

PRODUCT INFORMATION

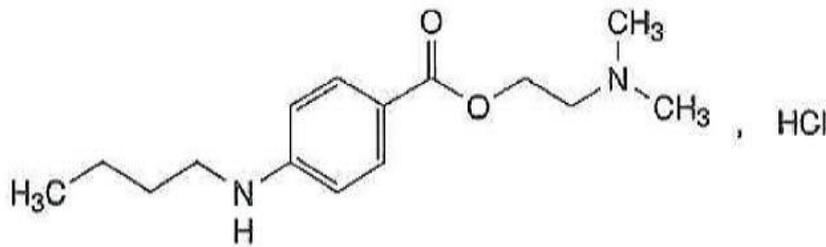
MINIMS[®] AMETHOCAINE EYE DROPS

NAME OF THE MEDICINE

Amethocaine hydrochloride

Synonyms: Tetracaine hydrochloride

Structural formula:



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

Molecular formula: C₁₅H₂₄N₂O₂.HCl

Molecular weight: 300.8

CAS number: 136-47-0

DESCRIPTION

Minims Amethocaine Eye Drops are clear, colourless sterile eye drops reasonably free from visible particulate matter, containing amethocaine hydrochloride 0.5% or 1% w/v as well as hydrochloric acid and purified water. No preservatives are contained in the formulation.

PHARMACOLOGY

Amethocaine hydrochloride is a local anaesthetic, which acts by reversibly blocking the propagation and conduction of nerve impulses along nerve axons. Amethocaine 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.

Pharmacokinetics

Amethocaine is a weak base (pK_a 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 amethocaine has a high affinity for melanin, therefore, differences in duration of action may be expected between deeply

pigmented eyes and less pigmented eyes.

The primary site of metabolism for amethocaine is the plasma. Amethocaine 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.

INDICATIONS

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

CONTRAINDICATIONS

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

Amethocaine 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, amethocaine 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 PRECAUTIONS.

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.

Amethocaine 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 OVERDOSAGE). Systemic absorption of amethocaine 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.)

Effects on fertility

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

Use in 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 amethocaine 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.

Paediatric use

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

Use in the elderly

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

Carcinogenicity

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

Genotoxicity

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

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.

INTERACTIONS WITH OTHER MEDICINES

Amethocaine is metabolised to p-amino benzoic acid and can antagonise the actions of sulphonamides (see CONTRAINDICATIONS).

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

ADVERSE EFFECTS

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.

DOSAGE AND 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 OVERDOSAGE). Systemic absorption of amethocaine 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.

OVERDOSAGE

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.

PRESENTATION AND STORAGE CONDITIONS

Presentation: Minims Amethocaine 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 0.5 mL of solution.

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

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

Each Minims unit should be discarded after a single use.

NAME AND ADDRESS OF THE SPONSOR

iNova Pharmaceuticals (Australia) Pty Ltd
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Chatswood, NSW 2067

POISION SCHEDULE OF THE MEDICINE

S4 – Prescription Only Medicine

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

21 May 2009

DATE OF MOST RECENT AMMENDMENT

16 Sep 2015