# NEW ZEALAND DATA SHEET

## 1. PRODUCT NAME

ISOPTO® CARPINE pilocarpine hydrochloride eye drops 1%

ISOPTO® CARPINE pilocarpine hydrochloride eye drops 2%

ISOPTO® CARPINE pilocarpine hydrochloride eye drops 4%

## 2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each mL of Isopto Carpine contains the active ingredient pilocarpine hydrochloride 1%, 2% or 4%.

Excipient with known effect

Benzalkonium chloride is included as a preservative.

For the full list of excipients, see section 6.1.

## 3. PHARMACEUTICAL FORM

Sterile eye drop solution.

## 4. CLINICAL PARTICULARS

### 4.1 Therapeutic indications

Pilocarpine hydrochloride is a miotic (parasympathomimetic) used to control intraocular pressure. It may be used in combination with other miotics, beta blockers, carbonic anhydrase inhibitors, sympathomimetics, or hyperosmotic agents.

### 4.2 Dose and method of administration

Instill two drops topically in the eye(s) up to three or four times daily. Under selected conditions, more frequent instillations may be indicated. Individuals with heavily pigmented irides may require larger doses.

Method of administration

Isopto Carpine is for ocular use.

Discard eye drops four weeks after opening.

### 4.3 Contraindications

Miotics are contraindicated in conditions where papillary constriction is undesirable such as in acute iritis or anterior uveitis and in pupillary block glaucoma.

Hypersensitivity to any of the components listed under section 6.1 List of excipients

### 4.4 Special warnings and precautions for use

For topical use only. NOT FOR INJECTION.

Information for Patients

Retinal detachment has been reported when miotics are used in susceptible individuals, such as young patients with myopia or patients with history of retinal detachment.

Miotics should be avoided in acute inflammatory diseases of the anterior chamber.

A paradoxical rise in intraocular pressure may be observed in patients with severely

compromised trabecular outflow.

Caution is advised in the presence of corneal or conjunctival damage to avoid excessive penetration which can produce systemic toxicity.

Isopto Carpine should be used with caution in patients with acute cardiac failure, bronchial asthma, peptic ulcer, hyperthyroidism, gastro-intestinal spasms, Parkinson's disease, urinary tract obstruction, recent myocardial infarction, hypertension and hypotension due to the risk of exacerbating these conditions.

Isopto Carpine contains benzalkonium chloride which may cause eye irritation and is known to discolour soft contact lenses. Avoid contact with soft contact lenses. If patients continue to wear soft (hydrophilic) contact lenses while under treatment with Isopto Carbine, they should remove their lens (es) prior to instilling Isopto Carpine in the affected eye(s) and should not replace their lens (es) until 15 minutes after instillation of the eye drops.

Nasolacrimal occlusion or gently closing the eyelid after administration is recommended. This may reduce the systemic absorption of medicinal products administered via the ocular route and result in a decrease in systemic adverse reactions.

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

### 4.5 Interaction with other medicines and other forms of interaction

Unknown.

### 4.6 Fertility, pregnancy and lactation

Pregnancy

Category B3 - There are no, or limited, data of the use of Isopto Carpine in pregnant women. Animal studies have, however, shown harmful effects of systemic pilocarpine exposure with respect to reproductive toxicity in rats. There are no adequate and well controlled studies in pregnant women. As a precautionary measure, it is preferable to avoid the use of Isopto Carpine during pregnancy.

Breast–feeding

It is not known whether this drug is excreted in human milk. However, excretion in breast milk should be expected. There is also no information on the safety of pilocarpine ophthalmic formulations used during breast feeding. However, a risk to the suckling child cannot be excluded. A decision must be made whether to discontinue breast-feeding or to abstain from Isopto Carpine, taking into account the benefit of breast feeding for the child and the benefit of therapy for the woman.

Fertility

Studies have not been performed to evaluate the effect of topical ocular administration of Isopto Carpine on fertility.

This medicine has a boron containing excipient. In animal studies, boron has been shown to cause reduced fertility and embryofoetal development effects, and this appears to be dose related. The relevance of this to humans is uncertain. When used as directed (see section 4.2), the use of this medicine is unlikely to exceed the safety threshold for maximum daily boron exposure.

### 4.7 Effects on ability to drive and use machines

Isopto Carpine has a major influence on the ability to drive and use machines. The miosis

usually causes difficulty in dark adaptation. Patients should be advised to exercise caution in night driving and other hazardous occupations in poor illumination.

### 4.8 Undesirable effects

The following adverse reactions are classified according to the following convention:

Very common (≥1/10), Common (≥ 1/100 to <1/10), Uncommon (≥1/1,000 to <1/100),

Rare (≥1/10,000 to <1/1,000), Very rare (<1/10,000), Not known (cannot be estimated from the available data) according to system organ classes.

Within each frequency-grouping, adverse reactions are presented in order of decreasing seriousness. The adverse reactions have been observed during clinical trials and post-marketing experience with Isopto Carpine.

| | |
|---|---|
| Nervous system disorders: | Very Common (≥ 10%): headache. |
| | Common (≥ 1% to < 10%): dizziness. |
| Eye disorders: | Very Common (≥ 10%): vision blurred. |
| | Common (≥ 1% to < 10%): visual impairment, visual acuity reduced, eye pain, photopsia, vitreous floaters, myodesopsia, eye irritation, ocular hyperaemia. |
| | Uncommon (≥ 0.1% to < 1%): retinal tear, vitreous haemorrhage, eyelid oedema, miosis, vitreous detachment, glare, foreign body sensation in eyes. |
| | Not known: intraocular pressure increased, corneal oedema. |
| Gastrointestinal disorders: | Common (≥ 1% to < 10%): nausea. |
| | Not known: vomiting. |

Transient symptoms of stinging and burning may occur.

Ciliary spasms, conjunctival vascular congestion, temporal or supraorbital headache, and induced myopia may occur. This is especially true in younger individuals who have recently started administration. Reduced visual acuity in poor illumination is frequently experienced by older individuals and individuals with lens opacity. As with all miotics, rare cases of retinal detachment have been reported when used in certain susceptible individuals. Lens opacity may occur with prolonged use of pilocarpine.

Reporting of suspected adverse reactions

Reporting suspected adverse reactions after authorisation of the medicine is important. It allows continued monitoring of the benefit/risk balance of the medicine. Healthcare professionals are asked to report any suspected adverse reactions https://nzphvc.otago.ac.nz/reporting.

### 4.9 Overdose

Systemic toxicity following topical ocular administration of pilocarpine is rare, but occasional patients are peculiarly sensitive and develop sweating and gastrointestinal overactivity following suggested dosage and administration. Overdosage can produce sweating, headache, salivation, syncope, bradycardia, abdominal cramps, nausea, vomiting, diarrhoea, asthma, tremors, slowing of the pulse and hypotension. In moderate overdosage, spontaneous recovery is to be expected and is aided by intravenous fluids to compensate for dehydration. For cases demonstrating severe poisoning, atropine is the pharmacologic antagonist to

pilocarpine.

Treatment of overdose is supportive. A topical ocular overdose of an ophthalmic product containing pilocarpine may be flushed from the eye(s) with warm tap water.

For advice on the management of overdose please contact the National Poisons Centre on 0800 POISON (0800 764766).

# 5. PHARMACOLOGICAL PROPERTIES

## 5.1 Pharmacodynamic properties

Pharmacotherapeutic group: Sensory organ; ophthalmologicals; antiglaucoma preparations and miotics; parasympathomimetics; ATC code: S011EB01.

Mechanism of action

Pilocarpine produces miosis through contraction of the iris sphincter, causing increased tension on the scleral spur and opening of the trabecular mesh work spaces to facilitate outflow of aqueous humor. Outflow resistance is thereby reduced, lowering intraocular pressure.

Pharmacodynamic effects

Pilocarpine is a direct acting cholinergic parasympathomimetic agent which acts through direct stimulation of muscarinic neuro-receptors and smooth muscle such as the iris and secretory glands.

## 5.2 Pharmacokinetic properties

Unknown.

## 5.3 Preclinical safety data

Carcinogenicity

There have been no long-term studies done using pilocarpine in animals to evaluate carcinogenic potential.

# 6. PHARMACEUTICAL PARTICULARS

## 6.1 List of excipients

Benzalkonium chloride 0.01% as a preservative

Hypromellose 0.5% as a vehicle

Boric Acid

Sodium Citrate

Sodium Chloride (present in 1% strength only)

Hydrochloric Acid and/or Sodium Hydroxide (to adjust pH)

Purified Water.

## 6.2 Incompatibilities

Unknown

## 6.3 Shelf life

3 years

### 6.4 Special precautions for storage

Store Isopto Carpine eye drops below 25°C. Keep the container tightly closed. Contents should be discarded four weeks after opening.

### 6.5 Nature and contents of container

15 mL dropper bottle consisting of a low density polyethylene bottle with polypropylene cap.

### 6.6 Special precautions for disposal

No special requirements for disposal.

## 7. MEDICINE SCHEDULE

Prescription Medicine

## 8. SPONSOR

Novartis New Zealand Limited

PO Box 99102

Newmarket

Auckland 1149

New Zealand.

Free Phone: 0800 354 335

## 9. DATE OF FIRST APPROVAL

15 July 2010

## 10. DATE OF REVISION OF THE TEXT

14 September 2021

**SUMMARY TABLE OF CHANGES**

| Section | Summary of Changes |
|---|---|
| 4.6 | Addition of statement on fertility concerns for boron-containing excipients |

® Registered Trademark.