AUSTRALIAN PRODUCT INFORMATION – MINIMS® AMETHOCAINE [TETRACAINE (AMETHOCAINE) HYDROCHLORIDE] EYE DROPS

1 NAME OF THE MEDICINE

Tetracaine (Amethocaine) Hydrochloride

2 QUALITATIVE AND QUANTITATIVE COMPOSITION

Minims Amethocaine Eye Drops contain tetracaine hydrochloride 0.5% or 1% w/v. No preservatives are contained in the formulation.

For the full list of excipients, see Section 6.1 List of excipients.

3 PHARMACEUTICAL FORM

A single-use eye drops, solution.

Minims Amethocaine Eye Drops are clear, colourless sterile eye drops reasonably free from visible particulate matter. No preservatives are contained in the formulation.

4 CLINICAL PARTICULARS

4.1 THERAPEUTIC INDICATIONS

Minims Amethocaine Eye Drops are indicated to produce local anaesthesia in the eye

4.2 DOSE AND METHOD OF ADMINISTRATION

Adults and children

One drop as required.

Further drops may be needed to achieve a complete anaesthetic effect; if this is required, instillation must be strictly as recommended and supervised by the treating physician.

Normal corneal sensitivity can be expected after approximately 1 hour. Although unlikely, due to the small volume in each unit dose, systemic absorption of local anaesthetics is rapid from mucosal membranes (see Section 4.9 Overdose). Systemic absorption of tetracaine may be reduced by compressing the lacrimal sac at the medial canthus for a 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.)

Each Minims Amethocaine Eye Drop unit should be discarded after a single use.

4.3 CONTRAINDICATIONS

Minims Amethocaine Eye Drops are contraindicated in patients with hypersensitivity to any of the components of the preparation.

Tetracaine is hydrolysed in the body to p-amino benzoic acid and should therefore not be used in patients being treated with sulphonamides.

In view of the immaturity of the enzyme system that metabolises the ester type of local anaesthetics in premature babies, tetracaine should be avoided in these patients.

Chronic use of local anaesthetic drops to the eye is contraindicated as repeated instillations have been associated with corneal damage; see Section 4.4 Special Warnings and Precautions for Use.

4.4 SPECIAL WARNINGS AND PRECAUTIONS FOR USE

Identified precautions

Minims Amethocaine Eye Drops are for topical ophthalmic application only. The solution should not be injected.

The cornea may be damaged by prolonged or frequent application of anaesthetic eye drops. Prolonged use of topical ophthalmic local anaesthetics has been associated with severe keratitis and permanent corneal opacification and scarring with accompanying reduction of visual acuity or visual loss. To avoid corneal damage, do not exceed the recommended dosage, especially in patients with compromised corneas.

Patients should be warned not to rub or touch the eye while anaesthesia persists. The anaesthetised eye should be protected from dust and bacterial contamination. Tetracaine may give rise to allergic reaction in hypersensitive patients.

On instillation an initial burning sensation may be experienced. This may last for up to 30 seconds.

Systemic toxicity typical of local anaesthetics could occur if sufficient amounts were absorbed systemically (see Section 4.9 Overdose). Systemic absorption of tetracaine may be reduced by compressing the lacrimal sac at the medial canthus for a 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.)

Use in the elderly

Tetracaine should be used with caution in the elderly, as this group is more susceptible to the effects of local anaesthetics

Paediatric use

Tetracaine should be used with caution in children, as this group is more susceptible to the effects of local anaesthetics.

Effects on laboratory tests

No data available.

4.5 INTERACTIONS WITH OTHER MEDICINES AND OTHER FORMS OF INTERACTIONS

Tetracaine is metabolised to p-amino benzoic acid and can antagonise the actions of sulphonamides (see Section 4.3 Contraindications).

Metabolism of local anaesthetics derived from esters may be inhibited by anticholinesterases and thus prolong the effects of tetracaine. Ester-type local anaesthetics may competitively enhance the neuromuscular blocking action of suxamethonium. Avoid tetracaine use until after topical staining.

4.6 FERTILITY, PREGNANCY AND LACTATION

Effects on fertility

Studies have not been performed in either animals or humans to evaluate the potential for impairment of fertility with tetracaine.

Use in pregnancy – Pregnancy Category B2

Safety for use in pregnancy has not been established, therefore, Minims Amethocaine Eye Drops should be used only when considered essential.

Use in lactation.

It is not known whether tetracaine and/or its metabolites are excreted in milk. Safety for use in lactation has not been established, therefore, Minims Amethocaine Eye Drops should be used only when considered essential.

4.7 EFFECTS ON ABILITY TO DRIVE AND USE MACHINES

The eye must be protected until normal sensation has returned, and patients should be warned not to drive or use machines with impaired vision.

4.8 ADVERSE EFFECTS (UNDESIRABLE EFFECTS)

Reporting suspected adverse effects

Reporting suspected adverse reactions after registration of the medicinal product is important. It allows continued monitoring of the benefit-risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions at www.tga.gov.au/reporting-problems.

Local burning and stinging sensation upon instillation. Other adverse reactions, such as blurred vision, keratitis, hyperaemia, lacrimation and allergic conjunctivitis, have been reported.

Superficial punctate keratitis (SPK) may occur due to ineffective tearing.

Severe keratitis (such as diffuse SPK, corneal edema) is uncommon but could occur in an estimated 1/1000 patients. Symptoms may include: grey appearance of cornea, development of folds in Descemet's membrane, hyperaemic conjunctiva, blurred vision, photophobia, lacrimation, ocular pain.

Reactions including toxic epitheliopathy (after frequent short-term application or prolonged application) and contact dermatitis theoretically could occur.

4.9 OVERDOSE

Due to the small volume of each Minims Amethocaine Eye Drop unit, overdose is not expected. However, local anaesthetics are absorbed rapidly from mucosal surfaces and the gastrointestinal tract and systemic toxicities typical of local anaesthetics (CNS, cardiovascular, respiratory) could be expected, though this is rare.

For decontamination after eye exposure the advice is to remove contact lenses and irrigate exposed eyes with copious amounts of room temperature 0.9% saline or water for at least 15 minutes. If irritation, pain, swelling, lacrimation or photophobia persist after 15 minutes of irrigation, an ophthalmologic examination should be performed.

For information on the management of overdose, contact the Poisons Information Centre on 13 11 26 (Australia).

5 PHARMACOLOGICAL PROPERTIES

5.1 PHARMACODYNAMIC PROPERTIES

Mechanism of action

Tetracaine hydrochloride is a local anaesthetic, which acts by reversibly blocking the propagation and conduction of nerve impulses along nerve axons. Tetracaine stabilises the nerve membrane, preventing the increase in sodium permeability necessary for the production of an action potential.

Onset of anaesthesia after instillation into the eye is 10 to 20 seconds, and duration of anaesthesia is 10 to 20 minutes. It has been reported, however, that the 1% solution produces anaesthesia lasting nearly an hour.

Clinical trials

No data available.

5.2 PHARMACOKINETIC PROPERTIES

Absorption

Tetracaine is a weak base (pKa 8.5), therefore, significant changes in the rate of ionised lipid soluble drug uptake may occur with changes in the acid base balance.

In vitro studies have shown that tetracaine has a high affinity for melanin, therefore, differences in duration of action may be expected between deeply pigmented eyes and less pigmented eyes.

Metabolism

The primary site of metabolism for tetracaine is the plasma.

Excretion

Tetracaine is hydrolysed by plasma esterases (pseudocholinesterases) to para-amino benzoic acid and other metabolites and is excreted mainly by the kidneys. Unmetabolised drug is excreted in the urine.

5.3 PRECLINICAL SAFETY DATA

Genotoxicity

Tetracaine was not mutagenic in bacteria in limited studies. No studies to investigate the clastogenic potential of the drug have been performed.

Carcinogenicity

Studies have not been performed in either animals or humans to evaluate the carcinogenic potential of tetracaine.

6 PHARMACEUTICAL PARTICULARS

6.1 LIST OF EXCIPIENTS

Hydrochloric acid and purified water.

6.2 INCOMPATIBILITIES

Incompatibilities were either not assessed or not identified as part of the registration of this medicine.

6.3 SHELF LIFE

In Australia, information on the shelf life can be found on the public summary of the Australian Register of Therapeutic Goods (ARTG). The expiry date can be found on the packaging.

6.4 SPECIAL PRECAUTIONS FOR STORAGE

Store at 2°C to 8°C. (Refrigerate. Do not freeze.). Protect from light.

6.5 NATURE AND CONTENTS OF CONTAINER

Minims Amethocaine Eye Drops are supplied 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 0.5 mL of solution.

Minims Amethocaine Eye Drops are available in two strengths 0.5% (5 mg/mL) and 1% (10 mg/mL)

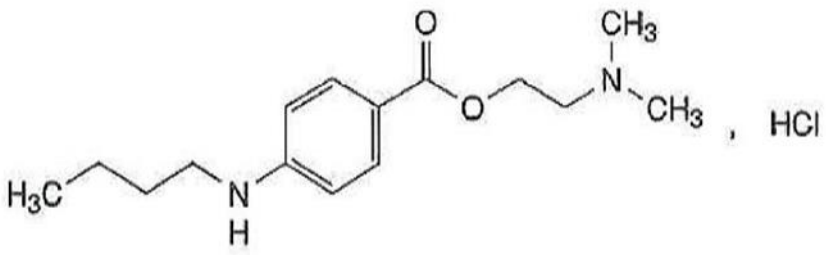
6.6 SPECIAL PRECAUTIONS FOR DISPOSAL

Each Minims unit should be discarded after a single use.

In Australia, any unused medicine or waste material should be disposed of in accordance with local requirements.

6.7 PHYSICOCHEMICAL PROPERTIES

Chemical structure



Chemical name: 2-(dimethylamino)ethyl 4-(butylamino)benzoate hydrochloride

Molecular formula: $C_{15}H_{24}N_2O_2.HCl$

Molecular weight: 300.8

CAS number

136-47-0

7 MEDICINE SCHEDULE (POISONS STANDARD)

S4 – Prescription Only Medicine

8 SPONSOR

Bausch & Lomb (Australia) Pty Ltd

Level 2, 12 Help Street

Chatswood, NSW 2067

9 DATE OF FIRST APPROVAL

21 May 2009

10 DATE OF REVISION

29 April 2020

SUMMARY TABLE OF CHANGES

Section Changed	Summary of new information
All	New PI format
All	Active Ingredient name changed to align with internationally used name