SUMMARY OF PRODUCT CHARACTERISTICS

1. NAME OF THE MEDICINAL PRODUCT

Anestocil 4 mg/ml eye drops, solution

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Oxybuprocaine hydrochloride 4 mg/ml.

Excipient(s) with known effect:
Benzalkonium chloride – 0.1 mg/ml

For the full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM

Eye drops, solution.
Clear solution, with pH value between 3.0 to 6.0 and osmolality between 280-320 mOsm/Kg.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Anestocil is indicated, when necessary, for superficial ocular anaesthesia, in certain medical interventions, such as: tonometry, examinations for contact lenses and removal of foreign bodies from the cornea and conjunctiva.

4.2 Posology and method of administration

Adults (including the Elderly) and Children

Posology
Strictly enforce the medical indication.
Apply an average of 1 to 3 drops, before the medical intervention.

Method of administration
Open the bottle, exert a slight pressure and instil dropwise into the eye according to the recommended dosage.
One drop is sufficient when dropped into the conjunctival sac to anaesthetise the surface of the eye to allow tonometry after 60 seconds.
A further drop after 90 seconds provides adequate anaesthesia for the fitting of contact lenses.
For most procedures 1 to 2 drops is sufficient. However, to obtain a deeper anaesthetic effect, further drops may be instilled at intervals of no less than 90 seconds.
Three drops at 90 second intervals provides sufficient anaesthesia, after 5 minutes, for a foreign body to be removed from the corneal epithelium or for incision of a meibomian cyst through the conjunctiva.
Corneal sensitivity is normal again after about one hour.

Systemic absorption may be reduced by keeping te eyes closed and compressing the lacrimal sac at the medial canthus (punctal occlusion) for about 2 minutes following the instillation of the drops

4.3 Contraindications

- Hypersensitivity to the active substance (oxybuprocaine hydrochloride) or to any of the excipients listed in section 6.1;
- Never use this eye drops to improve tolerance to contact lenses.

4.4 Special warnings and precautions for use

Anaesthetic eye drops have no healing action; thus, in case they are applied without medical indication, with the intention of relieving the pain in the eyeball, such administration shall not exceed two days without consulting an ophthalmologist;
The indiscriminate use of this eye drops may cause severe lesions in the cornea and irreversible changes in vision;
This eye drops should not be used to relieve the pain in the eyeball without previous diagnostic from the ophthalmologist, because it can mask or aggravate cornea lesions;
It should be used with caution in patients with allergy and hyperthyroidism;

To reduce a possible systemic absorption of the product when reach nasal mucosa, due to drainage through lacrimal ducts, eyes should be kept closed and a moderate compression with fingertips in the medial canthus (punctal occlusion) for about 2 minutes following the instillation of drops, should be performed.

Contact lenses wearers:
Anestocil contains 0.1 benzalkonium chloride in each ml of solution.
Benzalkonium chloride may be absorbed by soft contact lenses and may change the colour of the contact lenses. Remove contact lenses before using this medicine and put them back 15 minutes afterwards. Benzalkonium chloride has been reported to cause eye irritation, symptoms of dry eyes and may affect the tear film and corneal surface. Should be used with caution in dry eye patients and in patients where the cornea may be compromised. Patients should be monitored in case of prolonged use.

4.5 Interaction with other medicinal products and other forms of interaction

No interactions were reported.

4.6 Fertility, pregnancy and lactation

Pregnacy:
No trials were performed in these situations; therefore, this eye drops should not be used during pregnancy.

Lactation
No trials were performed in these situations; therefore, this eye drops should not be used during lactation.

Fertility
No trials were performed to study the effect of oxybuprocaine ocular topical administration in fertility.

4.7 Effects on ability to drive and use machines

After instillation of the drops, the vision may be temporarily blurred, so caution is advised when driving or using machines.

4.8 Undesirable effects

Eye disorders
Unknown: conjunctivitis, corneal oedema, corneal ulcer, delay in corneal healing and keratitis punctate. At the moment of the instillation, a feeling of burning or stinging may occur. Besides the temporary eye discomfort, it does not represent a problem.
Additionally, the cornea may be damaged by prolonged application of anaesthetic eye drops.

Central Nervous System
Abuse or overdose of oxybuprocaine may cause sedation, confusion, agitation, euphoria, disorientation, hearing, visual or speech disorders, paraesthesia, muscle twitching and if severe enough seizures, respiratory depression and coma. These symptoms would be very rare in therapeutic doses.

Reporting of suspected adverse reactions
Reporting suspected adverse reactions after authorisation 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.

4.9 Overdose

No cases of overdose were observed.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: 15.5 – Medicinal products used in eye disorders. Local anaesthetics
ATC code: S01HA02 OXYBUPROCAINE

Oxybuprocaine hydrochloride is a local anaesthetic with the ability to reversibly block the propagation of impulses, when applied locally in the nervous tissue. This active substance reduces the axon membrane permeability to sodium ions and as consequence there is a blockage of the nerve impulses.

5.2 Pharmacokinetic properties

The ocular anaesthetic effect is obtained with a small dose.
This active substance is absorbed by the organism and is rapidly excreted in the urine, where it is possible to isolate 9 metabolites.
This drug is metabolised in the organism by the plasma pseudocholinesterase.
Oxybuprocaine hydrochloride is a PABA ester. A single instillation of this active substance originates a relatively strong anaesthesia in 60 seconds. A further drop after 90 seconds provides adequate anaesthesia for the fitting of contact lenses.
For most procedures 1 to 2 drops is sufficient. However, to obtain a deeper anaesthetic effect, further drops may be instilled at intervals of no less than 90 seconds. The instillation of 3 drops at 90 second

intervals provides sufficient anaesthesia, after 5 minutes, for a foreign body to be removed from the corneal epithelium or for incision of a meibomian cyst through the conjunctiva.. The complete anaesthesia persists for 20 to 30 minutes and the corneal sensitivity returns to normal in 1 hour.

5.3 Preclinical safety data

Preclinical safety data are limited. Animal studies do not show acute toxicity risk.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Sodium hydrochloride, benzalkonium chloride and water for injections, sodium hydroxide or hydrochloric acid (for pH adjustment).

6.2 Incompatibilities

Sodium chloride aqueous solutions are corrosive to iron. Strong oxidant agents release chlorine, from sodium chloride acidic solutions.

6.3 Shelf life

2 years.
After first opening, use within 28 days.

6.4 Special precautions for storage

Do not store above 30ºC.
Keep the container tightly closed.
Keep the container in the outer carton.

6.5 Nature and contents of container

Anestocil eye drops, solution is supplied in presented in opaque white LD-polyethylene bottle, with a LD-polyethylene sealed dropper tip, and a HD-polyethylene cap with tamper-proof closure. The set is previously sterilised by gamma radiation. After being filled, the bottles are packaged in duly printed cartons with the respective package leaflets.

6.6 Special precautions for disposal and other handling

Any unused medicinal product or waste material should be disposed of in accordance with local requirements.

7. MARKETING AUTHORISATION HOLDER

Laboratório Edol - Produtos Farmacêuticos S.A.
Av. 25 de Abril, 6-6A
2795-225 Linda-a-Velha

8. MARKETING AUTHORISATION NUMBER(S)

05308/07433/REN/2020

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

Sep 1, 2020

10. DATE OF REVISION OF THE TEXT

05/2020