

Opioids and How They Work

Partially excerpted from “Prescription Painkillers,” by Marvin D. Seppala, MD, Chief Medical Officer of the Hazelden Betty Ford Foundation

What are opioids, exactly? Why are they so hard to kick, and what makes them so lethal? Here is an abbreviated description of opioids and their neurobiological and psychosocial effects. The impact is illustrated in the words of David D., a health care professional in recovery from addiction to opioids.

Receptors on alert

An apt metaphor for the “initiation” phase of opioid abuse might be a skydiver leaping into the air or a lover hurrying toward a rendezvous. For those with the disease of addiction, however, this promise of transcendent pleasure becomes nothing less than a living nightmare.

“Opioids” is an umbrella term for all the natural and synthetic painkillers that are derived from or based on the poppy plant *Papaver somiferum*. (The more commonly used term “opiate” applies only to those medicines that use natural opium poppy products.) Some well-known opioids include morphine, codeine, diacetylmorphine (heroin), hydromorphone (Dilaudid), hydrocodone (Vicodin), oxycodone (OxyContin), meperidine (Demerol) and fentanyl (Sublimaze, Actiq).

When opioid molecules travel through the bloodstream into the brain, they attach to receptors, specialized proteins on the surface of certain brain cells. The binding of these molecules with their target receptors triggers the same chemical response in the brain’s reward center that occurs with anything that causes intense pleasure or is intended to be reinforcing to survival itself. This is the part of the brain that ensures our survival -- by reinforcing acts such as eating, drinking fluids, caring for our babies and having sex (for survival of the species).

All rewarding and survival-based activities result in release of dopamine in the brain’s reward center. But opioids, like all drugs of abuse, trigger the release of dopamine in excess amounts, far beyond what is needed to provide pleasure or keep us alive. The brain has been signaled: something extremely important has taken place, and it needs to be repeated.

Everyone exposed to opioids experiences excess dopamine release in the reward center of the brain. However, most people do not become addicted to opioids after such exposure. Although experts are not entirely sure why this is so, it may be related to altered function of dopamine receptors in those predisposed to addiction.

David D.: *“I got home from having my wisdom teeth removed and I was miserable. My face was swollen, and I was in a lot of pain. I took some ibuprofen, and that didn’t seem to do anything, so I took a Percocet. ... I can remember exactly where I was standing in the room of my fourth-year medical school student apartment, the angle of the sun through the windows, where the TV and couch were located. My mind said, ‘I don’t know what you did, but let’s do that again.’”*

Looking for normal

Prolonged use of increasingly higher doses of opioids changes the brain so that it functions more or less normally when the drug is present and abnormally when the drug is removed. This alteration in the brain results in tolerance (the need to take higher and higher doses to achieve the same effect; “chasing the dragon”) and dependence (susceptibility to withdrawal symptoms).

Euphoria is the effect that most opioid users seek, but it’s also the effect most likely to diminish with regular use. The opioid receptors have changed at a cellular level, trying to protect themselves from overstimulation.

An addict then is taking his or her drug of (no) choice in order to feel “normal,” a concept that is difficult to grasp. Many people assume addicts enjoy the daily use of their drugs, but most opioid addicts cannot recall the last time their drug use was enjoyable. After a certain point, daily use becomes a drudgery and its own form of torture.

This has far-reaching consequences when a user tries to stop.

David D.: *“Within six weeks, I was avoiding the sickness of withdrawal, the emotional, mental and physical sequelae of withdrawal. It gets its proverbial fingernails into you, and you feel like you end up in a situation that you can’t escape. I knew that I shouldn’t be using the medications, and I knew that I wanted to get off of them. But I couldn’t. If I tried to endure the symptoms of withdrawal, questions would come up: Why do you look sick? Why are you not coming to work? Why are you sleeping all the time? Why are you making mistakes? Some of that comes off as depression. And some people interpret it that way.”*

Withdrawal and relapse

Avoidance of opioid withdrawal is one of the more powerful factors driving dependence and addictive behaviors.

Withdrawal symptoms include agitation, anxiety, itching, irritability, insomnia, goose bumps, rapid heart rate, mild hypertension, vomiting and diarrhea. At the peak of withdrawal, intense anxiety, tremors, shakes, smooth and skeletal muscle cramps and joint and deep bone pain begin to manifest.

Down the road are more serious, long-term consequences. Anxiety, depression and craving for the drug can continue for months, even years after being free of opioid use. Opioid addicts in recovery have an increased sensitivity to real or imagined pain and are more vulnerable to stressful events.

A desire to feel “normal” again, to escape this seemingly permanent state of dysphoria, puts opioid addicts at a high risk of relapse, and, even more tragically, at a high risk of accidental overdose and death during relapse. An opioid user who returns to the same dosage after losing his or her tolerance to that drug risks respiratory suppression and death.

David D.: “I started to seek help from psychiatrists under the guise of having major depression disorder when actually it was depression as a result of drug use. That feeling trapped: Knowing my desire to be a good physician, a good person, a good son, and a good citizen. Knowing that I shouldn’t be doing these things and that I shouldn’t be addicted to opiates. But then also knowing that I can’t get off of them. It’s an awful situation to be in. Hopeless, actually.”

Treatment

Because of the unique challenges in addressing opioid addiction, and because of the addict’s vulnerability to relapse and accidental death, the Hazelden Betty Ford Foundation will begin implementing enhanced treatment protocols that include the use of certain medications, extended continuing care and close monitoring of medication use. Our hope is to help provide opioid addicts with a sufficiently long enough time in recovery in order to begin forming new relationships and taking in new information. This will be done within the context of Twelve Step Facilitation and other evidence-based therapy, and the long-term goal will remain abstinence.

David D.: “If someone clearly has been struggling with multiple relapses and having difficulty engaging in recovery and achieving longer term sobriety and abstinence, we need to look at that, we need to adjust how we treat that – as we would any disease. ... because of the Twelve Steps I have a choice today. Because I have put some energy into recovery and health, and because I have had time for those executive functioning areas of my brain to regenerate, I can make healthier decisions today, especially the choice for freedom – on a daily basis.”

For more information: Prescription Painkillers: History, Pharmacology, and Treatment by Marvin D. Seppala, MD (Hazelden Publishing, 2010).