

# Oxycodone Drug Information

## Classification

Oxycontin<sup>®</sup> is the trade name of one of numerous Schedule II prescription drugs that contain the opioid oxycodone as the active ingredient. Opioids refer to a class of drugs, natural and synthetic, with morphine-like actions. Oxycodone is reported to have equivalent potency to that of morphine. Other prescription drugs that contain oxycodone include Percodan<sup>®</sup> and Percocet<sup>®</sup>. Schedule II drugs are those which are approved for medical use and have a high potential for abuse and may lead to severe physical and psychological dependence. Oxycontin<sup>®</sup> was first introduced by Purdue Pharma in 1996 as a controlled sustained release formulation for pain relief. It was estimated that almost 6 million prescriptions for Oxycontin<sup>®</sup> were filled in the year 2000 and sales reached 1 billion dollars. It is legitimately prescribed for moderate to severe chronic or long-lasting pain. Oxycontin<sup>®</sup> is available in tablet forms containing 10, 20, 40, and 80 mg. A 160 mg oxycodone tablet was available which was discontinued in May 2001. By comparison, Percocet<sup>®</sup> typically contains 5 mg of oxycodone. Thus, one 160 mg tablet of Oxycontin<sup>®</sup> contained the equivalent amount of oxycodone as 32 Percocet<sup>®</sup> (5 mg) tablets.

One of the primary benefits of Oxycontin<sup>®</sup> is that because it is controlled release, it only needs to be taken orally every 12 hours. In contrast, short acting oxycodone tablets like Percocet<sup>®</sup> require the dosage be taken every 4-6 hours to maintain pain relief. Thus, the controlled release formulation allows for continuous pain relief for a substantial period of time when compared to traditional Percodan<sup>®</sup> or Percocet<sup>®</sup> tablets.

## Metabolism

A large portion of oxycodone is N-dealkylated to noroxycodone during first-pass metabolism. Oxymorphone, is formed by the O-demethylation of oxycodone. The metabolism of oxycodone to oxymorphone is catalyzed by CYP2D6. Free and conjugated noroxycodone, free and conjugated oxycodone, and oxymorphone are excreted in human urine following a single oral dose of oxycodone. Approximately 8% to 14% of the dose is excreted as free oxycodone over 24 hours after administration. Following a single, oral dose of oxycodone, the mean  $\pm$  SD elimination half-life is  $3.51 \pm 1.43$  hours.

## Abuse

The attraction of Oxycontin<sup>®</sup> as a preferred drug of abuse over other oxycodone containing products has several reasons. The large amounts of oxycodone per tablet versus Percodan<sup>®</sup> or Percocet<sup>®</sup> are one of the primary reasons, while a second factor is that

Percodan<sup>®</sup> and Percocet<sup>®</sup> additionally contain 325 mg of aspirin or up to 650 mg of acetaminophen, respectively while Oxycontin<sup>®</sup> has neither. Thus, the oxycodone high will not be associated with any toxic side effects that may result from either excessive aspirin or acetaminophen. Oxycontin<sup>®</sup> tablets are to be taken whole to allow for the controlled release of oxycodone. Abusers will destroy the controlled release capabilities of Oxycontin<sup>®</sup> by either chewing the tablets prior to swallowing, crushing the tablets and snorting the powder or they may be crushed, dissolved in water and injected. This allows for a rapid and large absorption of oxycodone into the blood stream producing a powerful euphoric high. This rapid, bolus absorption is also thought to be responsible for an apparent increase in oxycodone related overdoses in the east. Several Oxycontin<sup>®</sup> street slang's include "OC", "OXY", "Oxy-Coffins", Hillbilly Heroin, Poor-Mans Heroin and Killers. Diversion of the prescription has also been a problem associated with Oxycontin<sup>®</sup>. It has been reported that while a single 160 mg tablet may retail for up to \$14, it can fetch up to \$1 per mg on the street. Thus, a 160 mg tablet, known as a "blue bomber", may sell for up to \$160 with its illicit sale. Interestingly, Oxycontin<sup>®</sup> is sometimes referred to as poorman's heroin. We recently encountered a case in California in which a parolee who was being prescribed Oxycontin<sup>®</sup> was suspected of diverting his prescription to purchase heroin. Specific analysis of his urine determined no detectable level of oxycodone but the primary heroin metabolite, morphine, was present in his urine in excess of 2,000 ng/mL. Thus, offering scientific support to the diversion suspicion.

### Laboratory drug testing: Methods of Analysis

Typically oxycodone does not produce a positive response to routine immunoassay screens for opiates, which generally target morphine and/or codeine; therefore, Redwood Toxicology Laboratory utilizes an enzyme immunoassay (EIA) screening method which specifically targets oxycodone at a cutoff of 300 ng/mL. Confirmation of presumptive positive urines should be performed by specific methods such as gas chromatography/mass spectrometry (GC/MS) or liquid chromatography/tandem mass spectrometry (LC/MS/MS).