

LOMIDE

Lodoxamide trometamol 0.1% Eye Drops

Presentation

0.178% w/v lodoxamide trometamol (equivalent to 0.1% lodoxamide), 0.007% w/v benzalkonium chloride as preservative; in a clear, colourless, sterile aqueous solution.

Uses

Actions

Lodoxamide trometamol is a mast cell stabiliser that inhibits the *in vivo* Type-I, IgE-mediated (immediate) hypersensitivity reaction. Lodoxamide inhibits the increase in cutaneous vascular permeability that is associated with reagin or IgE and antigen-mediated reactions.

In vitro studies have demonstrated the ability of lodoxamide to stabilise rodent mast cells and prevent antigen-stimulated release of histamine. In addition, lodoxamide prevents the release of other mast cell inflammatory mediators (ie. SRS-A, slow-reacting substances of anaphylaxis, also known as the peptido-leukotrienes) and inhibits eosinophil chemotaxis. Although lodoxamide's precise mechanism of action is unknown, the drug has been reported to prevent calcium influx into mast cells upon antigen stimulation.

Lodoxamide has no intrinsic vasoconstrictor, antihistaminic, cyclo-oxygenase inhibition or other anti-inflammatory activity.

Pharmacokinetics

The disposition of ¹⁴C-lodoxamide was studied in six healthy adult volunteers receiving a 3 mg (50 µCi) oral dose of lodoxamide. Urinary excretion was the major route of elimination (83%). The elimination half-life of ¹⁴C-lodoxamide was estimated from urinary excretion data to be 8.5 hours.

The administration of LOMIDE Eye Drops to twelve healthy adult volunteers (one drop in each eye four times per day for ten days) resulted in only 3 plasma samples (from a total of 108) with detectable levels of lodoxamide (level of detection 2.5 ng/mL). It is, therefore, possible that minute amounts of lodoxamide might be absorbed systemically in some patients.

Indications

LOMIDE Eye Drops 0.1% are indicated in the treatment of non-infectious allergic conjunctivitis (vernal conjunctivitis, giant papillary conjunctivitis and seasonal allergic conjunctivitis). The aetiological factors are unknown, but common airborne allergens and contact lenses have been implicated. Lodoxamide trometamol may be effective against other ocular diseases where Type-I, IgE-mediated hypersensitivity (or mast cells) play a major role in the inflammatory process.

Prophylactic use of LOMIDE Eye Drops will assist in minimising the allergic symptoms associated with Seasonal Allergic Conjunctivitis.

Dosage and Administration

Adults and children of 4 years and older

One drop in each eye four times a day at regular intervals.

Patients should be advised that the effect of therapy with LOMIDE Eye Drops is dependent upon its administration at regular intervals, as directed.

Improvements in signs and symptoms in response to therapy with LOMIDE Eye Drops (decreased discomfort, itching, foreign body sensation, photophobia, acute ocular pain, tearing, discharge, erythema/swelling, conjunctival redness, limbal reaction, epithelial disease, ptosis) are usually evident within a few days, however, longer treatment for up to four weeks is sometimes required. Further, continued treatment may result in ongoing improvement in signs and symptoms for at least 3 months. Once symptomatic improvement has been established, therapy should be continued for as long as needed to sustain improvement.

Patients should be advised to wait 10 minutes after instilling LOMIDE Eye Drops before instilling any other eye drops.

Use in the Elderly

There are no special precautions required for prescribing LOMIDE Eye Drops for the elderly.

Concomitant Therapy

Corticosteroids may be used concomitantly with LOMIDE Eye Drops.

Contraindications

Hypersensitivity to Iodoxamide or any excipient.

Warnings and Precautions

LOMIDE Eye Drops are not for injection.

Patients should be advised that effect of therapy with LOMIDE Eye Drops is dependent upon administration at regular intervals. The recommended frequency of administration should not be exceeded. Patients should also be advised that instillation of eye drops may cause discomfort initially and that this will decline with improvement of the symptoms (see ADVERSE EFFECTS).

If patients continue to wear soft (hydrophilic) contact lenses while under treatment with LOMIDE Eye Drops, they should remove their lens(es) prior to instilling LOMIDE Eye Drops in the affected eye(s) and should not insert their lens(es) until 15 minutes after instillation of the eye drops.

LOMIDE Eye Drops should not affect a patient's ability to drive or to use machinery.

Use in Pregnancy

CATEGORY B1

Reproduction studies with Iodoxamide trometamol administered orally to rats and rabbits in doses of 100 mg/kg/day produced no evidence of developmental toxicity. There are, however, no well-controlled studies in pregnant women. Because animal reproductive studies are not always predictive of human response, LOMIDE Eye Drops should only be used in pregnancy if clearly needed.

Use in Lactation

It is not known whether topically applied Iodoxamide is excreted in human milk. Since many drugs are excreted in human milk, caution should be exercised if LOMIDE Eye Drops are administered to a breast-feeding woman.

Carcinogenicity, mutagenicity, impairment of fertility

A long-term study with Iodoxamide trometamol in rats (two-year oral administration) showed no neoplastic or tumorigenic effects at doses up to 100 mg/kg/day (more than 5000 times the proposed human clinical dose). No evidence of mutagenicity or genetic damage was seen in assays for gene mutations and chromosomal damage. In the BALB/c-3T3 Cells Transformation Assay, some increase in the number of transformed foci was seen at high concentrations.

No evidence of impairment of reproductive function was shown in laboratory animal studies.

Adverse Effects

During clinical studies of LOMIDE Eye Drops, the most frequently reported ocular adverse experiences were transient burning, stinging, or discomfort upon instillation, which occurred in 13% of patients. Other ocular events occurring in 1 to 3.5% of the patients included ocular pruritus (3.5%), blurred vision (1.8%), lid margin crusting (1.6%), dry eye (1.3%), tearing (1.2%) and hyperaemia (1.2%).

Events that occurred in less than 1% of the patients included foreign body sensation, ocular pain, discharge, ocular oedema, ocular fatigue, ocular warming sensation, lid oedema, chemosis, anterior chamber cells, epitheliopathy, keratopathy/keratitis, blepharitis, sticky sensation, corneal erosion, dim vision, corneal abrasion and allergy.

Non-ocular events are rare and reported at incidences less than 0.5%; these included a temporary warm sensation, headache, nausea, stomach discomfort, dizziness, somnolence, dry nose, sneezing and rash.

Interactions

No specific drug interaction studies, either with ophthalmic or systemic medications, have been conducted. Limited concomitant medications, however, were permitted during the clinical studies and no interactions were observed. Concomitant medications included: corticosteroids (systemic and ophthalmic), naphazoline, antazoline, ketorolac, ciprofloxacin, gentamicin, sulfacetamide, tetracycline, tobramycin, timolol and dipivefrine.

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Overdosage

In the event of a topical overdose, flush from the eye with running water. Accidental overdose of an oral preparation of 120 to 180 mg of lodoxamide resulted in temporary sensation of warmth, profuse sweating, diarrhoea, light-headedness and a feeling of stomach distension; no permanent adverse effects were observed. Consideration may be given by the physician to emesis in the event of accidental ingestion.

Pharmaceutical Precautions

Store below 25°C. Do not freeze.

Medicine Classification

Pharmacy Only Medicine.

Package Quantities

10 mL DROP-TAINER® dispenser.

Further Information

Chemical names

Lodoxamide: N,N'-(2-Chloro-5-cyano-m-phenylene)dioxamic acid.

Trometamol: 2-amino-2-(hydroxymethyl)-1,3-propanediol.

CAS Registry Number: CAS-63610-09-3.

Excipients: mannitol, hypromellose, sodium citrate, citric acid, tyloxapol, disodium edetate and purified water.

Consumer Product Information is supplied with this product.

Name and Address

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Date of Preparation

16 August 2010

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