

BEYOND THE BASICS

OxyContin® & Other Opioids

What are opioids?

Opioids, also referred to as narcotics, are a broad range of compounds used to treat varying degrees of pain.

There are three main groups of opioids:^{1,4}

- Naturally occurring: These opioids are made from the milky liquid harvested from the unripe seed pods of the opium poppy flower. Examples include codeine and morphine.
- Semi-synthetic: These are made by modifying the chemical structure of a naturally occurring opioid. Examples include heroin and oxycodone.
- Synthetic: These compounds are manufactured chemicals. Examples include meperidine and methadone.

The main differences between the various opioids are their potency and duration of action. For example, short-acting opioids need to be taken every three to six hours to maintain the effect, while long-acting opioids need to be taken less often (i.e. only once or twice a day). All opioids are addictive to some degree, and all have the potential for abuse.^{1,2}

OxyContin® is an extended release form of the semi-synthetic opioid, oxycodone. OxyContin® is available in strengths ranging from 5 to 80 mg. Other prescription analgesics that contain oxycodone include Percocet®, Percodan®, Endocet®, Endodan®, Ratio-Oxycocet® and Ratio-Oxycodan®. OxyContin® is often used when other opioids have become less effective in treating pain because it offers longer pain relief for the patient.^{2,3}

When used illicitly, OxyContin® can be taken in pill form and chewed, or crushed and then ingested or snorted, or diluted in water and injected. Crushing the pill eliminates the slow-release action of the drug, thus providing the individual with the full dosage of the OxyContin® immediately.^{2,3}

OxyContin® is said to produce effects similar to heroin, and most individuals who abuse it do so to gain euphoric effects and/or to avoid withdrawal symptoms of this potent analgesic.^{2,3}

OxyContin® is often consumed in combination with other legal and illegal substances. Common street-names for the drug are “oxy,” “O.C.,” “oxycotton,” “killer,” “kicker” and “hillbilly heroin.”^{2,3}

Medical Use

Opioids in general are used to manage pain. OxyContin® specifically is used medically to control moderate to severe pain, chronic pain and pain related to cancer and other serious and/or debilitating conditions.^{3,5}

Currently, hundreds of thousands of Canadians use OxyContin® under medical supervision for pain relief.^{3,4} Very few individuals receiving OxyContin® through supervised medical treatment will develop an addiction. While the risk of dependence exists with legitimate use, generally once patients stop taking the medication they do not experience the acute cravings associated with abuse of the medication.⁶

Prevalence of Use^{3,4}

In Canada, prevalence estimates of OxyContin® abuse are not available, which is consistent with the lack of comprehensive information regarding abuse of prescription drugs in general.

U.S. and Canadian research suggests abuse of OxyContin® is not limited to a narrow population group. A research review prepared by the Canadian Centre on Substance Abuse (CCSA) identified adolescents, older adults, women and Aboriginal people as having an increased risk of abusing prescription drugs, including legal opioids. Previous illicit drug use is also an indicator for potential abuse of prescription drugs.

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In addition, individuals with a personal or family history of substance abuse, including alcohol, may be at higher risk of addiction to opioid medications.

In 2008, the first national general population survey on alcohol and drug use that specifically examined the abuse of psychoactive pharmaceuticals, including opioids, by Canadians was conducted to build an understanding of the nature and extent of abuse.

The Canadian Alcohol and Drug Use Monitoring Survey revealed 21.6% of Canadians 15 years and older reported the use of opioid pain relievers in the 12 months preceding the survey. Among users of opioid pain relievers, 1.5% (which corresponds to 0.3% of the total population) reported using them to get high. While this survey supports the conclusion that a relatively small proportion of those taking opioids use them to get high, it does reveal that acceptance of the use of opioids in the general population is significant.

Pharmacokinetics

Opioids are readily absorbed across the gastrointestinal tract following oral administration.⁵ OxyContin® is pharmaceutically designed to slowly release oxycodone into the bloodstream through a specialized action. The intent is to produce the desired effect of pain relief over an extended period of time – generally up to 12 hours.^{3,4,10}

When OxyContin® is chewed or crushed, the slow-release mechanism is negated and the drug is released more rapidly into the system.^{3,4} Snorting OxyContin® or injecting a mixture of OxyContin® and water directly into a vein produces the effect of the drug almost immediately as the gastro-intestinal absorption is by-passed completely, providing a more direct route to the brain. As a result, these actions lead to a rapid release and distribution of the full dose of oxycodone.

How long OxyContin® remains in the individual is determined by various factors, including the structure of the drug itself and the physical characteristics of the user, including gender and genetic factors. Opioids in general can remain in the body for one or two days.⁸

Pharmacodynamics

All opioids mimic the effects of the endogenous opioid peptide neurotransmitters. Like the endogenous neuropeptides – the endorphins, endomorphins, enkephalins and dynorphins – opioid medications bind to three opioid receptor sub-types, thereby producing a variety of effects depending on their receptor specificity.⁹ Opioids are used to treat a variety of conditions, including diarrhea, coughs and addiction to other opioids.^{1,2}

OxyContin® is an agonist opioid analgesic, producing its effects at opioid receptors in the brain, spinal cord and gastrointestinal tract.^{1,2} As an agonist, it will elicit a similar effect to that of the endogenous opioids – such as endorphins and enkephalins, the body's naturally occurring system to manage pain and pleasure. Although a number of different opioid receptors exist (i.e. mu, kappa and delta), opioids largely produce their analgesic and reinforcing effects via activation of the mu-opioid receptor.⁹

Naloxone, an opiate antagonist, will block the binding of an opioid agonist, such as oxycodone, to its receptor, thus reducing the potential harm from an overdose.⁵ An important consideration in the use of naloxone in dependent individuals is the emergence of almost instant physical withdrawal symptoms due to naloxone's antagonist effects at the opioid receptor.

Short-term Effects

When used as prescribed by a doctor, Oxycontin® diminishes both the feeling of physical pain as well as the perception of pain that a person is experiencing. Nausea and vomiting are common side effects of use.^{3,4}

A person may also experience a feeling of euphoria, relaxation or drowsiness, difficulty concentrating, constricted pupils, depressed respiration, constipation, loss of appetite and sweating.^{3,5}

Using the drugs in a way that is different from how they were prescribed (i.e. taking larger/more frequent doses) intensifies the opioid effects.

Long-term Effects

After heavy use over a long period of time, a person may experience depression, difficulty concentrating, sleeping and sexual problems. Constipation can become a very serious problem. Women may experience irregular or disrupted menstrual cycles. After extended exposure, the body stops making natural painkillers, and minor pains seem severe when the drug leaves the body.¹²

Chronic exposure to opioids may result in permanent changes to the neural systems that regulate mood.⁹

Those who take the drug repeatedly with or without physician direction can develop a tolerance or resistance to its effects. Long-term use can lead to physical dependence and/or addiction, whereby the body adapts to the presence of the drug. If physical dependence occurs, the individual may experience withdrawal symptoms after reducing the dose or discontinuing use of the drug.⁶

Toxic Effects

The drug's extended release action has obvious advantages for patients who are receiving Oxycontin® as part of a medical treatment strategy. However, when Oxycontin® is abused, it is usually tampered with to release the drug all at once. This can result in a lethal overdose for users who have not developed sufficient tolerance to opioids or who consume the drug in combination with other drugs, including alcohol.⁶

Individuals who take a large dose of OxyContin® are at risk of severe respiratory depression that can lead to death. Inexperienced users are at particular risk because they may be unaware of what constitutes a large dose and have not developed a tolerance for the drug.^{3,4}

Tolerance and Dependence

Regardless of whether an individual's use is through a legitimate prescription or illicit abuse, tolerance and dependence are likely outcomes when OxyContin® is used over an extended period of time.^{1,2,10} When used under the supervision of a healthcare professional, these issues are mitigated through an appropriate termination strategy that involves discontinuing use over an extended period of time to minimize potential withdrawal symptoms.⁶

Individuals who abuse OxyContin® risk developing tolerance to the drug, meaning they must take increasingly higher doses to achieve the same effects. Long-term abuse of the drug can lead to physical dependence and addiction. Individuals who become dependent upon or addicted to the drug may experience withdrawal symptoms if they cease using the drug.^{3,4,9}

Withdrawal

Withdrawal symptoms from licit and illicit use of OxyContin® can range in severity. Symptoms may include agitation, anxiety, aggression, restlessness, muscle and bone pain, pupil dilation, insomnia, diarrhea, vomiting, cold flashes, sweating, involuntary leg movements and piloerection.^{3,7}

It is characteristic of withdrawal symptoms that they are effectively and almost immediately suppressed following administration of the drug. Thus, the presence or the expectation of withdrawal symptoms is believed to be an important incentive for restarting or continuing use of the drug.^{6,8}

Opioid withdrawal reactions are not usually life threatening; however, professional supervised care is recommended when a person terminates long-term use of an opioid.⁴

Legal Issues

Because the abuse of opioid analgesics poses serious personal and social problems, these drugs are under the strictest legal control in Canada.

The *Controlled Drugs and Substances Act* (CDSA) provides that stipulated controlled drugs and substances for medical treatment may be legally obtained with a prescription from a licensed medical practitioner only. A prescribed drug may be in the possession of, and used by, the person for whom it was prescribed only. A conviction for trafficking, unlawful possession, export, import, trade or production of a drug can result in imprisonment, a fine or, in some cases, both.^{3,4}

It is also an offense under the CDSA for a person to seek or obtain a controlled substance from a practitioner without disclosing all other controlled substances obtained by that person from other practitioners within the previous thirty days.^{3,4}

As well, the Criminal Code of Canada contains offences related to driving while impaired by alcohol or other drugs.⁸ Manitoba has also enacted legislation to address drug-impaired driving.

Risks & Other Harms

OxyContin® abusers who inject the drug expose themselves to additional risks, including contracting human immunodeficiency virus (HIV), hepatitis B and C and other blood-borne viruses.⁸

As is the case in any abuse of licit and illicit drugs, there are potential adverse consequences related to the law, a person's financial situation, family relationships, and generally putting oneself at risk by participating in unsafe behaviours while under the influence of the drug.⁵

Pregnancy & Lactation

Most opioids prescribed by a physician can be used safely during pregnancy and lactation if required; however, serious complications can occur during pregnancy as a result of opiate abuse and can include placental abruption, eclampsia, placental insufficiency, breech birth, ruptured membranes, premature labour and delivery, and stillbirth.¹²

Babies born to women who use opioids during pregnancy are sometimes born with neonatal abstinence syndrome (NAS). NAS usually affects the infant's central nervous system and gastrointestinal tract; symptoms include muscle spasms, irritability, high-pitched crying, diarrhea, disturbed sleep and feeding, vomiting, hiccups, stuffy nose, sneezing and breathing problems.¹²

Interventions

Good patient-doctor communication is an essential risk management approach for all prescription drugs, including opioids. A comprehensive medical history puts physicians in the best position to determine appropriate interventions.^{1,2}

Treatments for abuse of OxyContin®, like other opioids, include opioid substitution therapies using alternative opioids, such as methadone. Naltrexone and buprenorphine are often employed to help with symptoms of withdrawal.^{3,5,8}

Treatment can also involve detoxification, including rapid detoxification techniques, and traditional behaviour-oriented therapies, such as individual counselling, group or family therapy, contingency management and cognitive-behavioural therapies.^{3,4}

Any treatment strategy used with those abusing prescription drugs must take into account the specific needs of the individual, as well as the particular substance being abused. This principle is the same for treatment of those who abuse both legal and illegal substances.^{3,4}

In addition, further research suggests the individual's stage of addiction at the time of intervention must be considered in terms of withdrawal treatment to diminish or prevent a relapse.⁸

Substance Use & Mental Health

- Substance use and mental health problems can often occur together. This is commonly referred to as a co-occurring disorder.
- Substance use may increase the risk of mental health problems.
- People with mental health problems are at higher risk of developing substance abuse problems:
 - Sometimes they use alcohol and other drugs in an attempt to relieve themselves from mental health symptoms.
 - For most people alcohol and other substance use only covers up the symptoms and may make them worse.

Remember: A person's experience with any drug can vary. Here are a few of the many things that may affect the experience: the amount and strength of the drug taken, the setting, a person's mood and expectations before taking the drug, gender, overall health, past experience with that drug and whether more than one drug is being used at the same time. Using alcohol and other drugs at the same time can also be dangerous.

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The Addictions Foundation of Manitoba (AFM) offers a broad range of prevention and treatment services for alcohol, other drugs and gambling. These are designed to meet the needs of all Manitobans and include harm reduction and abstinence-based programs.

For more information, contact your local AFM office or visit our website: www.afm.mb.ca.

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