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Opiates and Opioids

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Information: Use of Opiates and Opioids

In the U.S. there is an average of 46 deaths per day from an opiate/opioid prescription overdose. Prescription opiates/opioids kill twice as many people as heroin and cocaine combined. New studies suggest that 3 out of 4 people with a dependence on heroin began with prescription painkillers.

Prescription opiate/opioid abuse is fueling heroin addiction and overdoses. Overprescribing physicians are the primary factor. Persons evolve from opioid prescription medication to street heroin...why? Many states attribute this to for-profit pain clinics (nicknamed "pill mills") that prescribe large quantities of painkillers to people who don't need them medically (Centers for Disease Control and Prevention).

Opiates and Opioids

Although the term *opiate* is often used as a synonym for *opioid*, the term *opiate* is properly limited to the natural alkaloids found in the resin of the opium poppy (morphine, codeine), while *opioid* refers to the opiates as well as the semi-synthetic (heroin) and synthetic (fentanyl) classes of substances. Opioids are sometimes called *narcotics*.

Opioids are a class of medications used mostly to control pain. They are the most effective pain medications. Examples of frequently used opioid medications are morphine and oxycodone.

As a class, opioids have a high potential for dependence and addiction. In most cases, the body adapts to having the drug present in the body, which is called tolerance. This process occurs when the neuroreceptors in the brain become desensitized to the drug, which results in a reduction of its effect in the body.

There are a number of general classes of opioids:

- Natural (Morphine)
- Semi-synthetic (Oxycodone)
- Synthetic (Methadone)
- Endogenous (Endorphins)

Morphine (from Morpheus, the Greek God of Dreams)

Morphine is the original opiate drug. Morphine has been the clinical standard for pain control for many years. It is a narcotic drug used to treat moderate and severe pain.

Heroin

Heroin (diacetylmorphine) was first synthesized in 1874. When acetic anhydride is added to morphine in the proper chemical environment, heroin is produced. This makes heroin a semi-synthetic opioid drug.

Heroin is used medically in several European countries under the name Diamorphine (also used in heroin-maintenance programs). Heroin is more potent and addictive than morphine. It has the ability to travel directly to the brain where it shuts down frontal lobe thinking and produces a sense of euphoria. Heroin is a Schedule I drug in the U.S and therefore is not used medically.

Heroin is a prodrug of morphine. It is metabolized into morphine in the body (heroin was historically used to treat morphine and cocaine addiction). The effects of street heroin normally last from 3 to 4 hours. A "speedball" involves the simultaneous use of heroin and cocaine.

Codeine

Codeine, (3-methylmorphine) a natural opiate, is available as single ingredient or as a component of many medications. Codeine is derived from the poppy plant and has been used for years as an analgesic, anti-coughing and anti-diarrheal medication. In the body, the liver converts a portion of codeine into morphine. Codeine has a similar abuse potential as morphine and should be taken only as prescribed. Approximately 5-10% of codeine will be converted to morphine in the body.

Oxycodone

Oxycodone (1917) is a semi-synthetic opioid medication (synthesized from the opiate thebaine). It is one of the most widely used opioids and is often used in combination with other medications to create new formulations. It can be combined with ibuprofen (Combunox), acetaminophen (Percocet), or aspirin (Percodan) to create a synergistic effect. The most popular formulation is simply oxycodone, known as OxyContin. The recommended starting dose of OxyContin is 10 milligrams (mg) taken every 12 hours.

Oxymorphone

Oxymorphone (Opana) has about twice the strength of oxycodone. It was first synthesized in 1914. Both oxymorphone and oxycodone were first synthesized in Germany.

Hydrocodone

Hydrocodone is a semi-synthetic opioid pain medication (synthesized from codeine). Vicodin and Lortab are examples of hydrocodone plus acetaminophen. Abuse of the drug is high because frequent use of hydrocodone will lead to tolerance. Hydrocodone was first synthesized in 1920 in Germany.

Hydromorphone

Hydromorphone is a semi-synthetic derivative of morphine frequently used to treat chronic pain and other conditions. It is produced under the brand name Dilaudid among others. Sometimes used in combination with other drugs (including midazolam) as a lethal injection. Ohio used a combination of hydromorphone and midazolam to execute Dennis McGuire in January 16, 2014.

Meperidine

Meperidine (Demerol) is a synthetic opioid first manufactured in 1939. It is used for short-term treatment of moderate to severe pain. Long-term use of meperidine is contraindicated due to the undesirable effects of its toxic metabolite *norpethidine*.

Methadone

Methadone (synthetic opioid) was developed in Germany in 1937 and introduced into the United States in 1947 (Dolophine). It is a Schedule II drug in the U.S. Methadone was widely used by the German army during WWII. Current applications: analgesic and addiction maintenance.

Fentanyl

Fentanyl is produced under the brand name Duragesic and many others. Fentanyl is a synthetic opioid that has become the most commonly prescribed pain medication in the United States. It can be used as a transdermal patch or in a chewable tablet or lollipop (Actiq). The abuse potential is high and should only be used under the care of a qualified physician.

Relative Drug Potency compared to Morphine

Morphine 1
Meperidine 0.1 (Demerol)
Heroin 1.5 - 3
Hydromorphone 10 (Dilaudid)
Alfentanil 10–25
Fentanyl 75–125 (Duragesic)
Remifentanyl 250
Sufentanil 500–1000 (maximum potency for use in humans)
Etorphine 1000–3000 (legally available for veterinary use only)
Carfentanil 10,000 (legally available for veterinary use only)

Your Brain on Opiates

Opiate abuse causes major, long-lasting chemical changes in the brain. When an opiate is injected or taken in pill form, it travels to the brain's opiate receptors and releases endorphins - chemicals that produce happy, positive feelings and reduce pain - producing a "reward response" that causes the brain to crave more of the drug.

The healthy brain produces natural endorphins on its own. After prolonged use, opiates create a flood of artificial endorphins in the brain that signals the brain to stop producing the natural kind, leading to intense cravings and physical dependence. This type of use changes the way the brain functions and produces the opposite effects of those that draw most people to use opiates in the first place.

Over time, the only way for the user to feel normal and avoid feeling sick and depressed from the loss of natural endorphins is by using higher and higher doses of the drug or graduating to a more dangerous drug (e.g., prescription drug users begin injecting heroin). Getting high is no longer about feeling the euphoria from the drug but simply trying to function. This process in the brain is the primary reason why opiates are so addictive.

If the opiate addict stops using the drug, severe withdrawal symptoms such as anxiety, suicidal thoughts, depression, nausea, diarrhea, heart palpitations and restlessness can occur almost immediately. In most cases, withdrawal lasts 2-4 days, though withdrawal from heroin can last from 1-2 weeks (From: Opiatesrx.com).

Opioid effects (adverse or otherwise) can be reversed with an opioid antagonist such as naloxone (Narcan) and naltrexone (Revia). Naloxone binds to opioid receptors with higher affinity than most opioid agonists. Naloxone attenuates and/or reverses opioid-agonist effects. It displaces the opioid but does not activate the receptor.

The elimination half-life of naloxone can be shorter than that of the opioid itself, so repeat dosing or continuous infusion may be required when naloxone is used to treat acute opioid overdose.

Buprenorphine is a partial opioid receptor agonist. Buprenorphine binds to opioid receptors and triggers a partial response. *Suboxone* is a combination drug that contains buprenorphine and naloxone. Suboxone is used primarily to treat opioid addiction.

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