Beporest Eye drops

1. Composition

Bepotastine Besilate 1.5%

2. Dosage form and strength

Beporest is available in pack of 5ml.

3. Clinical particulars

3.1 Therapeutic indication

Beporest eye drops indicated for the treatment of itching associated with signs and symptoms of allergic conjunctivitis.

3.2 Posology and method of administration

As directed by physician.

3.3 Contraindication

Beporest is contraindicated in patients with a history of hypersensitivity reactions to Bepotastine or any of the other ingredients

3.4 Special warnings and precautions for use

- Contamination of Tip and Solution
  - If irritation persists or increases, discontinue the use and consult physician.
  - Do not touch the dropper tip or other dispensing tip to any of surface since this may contaminate the solution.
  - Care should be taken to avoid contamination of solution during use
  - Use the solution within one month after opening the container.
- Contact lens use

Avoid using Beporest while wearing contact lens

3.5 Drug interactions

None are reported.

3.6 Use in special population

- Paediatric: Safety and efficacy of Beporest have not been established in paediatric patients less than 3 years of age.
- Geriatric: No overall differences in safety or effectiveness have been observed between elderly and younger patients.
- Liver impairment: No data available.
- Renal failure: No data available.
- Pregnancy and lactation: There are no available human data for the use of Beporest during pregnancy to inform any drug-associated risks. There are no data on the presence of Beporest in human milk, the effects on the breastfed infant or the effects on milk production.

3.7 Effects on ability to drive and use machine

Patients should be cautioned against engaging in activities requiring complete mental alertness, and motor coordination such as operating machinery until their response to Beporest is known.

3.8 Undesirable effects

The most common reported adverse reaction occurring in approximately 25% of subjects was a mild taste following instillation. Other adverse reactions occurring in 2-5% of subjects were eye irritation, headache, and nasopharyngitis. The hypersensitivity reactions may include itching, body rash, and swelling of lips, tongue and/or throat.

3.9 Overdose

There is limited experience of overdose with Beporest. Initiate general symptomatic and supportive measures in all cases of overdosages where necessary.

4. Pharmacological properties

4.1 Mechanism of action

Bepotastine is a topically active, direct H1-receptor antagonist and an inhibitor of the release of histamine from mast cells.

4.2 Pharmacodynamics properties

Bepotastine is a non-sedating, selective antagonist of the histamine 1 (H1) receptor. It belongs to the second-generation piperidine chemical class. It is a mast cell stabilizer and suppresses the migration of eosinophils into inflamed tissues. Furthermore, bepotastine does not interact with serotonin, muscarinic, benzodiazepine, and beta-adrenergic receptor that would otherwise result in adverse reactions such as dry mouth.

4.3 Pharmacokinetic properties

Absorption

The extent of systemic exposure to bepotastine following topical ophthalmic administration of bepotastine besilate 1% and 1.5% ophthalmic solutions was evaluated in 12 healthy adults. Following one drop of 1% or 1.5% bepotastine besilate ophthalmic solution to both eyes four times daily (QID) for 7 days, bepotastine plasma concentrations peaked at approximately 1 to 2 hours post-instillation. Maximum plasma concentrations for the 1% and 1.5% strengths were 5.1 ± 2.5 ng/mL and 7.3 ± 1.9 ng/mL, respectively. Plasma concentrations at 24 hours post-instillation were below the quantifiable limit (2 ng/mL) in 11/12 subjects in the two dose groups.

Distribution

The extent of protein binding of bepotastine is approximately 55% and independent of bepotastine concentration.

Metabolism

In vitro metabolism studies with human liver microsomes demonstrated that bepotastine is minimally metabolized by CYP450 isozymes. In vitro studies demonstrated that bepotastine besilate does not inhibit the metabolism of various cytochrome P450 substrates via inhibition of CYP3A4, CYP2C9, and CYP2C19. The effect of bepotastine besilate on the metabolism of substrates of CYP1A2, CYP2C8 and CYP2D6 was not studied. Bepotastine besilate has a low potential for drug interaction via inhibition of CYP3A4, CYP2C9, and CYP2C19.

Excretion

The main route of elimination of bepotastine besilate is urinary excretion (with approximately 75-90% excreted unchanged in urine).

5. Nonclinical properties

5.1 Animal Toxicology or Pharmacology

Not required.

6. Description

Already mentioned and covered in the above points.

7. Pharmaceutical particulars

7.1 Incompatibilities

There are no known incompatibilities.

7.2 Shelf-life

24 months.

7.3 Storage and handling instructions

Store in cool and dry place.