PRODUCT INFORMATION
MINIMS® PILOCARPINE EYE DROPS

NAME OF THE MEDICINE
Pilocarpine Nitrate

Chemical structure:

![Chemical structure of Pilocarpine Nitrate]

Chemical name: (3S, 4R)-3-ethyl-4-[(1-methyl-1H-imidazol-5-yl)methyl]-dihydro-3H-furan-2-one nitrate.

Molecular formula: C_{11}H_{16}N_{2}O_{2}HNO_{3}

Molecular weight: 271.3

CAS number: 148-72-1

DESCRIPTION
Pilocarpine Nitrate is a white crystalline powder or colourless crystals, sensitive to light, freely soluble in water, sparingly soluble in alcohol, practically insoluble in ether. It melts at about 174°C with decomposition.

Minims Pilocarpine Nitrate Eye Drops are single-use, clear, colourless sterile ophthalmic solutions containing 2% pilocarpine nitrate and purified water. No preservatives are included in the formulation.

PHARMACOLOGY
Pilocarpine is a miotic: its action commences a few minutes after instillation and continues for about six hours. Although not as active as physostigmine, it does have the important advantage that there is an absence of pain and the miotic action is of shorter duration.

Pilocarpine is a tertiary amine direct-acting parasympathomimetic agent with primarily the muscarinic effects of acetylcholine. It is mainly used as a miotic in the treatment of glaucoma and in ophthalmological procedures.

It is much better pharmacologically to reverse mydriasis by using a drug that acts on the same muscle as the mydriatic. If an antimuscarinic has been used which paralyses the sphincter pupillae muscle, then ideally pilocarpine should be used. Pilocarpine is adequate only against the weaker mydriatics such as tropicamide and phenylephrine. Miotics should return the size of the pupil to normal, have a length of action of the same order but slightly longer than the mydriatic and not cause any local or systemic toxicity.
Pilocarpine acts directly on the muscarinic receptors on the smooth muscle of the sphincter pupillae and its action is independent of a functioning sympathetic nerve supply. Following the use of eye drops, miosis occurs in 10 to 30 minutes and lasts 4 to 8 hours while peak reduction in intra-ocular pressure occurs in 75 minutes and the reduction usually lasts for 4 to 14 hours.

Glaucma: Pilocarpine may be used when miotics are required to reduce intra-ocular pressure in the treatment of open-angle glaucoma and is commonly administered with topical beta-blockers or adrenergic agents. Chronic open-angle glaucoma is the commonest form and is due to blockage in drainage through the trabecular meshwork. Intra-ocular pressure increases gradually, and the condition is usually asymptomatic until well advanced and severe damage has occurred. Usually both eyes are affected. Risk factors include old age, diabetes, a family history and myopia.

INDICATIONS

Chronic glaucoma and a miotic for reversing the effects of the weaker mydriatics and in emergency treatment of glaucoma.

CONTRAINDICATIONS

Miotics are contraindicated in conditions where pupillary constriction is undesirable such as acute iritis, acute uveitis, anterior uveitis and some forms of secondary glaucoma.

Hypersensitivity to pilocarpine nitrate.

Retinal detachment; past history of retinal detachment or conditions that predispose to retinal detachment.

PRECAUTIONS

If possible, treatment with long-acting miotics should be discontinued before surgery on the eye as there is an increased risk of hyphaemia.

Miotics should not be used by patients wearing soft contact lenses.

Pilocarpine induces spasm of the ciliary muscle which may last up to two hours. Topical miotics may precipitate bronchospasm in susceptible patients.

Pilocarpine is readily absorbed through the conjunctiva. Systemic absorption may be reduced by compressing the lacrimal sac at the medial canthus for a minute during and following instillation of drops. This blocks the passage of the drops via the naso-lacrimal duct to wide absorptive area of the nasal and pharyngeal mucosa. It is especially advisable in children.

Pilocarpine may cause transient blurring of vision on instillation. Patients should be warned not to drive or operate hazardous machinery unless vision is clear.

Pilocarpine may also cause difficulty with dark adaptation and caution is necessary with driving or performing hazardous tasks in poor illumination.
Use in pregnancy and lactation
Safety for use in pregnancy and lactation has not been established, therefore, use only when considered essential by the physician.

INTERACTIONS WITH OTHER MEDICINES

Belladonna alkaloids or cyclopentolate used ophthalmically may interfere with the miotic effects of pilocarpine and may have their own mydriatic effects reduced. This latter effect may be used to therapeutic advantage.

ADVERSE EFFECTS

Following ocular administration pilocarpine is usually better tolerated than the anticholinesterases but in common with other miotics may produce ciliary spasm, ocular pain and irritation, blurred vision, lachrymation, myopia, and browache. Conjunctival vascular block has been reported. Lens opacities have occurred following prolonged use. Treatment with miotics should be stopped if symptoms of systemic toxicity develop.

Systemic adverse effects after the ophthalmic use of pilocarpine are thought to be rare and reports of toxicity appear to involve elderly patients treated for acute angle-closure glaucoma prior to surgery and who received 2 to 5 times the usual daily dose of pilocarpine in a few hours.

DOSAGE AND ADMINISTRATION

Miotics are normally administered at the end of an ophthalmological examination while mydriatics are given at the beginning.

Systemic absorption may be reduced by compressing the lacrimal sac at the medial canthus for a minute during and following instillation of drops. It is especially advisable when administering pilocarpine to children.

Adults (including the elderly) and children

Miosis: To induce miosis 1 or 2 drops should be used.

Glaucoma: In cases of emergency treatment of acute narrow angle glaucoma, 1 drop should be used every 5 minutes until miosis is achieved.

Each Minims unit should be discharged after a single use.

OVERDOSE

Should accidental overdosage in the eye(s) occur, flush eye(s) with water or normal saline. If accidentally ingested, induce emesis or perform gastric lavage. Observe patients for signs of pilocarpine toxicity ie. salivation, lachrimation, sweating, nausea, vomiting and diarrhoea. If these occur, therapy with anticholinergics (atropine) may be necessary. Bronchial constriction may occur in asthmatic patients.
PRESENTATION AND STORAGE CONDITIONS

Presentation: Minims Pilocarpine Eye Drops are supplied as a clear colourless sterile eye drops in a single use polypropylene tube (unit) overwrapped in a polyester/paper blister. The blisters are packed in cartons of 20 units. Each unit contains approximately 0.5mL solution.

Storage: Store at 2°C to 8°C. (Refrigerate. Do not freeze.) Do not expose to strong light.

NAME AND ADDRESS OF THE SPONSOR

iNova Pharmaceuticals (Australia) Pty Ltd
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POISONS SCHEDULE OF THE MEDICINE

S4 - Prescription Only Medicine

DATE OF FIRST INCLUSION IN THE AUSTRALIAN REGISTER OF THERAPEUTIC GOODS (the ARTG)

4 August 1999

DATE OF MOST RECENT AMENDMENT
16 September 2015