1.6 Product Information

1.6.1 Summary of Product characteristics.

AUROCARPINE (Pilocarpine Nitrate Ophthalmic Solution 0.5%w/v)

1. Name of the medicinal product

AUROCARPINE

2. Qualitative and quantitative composition

Pilocarpine Nitrate 0.5%w/v

For a full list of excipients, see section 6.1.

3. Pharmaceutical form

Eye drops, solution.

Clear, colorless aqueous solution, practically free from visible particles.

4. Clinical particulars

4.1 Therapeutic indications

Pilocarpine is used as a miotic, for reversing the action of weaker mydriatics and in the emergency treatment of glaucoma.

4.2 Posology and method of administration

Adults (including the elderly)

Instill drop wise into the eye according to the recommended dosage.

To induce miosis, one or two drops should be used.

In cases of emergency treatment of acute narrow-angle glaucoma, one drop should be used every five minutes until miosis is achieved.

Paediatric population

Based on the infrequency of reports of adverse events in children, and the extensive experience of use of pilocarpine in childhood glaucoma, concentrations of up to 0.5% may be safely used in children. Currently available data are described in pharmacodynamics properties but no recommendation on a posology can be made.

Treatment should be started with the lowest available dose and concentration in patients under 18 years of age.

Depending on clinical response and tolerability, the dose may be increased up to the maximum recommended adult dosage of the 0.5% Aurocarpine eye drop solution. Directly after administration of any dose, the lacrimal punctum should be occluded for one minute with a finger to limit systemic exposure.

4.3 Contraindications

Conditions where pupillary constriction is undesirable e.g. acute iritis, anterior uveitis and some forms of secondary glaucoma.

Patients with soft contact lenses should not use this preparation.

Hypersensitivity to the active substance or to any of the excipients listed in section 6.1.

4.4 Special warnings and precautions for use

Systemic reactions rarely occur when treating chronic simple glaucoma at normal doses. However, in the treatment of acute closed-angle glaucoma the possibility of systemic reactions must be considered because of the higher doses given. Caution is particularly advised in patients with acute heart failure, bronchial asthma, peptic ulceration, hypertension, urinary tract obstruction, Parkinson's disease and corneal abrasions. Retinal detachments have been caused in susceptible individuals and those with pre-existing retinal disease, therefore, fundus examination is advised in all patients prior to the initiation of therapy.
Patients with chronic glaucoma on long-term pilocarpine therapy should have regular monitoring of intraocular pressure and visual fields. Systemic absorption may be reduced by compressing the lacrimal sac at the medial canthus for one minute during and following the instillation of the drops. (This blocks the passage of the drops via the naso-lacrimal duct to the wide absorptive area of the nasal and pharyngeal mucosa. It is especially advisable in children).

4.5 Interaction with other medicinal products and other forms of interaction
Although clinically not proven, the miotic effects of pilocarpine may be antagonised by long-term topical or systemic corticosteroid therapy, systemic anticholinergics, antihistamines, pethidine, sympathomimetics or tricyclic antidepressants. Concomitant administration of two miotics is not recommended due to inter-drug antagonism and the risk of developing unresponsiveness to both drugs.

4.6 Pregnancy and lactation

Safety for use in pregnancy and lactation has not been established, use only when clearly indicated.

4.7 Effects on ability to drive and use machines

Causes difficulty with dark adaptation, therefore, caution is necessary when night driving and when hazardous tasks are undertaken in poor illumination. May cause accommodation spasm. Patients should be advised not to drive or use machinery if vision is not clear.

4.8 Undesirable effects
Transient symptoms of stinging and burning many occur. Conjuctival vascular congestion and true allergy may occur but are unusual. Ciliary spasm, temporal or supraorbital headache, and induced myopia may occur, all consequent to drug induced contraction of the ciliary muscle. Systemic toxicity following appropriate topical ocular administration is extremely rare.

4.9 Overdose
If accidentally ingested, induce emesis or perform gastric lavage. Observe for signs of toxicity (salivation, lacrimation, sweating, bronchial spasm, cyanosis, nausea, vomiting and diarrhea).

5. Pharmacological properties
5.1 Pharmacodynamic properties
Pharmacotherapeutic group: Parasympathomimetics, ATC - code: **S01EB01**
Mechanism of action
Pilocarpine is a drug that acts as a muscarinic receptor agonist. It acts on a subtype of muscarinic receptor (M3) found on the iris sphincter muscle, causing the muscle to contract - resulting in pupil

constriction (miosis). Pilocarpine also acts on the ciliary muscle and causes it to contract. When the ciliary muscle contracts, it opens the trabecular meshwork through increased tension on the scleral spur. This action facilitates the rate that aqueous humor leaves the eye to decrease intraocular pressure. Paradoxically, when pilocarpine induces this ciliary muscle contraction (known as an accommodative spasm) it causes the eye's lens to thicken and move forward within the eye. This movement causes the iris (which is located immediately in front of the lens) to also move forward, narrowing the Anterior chamber angle. Narrowing of the anterior chamber angle increases the risk of increased intraocular pressure.

Pharmacodynamic effects

Pilocarpine is a direct acting parasympathomimetic drug. It duplicates the muscarinic effect of acetyl choline, but not its nicotinic effects. Consequently, pilocarpine stimulates the smooth muscle and secretary glands but does not affect the striated muscle.

Clinical efficacy and safety

There are no preclinical data of relevance to the prescriber which are additional to that already included in other sections of the SPC.

Paediatric Population:

There are literature reports of the ocular use of pilocarpine in concentrations up to 0.5% in patients aged 1 month and older. However, information on the dose and strength used is limited. Safety data do not suggest any significant safety issues in children, or any difference between the safety profiles of pilocarpine in children and adults.

5.2 Pharmacokinetic properties

Pilocarpine has a low ocular bioavailability when topically applied and this has been attributed to extensive pre-corneal drug loss in conjunction with the resistance to normal corneal penetration. Further, pilocarpine appears to bind to the eye pigments from which it is gradually released to the muscles.

Inactivation of pilocarpine in the eye is thought to occur by a hydrolyzing enzyme. The amount of this enzyme is not changed by the prolonged use of pilocarpine by glaucoma patients, nor is it changed in patients poorly controlled by glaucoma therapy.

5.3 Preclinical safety data

There are no preclinical data of relevance to the prescriber which are additional to that already included in other sections of the SPC.

6. Pharmaceutical particulars

6.1 List of excipients

Calcium Chloride 2 H_2O BP
Potassium Chloride BP
Sodium Chloride BP
Purified water BP q.s

6.2 Incompatibilities

None known.

6.3 Shelf life

24months unopened.

Discard 28 days after first opening.

6.4 Special precautions for storage
Do not store above 30°C.

6.5 Nature and contents of container
1ml filled in Amber glass vial with grey bromo butyl rubber wad and Flip off seals.

6.6 Special precautions for disposal and other handling
There is no special requirement for disposal.
Any unused product or waste material should be disposed of in accordance with local requirements.

7. Marketing authorisation holder
Aurolab, No.1,
Sivagangai Main road,
Veerapanjan,
Madurai - 625020,
India.

8. Marketing authorisation number(s)

TN00002387

9. Date of first authorisation/renewal of the authorisation

21-08-2021

10. Date of revision of the text

23-01-2022