Buprenorphine HCl in Polymer

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Description

Wedgewood can provide compounded extended release Buprenorphine HCl by prescription in a patented, extended release system. Buprenorphine HCl in Polymer 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 reduced 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[1], tested this extended-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 in polymer formulation (Bup-in Polymer), 0.2 mL/kg buprenorphine HCl (Bup-HCl) and tested in a thermal nociception model or a surgical postoperative pain model. In both models, the in polymer formulation of buprenorphine showed evidence of providing analgesia for 2 to 3 days, reporting plasma concentrations of buprenorphine remaining over 1 ng/mL for 72-h after a single dose.

Another published study[2] compared the efficacy and adverse effects of in polymer 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 in polymer buprenorphine, appeared to have comparable efficacy and adverse events as that of twice-daily OTM administration of buprenorphine before and after surgery.

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 -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, I-dimethylethyl)-4,5-epoxy-1819-dihydro-3-hydroxy-6-methoxy-alpha -methyl-6, 14-ethenomorphinan-7 -methanol. The molecular formula is: $C_{29}H_{42}ClNO_4$.

How Supplied

Compounded Buprenorphine HCl in Polymer is currently available from Wedgewood upon prescription in the following formulations:

Buprenorphine HCl in Polymer Injection, 5ml volume, in the following concentrations:

3mg/ml **10mg/ml**

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Dosage & Administration

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

Clinical Efficacy of Sustained-Release Buprenorphine with Meloxicam for Postoperative Analgesia in Beagle Dogs Undergoing Ovariohysterectomy
Elizabeth A Nunamaker, DeAnne F Stolarik, Junli Ma, Amanda S Wilsey, Gary J Jenkins, and Chris L Medina

The pharmacokinetics and analgesic effects of extended release buprenorphine administered subcutaneously in healthy dogs
M. Barletta, S. M. Ostenkamp, A. C. Taylor, J. Quandt, B. D. X. Lascelles, K. M. Messenger

Pharmacokinetics of 2 Formulations of Buprenorphine in Macaques (Macaca mulatta and Macaca fascicularis)
Elizabeth A Nunamaker, Lisa C Halliday, David E Moody, Wenfang B Fang, Matthew Lindeblad, and Jeffrey D Fortman

Comparison of the efficacy and adverse effects of sustained-release buprenorphine hydrochloride following subcutaneous administration and buprenorphine hydrochloride following oral transmucosal administration in cats undergoing ovariohysterectomy
M. Barletta, S. M. Ostenkamp, A. C. Taylor, J. Quandt, B. D. X. Lascelles, K. M. Messenger

Contraindications & Precautions

Buprenorphine should be used with caution in animals with head trauma, compromised cardiovascular function, liver disease and geriatric or severely debilitated animals. 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.

References

1. Patricia L Foley, Haixiang Liang, and Andrew R Crichlow. 2011. Evaluation of a Sustained-Release Formulation of Buprenorphine for Analgesia in Rats, JAALAS, Vol 50, No. 2
2. Davina L. Catbagan, DVM, MS; Jessica M. Quimby, DVM; Khursheed R. Mama, DVM; Jessica K. Rychel, DVM; Patrice M. Mich, DVM, MS. 2001. Comparison of the efficacy and adverse effects of sustained-release buprenorphine hydrochloride following subcutaneous administration and buprenorphine hydrochloride following oral transmucosal administration in cats undergoing ovariohysterectomy. AJVR, Vol 72, No. 4

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