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Meloxicam in Polymer

Description

Wedgewood can provide compounded extended-release Meloxicam in Polymer by prescription in a patented, extended release system. Compounded Meloxicam in Polymer releases for up to 72 hours.

Meloxicam is commonly prescribed for dogs, rats, mice, rabbits, primates and other species for relief of inflammation and pain in both acute and chronic musculo-skeletal disorders.

Pharmacokinetics

The pharmacokinetic behavior of meloxicam after a single dose was elucidated in an intravenous pilot study[1] in calves with radio-labelled meloxicam and in a bioavailability study in calves with administration of 0.5% injectable meloxicam solution via the IV and SC route in a cross-over design. The C_{max} of meloxicam from the SC administration was reached after 6 to 8 hours. The absolute availability was variable with values ranging from 44 to 154 % in individual animals. The mean elimination half-life of meloxicam from plasma was approximately 26 hours irrespective of the route of administration. Elimination of total radioactivity from plasma exhibited a terminal half-life of approximately 24 hours. Plasma protein binding ex vivo was found to be > 96.5 % and the same degree of binding was found in vitro.

At all sacrifice time points investigated in the pilot study, the liver contained the highest concentration followed by the kidney and bile. Comparatively low concentrations were found in skeletal muscle and fat. The proportions of radioactivity excreted in the urine and the feces were approximately equal (46%) and excretion was completed after 6 days. Only trace quantities of parent compound were found in the urine.

Chemistry

Meloxicam is an NSAID of the oxicam class that acts by inhibiting prostaglandin synthesis and inducible COX-2, thereby exerting anti-inflammatory, anti-exudative, analgesic and antipyretic effects. The molecule is highly plasma protein bound, when circulating in the body (95-99%). It has a long plasma half-life, enabling less frequent dosage schemes.

Compared to several other NSAID´s tested, meloxicam was shown to be the most selective inhibitor of inducible cyclo-oxygenase activity. Primary pharmacological effects include anti-inflammatory, anti-pyretic and analgesic properties in several species including humans, probably due to inhibition of inducible cyclo-oxygenase. Tissue reactions after a single subcutaneous injection of meloxicam was studied in rats. The tolerance after IV, SC and IM injection and after dermal, rectal, and eye-drop application of a meloxicam formulation was also studied in several laboratory animals (rats, guinea pigs and rabbits). The total composition of the formulation used is not given, but it is stated that the formulation was one intended for human use. The conclusions reported from these study data indicated that the meloxicam injectable formulation was well tolerated.

Its chemical name is 4-Hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-2H-1,2-benzothiazine-3-carboxamide-1, 1-dioxide. It's molecular formula is: $C_{14}H_{13}N_3O_4S_2$.

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How Supplied

Meloxicam in Polymer is compounded by Wedgewood and available by prescription in concentrations of 2 mg/ml (5 ml vial) and 10 mg/ml (5 ml vial).

Dosage & Administration

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

Pharmacokinetics of Sustained-release, Oral, and Subcutaneous Meloxicam over 72 Hours in Male Beagle Dogs[1],
Brian J Smith, Stephen M Kirschner, and Lon V Kendall

Pharmacokinetics of 3 Formulations of Meloxicam in Cynomolgus Macaques (Macaca fascicularis),
Cassondra Bauer, Patrice Frost, and Stephen Kirschner

Pharmacokinetics of Meloxicam in Rabbits After Single and Repeat Oral Dosing
Patricia V Turner, H Cheng Chen, and W Michael Taylor

Contraindications & Precautions

Although meloxicam is COX-2 selective, at higher doses its specificity is diminished and more GI distress may be seen. GI distress is usually transient and subsides with a dose decrease or termination of therapy. The use of meloxicam is contraindicated during pregnancy and lactation. Meloxicam should not be used in dogs younger than 6 weeks of age. Use is also contraindicated in animals suffering from GI disorders or impaired hepatic, cardiac, or renal function.

Extreme caution should be used in animals that are dehydrated, hypovolemic, or hypotensive because there is an increased risk of renal toxicity.

Meloxicam is highly protein bound; therefore, it can be displaced by other highly protein-bound drugs such as warfarin and phenylbutazone, resulting in toxicity. Because meloxicam may inhibit platelet aggregation and also cause GI ulceration, it should not be used with other drugs that alter hemostasis or cause GI ulceration, including heparin, warfarin, aspirin, phenylbutazone, flunixin, and corticosteroids. Meloxicam may antagonize the antihypertensive effects of angiotensin-converting enzyme inhibitors.

CONTRAINDICATIONS: Meloxicam SR is contraindicated for use in cats.

References

1. European Medicines Agency: EMA/MB/69923/2010 - Annual report of the European Medicines Agency 2010

For more information:
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