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Pentazocine

Updated: April 25, 2019.

OVERVIEW

Introduction

Pentazocine is a synthetic opioid with both agonist and antagonist activity against opiate receptors which is used in oral and parenteral forms as an analgesic for moderate-to-severe pain. Pentazocine has not been linked to serum enzyme elevations during therapy or to clinically apparent liver injury.

Background

Pentazocine (pen taz' oh seen) is a synthetic opioid that has both partial agonist and antagonist activity and is similar to butorphanol. Pentazocine has weak antagonist or partial agonist activity to the μ type opiate receptors, with full agonist activity at the κ opioid receptor. These actions lead to typical analgesic effects of the opioids at low doses, but with a dysphoric effect at higher doses, which is believed to limit its abuse potential and puts a ceiling on its analgesic effect. Pentazocine was first approved for use in the United States in 1967 and is still available, but not commonly used. Pentazocine is available as a solution for injection 30 mg/mL for treatment of moderate-to-severe pain and as an adjunct for anesthesia. Oral formulations of pentazocine are available only as fixed combinations (50 mg) with acetaminophen (Telacen and generics) or naloxone (Talwin Nx and generics). The addition of acetaminophen or naloxone is believed to prevent or discourage injection and abuse of pentazocine (the naloxone being an opiate antagonist that is active only with parenteral administration). Side effects of pentazocine include sedation, respiratory depression, confusion, euphoria, agitation, itching, sweating, abdominal bloating, nausea, vomiting and constipation, adverse effects which are typical of the opioids. In addition, pentazocine can cause confusion, disorientation and hallucinations. Pentazocine is a controlled substance and is classified as a Schedule IV drug, indicating that it has medical usefulness and a mild potential for physical and psychological dependency and abuse. Internationally and in some states, pentazocine is classified as a Schedule III drug, indicating a greater potential for dependency and abuse.

Hepatotoxicity

Therapy with pentazocine has not been linked to serum enzyme elevations or to idiosyncratic acute, clinically apparent liver injury.

References on the safety and potential hepatotoxicity of pentazocine are given in the Overview section of the Opioids.

Drug Class: Opioids

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Pentazocine – Generic, Talwin®

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
Pentazocine	359-83-1	C19-H27-N-O