Butorphanol tartrate

Description
Butorphanol is a central nervous system acting analgesic with both opiate agonist and antagonist activity. Butorphanol was originally approved as a cough suppressant for use in dogs in the early 1980s. In animals, butorphanol is four (4) times more potent than morphine. Butorphanol is a DEA Class IV Controlled Substance.

Indications: Wildlife Management
Butorphanol is rapidly finding application in zoo and wildlife anesthesia protocols as more concentrated forms become available. Current fieldwork in African and North American hoof stock indicate that when butorphanol is combined with potent opiates (carfentanil, etorphine, thifentanil), azaperone, and potent alpha-two agonists such as medetomidine, the quality of anesthesia is dramatically improved.
Butorphanol combined with azaperone and medetomidine may provide a high quality, fully reversible anesthesia for field application in a wide number of North American species.

Indications: Domestic Species
Butorphanol has broad clinical application in equines as an analgesic, especially for the treatment of pain associated with colic. It is used in combination with detomidine and xylazine as a sedative in horses. In small animals, doses from 0.1 to 0.4 mg/kg SQ or IM are administered as a pre-anesthetic and for pain management. Oral administration at a dose of 0.5-1.0 mg 2-3 times daily is also used for pain control and sedation in small animals.

Chemistry & Pharmacology
Butorphanol is a morphinan-type derivative. It has agonist/antagonist activity affinity for both the µ- and κ-opioid receptors. It has partial agonist and antagonist activity on the µ-receptor and acts as an agonist on the κ-receptor. The chemical name is morphinan-3,14-diol,17-(cyclobutylmethyl)-2,3 dihydroxybutanedioate tartrate.

Pharmacokinetics
In animal studies, butorphanol metabolites have demonstrated some analgesic activity. Butorphanol is extensively metabolized in the liver. Metabolism is qualitatively and quantitatively similar following intravenous or intramuscular administration. Oral bioavailability is only 5–17% because of extensive first-pass metabolism of butorphanol.

The major metabolite of butorphanol is hydroxybutorphanol, while norbutorphanol is produced in small amounts. Both have been detected in plasma following administration of butorphanol, with norbutorphanol present at trace levels at most time points. The elimination half-life of hydroxybutorphanol is about 18 hours.
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**Dosage & Administration**

The recommended dose for equids is 0.1 mg/kg body weight (0.05 mg/lb.) by intravenous injection. The dose may be repeated within 3-4 hour but treatment should not exceed 48 hours. The analgesic effects of butorphanol are observed within 15 minutes following injection and last for about four hours.

The analgesic effect of butorphanol is influenced by the route of administration. Onset of analgesia is within a few minutes for intravenous administration, within 10–15 minutes for intramuscular injection, and within 15 minutes for oral doses.

**How Supplied**

Butorphanol was approved by the FDA in a 10 mg/ml concentration available in 10 ml and 50 ml vials. Butorphanol tartrate is compounded by ZooPharm upon prescription at a concentration of 30 mg/ml and 50 mg/ml.

**Contraindications & Precautions**

Butorphanol tartrate, a potent analgesic, should be used with caution in combination with other sedative or analgesic drugs, as they are likely to produce additive effects.

The most commonly observed side effect occurring in horses was slight ataxia lasting 3-10 minutes.

**WARNING:** Butorphanol tartrate is not for use in food-producing animals.

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