

# **PILOPRESS 2%**

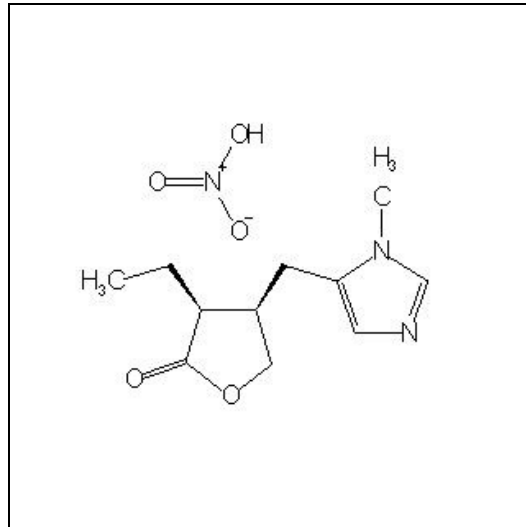
## **Pilocarpine Nitrate Ophthalmic Solution USP**

STERILE EYE DROPS FOR OPHTHALMIC USE

### **DESCRIPTION**

Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] contains pilocarpine nitrate a parasympathomimetic drug. Pilocarpine nitrate is a sterile ophthalmic solution, which is odourless and colourless.

Pilocarpine nitrate has a molecular formula of:  $C_{11}H_{16}N_2O_2 \cdot HNO_3$  and molecular Weight of: 271.27. The structural formula of Pilocarpine nitrate is:



### **COMPOSITION**

Pilocarpine Nitrate IP.....2% w/v  
Chlorbutol IP.....0.5% w/v  
[as preservative]  
Sterile buffered base.....q.s.

### **CLINICAL PHARMACOLOGY**

#### *Mechanism of Action*

Pilocarpine nitrate directly stimulates cholinergic receptors. It produces:

- Contraction of the iris sphincter muscle, resulting in pupillary constriction (miosis)
- Constriction of the ciliary muscle, resulting in increased accommodation
- Reduction in intraocular pressure associated with an increase in the outflow and a decrease in the inflow of aqueous humour.

In chronic open angle glaucoma, the exact mechanism by which miotics lower intraocular pressure is not precisely known. However, contraction of the ciliary muscle apparently opens the intertrabecular spaces and facilitates aqueous humour outflow. There is also a decrease in the rate of inflow of aqueous humour. In angle-closure glaucoma, constriction of the pupil apparently pulls the iris away from the trabeculum, thereby relieving blockage of the trabecular meshwork.

#### *Pharmacokinetics*

Miosis (pupillary constriction) occurs within 10 to 30 minutes and lasts 4 to 8 hours. Intraocular pressure starts decreasing within 75 minutes and persists for 4 to 6 hours.

Following systemic absorption pilocarpine undergoes biotransformation which is thought to occur at the neural synapses and in the plasma. Elimination occurs in the urine, as unchanged pilocarpine and its minimally active or inactive degradation products, such as pilocarpic acid.

### **INDICATIONS**

Pilocarpine nitrate is used to constrict the pupil, to counteract the effect of mydriatic drugs such as atropine and phenylephrine and to decrease the intraocular pressure in the treatment of open-angle glaucoma and closed-angle glaucoma and detachment of the retina. As a miotic, it is only about half as active as physostigmine, and its action is less complete and of shorter duration.

### **DOSAGE & ADMINISTRATION**

Usually 1 or 2 drops of Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] should be instilled in the affected eye[s] two to four times a day.

### **CONTRAINDICATIONS**

- Hypersensitivity to any ingredient including excipients.
- Conditions where pupillary constriction is undesirable (e.g. acute iritis).
- Retinal detachment, past history of retinal detachment or conditions that predispose to retinal detachment.

### **WARNINGS & PRECAUTIONS**

If blurred vision or changes in near or far sight occur, especially at night, patients should exercise caution when involved in night driving or hazardous work in poor light.

It is recommended that intraocular pressure measurements be performed regularly during therapy.

For patients who suffer from bronchial asthma, the risk/benefit should be weighed before prescribing.

To minimise systemic absorption of the active ingredient of these eye drops, pressure should be applied for one minute on the tear duct after application. Hands should be washed immediately after use of the drops to remove traces of the medication from the fingers.

The drops should be discarded no later than 4 weeks after first opening the container.

### **PREGNANCY**

There are no adequate and well-controlled studies in pregnant women. Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus

### **LACTATION**

Pilocarpine nitrate has been detected in human milk following ophthalmic drug administration. Because of the potential for serious adverse reactions from

Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] in nursing infants, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the importance of the drug to the mother.

#### **PEDIATRIC USE**

Appropriate studies on the effects of paediatric dosage have not been performed. However, no paediatric-specific problems have been documented to date.

#### **CARCINOGENICITY, MUTAGENICITY**

No definitive long term animal studies have evaluated the carcinogenic potential of pilocarpine hydrochloride.

#### **DRUG INTERACTIONS**

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

#### **ADVERSE EFFECTS**

- The most frequent adverse effects upon instillation of Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] are: temporary blurred vision, burning, stinging, redness or watering of the eyes, decrease in night vision, eye irritation, headache or browache.
- Less frequent to rare: eye pain
- Adverse reactions associated with systemic absorption include: increased sweating, muscle tremors, nausea, vomiting, diarrhoea, watering of the mouth, difficulty in breathing or wheezing

#### **OVERDOSAGE**

Dilution with water and other fluids is the usual response to accidental or deliberate overdose.

#### **PRESENTATION**

Pilopress 2% [Pilocarpine nitrate Ophthalmic Solution] is available in 5ml bottles.

#### **STORAGE**

- Store below 25°C
- Protect from light
- Do not freeze