



Oxycodone

Updated: November 24, 2020.

OVERVIEW

Introduction

Oxycodone is a semisynthetic, moderately potent, orally available opioid that is widely used for acute or chronic management of moderate- or moderately severe pain either alone or in combination with acetaminophen. Oxycodone by itself has not been linked to serum enzyme elevations during therapy or to clinically apparent liver injury. However, the combination of oxycodone with acetaminophen has been linked to many cases of acute liver failure caused by unintentional overdose with acetaminophen.

Background

Oxycodone (ox" i koe' done) is a semisynthetic derivative of thebaine, a natural alkaloid found in the resin of poppy seeds (*Papaver somniferum*). It is well absorbed orally and has moderate opiate activity, acting as an agonist of the μ type opiate receptor. Oxycodone alone or in combination with acetaminophen has been shown to be effective in ameliorating moderate- to moderately severe pain and is widely used for temporary as well as chronic management of pain states. Oxycodone has been in use since its first synthesis in 1917, but was formally approved for use in the United States in 1991. Since then, it has become one of the most commonly prescribed drugs in medical practice. Oxycodone is available in multiple formulations including oral tablets of 5, 7.5, 10 and 20 mg, as well as capsules of 5 mg, suppositories of 10 and 20 mg and oral solution in various concentrations generically. Higher dose oral formulations of 10 to 80 mg are also available generically and under the brand name OxyContin for therapy of persistent, moderate- to moderately severe pain that requires 24 hour opioid therapy. Oxycodone is also available in fixed combinations with other analgesics, including aspirin, ibuprofen, but particularly acetaminophen. These combinations are commonly used and available generically and under the brand names such as Percocet, Tylox and Endocet. The dose of oxycodone is typically 5 to 10 mg and acetaminophen 300 to 600 mg per tablet. Side effects of oxycodone include sedation, respiratory depression, mental clouding, euphoria, agitation, itching, constipation, diarrhea, abdominal bloating, nausea, vomiting, headache and dizziness. Severe adverse events include life-threatening respiratory depression, addiction, abuse, opioid withdrawal, serotonin syndrome (when used with serotonergic agents) and adrenal insufficiency. Oxycodone is a controlled substance and classified as a Schedule II drug, indicating that it has medical usefulness, but also a high potential for physical and psychological dependency and abuse. Unfortunately, oxycodone has become one of the most frequently abused prescription medications and some formulations can be dissolved and injected intravenously. With oxycodone dependence, patients may turn to illegal opiate drug use. Ironically, in some communities, heroin is more available and less expensive than oxycodone by prescription. Tamper deterrent formulations have now become available.

Hepatotoxicity

Despite wide scale use for many decades, oxycodone has not been convincingly linked to instances of clinically apparent acute liver injury. However, oxycodone and other opioid-acetaminophen combinations have become a common cause of acute liver injury, which is usually the result of excessive use of the medication for the opioid effect, which leads secondarily and unintentionally to an overdose of acetaminophen. In 2014, the FDA warned against the use of opioid combinations in which the dose of acetaminophen is greater than 325 mg per tablet or unit dose. Because of their potential for hepatotoxicity, opioid combinations in which the dose of acetaminophen is greater than 325 mg per tablet or capsule were discontinued.

Oxycodone, like other opiates, is metabolized in the liver by the P450 microsomal oxidizing enzyme system, and levels can be significantly affected by either inhibitors of CYP 3A4 (which increase levels and can lead to toxicity) or inducers of the enzyme (which decrease levels and reduce efficacy).

Likelihood score: E (unlikely cause of drug-induced liver injury).

References on the safety and potential hepatotoxicity of oxycodone are given in the overview section of the Opioids.

Drug Class: [Opioids](#)

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Oxycodone – Generic, OxyContin®

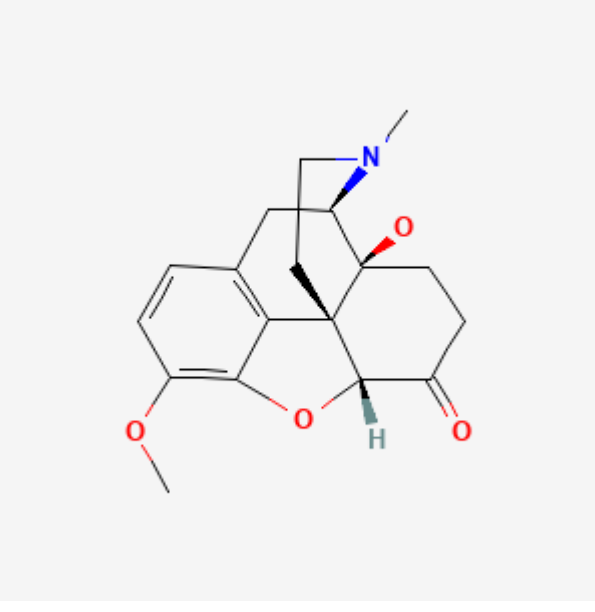
DRUG CLASS

Opioids

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULA AND STRUCTURE

DRUG	CAS REGISTRY NO.	MOLECULAR FORMULA	STRUCTURE
Oxycodone	76-42-6	C ₁₈ H ₂₁ N-O ₄	 The image shows the chemical structure of Oxycodone, a semi-synthetic opioid. It features a complex polycyclic ring system consisting of a benzene ring fused to a five-membered ring, which is further fused to a six-membered ring containing a nitrogen atom (N) and a carbonyl group (C=O). The nitrogen atom is highlighted in blue. The structure also includes a methoxy group (-OCH ₃) and a hydroxyl group (-OH) attached to the ring system. The molecular formula is C ₁₈ H ₂₁ N-O ₄ .