

# Oloptik/ Oloptik Forte (Olopatadine HCl)

Sterile  
Ophthalmic  
Solution

جراثیم سے پاک  
اولوپٹک / اولوپٹک فورٹ  
آنکھوں کے قطرے  
(اولوپٹاڈین ایچ سی ایل)

## COMPOSITION

### Oloptik Sterile Ophthalmic Solution

Each ml contains:

Olopatadine (as Hydrochloride).....1mg

(USP Specifications)

### Oloptik-Forte Sterile Ophthalmic Solution

Each ml contains:

Olopatadine (as Hydrochloride).....2mg

(USP Specifications)

## DESCRIPTION

Oloptik / Oloptik Forte Eye Drops contains Olopatadine. Olopatadine is in a class of medications called antihistamines. Olopatadine Hydrochloride is the hydrochloride salt form of olopatadine, a dual action selective histamine H1 receptor antagonist and mast cell stabilizer with anti-allergic activity.

## MODE OF ACTION:

Olopatadine stabilizes mast cells and prevents histamine release from mast cells. In addition, this agent also blocks histamine H1 receptors, thereby preventing histamine from binding to these receptors. Both actions prevent the effects of histamine on capillaries, bronchial smooth muscle, and gastrointestinal (GI) smooth muscle, including histamine-induced vasodilation, increased capillary permeability, bronchoconstriction, and spasmodic contraction of GI smooth muscle. This drug also prevents histamine-induced pain and itching of mucous membranes.

## INDICATIONS:

For the treatment of allergic conjunctivitis.

## DOSAGE AND ADMINISTRATION:

The recommended therapy of Oloptik Eye Drops is one drop in the affected eye(s) twice a day at an interval of 6-8 hours or as directed by the ophthalmologist.

The recommended therapy of Oloptik -Forte Eye Drops is one drop in the affected eye(s) once a day or as directed by the ophthalmologist.

## PHARMACOKINETICS:

Following topical ocular administration in humans, Olopatadine was shown to have low systemic exposure. Two studies in normal volunteers (totalling 24 subjects) dosed bilaterally with Olopatadine 0.15% ophthalmic solution once every 12 hours for two weeks demonstrated plasma concentrations to be generally below the quantitation limit of the assay (<0.5 ng/ml). Samples in which Olopatadine was quantifiable were typically found within 2 hours of dosing and ranged from 0.5 to 1.3 ng/ml. The half-life in plasma was approximately 8-12 hours and elimination was predominantly through renal excretion. Approximately 60-70 % of the dose was recovered in the urine as parent drug. Two metabolites, the mono-desmethyl and the N-oxide, were detected at low concentrations in the urine.

## PHARMACODYNAMICS:

Olopatadine is an anti-allergic compound which has been demonstrated to stabilize human conjunctival tissue mast cells, preventing the release of histamine and other inflammatory mediators. Olopatadine is a selective histamine H<sub>1</sub>-antagonist (K<sub>i</sub> values for Histamine H<sub>1</sub>, H<sub>2</sub> and H<sub>3</sub> receptors were 32 nM, 100 (M and 79 (M, respectively) that inhibits Type I immediate hypersensitivity reactions. It has been shown to inhibit the release of pro-inflammatory mediators from human conjunctival mast and epithelial cells. Olopatadine has no significant effects on alpha-adrenergic, dopamine and muscarinic Type 1 and 2 receptors.

## PRECAUTIONS:

### • Carcinogenesis, Mutagenesis, Impairment of Fertility:

(Olopatadine administered orally was not carcinogenic in mice and rats in doses up to 500 mg/kg/day and 200mg/kg/day, respectively. Based on a 40µL drop size, these doses were 78,125 and 31,250 times higher than the maximum recommended ocular human dose (MROHD) no mutagenic potential was observed when Olopatadine was tested in an in vitro bacterial reverse mutation (Ames) test, an in vitro mammalian chromosome aberration assay or an in vivo mouse micronucleus test. Olopatadine administered to male and female rats at oral doses of 62,500 times MROHD level resulted in a slight decrease in the fertility index and reduced implantation rate; no effects on reproductive function were observed at doses of, 7,800 times the maximum recommended ocular human use level.

### • Pregnancy:

Pregnancy Category C Olopatadine was found, not to be teratogenic in rats and rabbits. However, rats treated at 600 mg/kg/day, or 93,750 times the MROHD and rabbits treated at 400 mg/kg/day, or 62,500 times the MROHD, during organogenesis showed a decrease in live fetuses. There are, however, no adequate and well controlled studies in pregnant women. Because animal studies are not always predictive of human responses, this drug should be used in pregnant women only if the potential benefit to the mother justifies the potential risk to the embryo or fetus.

### • Nursing Mothers:

Olopatadine has been identified in the milk of nursing rats following oral administration. It is not known whether topical ocular administration could result in sufficient systemic absorption to produce detectable quantities in the human breast milk. Nevertheless, caution should be exercised when Oloptik & Oloptik Forte Eye Drops 0.1 % & 0.2% is administered to a nursing mother.

### • Pediatric Use:

Safety and effectiveness in pediatric patients below the age of 3 years have not been established.

### • Geriatric Use:

No overall differences in safety or effectiveness have been observed between elderly and younger patients.

## WARNING:

For topical ocular use only. Not for injection or oral use.

## INSTRUCTION FOR PATIENTS:

Oloptik & Oloptik Forte Eye Drops contains the preservative benzalkonium chloride, which may be deposited in soft (hydrophilic) contact lenses. Patients who wear soft contact lenses should remove their lenses prior to instilling Oloptik & Oloptik Forte Eye Drops and should not reinsert their lenses, until 10 minutes after instillation of the eye drops. To prevent contaminating the dropper tip and solution, care should be taken not to touch the eyelids or surrounding areas with the dropper tip of the bottle. The bottle should be tightly closed when not in use. Each bottle of Oloptik & Oloptik Forte Eye Drops should be discarded within 4 weeks of opening.

## ADVERSE EFFECTS:

Headaches have been reported at an incidence of 7 %. The following adverse experiences have been reported in less than 5 % of patients: asthenia, blurred vision, burning or stinging, cold syndrome, dry eye, foreign body sensation, hyperemia, hypersensitivity, keratitis, lid edema, nausea, pharyngitis, pruritus, rhinitis, sinusitis and taste perversion. Some of these events are similar to the underlying disease being studied.

## OVERDOSAGE:

No specific ocular side effects are known for a topical over dosage of Oloptik & Oloptik Forte Eye Drops. Results from studies indicated that topical ocular administration resulted in very low systemic concentrations. Multiple oral doses that resulted, in plasma concentrations at least 2 to 3 orders of magnitude greater than those from topical ocular dosing were well tolerated. A topical over dosage of Oloptik & Oloptik Forte Eye Drops may be flushed from the eye(s) with warm tap water.

If Oloptik & Oloptik Forte Eye Drops are accidentally ingested the following information may be useful.

One bottle contains 5 mg /10 mg of Olopatadine. In single dose oral studies, Olopatadine was well tolerated up to a dose of 360 mg, with rapid absorption and rapid excretion of the parent drug in the urine. Approximately 84 % of the dose was recovered in the urine as parent drug within the first 24 hours. The most often observed side effect was tiredness usually of a mild to moderate nature, although severe tiredness has been reported.

## INTERACTIONS:

Interactions with other medications have not been investigated in vivo. Drug interaction Studies on human liver microsomal preparation have shown that Olopatadine is not an inhibitor of cytochrome P-450 isozymes 1A2, 2C8/9, 2C19, 2D6, 2E1 or 3A1. Oloptik / Oloptik Forte Eye Drops have low drug interaction potential as systemic levels of Olopatadine achieved after ocular dosing are negligible and 60 - 70 % of the drug is excreted unchanged in the urine.

## CONTRAINDICATIONS:

Oloptik & Oloptik Forte Eye Drops are contraindicated in patients hypersensitive to Olopatadine hydrochloride or any other component of the preparation

## STORAGE & INSTRUCTIONS:

Store between 15-25°C. Protect from heat, sunlight, & moisture. Do not freeze. Keep away from the reach of children. Use within one month after first opening the bottle and discard the remaining portion.

Do not touch the dropper tip to any surface as this may contaminate the solution.

To be sold on the prescription of a registered medical practitioner only.

For ophthalmic use only.

## HOW SUPPLIED:

5ml sterile ophthalmic solution in plastic dropper bottle.

خوراک و ہدایات: ڈاکٹر کی ہدایات کے مطابق استعمال

کریں۔ دو کو ۱۵-۲۵ ڈگری سینٹی گریڈ درجہ حرارت کے

درمیان رکھیں۔ دھوپ، گرمی، نمی، اور منجمد ہونے سے

بچائیں۔ بچوں کی پہنچ سے دور رکھیں۔ پہلی دفعہ بوتل

کھولنے کے بعد دو کو ایک ماہ تک استعمال کریں۔

صرف مستند ڈاکٹر کے نسخے کے مطابق فروخت کریں۔

Manufactured by:

**PHARMA SOL**

**PRIVATE LIMITED**

Plot # 549, Sundar Industrial  
Estate, Lahore, Pakistan.