Naltrexone hydrochloride

**Description**

Naltrexone’s primary use in veterinary medicine and wildlife management is as an antagonist of opiate agonists such as carfentanil, etorphine, and butorphanol. It has been sporadically used to treat repetitive behavior such as stall weaving in horses, lick dermatitis in dogs, and feather picking in birds.

The development of naltrexone was the result of pharmaceutical research conducted within the Dupont pharmaceutical group in the 1960s and 1970s that produced a series of opiate antagonists including naloxone, nalmefene, nalorphine and naltrexone. Applications in veterinary medicine began after its patent expired in the early 1990s.

Wildlife Pharmaceuticals began research and FDA registration for naltrexone in 1992. Initially naloxone, a similar antagonist, was in development concurrently with carfentanil by Wildlife Pharmaceuticals but was eventually replaced by naltrexone as the antagonist of choice. [Allen 1996, *J Zoo Wildl Med* 27: 496-500]

Commercial production of naltrexone under the trade name Trexonil ceased in 2003. It is now available as a pharmacy compounded product by prescription only.

**Indications: Wildlife Management**

Naltrexone hydrochloride is the drug of choice for the antagonism of any opiate sedation in any species. It has the advantage over naloxone in that it has a longer metabolic half-life and thus renarcotization is rarely a problem when naltrexone is used.

**Indications in Domestic Species**

Naltrexone has reported uses in the published literature for repetitive behavior syndromes in horses and birds. It has no FDA approved use in domestic species at this time.

**Chemistry & Pharmacology**

Naltrexone can be described as a substituted oxymorphone – where the tertiary amine methyl-substituent is replaced with methylcyclopropane. Naltrexone, and its active metabolite 6-β-naltrexol, are competitive antagonists at the μ and δ opioid receptors, and to a lesser extent at the δ opioid receptor. This blockade of receptors is the basis behind naltrexone’s action in the management of opioid dependence in humans. It reversibly blocks or attenuates the effects of opioids. Naltrexone HCl is 17-(cyclopropylmethyl)-4,5-epoxy-3,14 dihydroxy-morphinan-6-one hydrochloride.

**Pharmacokinetics**

Naltrexone hydrochloride is a cyclopropyl derivative of oxymorphone and is metabolized in the liver. Its relative antagonistic potency is approximately twice that of naloxone and 17 times that of nalorphine, to both of which it is structurally similar.

[Crabtree 1984, *Clinical Pharm* 3:273-280]

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To reverse carfentanil, the recommended dose is a ratio of 100 mg of naltrexone to every one (1) mg of carfentanil delivered.

To reverse etorphine, a ratio of 25mg to 1mg etorphine administered has proved successful in hoof stock.

100 mg of naltrexone hydrochloride should be used for each mg of carfentanil citrate previously administered. Administer one-quarter of the calculated dose intravenously and three-quarters of the calculated dose subcutaneously. If a vein cannot be accessed, field experience indicates that reversal is accomplished completely by an intramuscular injection of the full dose.

How Supplied

Naltrexone hydrochloride was approved in 1996 by the FDA in a 50 mg/ml concentration in a 20 ml vial.

Naltrexone is commonly compounded in a concentration of 50 mg/ml in a 30 ml vial.

Contraindications & Precautions

There are no known contraindications to the use of naltrexone in veterinary medicine. If animals are to be re-immobilized with opiates within 24 hours or less of a previous dose of naltrexone, the opiate used may be less effective and alpha-two/dissociative combinations may be considered as an alternative protocol.

WARNING: The user of naltrexone must be proficient in appropriate procedures necessary to handle problems resulting from animals being in lateral or sternal recumbency for extended periods of time. Users must also have necessary equipment, supplies and experienced personnel to handle such situations that may occur during or following immobilization and reversal procedures to minimize possible injury to the animal or personnel.

Reversal of the effects of carfentanil citrate or other opiate immobilization is usually accomplished within 3 to 10 minutes of administration of naltrexone. In clinical trials, however, some animals required as little as 2 minutes or a period of greater than 10 minutes to reverse from the effects of carfentanil citrate or etorphine. Doses lower than the proposed dose resulted in signs of renarcotization, including open-mouth breathing, hypermetria, ataxia, and subtle changes in behavior and responsiveness.

After administering naltrexone hydrochloride to an animal that has been immobilized with carfentanil citrate or other opiate agonists, the animal may rise quickly and be fully conscious in as little as 2 minutes. All necessary procedures should have been accomplished and personnel advised that the reversal agent has been administered. Side effects associated with carfentanil administration or etorphine, such as muscle tremors or heavy panting, may not immediately abate upon administration of the reversal agent.

ADVERSE REACTION

No significant adverse reactions have been associated with the use of naltrexone.

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