



Opioid painkillers: How they work and why they can be risky

Pain is the most common reason people seek medical treatment. Patients often want the most potent painkillers—opioid drugs. There are many reasons why you should try safer medications before taking opioid painkillers.

Misuse and abuse of opioid painkillers is the fastest growing drug problem in the United States. Since 2003, more overdose deaths have involved opioid painkillers than heroin and cocaine combined. This epidemic parallels the huge increase in the number of prescriptions written for opioid medications during the past decade.

What are opioids?

Opioid painkillers include a wide variety of compounds divided into classes based on whether they are straight extracts from the opium poppy, extracts that have been chemically modified or completely manmade compounds that have a similar action.

Heroin, codeine and morphine are natural derivatives of opium. Their effects, and the abuse potential of the various compounds, differ. Opioids can be short acting (e.g., morphine sulfate), extended release (short-acting formulations that are absorbed slowly so they can be taken at longer intervals) or long acting (e.g., methadone).

How do these drugs work?

These drugs are easily absorbed through the gastrointestinal tract and attach to one or more of the four types of opiate receptors in the brain. When receptors are stimulated, they reduce pain without eliminating its cause. They produce sleepiness, euphoria and respiratory depression. And they slow gut function, leading to constipation. Peak effects generally are reached in 10 minutes if taken intravenously—30-45 minutes with an intramuscular injection, and 90 minutes by mouth.

How opioids kill

These medications are dangerous because the difference between the amount needed to feel their effects and the amount needed to kill a person is small and unpredictable.

Respiratory depression is the chief hazard associated with opioid painkillers. Other especially problematic drugs—in particular alcohol, sleeping pills and anti-anxiety medications—increase the respiratory depression caused by opioids. So if someone is drinking or taking sleeping pills and takes what would be usual doses of opioids, he or she may pass out, stop breathing and die.

Mixing extended-release and long-acting opioids can be deadly. The pain-relieving and euphoria-inducing aspect of opioids may wear off before the tendency to depress breathing does.

This is especially true of methadone. Methadone's peak respiratory effects typically occur later, and last longer, than its peak painkilling effects. Overdoses often occur when someone takes methadone for the first time or the dose is increased. What is worse, doctors prescribing various opioid medications may not understand how different opioid brands are metabolized, how different drugs interact and how this affects overdose potential.

EXAMPLES OF OPIOID CONTAINING MEDICINES

	Generic	Brand Name
SHORT-ACTING	morphine	MSIR, Roxanol
	oxycodone	OxylR, Oxyfast, Endocodone
	oxycodone (with acetaminophen)	Roxilox, Roxicet, Percocet, Tylox, Endocet
	hydrocodone (with acetaminophen)	Vicodin, Lorcet, Lortab, Zydone, Hydrocet, Norco
	hydromorphone	Dilaudid, Hydrostat
LONG-ACTING	morphine	MSContin, Oramorph SR, Kadian, Avinza
	oxycodone	Oxycontin
	fentanyl	Duragesic patch

Prescription drug abuse, caused mainly by misuse of opioid painkillers, is the fastest growing drug problem in the United States.

Tolerance brings further peril

Another serious problem with opioids is tolerance—when your body feels less of the effect of a drug. Regular users of opioids and other drugs (such as alcohol) develop tolerance. In effect, a person who is a chronic opioid user feels less of its effect (and his or her body can tolerate more of the drug) than a new user feels. A common overdose death scenario among opioid addicts is when, because of tolerance, they increase the dose to get a rush, not realizing they are not tolerant to the respiratory depression effects.

Tolerance may not be the same for different opioids. This can make changing from one opioid to another dangerous.

There is evidence that opioids taken for long periods may actually increase the body's perception of pain called hyperalgesia. This may lead to a feedback loop of need for higher and higher doses, more and more risk of overdose, and increasing pain.

Why are opioids prescribed if they are so risky?

Opioid pain medications are often believed to be the most powerful pain relievers available to ease severe pain. Studies have shown, however, that they are NOT MORE EFFECTIVE THAN other available oral medications. Too often, they are prescribed when safer medications would suffice and they are prescribed in larger amounts than needed. If you need to take a prescription opioid painkiller, do so with caution and talk to your doctor about limiting the length of time you take them.



Respiratory depression is the chief hazard associated with opiate painkillers.



National Safety Council
1121 SPRING LAKE DRIVE
ITASCA, IL 60143-3201
(800) 621-7619
nsc.org

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