and construction delays with regard to our new office. In addition such statements are subject to the risks and uncertainties discussed in the "Risk Factors" section and elsewhere in this document.

ITEM 1. BUSINESS

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. If approved by the Food and Drug Administration, or FDA, we believe our proprietary drugs could replace many existing opioid painkillers commonly used to treat moderate to severe pain. We believe our products may encounter fewer clinical and regulatory hurdles than new chemical entities, because they consist of drugs that, individually, are already FDA approved.

INDUSTRY BACKGROUND

Clinical Pain

Clinical pain is any unpleasant sensation that occurs as a result of injury or disease. Pain can have a protective role by warning of imminent or actual tissue damage, which can help prevent additional injury. Pain can also trigger a biological response that helps to preserve or regenerate damaged tissue. In this respect, pain is usually a normal, predictable response to events such as surgery, trauma and illness.

Types of Pain and Pain Relief

Drugs are often used to reduce or eliminate pain, especially when the pain is severe. The type of drug used to relieve pain depends on both the severity and the duration of the pain. Pain can be classified into three categories of severity:

Mild Pain. Almost everyone experiences mild pain, such as headaches or joint pain, at one time or another. People typically treat mild pain with over-the-counter drugs such as aspirin and acetaminophen.

Moderate Pain. Pain resulting from minor surgery or arthritis are examples of moderate pain. Physicians typically prescribe opioid painkillers to treat moderate pain. Opioid painkillers come in three

varieties: weak opioids, strong opioids and synthetic opioids. Weak opioids such as hydrocodone or codeine are generally used to treat patients with moderate pain.

Severe Pain. Patients experiencing severe pain often suffer from a serious underlying illness, such as AIDS or cancer. Severe pain can also result from major surgery, nerve damage or undetermined causes. Patients experiencing severe pain often require a strong opioid, such as morphine or fentanyl, to achieve adequate pain relief.

Pain can also be classified in terms of its duration as either acute or chronic. Acute pain, such as pain resulting from knee surgery, is brief and rarely results in long-term consequences. Most acute pain subsides within hours, days or weeks. Chronic pain persists long after an injury has healed, and typically results from a chronic illness or appears spontaneously and persists for undefined reasons. Examples of chronic pain include chronic lower back pain, and pain resulting from bone cancer or advanced arthritis. The effect of chronic pain tends to be more pervasive than that of acute pain. Chronic pain often affects a patient's mood, personality and social relationships. As a result, a patient with chronic pain commonly suffers from both their state of physical pain as well as a general decline in their quality of life.

In general, the more severe or chronic the pain, the more likely an opioid painkiller will be prescribed to treat the pain. The following diagram illustrates the types of pain which physicians typically treat with opioid painkillers:

[GRAPHIC]

PAIN MANAGEMENT MARKET

The medical effort to treat pain, known as pain management, addresses a large market. Clinical pain is a worldwide problem with serious health and economic consequences. For example, in the United States:

- medical economists estimate that the effects of pain result in approximately $100 billion of costs annually, including costs associated with an estimated 515 million lost work days;

- according to the National Institutes of Health, approximately 40 million Americans are unable to find relief from their pain;

- more than 30 million Americans suffer chronic pain for which they visit a doctor;

- approximately one million cancer patients suffer from severe pain at any given time; and

- an estimated 10% of the more than 200,000 AIDS patients suffer severe pain.

Drugs are the key element in the treatment of pain. The worldwide market for pain drugs totaled over $16 billion in 1997. In the United States and Western Europe the corresponding market for pain drugs totaled nearly $12 billion. The pain management market has grown significantly in recent years and is expected to continue to grow significantly. The U.S. market for prescription pain drugs has grown by approximately 15% per year during the past five years due to a number of factors, including:

- a rapidly aging population;

- patients' demand for effective pain relief;

- increasing recognition of the therapeutic and economic benefits of effective pain management by physicians and healthcare providers and payers; and

- longer survival times for patients with painful chronic conditions, such as cancer and AIDS.

This accelerating growth rate appears to be attributable in part to recent innovations in the treatment of mild pain. For example, in 1999, Monsanto, which is now part of Pharmacia, and Merck, all of which are large pharmaceutical companies, launched non-opioid prescription pain relievers called COX-2 inhibitors. These drugs achieved first-year sales exceeding $1.0 billion in the United States. COX-2 inhibitors have fewer side effects than aspirin, and sell for more than twenty times the price of aspirin. The success of COX-2 inhibitors demonstrates the potential for rapid market acceptance and premium pricing of pain products that offer reduced side effects.

There has been little innovation in the area of opioid painkillers. Sales of opioid painkillers in the United States are primarily of older off-patent pain drugs, such as morphine and oxycodone. Notwithstanding the lack of novel drugs, U.S. opioid painkiller sales were approximately $2.5 billion in 1999.

Approximately 90% of U.S. patients who receive opioids are treated on an outpatient basis. A portion of these patients receive care at one of the 3,400 specialty pain programs. The relatively low number of pain treatment centers allows for focused distribution channels for pain management products. This market structure permits midsize pharmaceutical companies to market and sell pain products cost-effectively.

OPIOID DRUGS

The history of opium use dates back more than 3,000 years. Today, the use of opioid drugs to treat patients with moderate to severe pain is widely accepted throughout the world. Opioids are the drugs of preference for many caregivers because they have an extensive clinical history, are easy to use and are available in a variety of doses and formulations. In the United States, Europe and Japan, physicians use a variety of strong, weak and synthetic opioids to manage patients' pain.

OPIOID DRUG SEGMENTS

MARKET SEGMENT	TYPICAL USE	EXAMPLES	REPRESENTATIVE BRAND	1999 U.S. SALES (IN MILLIONS)
Strong Opioids	Cancer pain	Morphine	MS Contin and others	$ 700
Weak Opioids	Outpatient surgery	Hydrocodone and oxycodone	Vicodin and other	1,300
Synthetic Opioids	Back pain	Tramadol	Ultram(TM)	450
			Total	$2,450

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Source: IMS HEALTH, Retail & Provider Perspectives 1999

Patients experiencing acute pain require fast acting, short-lived opioids and rapid delivery. The most common acute use of opioids is post-surgical pain. Opioid drugs used to treat acute pain include intravenous morphine, hydrocodone and oxycodone, which provide rapid pain relief.

In contrast, patients experiencing chronic severe pain often require long-term, regular use of opioid drugs. Because rapid dose adjustments are not necessary, patients experiencing chronic pain typically use opioid

drugs in sustained release formulations. Such formulations include fentanyl patches and sustained release morphine. Although curing chronic pain is possible, it is infrequent. The aim of using opioid drugs for patients with chronic pain is to decrease pain and suffering while improving overall physical and mental functions.

SHORTCOMINGS OF CURRENT PAIN MANAGEMENT

Despite widespread clinical use of opioids, pain management remains less than optimal. At all doses, opioid painkillers have significant adverse side effects that limit their usefulness. Adverse side effects include: respiratory depression, nausea, vomiting, dizziness, sedation, mental clouding, constipation, urinary retention and severe itching. In addition, chronic use of opioid painkillers can lead to the need for increasing dosage, and potentially, addiction. Concerns about addiction often influence clinicians to prescribe less than adequate doses of opioids. Many patients dislike the adverse side effects of opioid treatment and voluntarily take less than the prescribed dosage. In all cases, however, patients and clinicians must reach an appropriate balance between pain relief and adverse side effects. In addition, patients often use a process of trial and error with different opioids to identify an opioid that yields the optimal balance between pain relief and adverse side effects. Some patients may even prefer to endure pain rather than to withstand the side effects of opioid therapy. As a result, many patients are seriously under-treated and may be suffering from pain unnecessarily. In particular, infants and children receive disproportionately fewer and lower doses of opioid painkillers than adults.

Historically, there has been little innovation in the opioid painkillers used to treat moderate to severe pain. To date, product innovations have focused on increasing convenience, rather than improving clinical benefits. For example, novel dosing or delivery systems make it more convenient for patients to use opioid drugs, but neither enhance pain relief or reduce adverse side effects.

OUR SOLUTION

We are developing a new generation of drugs that address the shortcomings of existing opioid painkillers. We believe our drugs will:

- enhance pain relief;

- minimize adverse side effects; and

- reduce tolerance and addiction.

If approved by the FDA, we believe our drugs could replace many commonly used opioid painkillers. We also believe our drugs could be used in chronic pain cases where physicians have been reluctant to prescribe opioid painkillers due to concerns about adverse side effects or addiction.

Our product candidates use a novel technology developed at Albert Einstein College of Medicine. Our technology combines very low doses of opioid inhibitors with standard opioid painkillers. We believe that the addition of a low dose of an opioid antagonist to opioid painkillers has an unexpected and beneficial effect. We believe that this effect includes enhancing potency, minimizing adverse side effects and attenuating tolerance and addiction.

Our technology has the added advantage of combining components which the FDA has individually approved for human use. We believe that we may encounter fewer clinical and regulatory hurdles than if we were developing new chemical entities because the safety and therapeutic profiles of these individual components are well-established.

STRATEGY

Our goal is to build a leading specialty pharmaceutical company in pain management. We intend to achieve this goal by:

Developing Products with Reduced Clinical and Regulatory Hurdles. We intend to develop drugs that we believe may have lower clinical and regulatory risks compared to the development of new

chemical entities. Our technology combines separate drugs, each independently approved by the FDA, whose safety and pharmacology are well established. We believe this approach will enable us to commercialize our drugs rapidly and cost effectively.

Focusing on Clinical Development and Late Stage Products. We continue to focus on managing clinical trials. Four of our current product candidates are in various stages of Phase II clinical trials. The conduct of human trials is a complex, highly regulated and highly specialized effort. We believe that our clinical development focus will enable us to generate product revenues earlier than if we were discovering and developing new chemical entities.

Retaining Significant Rights. We currently retain worldwide commercialization rights to all of our technology and pain management product candidates in all markets and indications. In general, we intend to independently develop our product candidates through late-stage clinical trials. As a result, we expect to capture a greater percentage of the profits from drug sales than we would if we outlicensed our drugs earlier in the development process. In market segments that require large or specialized sales forces, such as the market for morphine products, we may seek sales and marketing alliances with third parties. We believe that such alliances will enable us to commercialize our drugs rapidly and cost-effectively.

Using Our Technology to Develop Multiple Drugs for Both Pain and Non-Pain Indications. We are initially focusing our efforts on developing four opioid painkillers. However, we believe our technology can be broadly applied to additional segments of the pain market, as well as non-pain indications.

Outsourcing Key Functions. We intend to continue to outsource preclinical studies, clinical trials, formulation and manufacturing. We believe outsourcing will produce significant time savings and allow for more efficient deployment of our resources.

PRODUCTS IN DEVELOPMENT

We have four painkillers in various stages of Phase II clinical trials. Each product is a proprietary combination of opioids. The first component is an opioid agonist, such as morphine. The second component is an opioid antagonist, such as naltrexone or naloxone. Adding an antagonist to an agonist at usual clinical doses blocks the action of the agonist. This effect is clinically useful, for example, to reverse heroin overdose. At a very low-dose, however, studies indicate that this effect is different: a very low-dose of an opioid antagonist can enhance pain relief, reduce adverse side-effects and attenuate the development of tolerance and addiction. Our technology takes advantage of this effect by combining opioid agonists with low doses of opioid antagonists. The two individual components of our combination drugs have the advantage of having been previously approved separately by the FDA for human use at high dose. However, the use of both components in combination, or the use of low-dose opioid antagonist alone, has not been approved by the FDA.

Our trials are designed to produce clinical information about how our painkillers perform compared to placebo and existing opioid drugs. We plan to test each of our products in several clinical settings of pain in order to support a broad approval by the FDA for use of the drug for the relief of moderate to severe acute and chronic pain. FDA guidelines recommend that we demonstrate efficacy of our new painkillers in more than one clinical presentation of pain, typically including dental pain. Other acceptable clinical types of pain include post-operative pain, cancer pain and various types of trauma and arthritis pain. Because clinical models differ in their sensitivity to detect pain, we expect to complete Phase II studies in multiple clinical models of pain. We have designed all of our clinical trials to date as randomized, double-blind, placebo-controlled, dose-ranging studies. A randomized study is one in which patients are randomly assigned to the various study treatment arms. A double-blind study is one in which the patient, the physician and the Company's study monitor are unaware if the patient is receiving placebo or study drug in order to preserve the integrity of the trial and reduce bias. A placebo-controlled study is one in which a subset of patients is purposefully not given study drug.

PTI-555: ORAL MORPHINE/LOW-DOSE NALTREXONE

PTI-555 is a next generation version of oral morphine. We are developing this combination drug to treat moderate to severe pain in an acute or chronic setting. PTI-555 is a proprietary combination of immediate release oral morphine and low-dose naltrexone. If the FDA approves PTI-555, we believe it could be an effective substitute for oral morphine. The principal use of oral morphine is the treatment of patients suffering from chronic moderate to severe pain, such as cancer pain.

Clinical Results

In December 1999, we completed the analysis of a 200 patient Phase II clinical trial of PTI-555 which compared three different doses of PTI-555 with placebo and with oral morphine. Each dose of PTI-555 consisted of a fixed dose of morphine with a different low dose of naltrexone. The trial enrolled patients experiencing moderate to severe pain following dental surgery, in which two or more teeth were extracted. This trial demonstrated that: PTI-555 is well-tolerated in humans; three different doses of PTI-555 clearly provide patients with three different levels of pain relief; an optimal dose of PTI-555 provides patients with meaningful pain relief compared to placebo (this result is statistically significant at the level of $p<0.001$) and; an optimal dose of PTI-555 provides patients with 50% more pain relief than morphine alone in the first four hours of the study period (this result is clinically meaningful with $p = 0.058$).

Based on these encouraging results, we initiated a 300 patient Phase II clinical trial of PTI-555 in January 2000. This trial was designed to confirm the safety, the efficacy and the optimal dose of PTI-555 in patients experiencing moderate to severe pain following dental surgery. We announced the results of this trial in October 2000 demonstrating that: patients treated with an optimal dose of PTI-555 achieved over 25% more pain relief than patients treated with an equivalent dose of conventional morphine during the effective treatment period and the incidence of morphine-related side effects was statistically similar among patients treated with PTI-555 or conventional morphine.

We initiated two additional phase IIb clinical trials of PTI-555 in the fourth quarter of 2000 in which we plan to enroll a total of approximately 400 patients experiencing acute post-surgical pain. We designed these new trials to further demonstrate the drug's safety and efficacy in different clinical settings of pain.

PTI-501: INJECTABLE MORPHINE/LOW-DOSE NALOXONE

PTI-501 is a next generation version of injectable morphine. We are developing this proprietary combination drug to treat moderate to severe pain in an acute or chronic setting. If the FDA approves PTI-501, we believe it could be an effective substitute for injectable morphine. The principal use of injectable morphine is the treatment of patients with acute severe pain, such as that which follows surgery or trauma.

Clinical Results

Our clinical data on PTI-501 includes an independent clinical trial as well as a company-sponsored Phase II clinical trial. In 1997, independent researchers at Duke University Medical Center conducted a physician-sponsored, randomized, double-blind, placebo-controlled, dose-ranging clinical trial of 60 patients suffering from post-surgical pain. Published results of this trial indicated an approximate 50% reduction in certain morphine-related adverse side effects in patients who received an optimal dose of study drug compared to patients who received morphine without low-dose naloxone. This result is statistically significant at the level of $p<0.05$.

The company-sponsored Phase II clinical trial enrolled 120 patients suffering from moderate to severe post-surgical pain. We completed patient enrollment for this clinical trial in December 1999.

PTI-601: TRAMADOL/LOW-DOSE NALTREXONE

PTI-601 is a next generation version of tramadol. In 1999, U.S. sales of tramadol exceeded $450 million. We are developing this proprietary combination drug to treat patients with moderate pain in an acute or chronic setting. PTI-601 is a combination of tramadol and low-dose naltrexone. If the FDA approves PTI-601,

we believe it could be an effective substitute for tramadol. Tramadol is principally used to treat patients with acute or chronic moderate pain, such as arthritis pain. Ortho-McNeil Pharmaceutical currently markets proprietary tramadol hydrochloride tablets under the brand name Ultram. The relevant patents for Ultram expire in 2001.

Clinical Results

In August 1999, we initiated a 250 patient Phase II trial of PTI-601. This trial compared three different doses of PTI-601 with placebo and with tramadol. Each dose of PTI-601 consisted of a fixed dose of tramadol combined with a different low dose of naltrexone. The trial enrolled patients suffering from moderate to severe pain following dental surgery, in which three or more teeth were extracted. In January 2000 we completed the analysis of this Phase II clinical study. This trial demonstrated that: PTI-601 is well-tolerated in humans; different doses of PTI-601 clearly provide patients with different levels of pain relief and; an optimal dose of PTI-601 provides patients with meaningful pain relief compared to placebo (this result is statistically significant at the level of $p<0.008$). By contrast patients who received tramadol alone did not achieve statistically meaningful pain relief compared to placebo.

We initiated an additional phase IIb clinical trial of PTI-601 in the fourth quarter of 2000 and have completed enrollment of 350 patients who experienced moderate to severe acute pain following oral surgery. We designed this trial to demonstrate the safety and efficacy of PTI-601 versus placebo or tramadol. The results of this trial will serve as the basis for future trials of PTI-601 in patients with chronic pain.

PTI-701: HYDROCODONE/LOW-DOSE NALTREXONE

PTI-701 is a next generation version of hydrocodone and similar weak opioids. In 1999, U.S. sales of such drugs exceeded $1.3 billion. We are developing PTI-701 to treat moderate to severe pain in an acute or chronic setting. PTI-701 is a proprietary combination of hydrocodone, acetaminophen and low-dose naltrexone. If the FDA approves PTI-701, we believe it could be an effective substitute for hydrocodone/acetaminophen. In the United States, all hydrocodone is sold in combination with acetaminophen. The principal use of hydrocodone is the treatment of patients with chronic moderate to severe pain, such as cancer pain. Hydrocodone combination products are currently sold under various trade names, including Knoll Laboratories' Vicodin(R), Forest Pharmaceuticals' Lorcet(R) and Watson Laboratories' Norcor(R).

In January 2000, we initiated a 300 patient Phase II clinical trial with PTI-701. This study compared a single dose of PTI-701 to either placebo or a standard dose of 5 mg hydrocodone/500 mg acetaminophen. This trial was designed to demonstrate the safety, the efficacy and the optimal dose of PTI-701 in patients experiencing moderate to severe pain following dental surgery. In the fourth quarter of 2000, we announced preliminary results of this clinical trial. Results indicate that in this trial PTI-701 provided patients with statistically better pain relief than placebo during the effective treatment period (this result is statistically significant at the level of $p<0.001$); that patients treated with PTI-701 achieved 29% more pain relief compared to patients treated with 5 mg hydrocodone/500 mg acetaminophen (this result is statistically significant at the level of $p<0.001$) and; that the incidence of common opioid related side effects was statistically similar among patients treated with PTI-701 or 5 mg hydrocodone/500 mg acetaminophen.

PTI-801: OXYCODONE/LOW-DOSE NALTREXONE

We recently submitted to the FDA an IND application for PTI-801. The IND became effective immediately following the FDA's standard 30 day review. As a result, we are now permitted by the FDA to initiate exploratory clinical trials with PTI-801. We have no clinical results to date using PTI-801 or any combination of immediate release oxycodone and low-dose naltrexone. We plan to initiate clinical trials in the first half of 2001. Until we undertake such clinical trials, we cannot report on the human safety or human efficacy of such combinations. If the FDA were to approve PTI-801 we believe it could become an effective substitute for immediate release oxycodone for the treatment of moderate to severe pain.

OTHER PRODUCT CANDIDATES

We believe the use of low-dose opioid antagonists, either alone or in combination with existing opioid drugs, may have commercial applications beyond our current product candidates. We believe that our technology can be broadly applied to additional segments of the pain market, as well as non-pain indications. Examples include certain drugs used in anesthesiology and those used to treat opioid and alcohol addiction. Until we undertake preclinical studies and clinical trials, we cannot be certain that our technology will have such additional applications.

We anticipate initiating several Phase I/II pilot studies in an effort to assess the clinical utility of our proprietary low-dose antagonist technology within and outside the field of pain management. In particular, we may explore the use of our technology in patients undergoing methadone maintenance treatment and in patients suffering from irritable bowel syndrome.

MANUFACTURING

We have no manufacturing facilities. We have entered into agreements with qualified third parties for the formulation and manufacture of our clinical supplies. These supplies and the manufacturing facilities must comply with DEA regulations and current good manufacturing practices, or GMPs, enforced by the FDA. We plan to continue to outsource formulation and manufacturing.

TECHNOLOGY OVERVIEW

According to the current understanding of pain mediation, opioid painkillers produce their pain relieving effect by activating an inhibitory pathway in the nervous system. Inhibitory pathways inhibit the transmission of pain signals into the brain. Scientists at Albert Einstein College of Medicine have published results suggesting that opioids also stimulate an excitatory pathway in the nervous system. The excitatory pathway partially counteracts pain inhibition and is believed to be a major cause of adverse side effects associated with opioid use, including the development of tolerance and addiction. In vitro studies on isolated nerve cells have helped researchers detect and analyze the unique properties of the inhibitory and excitatory pathways. At the normal clinical doses, the activation of the excitatory pathway was previously undetected probably due to masking by the inhibitory pathway.

Published results suggest that the selective blockade of the excitatory pathway promotes the pain relieving potency of morphine in mice by blocking the excitatory pain-enhancing effect. In addition, preclinical studies have demonstrated that co-treatment with a very low dose of an opioid antagonist, such as naloxone or naltrexone, preferentially blocks the excitatory pathway over the inhibitory pathway, thereby enhancing morphine's ability to inhibit pain.

We believe that the excitatory pathway plays an important role in modulating the adverse side effects of opioid use. After repeated administration of morphine or other opioid painkillers, increasing doses of opioids are required in order to obtain the same level of pain relief, a process known as tolerance. If chronic opioid treatment is terminated abruptly, withdrawal symptoms rapidly appear. Continued administration of opioids prevents the appearance of withdrawal symptoms, at which point a patient is considered dependent, and, potentially addicted. Published results also show that tolerance and dependence in mice are due to sustained activation of the excitatory pathway, and that tolerance and dependence can be prevented by co-administration of low-dose naltrexone, a pure opioid antagonist. At very low concentrations, we believe such opioid antagonists preferentially block excitatory pathways. These results provided the rationale for our human clinical trials.

The low-dose effect is the most important component of our technology wherein a very low dose of an opioid antagonist is combined with an opioid painkiller. Optimal dose ratios of low-dose opioid antagonist to opioid painkiller depend on their specific pharmacology and the mode of administration. Published preclinical and clinical dose response studies provide guidance in formulating optimal ratios of low-dose opioid antagonist to opioid painkiller for clinical development.

Upon our formation in May 1998, we licensed our technology from Albert Einstein College of Medicine. We have a worldwide exclusive license to the technology and all intellectual rights arising from the technology. Our license rights terminate, upon the expiration of the patents used to protect the technology, which are scheduled to expire no earlier than September 2012. Pursuant to the terms of the license, we paid Albert Einstein College of Medicine a one time licensing fee and are required to pay clinical milestone payments and royalties based on a percentage of net drug sales. If a product is combined with a drug or other substance for which we are paying an additional royalty, the royalty that we pay to Albert Einstein College of Medicine will be reduced by one-half of the amount of such additional royalty.

Albert Einstein College of Medicine originally received grants from the U.S. federal government to research some of the technology that we license. The terms of these grants provide the U.S. federal government with a non-exclusive, non-transferable paid-up license to practice inventions made with federal funds. Thus, our licenses are non-exclusive to the extent of the U.S. government's license. If the U.S. government exercises its rights under this license, it could make use of the same technology that we license and the size of our potential market could thereby be reduced.

We seek to protect our technology by, among other methods, filing and prosecuting U.S. and foreign patents and patent applications with respect to our technology and products and their uses. The issued patents are scheduled to expire no earlier than September 2012. We plan to prosecute and defend our patent applications, issued patents and proprietary information. The patent portfolio includes five issued U.S. patents, one U.S. Notice of Allowance and three pending U.S. patent applications relating to the low-dose opioid antagonist technology under our license agreement with Albert Einstein College of Medicine, and eleven corresponding pending foreign patent applications or issued patents. Our competitive position and potential future revenues will depend in large part upon our ability to protect our intellectual property from challenges and to enforce our patent rights against potential infringers. If our competitors are able to successfully challenge the validity of our patent rights, based on the existence of prior art or otherwise, they would be able to market products that contain features and clinical benefits similar to those of our products, and demand for our products could decline as a result.

The focus of our patent strategy is to secure and maintain intellectual property rights to technology for the following categories of our business:

- the clinical use of a low-dose opioid antagonist, either alone or in combination with an opioid painkiller, for pain management and opioid and other addiction;

- the use of a low-dose opioid antagonist to render opioid-based anesthesia products, such as fentanyl or fentanyl analogs, more effective; and

- the clinical use of a low-dose opioid antagonist, either alone or in combination with any opioid painkiller, for the treatment of other conditions.

GOVERNMENT REGULATION

Regulation by governmental authorities in the United States and other countries is a significant factor in the manufacture and marketing of pharmaceuticals and in our ongoing research and development activities. All of our products will require regulatory approval by governmental agencies prior to commercialization. In particular, human therapeutic products are subject to rigorous preclinical testing and clinical trials and other pre-marketing approval requirements by the FDA and regulatory authorities in other countries. In the United States, various federal, and in some cases state statutes and regulations also govern or impact upon the manufacturing, safety, labeling, storage, record-keeping and marketing of our products. The lengthy process of seeking required approvals and the continuing need for compliance with applicable statutes and regulations, require us to spend substantial resources. Regulatory approval, when and if obtained, may be limited in scope which may significantly limit the indicated uses for which our products may be marketed. Further, approved drugs, as well as their manufacturers, are subject to ongoing review and discovery of previously unknown problems with such products which may result in restrictions on their manufacture, sale or use or in their withdrawal from the market.

Applicable FDA regulations treat our combination of opioid painkillers, such as morphine, and low-dose opioid antagonists, such as naloxone, as new drugs and require the filing of a New Drug Application, or NDA, and approval by the FDA prior to commercialization in the United States. Our clinical trials seek to demonstrate that an opioid painkiller/low-dose opioid antagonist combination produces greater beneficial effects than either drug alone. Because each drug has been separately approved for human use by the FDA, we believe that we may encounter fewer regulatory hurdles than if we were engaged in the discovery and development of new chemical entities.

THE DRUG APPROVAL PROCESS

We will be required to complete several activities before we can market any of our drugs for human use in the United States, including:

- preclinical studies;

- submission to the FDA of an IND which must become effective before human clinical trials commence;

- adequate and well-controlled human clinical trials to establish the safety and efficacy of the product candidate;

- submission to the FDA of an NDA; and

- FDA approval of the NDA prior to any commercial sale or shipment of the drug.

Preclinical tests include laboratory evaluation of product chemistry and formulation, as well as animal studies to assess the potential safety of the product. Preclinical safety tests must be conducted by laboratories that comply with FDA regulations regarding Good Laboratory Practice, or GLP regulations. We submitted the results of preclinical tests to the FDA as part of our INDs prior to commencing clinical trials. We may be required to conduct additional toxicology studies concurrently with the clinical trials.

Based on preclinical testing, an IND is filed with the FDA to begin human testing of the drug. The IND becomes effective if not rejected by the FDA within 30 days. The IND must indicate the results of previous experiments, how, where and by whom the new studies will be conducted, the chemical structure of the compound, the method by which it is believed to work in the human body, any toxic effects of the compound found in the animal studies and how the compound is manufactured. All clinical trials must be conducted in accordance with Good Clinical Practice, or GCP, regulations. In addition, an Institutional Review Board, or IRB, generally comprised of physicians at the hospital or clinic where the proposed studies will be conducted, must review and approve the IND. The IRB also continues to monitor the study. We must submit progress reports detailing the results of the clinical trials to the FDA at least annually. In addition, the FDA may, at any time during the 30-day period or at any time thereafter, impose a clinical hold on proposed or ongoing clinical trials. If the FDA imposes a clinical hold, clinical trials cannot commence or recommence without FDA authorization and then only under terms authorized by the FDA. In some instances, the IND application process can result in substantial delay and expense.

Clinical trials are typically conducted in three sequential phases which may overlap. Phase I tests typically take approximately one year to complete. The tests study a drug's safety profile, and may include the safe dosage range. The Phase I clinical studies also determine how a drug is absorbed, distributed, metabolized and excreted by the body, and the duration of its action. In addition, we may, to the extent feasible, assess pain relief in our Phase I trials. Based on discussions with the FDA, our current opioid development programs were allowed to proceed into Phase II studies. In Phase II clinical trials, controlled studies are conducted on volunteer patients with the targeted disease or condition. The primary purpose of these tests is to evaluate the effectiveness of the drug on the volunteer patients as well as to determine if there are any side effects. These studies may be conducted concurrently with Phase I clinical trials. In addition, Phase I/II clinical trials may be conducted to evaluate not only the efficacy of the drug on the patient population, but also its safety. We currently have four opioid painkillers in various stages of Phase II clinical trials. During Phase III clinical trials, the drug is studied in an expanded patient population and in multiple sites. Physicians monitor the

patients to determine efficacy and to observe and report any reactions that may result from long-term or expanded use of the drug.

The FDA publishes industry guidelines specifically for the clinical evaluation of painkillers. We rely in part on these guidelines to design a clinical strategy for the approval of each of our product candidates. In particular, FDA guidelines recommend that we demonstrate efficacy of our new painkillers in more than one clinical model of pain, typically including dental pain. Other acceptable clinical models of pain include post-operative pain, cancer pain and various types of trauma and arthritis pain. Since models differ in their pain intensity and their sensitivity to detect pain, we expect to complete several Phase II studies in multiple clinical models of pain. Upon a clear demonstration of the safety and efficacy of painkillers in multiple clinical models of pain, the FDA has historically approved pain killers with broad indications. Such general purpose labeling often takes the form of "for the management of moderate to severe pain."

We may not successfully complete Phase I, Phase II or Phase III testing within any specified time period, or at all, with respect to any of our product candidates. Furthermore, we or the FDA may suspend clinical trials at any time in response to concerns that participants are exposed to an unacceptable health risk.

After the completion of clinical trials, if there is substantial evidence that the drug is safe and effective, an NDA is filed with the FDA. The NDA must contain all of the information on the drug gathered to that date, including data from the clinical trials. NDAs are often over 100,000 pages in length.

The FDA reviews all NDAs submitted before it accepts them for filing and may request additional information rather than accepting a NDA for filing. In such an event, the NDA must be resubmitted with the additional information and, again, is subject to review before filing. Once the submission is accepted for filing, the FDA begins an in-depth review of the NDA. Under the Federal Food, Drug and Cosmetic Act, the FDA has 180 days in which to review the NDA and respond to the applicant. The review process is often significantly extended by FDA requests for additional information or clarification regarding information already provided in the submission. The FDA may refer the application to an appropriate advisory committee, typically a panel of clinicians, for review, evaluation and a recommendation as to whether the application should be approved. The FDA is not bound by the recommendation of an advisory committee. If FDA evaluations of the NDA and the manufacturing facilities are favorable, the FDA may issue either an approval letter, or an approvable letter which usually contains a number of conditions that must be met in order to secure final approval of the NDA. When and if those conditions have been met to the FDA's satisfaction, the FDA will issue an approval letter, authorizing commercial marketing of the drug for certain indications. If the FDA's evaluation of the NDA submission or manufacturing facilities is not favorable, the FDA may refuse to approve the NDA or issue a not approvable letter.

If the FDA approves the NDA, the drug becomes available for physicians to prescribe. Periodic reports must be submitted to the FDA, including descriptions of any adverse reactions reported. The FDA may request additional post marketing studies, or Phase IV studies, to evaluate long-term effects of the approved drug.

OTHER REGULATORY REQUIREMENTS

The FDA mandates that drugs be manufactured in conformity with current good manufacturing practices regulations, or GMPs. If the FDA approves any of our product candidates we will be subject to requirements for labeling, advertising, record keeping and adverse experience reporting. Failure to comply with these requirements could result, among other things, in suspension of regulatory approval, recalls, injunctions or civil or criminal sanctions. We may also be subject to regulations under other federal, state, and local laws, including the Occupational Safety and Health Act, the Environmental Protection Act, the Clean Air Act, national restrictions on technology transfer, and import, export, and customs regulations. In addition, any of our products that contain narcotics will be subject to U.S. Drug Enforcement Agency, or DEA, regulations relating to manufacturing, storage, distribution and physician prescribing procedures. It is possible that any portion of the regulatory framework under which we operate may change and that such change could have a negative impact on our current and anticipated operations.

The Controlled Substances Act imposes various registration, record-keeping and reporting requirements, procurement and manufacturing quotas, labeling and packaging requirements, security controls and a restriction on prescription refills on certain pharmaceutical products. A principal factor in determining the particular requirements, if any, applicable to a product is its actual or potential abuse profile. The DEA regulates chemical compounds as Schedule I, II, III, IV or V substances, with Schedule I substances considered to present the highest risk of substance abuse and Schedule V substances the lowest risk. Any of our product candidates that contains a scheduled substance will be subject to regulation by the DEA.

COMPETITION

Our success will depend, in part, upon our ability to achieve market share at the expense of existing and established and future products in the relevant target markets. Existing and future products, therapies, technological approaches or delivery systems will compete directly with our products. Competing products may provide greater therapeutic benefits for a specific indication, or may offer comparable performance at a lower cost. Companies that currently sell generic or proprietary opioid formulations include Roxane Laboratories, Purdue Pharma, Janssen Pharmaceutica, Knoll Laboratories, Abbott Laboratories, Cephalon, Endo Pharmaceuticals, Elkins-Sinn, Watson Laboratories, Alza Pharmaceuticals, Ortho-McNeil Pharmaceutical and Forest Pharmaceuticals. Alternative technologies are being developed to increase opioid potency, as well as alternatives to opioid therapy for pain management, several of which are in clinical trials or are awaiting approval from the FDA. Such alternatives include Elan's SNX-111 and Endo Pharmaceuticals' Morphidex(R).

We compete with fully integrated pharmaceutical companies, smaller companies that are collaborating with larger pharmaceutical companies, academic institutions, government agencies and other public and private research organizations. Many of these competitors have opioid painkiller products already approved by the FDA or in development and operate larger research and development programs in these fields than we do. In addition, many of these competitors, either alone or together with their collaborative partners, have substantially greater financial resources than we do, as well as significantly greater experience in:

- developing drugs;

- undertaking preclinical testing and human clinical trials;

- obtaining FDA and other regulatory approvals of drugs;

- formulating and manufacturing drugs; and

- launching, marketing, distributing and selling drugs.

Developments by competitors may render our product candidates or technologies obsolete or non-competitive.

EMPLOYEES

As of December 31, 2000, we had approximately 26 employees. We engage consultants from time to time to perform services on a per diem or hourly basis.

ITEM 2. PROPERTIES

We currently occupy approximately 6,150 square feet of subleased office space located in two facilities in South San Francisco, California. 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 in South San Francisco, California 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.

ITEM 3. LEGAL PROCEEDINGS

We are not a party to any legal proceedings.

ITEM 4. SUBMISSION OF MATTERS TO A VOTE OF SECURITY HOLDERS

Not applicable.

EXECUTIVE OFFICERS OF THE REGISTRANT

The following table sets forth certain information regarding our executive officers as of December 31, 2000:

NAME	AGE	POSITION
Remi Barbier.....	41	President, Chief Executive Officer and Chairman of the Board
Barry M. Sherman, M.D.....	60	Executive Vice President and Chief Medical Officer
Edmon R. Jennings.....	54	Chief Commercialization Officer
David L. Johnson.....	47	Chief Financial Officer

Remi Barbier, our founder, has served as our President, Chief Executive Officer and Chairman since our inception in May 1998. Prior to that time, Mr. Barbier helped in the growth or founding of: Exelixis Inc., a functional genomics company, ArQule, a chemistry company, and EnzyMed (now owned by Albany Molecular Research), a chemistry company. Mr. Barbier served as Chief Operating Officer of Exelixis from January 1996 to May 1998. Prior to that, he was Vice President of Corporate Development and Clinical Project Manager of Xoma Corporation, a biotechnology company, from October 1993 to December 1995. Mr. Barbier received his B.A. from Oberlin College and his M.B.A. from the University of Chicago. He is a Director of Mendel Biotechnology, Inc.

Barry M. Sherman, M.D. has served as our Executive Vice President and Chief Medical Officer since April 1999. From April 1996 to February 1999, Dr. Sherman was President and Chief Executive Officer of Anergen Inc., an immunology biotechnology company. From 1985 until 1996, Dr. Sherman held various positions at Genentech Inc., a biotechnology company, most recently serving as Senior Vice President and Chief Medical Officer with responsibility for Genentech's overall clinical development activities. Since 1986, Dr. Sherman has also been a Clinical Professor of Internal Medicine at Stanford University. From 1971 to 1985, Dr. Sherman was a Professor of Internal Medicine and Director of the Clinical Research Center at the University of Iowa College of Medicine. Dr. Sherman received his M.D., with honors, from the University of Michigan.

Edmon R. Jennings joined Pain Therapeutics, Inc. in February 2000. Prior to that time, Mr. Jennings held senior management positions at Genentech, including Vice President of Corporate Development from December 1995 to January 2000, Vice President of Sales and Marketing from January 1994 to December 1995 and Vice President of Sales from December 1990 to December 1993. Prior to Genentech, Mr. Jennings held positions with Bristol-Myers Oncology and Bristol Laboratories, both of which were divisions of Bristol-Myers (now Bristol-Myers Squibb), a pharmaceutical company, for approximately twelve years. Mr. Jennings received his B.A. from the University of Michigan.

David L. Johnson, CPA joined Pain Therapeutics, Inc. in January 2000. From November 1998 to December 1999, Mr. Johnson was an independent financial consultant, and acted as Chief Financial Officer at Aradigm, a drug delivery technology company. From October 1997 to November 1998, Mr. Johnson held positions as Vice President of Finance and Administration of Elan Pharmaceuticals North America and Vice President of Finance and Chief Financial Officer of Athena Neurosciences, both of which were divisions of Elan Pharmaceuticals, a pharmaceutical company. From September 1996 to October 1997, Mr. Johnson was Director of Finance at Gilead Sciences, a biopharmaceutical company. From January 1995 to September

1996, Mr. Johnson was an independent financial consultant and provided accounting services to Chiron, a biotechnology company. From June 1993 to December 1994, Mr. Johnson was Director of Financial Planning and Operational Analysis at Chiron. Mr. Johnson is a former member of the audit staff of KPMG LLP, our auditors. Mr. Johnson received his B.S. in Accounting from Oklahoma State University.

PART II

ITEM 5. MARKET FOR REGISTRANT'S COMMON EQUITY AND RELATED STOCKHOLDER MATTERS

Our common stock has been quoted on the Nasdaq National Market under the symbol "PTIE" since our initial public offering on July 14, 2000. Prior to this time, there was no public market for our stock. The following table sets forth the high and low closing sales prices per share of our common stock as reported on the Nasdaq National Market for the periods indicated. We currently expect to retain future earnings, if any, for use in the operation and expansion of our business and have not and do not anticipate paying any cash dividends in the foreseeable future. As of February 28, 2001 there were 145 holders of record of our common stock.

	SALE PRICE	
	HIGH	LOW
FISCAL 2000:		
Third Quarter (from July 14, 2000).....	$26.375	$14.000
Fourth Quarter.....	$23.125	$ 8.000

On July 19, 2000, we completed our initial public offering (the "IPO") pursuant to a Registration Statement on Form S-1 (File No. 333-32370). In the IPO, we sold an aggregate of 5,750,000 shares of common stock (including an over-allotment option of 750,000 shares) at $12.00 per share. The IPO generated aggregate gross proceeds of approximately $69,000,000 for the Company. The aggregate net proceeds to the Company were approximately $62,939,000, after deducting underwriting discounts and commissions of approximately $4,830,000 and expenses of the offering of approximately $1,231,000. From the time of receipt through December 31, 2000 all of the net proceeds of the initial public offering were invested primarily in short-term, investment grade, interest bearing U.S. government securities or money market funds. As of December 31, 2000 all of our cash and cash equivalents were in money market and checking funds.

ITEM 6. SELECTED FINANCIAL DATA

	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 1998	YEAR ENDED DECEMBER 31, 1999	YEAR ENDED DECEMBER 31, 2000	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 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	DECEMBER 31, 1999	DECEMBER 31, 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

ITEM 7. 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 discussed in the "Risk Factors" section 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, 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 the 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 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.

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.

RISK FACTORS

You should carefully consider the following risk factors and all other information contained in this Form 10-K. Risks and uncertainties, in addition to those we describe below, that are not presently known to us, or that we currently believe are immaterial may also impair our business operations. If any of the following risks occur, our business, operating results and financial condition could be seriously harmed. In addition, the trading price of our common stock could decline due to the occurrence of any of these risks.

OUR BRIEF OPERATING HISTORY MAY MAKE IT DIFFICULT FOR YOU TO EVALUATE THE SUCCESS OF OUR BUSINESS TO DATE AND TO ASSESS ITS FUTURE VIABILITY.

We were founded in May 1998 and we are still in the development stage. Our operations to date have been limited to organizing and staffing our company, acquiring, developing and securing our technology and undertaking preclinical studies and clinical trials. We have not yet demonstrated our ability to obtain regulatory approval, formulate and manufacture product or conduct sales and marketing activities. Consequently, any predictions you make about our future success or viability may not be as accurate as they could be if we had a longer operating history.

WE HAVE A HISTORY OF LOSSES AND EXPECT TO INCUR SUBSTANTIAL LOSSES AND NEGATIVE OPERATING CASH FLOWS FOR THE FORESEEABLE FUTURE.

Since our inception, we have incurred significant net losses, including net losses of $0.4 million in the period from May 4, 1998 (inception) through December 31, 1998, $4.5 million in the year ended December 31, 1999 and $17.5 million in the year ended December 31, 2000. As a result of ongoing operating losses, we had an accumulated deficit of $22.4 million as of December 31, 2000. We are not currently profitable. Even if we succeed in developing and commercializing one or more of our drugs, we expect to incur substantial losses for the foreseeable future and may never become profitable. 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 the build-out of our new facility;

- acquire and 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.

We also expect to experience negative cash flow for the foreseeable future as we fund our operating losses and capital expenditures. As a result, we will need to generate significant revenues to achieve and maintain profitability. If we cannot successfully develop and commercialize our products, we will not be able to generate such revenues or achieve profitability in the future. Our failure to achieve or maintain profitability could negatively impact the market price of our common stock.

IF WE CANNOT RAISE ADDITIONAL CAPITAL ON ACCEPTABLE TERMS, WE MAY BE UNABLE TO COMPLETE PLANNED ADDITIONAL CLINICAL TRIALS OF ANY OR SOME OF OUR PRODUCT CANDIDATES.

Until we receive regulatory approval and commercialize one or more of our products, we will have to fund all of our operations and capital expenditures from the net proceeds of our initial public offering and cash on hand. We expect that the net proceeds of approximately $62.9 million from the public offering and cash on hand will be sufficient to meet our working capital and capital expenditure needs for at least the next twelve months. However, if we experience unanticipated cash requirements, we may need to raise additional funds much sooner and additional financing may not be available on favorable terms, if at all. Even if we succeed in selling additional equity securities to raise funds, our existing stockholders' ownership percentage would be reduced and new investors may demand rights, preferences or privileges senior to those of existing stockholders. If we do not succeed in raising additional funds, we may be unable to complete planned clinical trials or obtain FDA approval of our product candidates, and we could be forced to discontinue product development, reduce sales and marketing efforts and forego attractive business opportunities.

IF WE ARE UNABLE TO DESIGN, CONDUCT AND COMPLETE CLINICAL TRIALS SUCCESSFULLY, WE WILL NOT BE ABLE TO SUBMIT A NEW DRUG APPLICATION TO THE FDA.

In order to obtain FDA approval of any of our product candidates, we must submit to the FDA a New Drug Application, or NDA, which demonstrates that the product candidate is safe for humans and effective for its intended use. This demonstration requires significant research and animal tests, which are referred to as pre-clinical studies, as well as human tests, which are referred to as clinical trials. Our four leading product candidates are still in the early stages of clinical trials and we will have to commit substantial time and additional resources to conducting further pre-clinical and clinical studies in several types of pain before we can submit NDAs with respect to any of these product candidates. Initial clinical trials for our PTI-555,

PTI-501, PTI-601 and PTI-701 product candidates are ongoing or were completed only recently. We intend to continue to conduct Phase II trials for these and other product candidates. We will not be able to proceed to Phase III clinical trials for any product candidate until we determine appropriate dosages, submit such data to the FDA and obtain FDA approval to begin Phase III studies. We recently filed an IND for our PTI-801 product candidate and plan to initiate clinical trials in 2001. Our other product candidates are at a much earlier stage of development and will require extensive pre-clinical testing before we can make any decision to proceed to clinical trials.

Human clinical trials are very expensive and difficult to design and implement, in part because they are subject to rigorous requirements. The clinical trial process is also time consuming. We estimate that clinical trials of our four leading product candidates will take a minimum of three years or more to complete and may take longer. If we or the FDA believe the participating patients are being exposed to unacceptable health risks, we would have to suspend our clinical trials. Furthermore, failure can occur at any stage of the trials, and we could encounter problems that cause us to abandon clinical trials or to repeat clinical studies.

Even if our clinical trials are completed as planned, their results may not support our product claims. Success in pre-clinical testing and early clinical trials does not ensure that later clinical trials will be successful, and the results of later clinical trials may not replicate the results of prior clinical trials and pre-clinical testing. The clinical trial process may fail to demonstrate that our product candidates are safe for humans and effective for indicated uses. Such failure would cause us to abandon a product candidate and may delay development of other product candidates.

IF WE FAIL TO OBTAIN THE NECESSARY REGULATORY APPROVALS, WE WILL NOT BE ALLOWED TO COMMERCIALIZE OUR DRUGS AND WILL NOT GENERATE PRODUCT REVENUES.

Satisfaction of all regulatory requirements typically takes many years, is dependent upon the type, complexity and novelty of the product candidate and requires the expenditure of substantial resources for research and development and testing. Our research and clinical approaches may not lead to drugs that the FDA considers safe for humans and effective for indicated uses. The FDA may require us to conduct additional clinical testing or to commit to perform post-marketing studies, in which cases we would have to expend additional unanticipated time and resources. The approval process may also be delayed by changes in government regulation, future legislation or administrative action or changes in FDA policy that occur prior to or during our regulatory review. Delays in obtaining regulatory approvals may:

- delay commercialization of, and product revenues from, our product candidates;

- impose costly procedures on us; and

- diminish any competitive advantages that we may otherwise enjoy.

Even if we comply with all FDA requests, the FDA may ultimately deny one or more of our NDAs, and we may never obtain regulatory approval for any of our product candidates. If we fail to achieve regulatory approval of any of our leading product candidates we will have fewer saleable products and corresponding product revenues. Even if we receive regulatory approval of our products, such approval may involve limitations on the indicated uses or marketing claims we may make for our products. Further, later discovery of previously unknown problems could result in additional regulatory restrictions, including withdrawal of products.

In foreign jurisdictions, we must receive marketing authorizations from the appropriate regulatory authorities before we can commercialize our drugs. Foreign regulatory approval processes generally include all of the requirements and risks associated with the FDA approval procedures described above.

IF PHYSICIANS AND PATIENTS DO NOT ACCEPT AND USE OUR DRUGS, WE WILL NOT ACHIEVE SUFFICIENT PRODUCT REVENUES AND OUR BUSINESS WILL SUFFER.

Even if the FDA approves our drugs, physicians and patients may not accept and use them. Acceptance and use of our drugs will depend on a number of factors including:

- perceptions by members of the health care community, including physicians, about the safety and effectiveness of our drugs;

- cost-effectiveness of our drugs relative to competing products;

- availability of reimbursement for our products from government or healthcare payers; and

- effectiveness of marketing and distribution efforts by us and our licensees and distributors, if any.

Because we expect to rely on sales generated by our current four product candidates for substantially all of our product revenues for the foreseeable future, the failure of any of these drugs to find market acceptance would harm our business and could require us to seek additional financing.

IF OUTSIDE RESEARCHERS FAIL TO DEVOTE SUFFICIENT TIME AND RESOURCES TO OUR DRUG DEVELOPMENT PROGRAMS, OR IF THEIR PERFORMANCE IS SUBSTANDARD, OUR REGULATORY SUBMISSIONS AND OUR PRODUCT INTRODUCTIONS MAY BE DELAYED.

We depend on independent investigators and collaborators, such as universities and medical institutions, to conduct our clinical trials under agreements with us. These collaborators are not our employees and we cannot control the amount or timing of resources that they devote to our programs. These investigators may not assign as great a priority to our programs or pursue them as diligently as we would if we were undertaking such programs ourselves. If outside collaborators fail to devote sufficient time and resources to our drug development programs, or if their performance is substandard, the approval of our regulatory submissions and our introductions of new drugs will be delayed. These collaborators may also have relationships with other commercial entities, some of whom may compete with us. If outside collaborators assist our competitors at our expense, our competitive position could be harmed.

IF THIRD-PARTY MANUFACTURERS OF OUR PRODUCT CANDIDATES FAIL TO DEVOTE SUFFICIENT TIME AND RESOURCES TO OUR CONCERNS, OR IF THEIR PERFORMANCE IS SUBSTANDARD, OUR CLINICAL TRIALS AND PRODUCT INTRODUCTIONS MAY BE DELAYED AND OUR COSTS MAY RISE.

We have no manufacturing facilities and have limited experience in drug product development and commercial manufacturing. We lack the resources and expertise to formulate, manufacture or to test the technical performance of our product candidates. We currently rely on a small number of contract manufacturers and other vendors to formulate, test, supply, store and distribute drug supplies for our clinical trials. Our reliance on a limited number of vendors exposes us to the following risks, any of which could delay our clinical trials, and consequently delay FDA approval of our product candidates and commercialization of our products, result in higher costs or deprive us of potential product revenues:

- Contract commercial manufacturers, their sub-contractors or other third parties we rely on, may encounter difficulties in achieving the volume of production needed to satisfy clinical needs or commercial demand, may experience technical issues that impact quality, and may experience shortages of qualified personnel to adequately staff production operations. The use of alternate manufacturers may be difficult because the number of potential manufacturers that have the necessary governmental licenses to produce narcotic products is limited. Additionally, FDA must approve any alternative manufacturer of our product before we may use the alternative manufacturer to produce our clinical supplies. It may be difficult or impossible for us to find a replacement manufacturer on acceptable terms quickly, or at all. Our contract manufacturers and vendors may not perform as agreed or may not remain in the contract manufacturing business for the time required to successfully produce, store and distribute our products.

- Approved third party commercial drug manufacturers may subsequently be stopped from producing, storing, shipping or testing our drug products due to their non-compliance with federal or state regulations. Drug manufacturers are subject to ongoing periodic unannounced inspection by the FDA, the DEA and corresponding state agencies to ensure strict compliance with good manufacturing practice and other government regulations and corresponding foreign standards. We do not have control over third-party manufacturers' compliance with these regulations and standards.

- If any third-party manufacturer makes improvements in the manufacturing process for our products, we may not own, or may have to share, the intellectual property rights to such innovation.

IF WE ARE UNABLE TO DEVELOP OUR OWN SALES, MARKETING AND DISTRIBUTION CAPABILITIES, OR IF WE ARE NOT SUCCESSFUL IN CONTRACTING WITH THIRD PARTIES FOR THESE SERVICES ON FAVORABLE TERMS, OUR PRODUCT REVENUES COULD BE DISAPPOINTING.

We currently have no sales, marketing or distribution capabilities. In order to commercialize our products, if any are approved by the FDA, we will either have to develop such capabilities internally or collaborate with third parties who can perform these services for us. If we decide to commercialize any of our drugs ourselves, we may not be able to hire the necessary experienced personnel and build sales, marketing and distribution operations which are capable of successfully launching new drugs and generating sufficient product revenues. In addition, establishing such operations will take time and involve significant expense. On the other hand, if we decide to enter into co-promotion or other licensing arrangements with third parties, we may be unable to locate acceptable collaborators because the significant number of recent business combinations among pharmaceutical companies has resulted in a reduced number of potential future collaborators. Even if we are able to identify one or more acceptable collaborators, we may not be able to enter into any collaborative arrangements on favorable terms, or at all. In addition, due to the nature of the market for pain management products, it may be necessary for us to license all or substantially all of our product candidates to a single collaborator, thereby eliminating our opportunity to commercialize other pain management products independently. If we enter into any collaborative arrangements, our product revenues are likely to be lower than if we marketed and sold our products ourselves. In addition, any revenues we receive would depend upon the efforts of our collaborators which may not be adequate due to lack of attention or resource commitments, management turnover, change of strategic focus, further business combinations or other factors outside of our control. Depending upon the terms of our collaboration, the remedies we have against an under-performing collaborator may be limited. If we were to terminate the relationship, it may be difficult or impossible to find a replacement collaborator on acceptable terms, or at all.

IF WE CANNOT COMPETE SUCCESSFULLY FOR MARKET SHARE AGAINST OTHER DRUG COMPANIES, WE MAY NOT ACHIEVE SUFFICIENT PRODUCT REVENUES AND OUR BUSINESS WILL SUFFER.

The market for our product candidates is characterized by intense competition and rapid technological advances. If our products receive FDA approval, they will compete with a number of existing and future drugs and therapies developed, manufactured and marketed by others. Existing or future competing products may provide greater therapeutic convenience or clinical or other benefits for a specific indication than our products, or may offer comparable performance at a lower cost. If our products are unable to capture and maintain market share, we may not achieve sufficient product revenues and our business will suffer.

We will compete for market share against fully integrated pharmaceutical companies and smaller companies that are collaborating with larger pharmaceutical companies, academic institutions, government agencies and other public and private research organizations. Many of these competitors have opioid painkillers already approved or in development. In addition, many of these competitors, either alone or together with their collaborative partners, operate larger research and development programs and have substantially greater financial resources than we do, as well as significantly greater experience in:

- developing drugs;

- undertaking preclinical testing and human clinical trials;

- obtaining FDA and other regulatory approvals of drugs;

- formulating and manufacturing drugs; and

- launching, marketing and selling drugs.

DEVELOPMENTS BY COMPETITORS MAY RENDER OUR PRODUCTS OR TECHNOLOGIES OBSOLETE OR NON-COMPETITIVE.

Alternative technologies and products are being developed to improve or replace the use of opioids for pain management, several of which are in clinical trials or are awaiting approval from the FDA. Such alternatives include Elan's SNX-111, as well as combination products from Endo Pharmaceuticals. In addition, companies that sell generic opioid drugs represent substantial competition. Many of these organizations competing with us have substantially greater capital resources, larger research and development staffs and facilities, greater experience in drug development and in obtaining regulatory approvals and greater manufacturing and marketing capabilities than we do. These organizations also compete with us to attract qualified personnel, parties for acquisitions, joint ventures or other collaborations.

IF WE ARE UNABLE TO PROTECT OUR INTELLECTUAL PROPERTY OUR COMPETITORS COULD DEVELOP AND MARKET PRODUCTS WITH SIMILAR FEATURES THAT MAY REDUCE DEMAND FOR OUR PRODUCTS.

Our success, competitive position and potential future revenues will depend in part on our ability to protect our intellectual property. If either we or Albert Einstein College of Medicine fails to file, prosecute or maintain any of our existing patents, our competitors could market products that contain features and clinical benefits similar to those of our products, and demand for our products could decline as a result. We intend to file additional patent applications relating to our technology, products and processes. We may direct Albert Einstein College of Medicine to file additional patent applications relating to the licensed technology or we may do so ourselves. However, our competitors may challenge, invalidate or circumvent any of our current or future patents. These patents may also fail to provide us with meaningful competitive advantages.

We expect that we will rely upon trade secrets, know-how, continuing technological innovations and licensing opportunities to develop and maintain our competitive position. Others may independently develop substantially equivalent proprietary information or be issued patents that may prevent the sale of our products or know-how or require us to license such information and pay significant fees or royalties in order to produce our products. Moreover, our technology could infringe upon claims of patents owned by others. If we were found to be infringing on a patent held by another, we might have to seek a license to use the patented technology. In that case, we might not be able to obtain such a license on terms acceptable to us, or at all. If a legal action were to be brought against us or our licensors, we could incur substantial defense costs, and any such action might not be resolved in our favor. If such a dispute were to be resolved against us, we could have to pay the other party large sums of money and our use of our technology and the testing, manufacture, marketing or sale of one or more of our proposed products could be restricted or prohibited.

COMPETITION FOR QUALIFIED PERSONNEL IN THE PHARMACEUTICAL INDUSTRY IS INTENSE, AND IF WE ARE NOT SUCCESSFUL IN ATTRACTING AND RETAINING QUALIFIED PERSONNEL, WE COULD EXPERIENCE DELAYS IN COMPLETING NECESSARY CLINICAL TRIALS AND THE REGULATORY APPROVAL PROCESS OR IN FORMULATING, MANUFACTURING, MARKETING AND SELLING OUR POTENTIAL PRODUCTS.

We will need to hire additional qualified personnel with expertise in clinical research, preclinical testing, government regulation, formulation and manufacturing and sales and marketing. We compete for qualified individuals with numerous biopharmaceutical companies, universities and other research institutions. Competition for such individuals, particularly in the San Francisco Bay area, is intense, and our search for such personnel may not be successful. Attracting and retaining qualified personnel will be critical to our success.

THE DEA LIMITS THE AVAILABILITY OF THE ACTIVE INGREDIENTS IN OUR CURRENT PRODUCT CANDIDATES AND, AS A RESULT, OUR QUOTA MAY NOT BE SUFFICIENT TO COMPLETE CLINICAL TRIALS OR MEET COMMERCIAL DEMAND.

The DEA regulates chemical compounds as Schedule I, II, III, IV or V substances, with Schedule I substances considered to present the highest risk of substance abuse and Schedule V substances the lowest risk. The active ingredients in our current product candidates, including morphine, hydrocodone and oxycodone, are listed by the DEA as Schedule II or III substances under the Controlled Substances Act of 1970. Consequently, their manufacture, shipment, storage, sale and use are subject to a high degree of regulation. For example, all Schedule II drug prescriptions must be signed by a physician, physically presented

to a pharmacist and may not be refilled without a new prescription. Furthermore, the amount of Schedule II substances we can obtain for clinical trials and commercial distribution is limited by the DEA and our quota may not be sufficient to complete clinical trials or meet commercial demand. There is a risk that DEA regulations may interfere with the supply of the drugs used in our clinical trials, and in the future, our ability to produce and distribute our products in the volume needed to meet commercial demand.

WE MAY INCUR SUBSTANTIAL LIABILITIES AND MAY BE REQUIRED TO LIMIT TESTING OF OUR PRODUCTS IN RESPONSE TO PRODUCT LIABILITY LAWSUITS.

The risk of product liability is inherent in the testing of medical products. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit or terminate testing of one or more of our products. Our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of our products. We currently carry clinical trial insurance but do not carry product liability insurance. We may not be able to obtain insurance at a reasonable cost, if at all. If our agreements with any future corporate collaborators entitle us to indemnification against product liability losses, such indemnification may not be available or adequate should any claim arise.

OUR ABILITY TO GENERATE PRODUCT REVENUES WILL BE DIMINISHED IF WE FAIL TO OBTAIN ACCEPTABLE PRICES OR AN ADEQUATE LEVEL OF REIMBURSEMENT FOR OUR PRODUCTS FROM HEALTHCARE PAYERS.

Our ability to commercialize our drugs, alone or with collaborators, will depend in part on the extent to which reimbursement will be available from:

- government and health administration authorities;

- private health maintenance organizations and health insurers; and

- other healthcare payers.

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

ITEM 7A. 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.

ITEM 8. FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA

INDEX TO FINANCIAL STATEMENTS

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.

/s/ KPMG LLP

San Francisco, California
March 2, 2001

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

STATEMENTS OF OPERATIONS

	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 1998	YEAR ENDED DECEMBER 31, 1999	YEAR ENDED DECEMBER 31, 2000	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

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		COMMON STOCK				
	SHARES	PAR VALUE	SHARES	PAR VALUE	ADDITIONAL PAID-IN CAPITAL	DEFERRED COMPENSATION	NOTE RECEIVABLE FOR STOCK
Balance at May 4, 1998 (inception)	--	$ --	--	$ --	$ --	$ --	$ --
Common stock issued on June 22, 1998 at $0.001 per share	--	--	8,500,000	8,500	--	--	--
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	--	--	2,637,339	--	--
Common stock issued on September 23, 1998 at $0.10 per share for notes receivable	--	--	350,000	350	34,650	--	(35,000)
Common stock issued on September 23, 1998 at $0.10 for cash	--	--	150,000	150	14,850	--	--
Net loss	--	--	--	--	--	--	--
Balance at December 31, 1998	2,659,489	2,660	9,000,000	9,000	2,686,839	--	(35,000)
Common stock issued between April 1 and May 3, 1999 at $0.10 per share for notes receivable	--	--	444,000	444	43,956	--	(44,400)
Issuance of common stock pursuant to exercise of stock options	--	--	1,000	1	99	--	--
Issuance of warrants in connection with lease in August 1999	--	--	--	--	33,810	--	--
Deferred compensation with respect to options issuances during 1999	--	--	--	--	6,515,027	(6,515,027)	--
Amortization of deferred compensation	--	--	--	--	--	1,534,847	--
Compensation expense with respect to non-employee option grants	--	--	--	--	88,019	--	--
Payment on notes receivable	--	--	--	--	--	--	5,000
Net loss	--	--	--	--	--	--	--
Balance at December 31, 1999	2,659,489	2,660	9,445,000	9,445	9,367,750	(4,980,180)	(74,400)
Common stock issued pursuant to initial public offering at $12.00 per share, net of issuance costs	--	--	5,750,000	5,750	62,933,167	--	--
Common stock issued at $0.20 per share for notes receivable	--	--	245,000	245	48,755	--	(49,000)
Issuance of common stock pursuant to exercise of stock options	--	--	184,740	185	42,614	--	--
Issuance of warrants in connection with series C preferred stock offering	--	--	--	--	963,240	--	--
Deferred compensation with respect to option issuances	--	--	--	--	6,206,177	(6,206,177)	--
Amortization of deferred compensation	--	--	--	--	--	6,113,266	--
Compensation related to stock purchase rights	--	--	--	--	2,646,000	--	--
Common stock issued to employees under the employee stock purchase plan	--	--	4,664	5	47,567	--	--
Payment on notes receivable	--	--	--	--	--	--	50,483
Conversion of series A convertible preferred stock to common at $1.00 per share	(2,659,489)	(2,660)	2,659,489	2,660	--	--	--
Conversion of series B redeemable convertible preferred stock to common at $1.85 per share	--	--	5,405,405	5,405	9,698,498	--	--
Conversion of series C redeemable convertible preferred stock to common at $5.00 per share	--	--	3,044,018	3,044	14,228,551	--	--
Beneficial conversion feature of series C preferred stock	--	--	--	--	14,231,595	--	--
Return to series C preferred shareholders for beneficial conversion feature	--	--	--	--	(14,231,595)	--	--
Net loss	--	--	--	--	--	--	--

Balance at December 31, 2000.....	--	$ --	26,738,316	$26,739	$106,182,319	$(5,073,091)	$(72,917)

	DEFICIT ACCUMULATED DURING DEVELOPMENT STAGE	STOCKHOLDERS' EQUITY (DEFICIT)
Balance at May 4, 1998 (inception).....	$ --	$ --
Common stock issued on June 22, 1998 at $0.001 per share.....	--	8,500
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).....	--	2,639,999
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.....	--	15,000
Net loss.....	(389,007)	(389,007)
Balance at December 31, 1998.....	(389,007)	2,274,492
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.....	--	100
Issuance of warrants in connection with lease in August 1999.....	--	33,810
Deferred compensation with respect to options issuances during 1999.....	--	--
Amortization of deferred compensation.....	--	1,534,847
Compensation expense with respect to non-employee option grants....	--	88,019
Payment on notes receivable.....	--	5,000
Net loss.....	(4,499,585)	(4,499,585)
Balance at December 31, 1999.....	(4,888,592)	(563,317)
Common stock issued pursuant to initial public offering at $12.00 per share, net of issuance costs.....	--	62,938,917
Common stock issued at $0.20 per share for notes receivable.....	--	--
Issuance of common stock pursuant to exercise of stock options.....	--	42,799
Issuance of warrants in connection with series C preferred stock offering.....	--	963,240
Deferred compensation with respect to option issuances.....	--	--
Amortization of deferred compensation.....	--	6,113,266
Compensation related to stock purchase rights.....	--	2,646,000
Common stock issued to employees under the employee stock purchase plan.....	--	47,572
Payment on notes receivable.....	--	50,483
Conversion of series A convertible preferred stock to common at $1.00 per share.....	--	--
Conversion of series B redeemable convertible preferred stock to common at $1.85 per share.....	--	9,703,903
Conversion of series C redeemable convertible preferred stock to common at $5.00 per share.....	--	14,231,595
Beneficial conversion feature of series C preferred stock.....	--	14,231,595
Return to series C preferred shareholders for beneficial conversion feature.....	--	(14,231,595)
Net loss.....	(17,479,790)	(17,479,790)
Balance at December 31, 2000.....	$(22,368,382)	$ 78,694,668

See accompanying notes to financial statements.

PAIN THERAPEUTICS, INC
(A DEVELOPMENT STAGE ENTERPRISE)

STATEMENTS OF CASH FLOWS

	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 1998	YEAR ENDED DECEMBER 31, 1999	YEAR ENDED DECEMBER 31, 2000	MAY 4, 1998 (INCEPTION) THROUGH DECEMBER 31, 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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

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.

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 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 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 warrant 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.

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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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:

	OPTIONS OUTSTANDING			OPTIONS EXERCISABLE	
EXERCISE PRICE	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 of 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 ten 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.

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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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
	$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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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 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.

PAIN THERAPEUTICS, INC.
(A DEVELOPMENT STAGE ENTERPRISE)

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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)

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(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 note 4.)

ITEM 9. CHANGES IN AND DISAGREEMENTS WITH ACCOUNTANTS ON ACCOUNTING AND FINANCIAL DISCLOSURE

Not applicable.

PART III

ITEM 10. DIRECTORS AND OFFICERS OF THE REGISTRANT

The information regarding our directors is incorporated by reference from "Election of Directors -- Directors and Nominees" in our Proxy Statement for our 2001 Annual Meeting of Stockholders. The required information concerning executive officers of the Company is contained in the section entitled "Executive Officers of the Registrant" in Part I of this Form 10-K.

Section 16(a) of the Securities Exchange Act of 1934, as amended (the "Exchange Act") requires the Company's executive officers and directors and persons who own more than ten percent (10%) of a registered class of our equity securities to file reports of ownership and changes in ownership with the Securities and Exchange Commission, or SEC, and the National Association of Securities Dealers, Inc. Executive officers, directors and greater than ten percent (10%) stockholders are required by Commission regulation to furnish us with copies of all Section 16(a) forms they file. We believe that all of our executive officers and directors complied with all applicable filing requirements during the fiscal year ended December 31, 2000.

ITEM 11. EXECUTIVE COMPENSATION

The information required by this Item is incorporated by reference from our definitive Proxy Statement referred to in Item 10 above under the heading "Executive Compensation and Other Matters."

ITEM 12. SECURITY OWNERSHIP OF CERTAIN BENEFICIAL OWNERS AND MANAGEMENT

The information required by this Item is incorporated by reference from our definitive Proxy Statement referred to in Item 10 above where it appears under the heading "Security Ownership of Certain Beneficial Owners and Management."

ITEM 13. CERTAIN RELATIONSHIPS AND RELATED TRANSACTIONS

The information required by this Item is incorporated by reference from our definitive Proxy Statement referred to in Item 10 above where it appears under the heading "Certain Relationships and Related Transactions."

PART IV

ITEM 14. EXHIBITS, FINANCIAL STATEMENT SCHEDULES, AND REPORTS ON FORM 8-K

(a) The following documents are filed as part of this Form 10-K:

(1) Financial Statements (included in Part II of this report):

Independent Auditors' Report

Balance Sheets

Statements of Operations

Statements of Stockholders' Equity (Deficit)

Statements of Cash Flows

Notes to Financial Statements

(2) Financial Statement Schedules:

None.

(3) Exhibits:

EXHIBIT NUMBER	DESCRIPTION OF DOCUMENT
3.1*	Amended and Restated Certificate of Incorporation
3.2*	Amended and Restated Bylaws
4.1*	Specimen Common Stock Certificate
10.1*	Form of Indemnification Agreement between Pain Therapeutics and each of its directors and officers
10.2*	2000 Stock Plan and form of agreements thereunder
10.3*	2000 Employee Stock Purchase Plan and form of agreements thereunder
10.21**	Lease Agreement dated July 21, 2000 between the Registrant and Goss-Jewett Company of Northern California
23.1	Consent of KPMG LLP, Independent Certified Public Accountants
24.1	Power of Attorney (see page 47)

* Incorporated by reference from our registration statement on Form S-1, registration number 333-32370, declared effective by the Securities and Exchange Commission on July 13, 2000.

** Incorporated by reference from Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2000.

(b) Reports on Form 8-K

The Company did not file any reports on Form 8-K during the three months ended December 31, 2000 or during Form 10-K reporting period ending December 31, 2000.

(c) Exhibits

The exhibits listed under Item 14(a)(3) hereof are filed as part of this Form 10-K.

(d) Financial Statement Schedules

None

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Exchange Act, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

PAIN THERAPEUTICS, INC.

By: /s/ REMI BARBIER

Remi Barbier
President, Chief Executive Officer and
Chairman of the Board of Directors

Dated: April 2, 2001

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints Remi Barbier and David L. Johnson, and each of them, his true and lawful attorneys-in-fact, each with full power of substitution, for him in any and all capacities, to sign any amendments to this report on Form 10-K and to file the same, with exhibits thereto and other documents in connection therewith, with the Securities and Exchange Commission, hereby ratifying and confirming all that each of said attorneys-in-fact or their substitute or substitutes may do or cause to be done by virtue hereof.

Pursuant to the requirements of the Exchange Act, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated.

SIGNATURE	TITLE	DATE
/s/ REMI BARBIER Remi Barbier	President, Chief Executive Officer and Chairman of the Board of Directors (Principal Executive Officer)	April 2, 2001
/s/ DAVID L. JOHNSON David L. Johnson	Chief Financial Officer (Principal Financial and Accounting Officer)	April 2, 2001
/s/ GERT CASPRITZ, PH.D. Gert Caspritz, Ph.D.	Director	April 2, 2001
/s/ NADAV FRIEDMANN, M.D., PH.D. Nadav Friedmann, M.D., Ph.D.	Director	April 2, 2001
/s/ WILFRED R. KONNEKER, PH.D. Wilfred R. Konneker, Ph.D.	Director	April 2, 2001
/s/ MICHAEL J. O'DONNELL, ESQ. Michael J. O'Donnell, Esq.	Director and Secretary	April 2, 2001
/s/ SANFORD R. ROBERTSON Sanford R. Robertson	Director	April 2, 2001

EXHIBIT INDEX

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10.3*	2000 Employee Stock Purchase Plan and form of agreements thereunder
10.4*	2000 Director Option Plan and form of agreement thereunder
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** Incorporated by reference from Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2000.

EXHIBIT 23.1

The Board of Directors
Pain Therapeutics, Inc.:

We consent to incorporation by reference in the registration statement (No. 333-41660) on Form S-8 of Pain Therapeutics, Inc (a development stage enterprise) of our report dated March 2, 2001 relating to the balance sheets of Pain Therapeutics, Inc. 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, which report appears in the December 31, 2000 annual report on Form 10-K of Pain Therapeutics, Inc.

/s/ KPMG LLP

San Francisco, California
March 30, 2001