1 NAME OF THE MEDICINAL PRODUCT

ALCAINE™ 0.5 % sterile ophthalmic solution

(proparacaine hydrochloride)

2 QUALITATIVE AND QUANTITATIVE COMPOSITION

1 ml of solution contains 5 mg proparacaine hydrochloride.

Preservative : 1 ml of solution contains 0.1 mg benzalkonium chloride.

For the full list of excipients, see section 6.1.

3 PHARMACEUTICAL FORM

Sterile ophthalmic solution.

Clear, colorless to light yellowish / brownish solution.

4 CLINICAL PARTICULARS

4.1 Therapeutic Indications

ALCAINE™ ophthalmic solution contains proparacaine hydrochloride, a local anesthetic.

ALCAINE™ ophthalmic solution is indicated for surface anesthesia in ophthalmologic procedures, such as: tonometric measure of the intraocular pressure, removal of foreign bodies and sutures, conjunctival and corneal scraping, gonioscopic examinations, and other cases where surface anesthesia is indicated.

4.2 Posology and Method of Administration

Posology

Adults

For tonometry and other procedures of short duration, instill 1 or 2 drops just prior to evaluation.

For minor surgical procedures such as foreign body or suture removal, instill 1 to 2 drops every 5 to 10 minutes for 1 to 3 doses.

For prolonged anesthesia as in cataract extraction, instill one or two drops in the eye (s) every 5 to 10 minutes for 3 to 5 doses.

Pediatric population

The safety and efficacy of ALCAINE™ ophthalmic solution in children have not been established.

Use in Elderly Patients

No information is available to suggest dosage adjustment in patients above 65 years of age.

Use in patients with hepatic or renal impairment

The safety and efficacy of ALCAINE™ ophthalmic solution in patients with hepatic or renal impairment have not been established.

Method of administration

For ocular use.

To prevent contamination of the dropper tip and solution, care must be taken not to touch the eyelids, surrounding areas or other surfaces with the dropper tip. Keep the bottle tightly closed when not in use.

After cap is removed, if tamper evident snap collar is loose, remove before using product.

If more than one topical ophthalmic product is being used, the products must be administered at least 5 minutes apart. Eye ointments should be administered last.

4.3 Contraindications

- Hypersensitivity to the active substances or to any of the excipients.

4.4 Special Warnings and Precautions for Use

- Local anesthetics should be used with caution in patients with cardiac disease or hyperthyroidism.
- Prolonged use of a topical ocular anesthetic may diminish duration of anesthesia.
- Prolonged use or abuse may lead to corneal epithelial toxicity and may manifest as epithelial defects which may progress to permanent corneal damage (See Section 4.8).
- Advise patients that, due to the effect of the anesthetic, their eyes will be insensitive and that care should be taken to avoid accidental eye injuries.
- Proparacaine may cause allergic contact dermatitis. Avoid contact of ALCAINE™ ophthalmic solution with the skin.
- ALCAINE™ ophthalmic solution contains benzalkonium chloride which may cause eye irritation and is known to discolour soft contact lenses. Additionally, contact lens wear is not recommended until the anesthetic effect has worn-off.

- ALCAINE™ ophthalmic solution is indicated for administration under the direct supervision of a healthcare provider. ALCAINE™ ophthalmic solution is not intended for patient self-administration.

4.5 Interaction with other Medicinal Products and Other Forms of Interaction

No clinically relevant interactions have been described.

4.6 Fertility, Pregnancy and Lactation

Fertility

Studies have not been performed to evaluate the effect of topical ocular administration of ALCAINE™ ophthalmic solution on fertility.

Pregnancy

There are no data or there is a limited amount of data from the use of ophthalmic proparacaine in pregnant women.

ALCAINE™ ophthalmic solution is not recommended during pregnancy.

Breastfeeding

It is unknown whether topical proparacaine/metabolites are excreted in human milk; however, a risk to the suckling child cannot be excluded. A decision must be made whether to discontinue breast-feeding or to discontinue/abstain from ALCAINE™ ophthalmic solution therapy taking the benefit of breastfeeding for the child and the benefit of therapy for the woman into account.

4.7 Effects on Ability to Drive and Use Machines

Temporary blurred vision or other visual disturbances may affect the ability to drive or use machines. If blurred vision occurs after administration, the patient must wait until the vision clears before driving or using machinery.

4.8 Undesirable Effects

Tabulated list of adverse reactions (Clinical Trials)

Not applicable.

Tabulated list of adverse reactions (Postmarketing Surveillance)

The following adverse reactions have been identified from postmarketing surveillance following administration of ALCAINE™ ophthalmic solution. Frequency cannot be estimated from the available data. Within each System Organ Class, adverse reactions are presented in order of decreasing seriousness.

System Organ Classification	MedDRA Preferred Term (v. 25.1)
Immune system disorders	Hypersensitivity
Nervous system disorders	Syncope, Dizziness
Eye disorders	Corneal erosion, Corneal opacity, Corneal oedema, Keratitis, Vision blurred, Photophobia, Mydriasis, Eye pain, Eye irritation, Eye swelling, Ocular discomfort, Ocular hyperaemia, Lacrimation increased.

Additionally, uncontrolled use or abuse of the product may lead to ocular lesions due to the toxic effects of the anesthetic to the epithelium (See section 4.4).

4.9 Overdose

In the event of overdose or accidental ingestion, systemic effects may manifest as central nervous system (CNS) stimulation and may include nervousness, tremors or convulsions; followed by depression in CNS which may result in loss of consciousness and respiratory depression.

5 PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic Properties

Pharmacotherapeutic group: Local anesthetics, ATC code: S01H A04

Mechanism of action

Proparacaine hydrochloride (proxymethacaine hydrochloride) is a surface anesthetic of the ester-type. The surface anesthetics cause a reversible block of conduction through nerve fibers, leading to local anesthesia. The main site of anesthetic action is the nerve cell membrane where proparacaine interferes with the large transient increase in the membrane permeability to sodium ions that is internally produced by a slight depolarization of the membrane. As the anesthetic action progressively develops in a nerve, the threshold for electrical stimulation gradually increases and the safety factor for conduction decreases; when this action is sufficiently well developed, block of conduction is produced. The exact mechanism by which proparacaine and other local anesthetics influence the permeability of the cell membrane is unknown; however, several studies indicate that local anesthetics may limit sodium ion permeability through the lipid layer of the nerve cell membrane. This limitation prevents the fundamental change necessary for the generation of the action potential.

Pharmacodynamics

Proparacaine solution is a rapid acting local anesthetic suitable for ophthalmic use. The onset of anesthesia usually begins within seconds and lasts a relatively short period of time.

Clinical efficacy and safety

Proparacaine is an established medication.

Pediatric population

The safety and efficacy of ALCAINE™ ophthalmic solution in children have not been established.

5.2 Pharmacokinetic Properties

Absorption

After topical administration, the local and systemic exposure of proparacaine (also known as proxymetacaine) has not been determined. However, quick onset of anesthesia within 30 seconds suggests the compound is rapidly absorbed and persists for a relatively short period of time (about 15-20 minutes).

Distribution

Information on the distribution of proparacaine is not available.

Biotransformation

Proparacaine is hydrolyzed by plasma esterases, and to a much lesser extend in the liver, to PABA metabolite (*p*-aminobenzoic acid).

Elimination

Proparacaine is only given topically. Information on its elimination is not available.

Linearity/non-linearity

The linearity of the pharmacokinetics for proparacaine is not known.

Pharmacokinetic/pharmacodynamic relationship(s)

Since ocular or systemic exposure is not known after topical ocular administration, pharmacokinetic/pharmacodynamics relationships for proparacaine have not been established.

5.3 Preclinical Safety Data

Following topical ocular administration, proparacaine elicited delayed corneal wound healing and corneal epithelial toxicity in rabbits.

6 PHARMACEUTICAL PARTICULARS

6.1 List of Excipients

Benzalkonium chloride, Glycerol, hydrochloric acid and / or sodium hydroxide (to adjusts pH), purified water.

6.2 Incompatibilities

Not applicable.

6.3 Special Precautions for Storage

Store refrigerated between 2°C - 8°C.

Do not use this medicine after the expiry date which is stated on the packaging.

Discard 28 days after first opening.

Keep this medicine out of the sight and reach of children.

6.4 Nature and Contents of Container

DROPTAINER™ dispenser containing 15 ml.

6.5 Special precautions for disposal

No special requirements.

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