OLOPATADINE (Ophthalmic)

Category

Antihistaminic (H 1-receptor), ophthalmic; mast cell stabilizer, ophthalmic; antiallergic, ophthalmic.

Indications

Accepted

Conjunctivitis, allergic (treatment)¾Ophthalmic olopatadine is indicated for temporary prevention of itching of the eye due to allergic conjunctivitis 1.

Precautions to Consider

Carcinogenicity

Studies in mice and rats given oral doses of olopatadine of up to 500 and 200 mg per kg of body weight (mg/kg) per day, respectively, which (based on a 40 microliter drop size) were 78,125 and 31,250 times, respectively, the maximum recommended ocular human dose (MROHD), found no evidence of carcinogenicity 1.

Mutagenicity

Olopatadine was not found to be mutagenic in an in vitro bacterial reverse mutation (Ames) test, an in vitro mammalian chromosome aberration assay, or an in vivo mouse micronucleus test 1.

Pregnancy/Reproduction

Fertility¾Studies in male and female rats given oral doses of olopatadine that were 62,500 times the MROHD level found a slight decrease in the fertility index and a reduced implantation rate. No effects on fertility were observed at doses of 7800 times the MROHD. 1

Pregnancy¾Adequate and well-controlled studies in humans have not been done 1.

Olopatadine was not found to be teratogenic in rats or rabbits. However, studies in rats given doses of 600 mg/kg per day (93,750 times the MROHD) and in rabbits given doses of 400 mg/kg per day (62,500 times the MROHD) during organogenesis resulted in a decrease in live fetuses. 1

It is recommended that risk-benefit be considered before using olopatadine during pregnancy 1.

FDA Pregnancy Category C 1.

Breast-feeding

It is not known whether ophthalmic olopatadine is absorbed in sufficient quantities to be distributed into human breast milk 1.

However, it has been found in the milk of nursing rats following oral administration 1.

Pediatrics

Safety and efficacy in children up to 3 years of age have not been established 1.

Geriatrics

No information is available on the relationship of age to the effects of ophthalmic olopatadine in geriatric patients.

Medical considerations/Contraindications

The medical considerations/contraindications included have been selected on the basis of their potential clinical significance (reasons given in parentheses where appropriate)¾ not necessarily inclusive (>> = major clinical significance).
Except under special circumstances, this medication should not be used when the following medical problem exists

>> Sensitivity to olopatadine 1

Risk-benefit should be considered when the following medical problem exists

Sensitivity to benzalkonium chloride

Side/Adverse Effects

The following side/adverse effects have been selected on the basis of their potential clinical significance (possible signs and symptoms in parentheses where appropriate)¾not necessarily inclusive:
Those indicating need for medical attention only if they continue or are bothersome

Incidence more frequent

Headache 1¾7%
Incidence less frequent¾Less than 5% 1

Asthenia 1 (unusual tiredness or weakness); burning, dryness, itching, or stinging of the eye 1; change in taste 1; cold-like symptoms, such as sore throat and runny nose 1; feeling of something in the eye 1; hyperemia 1 (redness of eye or inside of eyelid); keratitis 1 (eye redness, irritation, or pain); lid edema 1 (swelling of eyelid); pharyngitis 1 (sore throat); rhinitis 1 (stuffy or runny nose); sinusitis 1 (headache or runny nose)

Patient Consultation

As an aid to patient consultation, refer to Advice for the Patient, Olopatadine (Ophthalmic)¾Introductory Version .
In providing consultation, consider emphasizing the following selected information (>> = major clinical significance):

Before using this medication

>> Conditions affecting use, especially:

Sensitivity to olopatadine
Pregnancy¾Risk-benefit should be considered
Proper use of this medication

Removing contact lenses prior to administration; waiting at least 15 minutes after administration before reinserting lenses

>> Proper administration; using a second drop if necessary; not touching applicator tip to any surface; keeping container tightly closed

>> Proper dosing
Missed dose: Using as soon as possible; not using if almost time for next dose; using next dose at regularly scheduled time; not doubling doses
>> Proper storage
Precautions while using this medication

>> Checking with physician if symptoms do not improve or if condition worsens

Side/adverse effects

Signs of potential side effects

General Dosing Information

Olopatadine contains benzalkonium chloride, which may be absorbed by contact lenses. Contact lenses should be removed prior to administration of olopatadine. Lenses may be reinserted 15 minutes after administration.
Although some manufacturers recommend a dose of 2 drops of an ophthalmic solution at appropriate intervals, the conjunctival sac usually will hold 1 drop or less.

Ophthalmic Dosage Forms

Note: The dosing and strength of the dosage form available are expressed in terms of olopatadine base.

OLOPATADINE HYDROCHLORIDE OPHTHALMIC SOLUTION

Usual adult and adolescent dose

Allergic conjunctivitis¾
Topical, to the conjunctiva, 1 drop in each affected eye two times a day, separated by an interval of at least six to eight hours 1.

Usual pediatric dose

Allergic conjunctivis¾
Children up to 3 years of age: Safety and efficacy have not been established 1.

Children 3 years of age and older: See Usual adult and adolescent dose .

Strength(s) usually available

U.S.¾0.1% (1 mg olopatadine [base] per mL) (Rx)[Patanol 1 (benzalkonium chloride 0.01%) (dibasic sodium phosphate) (sodium chloride) (hydrochloric acid/sodium hydroxide) (purified water)]

Packaging and storage:

Store between 4 and 30 °C (39 and 86 °F) 1.

Auxiliary labeling:

· For the eye.

References

1 Patanol package insert (Alcon¾US), Rev 12/96, Rec 6/23/97.

2 Canada JR, editor. USP dictionary of USAN and international drug names 1998. Rockville, MD: The United States Pharmacopeial Convention, Inc; 1997. p. 527.