



OXYCODONE

(Trade Names: Tylox®, Percodan®, OxyContin®)

March 2020

Introduction:

Oxycodone is a schedule II narcotic analgesic and is widely used in clinical medicine. It is marketed either alone as controlled release (OxyContin®) and immediate release formulations (OxyIR®, OxyFast®), or in combination with other nonnarcotic analgesics such as aspirin (Percodan®) or acetaminophen (Percocet®). In 2004, the Food and Drug Administration (FDA) approved generic forms of controlled release oxycodone products for marketing. The introduction in 1996 of OxyContin®, commonly known on the street as OC, OX, Oxy, Oxycotton, Hillbilly heroin, and kicker, led to a marked escalation of its abuse as reported by drug abuse treatment centers, law enforcement personnel, and health care professionals. Although the diversion and abuse of OxyContin® appeared initially in the eastern U.S., it has now spread to the western U.S. including Alaska and Hawaii. Oxycodone-related adverse health effects increased markedly in recent years.

Licit Uses:

Products containing oxycodone in combination with aspirin or acetaminophen are used for the relief of moderate to moderately severe pain. Oxycodone is widely prescribed in the U.S., and the controlled-release tablets are prescribed for the management of moderate to severe pain when a continuous, around-the-clock analgesic is needed for an extended period of time.

In 2016, 60.1 million oxycodone prescriptions were dispensed, and 55.2 million were dispensed in 2017 out of which 54.6 million prescriptions were sold to patients; with a 10.8% decrease to 48.7 million prescriptions sold in 2018 (IQVIA™).

Chemistry:

Oxycodone, [4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-morphinan-6-one, dihydrohydrocodeinone] is a semi-synthetic opioid receptor agonist derived from thebaine, a constituent of opium. Oxycodone will test positive for an opiate in the available field test kits.

Pharmacology:

Pharmacology of oxycodone is essentially similar to that of morphine, in all respects, including its abuse and dependence liabilities. Pharmacological effects include analgesia, sedation, euphoria, feelings of relaxation, respiratory depression, constipation, papillary constriction, and cough suppression. A 10 mg dose of orally-administered oxycodone is equivalent to a 10 mg dose of subcutaneously administered morphine as an analgesic in the normal population. Behavioral effects of oxycodone can last up to 5 hours. The drug is most often administered orally. The controlled-release product, OxyContin®, has a longer duration of action (8-12 hours). As with most opiates, oxycodone abuse may lead to dependence and tolerance. Acute overdose of oxycodone can produce severe respiratory depression, skeletal muscle flaccidity, cold and clammy skin, reduction in blood pressure and heart rate, coma, respiratory arrest, and death.

Illicit Uses:

Oxycodone abuse has been a continuing problem in the U.S. since the early 1960s. Oxycodone is abused for its euphoric effects. It is equipotent to morphine in relieving abstinence symptoms from chronic opiate (heroin, morphine) administration. For this reason, it is often used to alleviate or prevent the onset of opiate withdrawal by street users of heroin and methadone. The large amount of oxycodone (10 to 80 mg) present in controlled release formulations (OxyContin®) renders these products highly attractive to opioid

abusers and doctor-shoppers. They are abused either as intact tablets or by crushing or chewing the tablet and then swallowing, snorting or injecting. Products containing oxycodone in combination with acetaminophen or aspirin are abused orally. Acetaminophen present in the combination products poses an additional risk of liver toxicity upon chronic abuse.

The National Survey on Drug Use and Health (NSDUH) indicated that among the 27.9 million people, aged 12 and older in the U.S. that reported using oxycodone products in 2015, 4.3 million (15.2%) misused the products. In 2016, a decrease was observed among the 27.6 million users, aged 12 years and older within the U.S., in which 3.9 million (14.1%) misused oxycodone products. For 2017, the decrease continued among the 26.7 million users, aged 12 years and older with 3.7 million (14.0%) misusing oxycodone products in the past year, and 3.4 million (12.8%) misusing oxycodone products among 26.4 million users for 2018. According to the American Association of Poison Control Centers (AAPCC), there were 17,003 case mentions (7,575 single exposures) and 18 deaths associated with oxycodone alone or in combination in 2016. For 2017, the AAPCC reported 7,437 case mentions (3,168 single exposures) and 19 deaths with oxycodone alone or in combination. The 2017 Monitoring the Future (MTF) survey indicates that the annual prevalence in 2017 was down to 0.8%, 2.2%, and 2.7% in grades 8, 10, and 12, respectively for the misused of OxyContin®. For the 2018 MTF survey, the annual prevalence findings were similar to 2017, respectively, with the exception of 12th graders that indicate a slight decrease of misuse in the past year (i.e., 0.8%, 2.2%, and 2.3%).

User Population:

Every age-group has been affected by the relative prevalence of oxycodone availability and the perceived safety of oxycodone products by professionals. Sometimes seen as a "white-collar" addiction, oxycodone abuse has increased among all ethnic and economic groups.

Illicit Distribution:

Oxycodone-containing products are in tablet, capsule, and liquid forms. A variety of colors, markings, and packaging are available. The main sources of oxycodone on the street have been through forged prescriptions, professional diversion through some pharmacists, physicians, dentists, "doctor-shopping," armed robberies, and night break-ins of pharmacies and nursing homes. The diversion and abuse of oxycodone has become a major public health problem in recent years. According to reports from DEA field offices, oxycodone products sell at an average price of \$1 per milligram, the 40 mg OxyContin® tablet being the most popular. In 2009, oxycodone became the most frequently encountered pharmaceutical drug by law enforcement. Oxycodone has been the top pharmaceutical drug each year since then. In 2017 and 2018, respectively, there were 34,419 and 27,305 items/exhibits identified as oxycodone by federal, state and local forensic laboratories in the United States and in the first half of 2019, approximately 14,682 items/exhibits were identified.

Control Status:

Oxycodone is a schedule II substance under the Controlled Substances Act.

Comments and additional information are welcomed by the Drug and Chemical Evaluation Section; Fax 571-362-4250, Telephone 571-362-3249, and Email DPE@usdoj.gov.