brain
APRIL 2006 BRIEFINGS

OPIATES, INCLUDING ILLEGAL DRUGS LIKE HEROIN AND PRESCRIPTION PAINKILLERS SUCH AS OXYCONTIN, CAN BE HIGHLY ADDICTIVE. DUE TO THIS, NUMEROUS PEOPLE ABUSE OPIATES EVEN WHEN THEY KNOW THAT THE DRUGS CAN HARM THEIR HEALTH, FAMILY LIFE, AND CAREER. FORTUNATELY, THANKS TO BASIC SCIENCE RESEARCH, SOME OPTIONS ARE NOW AVAILABLE THAT CAN HELP OPIATE ADDICTS STAY DRUG-FREE, AND EVEN MORE HELP IS ON THE WAY. SOME OF THE LATEST WORK SHOWS THAT NEWLY DEVELOPED LONG-ACTING VERSIONS OF ADDICTION MEDICINES HOLD PROMISE. THESE NEW FORMULATIONS COULD INCREASE THE LIKELIHOOD THAT ADDICTS WOULD COMPLY WITH TREATMENT AND NOT FALL BACK INTO DRUG USE.

TREATING OPIATE ADDICTION

All it takes is a quick injection, sniff, smoke, or swallow.

Bliss.

Or is it? Opiate drugs like heroin or prescription pain relievers, including OxyContin and Vicodin, may at first induce brief euphoria or a "high." But in the long run, when abused or taken when not medically appropriate, opiates can adversely affect the body and brain, create a fierce addiction, and result in ruin to a person's health, family life, and career. Some 750,000 to 1 million Americans are addicted to heroin, according to estimates. What's more, a recent report estimates that 30 million people have used prescription pain relievers like OxyContin and Vicodin for non-medical reasons. Those who become addicted experience powerful cravings that overtake their ability to stop using opiates on their own, even in the face of devastating consequences.

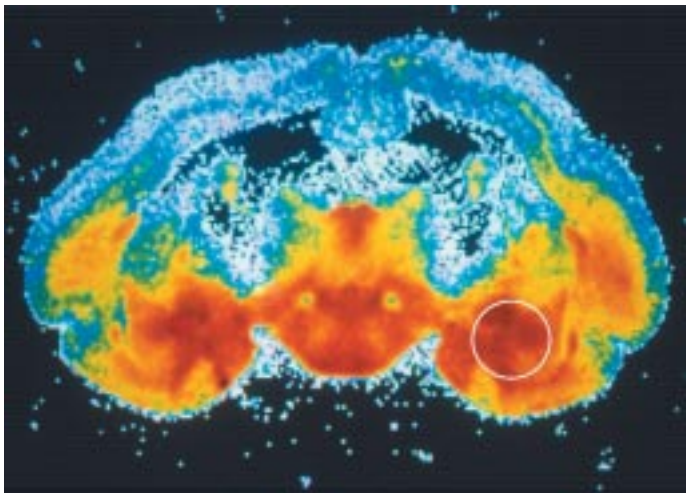
Due to increasing research, however, some options now can help addicts stay drug-free and regain control of their lives. Some of the latest advances involve refining available addiction medications so that they work longer and can be taken monthly, for example, instead of daily, helping addicts stick to treatment. The new developments are leading to:

- An increased understanding of the process of opiate addiction.
- Improved treatment success.

Some of the greatest developments in the field of opiate addiction research gained momentum in the 1970s. In one line of work, scientists determined that opiates create their alluring effects by hooking on to specific areas on brain cells, termed opiate receptors (see image). Also in the 1970s, researchers first recognized that the drug buprenorphine, pronounced byoo-pre-NOR-pheen, had potential to aid opiate addicts.

With the help of the opiate receptor discovery, researchers determined that buprenorphine worked like a treatment already available, termed methadone, by activating opiate receptors and mimicking opiate drugs of abuse. Receptor-activating medications can help relieve drug cravings and control a person's addiction. Buprenorphine, however, causes a weaker effect on the receptors than

▼ THIS IMAGE SHOWS THE DISTRIBUTION OF OPIATE RECEPTORS IN A PORTION OF THE GUINEA PIG BRAIN WITH RED SHOWING WHERE THE HIGHEST DENSITY OF RECEPTORS LIE. RESEARCH INDICATES THAT OPIATE DRUGS CREATE THEIR ALLURING EFFECTS BY ATTACHING TO THESE SPECIAL CELL AREAS, PARTICULARLY IN THE BRAIN'S REWARD CENTERS. ACTIVITY IN THE CIRCLED REGION BELOW, AS WELL AS AREAS IN FRONT OF IT, ARE THOUGHT TO CREATE PLEASURABLE FEELINGS THAT MAKE A USER WANT TO USE THE DRUGS AGAIN AND AGAIN.



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methadone and creates only a limited high, which deters an addict from abusing the medication itself.

Scientists also developed a buprenorphine formulation that includes naloxone to deter abuse of buprenorphine. Naloxone and naltrexone are available medications that can curb the allure of opiates by blocking the opiate receptors so that opiates produce no pleasurable effects when they are taken. The blockers alone are sometimes useful for addicts who are highly motivated to quit. In the naloxone formulation of buprenorphine, the blocker effect comes into play only if a user tries to abuse the buprenorphine medication by injecting it, which could normally create a bigger high, instead of letting it dissolve under the tongue as prescribed.

Following buprenorphine's success in studies of addicts, both formulations were approved for use in 2002. Research indicates that buprenorphine alone and buprenorphine with naloxone are safe and can reduce opiate addicts' use of opiates and cravings for opiates.

One possible downside is that the medications typically have to be taken daily, making it a challenge for some addicts to stick with their treatment. As a next step, scientists have started to develop long-lasting formulations, which could increase the likelihood that addicts would comply with treatment and not fall back into drug use. One recent study found that a single injection of a long-acting formulation of buprenorphine was safe and relieved heroin cravings for up to six weeks. Other researchers are studying a small implant delivery system designed to deliver the medicine for six months.

In addition, scientists are developing a long-lasting version of the opiate-blocker naltrexone that needs to be taken only once a month. Studies show that it also is promising for opiate addiction.

As research continues, opiate addicts soon will have access to more treatment options that could cut their cravings and help them regain control of their lives.

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