Drugfield **PHARMACEUTICALS LIMITED**

SUMMARY OF PRODUCT CHARACTERISTICS (SmPC)

Druphagan® (Brimonidine Tartrate 0.2%$^{w}/_{v}$) Eye drops

1. NAME OF THE MEDICINAL PRODUCT

Druphagan® Eye drops
Brimonidine Tartrate 0.2% $^{w}/_{v}$

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each ml of Druphagan® Eye drops contains Brimonidine Tartrate 2 mg

Excipients:

For full list of excipients, see section 6.1

3. PHARMACEUTICAL FORM

Ophthalmic Liquid (drops)

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Druphagan® is indicated as monotherapy for the lowering of intraocular pressure (IOP) in patients with open-angle glaucoma or ocular hypertension who are known or thought likely to be intolerant of topical beta-blocker therapy and or in whom topical beta-blocker therapy is contraindicated.

Druphagan® may be used as adjunctive therapy when intraocular pressure is not adequately controlled by a topical beta-blocking agent.

4.2 Posology and method of administration

Posology

Pediatric population

No clinical studies have been performed in adolescents (12 to 17 years).

Brimonidine eye drops should not be used in children under 12 years and are contraindicated in neonates and infants (under 2 years of age). It is known that severe adverse reactions can occur in neonates. The safety and efficacy of brimonidine have not been established in children.

Adults including the elderly:

One drop into the affected eye(s) twice daily, approximately 12 hours apart. No dosage adjustment is required in elderly patients.

To reduce possible systemic absorption, it is recommended that the lachrymal sac be compressed at the medial canthus (punctal occlusion) for one minute immediately after the instillation of each drop.

If more than one topical ophthalmic drug is to be administered, they should be instilled 5 to 15 minutes apart.

4.3 Contraindications

- Druphagan® is contraindicated in patients with hypersensitivity to Brimonidine Tartrate or any component of this formulation.
- Druphagan® is contraindicated in patients receiving Monoamine Oxidase (MAO) inhibitors therapy and patients on anti-depressants that affect noradrenergic transmission e.g. tricyclic antidepressants and mianserin.

4.4 Special warnings and precautions for use

- Caution should be exercised in treating patients with severe or unstable and uncontrolled cardiovascular disease.
- Brimonidine Tartrate should be used with caution in patients with depression, cerebral or coronary insufficiency, Reynaud's phenomenon, orthostatic hypotension or thromboangiitis obliterans.
- The preservatives in Brimonidine Tartrate, Benzalkonium Chloride may be absorbed by soft contact lenses. Patients wearing soft (hydrophilic) contact lenses should be instituted to wait at least 15 minutes before inserting soft contact lenses after instilling Druphagan® Eye Drops

4.5 Interaction with other medicinal products and other forms of interaction

After application of Brimonidine Tartrate, clinically insignificant decreases in blood pressure were noted in some patients.
Caution is advised when using drugs such as anti-hypertensive and or cardiac glycosides concomitantly with Brimonidine Tartrate.

4.6 Pregnancy and lactation

Pregnancy

The safety of use during human pregnancy has not been established. In animal studies, Brimonidine Tartrate did not cause any teratogenic effects. In rabbits Brimonidine Tartrate, at plasma levels higher than normal are achieved during therapy In humans, it has been shown to cause increased preimplatation loss and postnatal growth reduction.
Druphagan should be used during pregnancy only if the potential benefit to the mother outweighs the potential risk to the foetus.

Lactation

It is not known if Brimonidine is excreted in human milk. The compound is excreted in the milk of the lactating rat. Druphagan should not be used by women nursing infants.

4.7 Effects on ability to drive and use machines

Brimonidine eye drops may cause fatigue and/or drowsiness which may impair the ability to drive or to use machinery. They may also cause blurred and/or abnormal vision, which may impair the ability to drive or to use machinery, especially at night or in reduced lighting. The patient should wait until these symptoms have cleared before driving or operating machinery.

4.8 Undesirable effects

Ocular allergic reactions such as ocular hyperaemia, ocular burning/stinging. blurring, foreign body sensation, conjunctival follicles, ocular allergic reactions, and ocular pruritus.

Ocular events occurring occasionally include corneal erosion/staining. photophobia, eyelid hyperaemia, ocular ache/pain, ocular dryness, tearing eyelid oedema, conjunctiva oedema, conjunctiva discharge, and conjunctivitis.

4.9 Overdose

There is no experience in adults with the unlikely case of an overdosage via the ophthalmic route. However, symptoms of Brimonidine overdose such as hypotension, bradycardia, hypothermia and apnea have been reported in a few neonates receiving Druphagan as part of medical treatment of congenital glaucoma.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: {Sympathomimetics in glaucoma therapy}, ATC code: {S01E A 05}
Brimonidine is an alpha-adrenoceptor agonist that is 100-fold more selective for the alpha-2 adrenoceptor than the alpha-1 adrenoceptor. This selectivity results in no mydriasis and the absence of vasoconstriction in microvessels associated with human retinal xenografts.

Topical application of Brimonidine Tartrate decreases intraocular pressure (IOP) in humans with minimal effect on cardiovascular or pulmonary parameters.
Brimonidine in Druphagan has a rapid onset of action with peak ocular hypotensive effect seen at two hours post-dosing fluorophotometric studies in animals and humans suggest that Brimonidine Tartrate has a dual mechanism of action. It is thought that Brimonidine may lower ocular pressure by reducing aqueous humor formation and enhancing uveoscleral outflow.

5.2 Pharmacokinetic properties

Pharmacokinetics Properties After ocular administration of a 0.2% solution twice daily for 10days, plasma concentrations were low (mean C was 0.06ng/ml). There was a slight accumulation in the blood after multiple (2 times daily for 10 days) instillations The mean apparent half-life in the systemic circulation was approximately 3 hours in humans after topical dosing. The plasma protein binding of Brimonidine after topical dosing in humans is approximately 29%.
Brimonidine binds reversibly to melanin in ocular tissues; in vitro and in vivo Following 2 weeks of ocular instillation, the concentrations of Brimonidine in iris, cilliary body and choroid-retina were 3 to 17-fold higher than those after a single dose. Accumulation does not occur in the absence of melanin.

5.3 Preclinical safety data

Not applicable

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Hypromellose E4M premium
Sodium chloride
Boric acid
Sodium borate

Benzalkonium chloride 95%
Water for injection

6.2 Incompatibilities

None known

6.3 Shelf life

36 months

6.4 Special precautions for storage

Store below 30^0C, and protect from light and moisture. Replace the cap immediately after use. Discard after 28 days of opening the bottle

6.5 Nature and contents of container <and special equipment for use, administration or implantation>

Druphagan® Eye Drops is supplied in sterile lupolen bottle containing 5ml of the ophthalmic solution in hardboard carton with leaflet enclosed.

6.6 Special precautions for disposal <and other handling>

No special requirements

7. SUPPLIER

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8. DATE OF REVISION OF THE TEXT

06/06/2024