

Buprenorphine ER Lab



Description

ZooPharm can provide compounded extended release Buprenorphine ER-LAB by prescription in a patented, extended release system. Buprenorphine ER releases over 72 hours.

Pharmacokinetics

Buprenorphine is metabolized by the liver, via CYP3A4 (also CYP2C8 seems to be involved) isozymes of the cytochrome P450 enzyme system, into norbuprenorphine (by N-dealkylation). The glucuronidation of buprenorphine is primarily carried out by UGT1A1 and UGT2B7, and that of norbuprenorphine by UGT1A1 and UGT1A3. These glucuronides are then eliminated mainly through excretion into the bile. The elimination half-life of buprenorphine is 20–73 hours (mean 37). Due to the mainly hepatic elimination, there is no risk of accumulation in patients with renal impairment.

Buprenorphine's main active metabolite, norbuprenorphine, is a μ -opioid, δ opioid, and nociceptin receptor full agonist, with a κ -opioid receptor partial agonist. Buprenorphine antagonizes norbuprenorphine's effects.

A published study in the Journal of the American Association for Laboratory Animal Science, tested this sustained-release formulation of buprenorphine in rats for analgesic efficacy and plasma concentration over a 72-h time period. Rats were injected subcutaneously with either 1.2 mg/kg sustained-release formulation (BupSR-LAB), 0.2 mL/kg buprenorphine HCl (Bup-HCl) and tested in a thermal nociception model or a surgical postoperative pain model. In both models, Buprenorphine-SR showed evidence of providing analgesia for 2 to 3 d, reporting plasma concentrations of buprenorphine remaining over 1 ng/mL for 72 h after a single dose.

Another published study compared the efficacy and adverse effects of sustained-release (SR) buprenorphine following SC administration and buprenorphine following oral transmucosal (OTM) administration in cats undergoing ovariohysterectomy. Results indicated that cats undergoing ovariohysterectomy having received a subcutaneous preoperative dose of SR buprenorphine, appeared to have comparable efficacy and adverse events as that of twice-daily OTM administration of buprenorphine before and after surgery.

A laboratory study conducted in domestic cats was designed to determine if the sustained release Buprenorphine ER-LAB formulation was equivalent to repeated doses of transmucosal administration of the commercial preparation Buprenex™ over a period of 72 hours. Animals received either a single, subcutaneous injection of Buprenorphine SR at a dose rate of 120 ug/kg, or a transmucosal dose of buprenorphine HCl [Buprenex™] every 12 hours for 72 hours. Results from analysis of blood samples [obtained at 1, 4, 8, 12, 18, 24, 36, 48 and 72 hours], reported data showing that only the single-dosed Buprenorphine SR cats maintained therapeutic blood levels for 72 hours. No visible injection site irritations or clinical side effects were reported within both test groups.

Chemistry

Buprenorphine is a thebaine derivative with powerful analgesia approximately twenty-five to forty times as potent as morphine. Its analgesic effect is due to partial agonist activity at μ -opioid receptors, i.e., when the molecule binds to a receptor, it is only partially activated in contrast to a full agonist such as morphine. Buprenorphine also has very high binding affinity for the μ receptor such that opioid receptor antagonists (e.g. naloxone) only partially reverse its effects.

Its chemical name is 17 -(cyclopropylmethyl)-alpha-(1, 1-dimethylethyl)-4,5-epoxy-1819-dihydro-3-hydroxy-6-methoxy-alpha -methyl-6, 14-ethenomorphinan-7 -methanol. The molecular formula is: C₂₉H₄₂CINO₄

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ZooPharm

How Supplied

Compounded BuprenorphineHCl ER is currently available from ZooPharm upon prescription in the following formulations:

**Buprenorphine ER-LAB Injection, 5ml volume,
in the following concentrations:**

0.5mg/ml 1mg/ml

Dosage & Administration

Dosing in various species has been published in various dosing guidelines and clinical research studies:

Laboratory Rats 1.0 - 1.2 mg/kg*

Laboratory Mice 0.5 – 1.0 mg/kg*

Laboratory Rabbits 0.1 mg/kg*

Guinea Pigs 0.30 mg/kg*

Contraindications & Precautions

Buprenorphine should be used with caution in animals with head trauma, compromised cardiovascular function, liver disease and geriatric or severely debilitated animals. Veterinarians must rely on their professional knowledge and judgment when prescribing Buprenorphine ER-LAB. A rare, but possible side effect of buprenorphine is a slowed breathing rate in some dogs, so it should not be used to treat a dog with heart failure, head trauma or respiratory issues. Geriatric dogs or dogs with Addison's disease, an underactive thyroid gland, must be treated with caution when using buprenorphine.

**The information is intended for use by veterinary professionals only and is made available on the express condition that no liability, expressed or implied, is accepted by ZooPharm for the accuracy, content, or use thereof. The information is to be used entirely at the reader's discretion. Practitioners and wildlife industry professionals should rely on their own expertise, knowledge and judgment and the particular circumstances of each patient when determining the appropriate dose for administration in target species.*

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For ordering details contact us toll free 866-823-9314 | info@zoopharm.net