

XYLOCAINE[®] 5% OINTMENT

Lidocaine (Lignocaine)

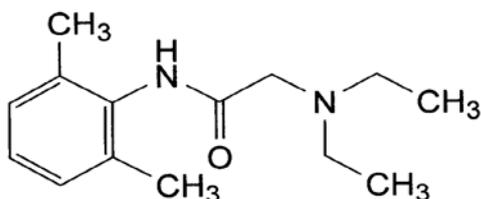
PRODUCT INFORMATION

NAME OF THE MEDICINE

Xylocaine 5% Ointment contains lidocaine (lignocaine) as the active ingredient. Lidocaine is the new medicine ingredient name for lignocaine and is mostly used in this product information.

Australian Approved Name: Lidocaine (lignocaine)

Structural Formula:



Molecular Formula: C₁₄H₂₂N₂O

Molecular Weight: 234.3

CAS: 137-58-6

DESCRIPTION

Xylocaine 5% Ointment is a water-soluble topical anaesthetic.

Each gram of ointment contains: lidocaine base 50 mg, propylene glycol and macrogols (300, 1500 and 3350).

PHARMACOLOGY

Lidocaine, the active ingredient of Xylocaine 5% Ointment, stabilises the neuronal membrane and prevents the initiation and conduction of nerve impulses, thereby affecting local anaesthetic action. The onset of action of Xylocaine 5% Ointment occurs within 3-5 minutes on mucous membrane and the effect lasts for approximately 15-20 minutes. It is ineffective when applied to intact skin.

Lidocaine may be absorbed following topical administration to mucous membranes, its rate of absorption and amount of dose absorbed depending upon concentration and total dose administered, the specific site of application and duration of exposure. In general, the rate of absorption occurs most rapidly after intratracheal administration. Lidocaine is well absorbed from the gastrointestinal

tract, but little intact drug appears in the circulation because of biotransformation in the liver.

Lidocaine is metabolised rapidly by the liver and metabolites and unchanged drug are excreted by the kidney.

Excessive blood levels may cause changes in cardiac output, total peripheral resistance and mean arterial pressure. These changes may be attributable to a direct depressant effect of the anaesthetic agent on various components of the cardiovascular system.

Biotransformation includes oxidative N-dealkylation, ring hydroxylation, cleavage of the amide linkage and conjugation. The pharmacological / toxicological actions of the metabolites are similar to, but not less potent than, those of lidocaine. Approximately 90% of lidocaine is excreted in the form of various metabolites and less than 10% is excreted unchanged. The primary metabolite in urine is a conjugate of 4-hydroxy-2,6-dimethylaniline.

The plasma binding of lidocaine is dependent on drug concentration, and the fraction bound decreases with increasing concentration. At concentrations of 1 to 4 µg of free base/mL, 60 to 80% of lidocaine is protein bound. Binding is also dependent on the plasma concentrations of the alpha-1-acid glycoprotein.

Lidocaine crosses the blood-brain and placental barriers, presumably by passive diffusion.

Studies of lidocaine metabolism following iv bolus injection have shown that the elimination half-life is usually 1.5 to 2 hours. The half-life may be prolonged 2-fold or more in patients with liver dysfunction. Renal dysfunction does not affect lidocaine kinetics, but may increase the accumulation of metabolites.

Factors such as acidosis and the use of CNS stimulants and depressants affect the CNS levels of lidocaine required to produce overt systemic effects. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels above 6.0 µg free base/mL. In the rhesus monkey arterial blood levels of 18 to 21 µg/mL have been shown to be the threshold for convulsive activity.

INDICATIONS

Temporary relief of pain and/or itching associated with minor burns, non-blistered sunburn, insect bites, sore nipples.

Anaesthesia of mucous membranes e.g. various anal conditions such as haemorrhoids and fissures.

Anaesthetic lubricant during examination and instrumentation e.g. proctoscopy, sigmoidoscopy.

Surface anaesthesia of the gums prior to injection, before deep scaling and in conjunction with the fitting of new dentures.

CONTRAINDICATIONS

Known history of hypersensitivity to lidocaine or other local anaesthetics of the amide type or to other components of the ointment.

PRECAUTIONS

Warning:

Excessive dosage, or short intervals between doses, can result in high levels of lidocaine or its metabolites and serious adverse effects. Patients should be instructed to strictly adhere to the recommended dosage and administration guidelines. The management of serious adverse reactions may require the use of resuscitative equipment, oxygen and other resuscitative drugs.

Patients should not exceed the recommended dose or use Xylocaine 5% Ointment for prolonged periods except on the advice of their physician. If the condition persists or worsens, discontinue use and seek medical advice.

The lowest dose that results in effective anaesthesia should be used to avoid high plasma levels and serious adverse effects. Tolerance to elevated blood levels varies with the status of the patient.

Dosage reduction

Debilitated, elderly and/or acutely ill patients, patients with sepsis, severe liver disease or cardiac failure and children should be given reduced doses commensurate with their age and physical status.

Excessive absorption

Absorption from wound surfaces and mucous membranes is relatively high, especially in the bronchial tree. This should be taken into consideration when the ointment is used in children for treatment of large areas. Because of the possibility of significant systemic absorption, Xylocaine 5% Ointment should be used with caution in patients with traumatised mucosa and/or sepsis in the region of the proposed application.

If the dose or site of administration is likely to result in high blood levels, lidocaine, in common with other local anaesthetics, should be used with caution in patients with epilepsy, impaired cardiac conduction, bradycardia, impaired hepatic function and in severe shock.

Anti-arrhythmic drugs class III

Patients treated with anti-arrhythmic drugs class III (e.g. amiodarone) should be kept under close surveillance and ECG monitoring considered, since cardiac effects may be additive.

Eating and drinking

The use of topical anaesthetic agents in the oral cavity may interfere with swallowing and thus enhance the danger of aspiration of food or drink. For this reason, food or drink should not be ingested within 60 minutes of using local anaesthetics in the mouth or throat area. Numbness of the tongue or buccal mucosa may increase the danger of biting or heat trauma. Food, chewing gum or hot drinks should not be taken while the mouth or throat area is anaesthetised.

Malignant hyperthermia

Many drugs used during the conduct of anaesthesia are considered potential triggering agents for familial malignant hyperthermia. It has been shown that the use of amide local anaesthetics in malignant hypothermia patients is generally safe, but cases of malignant hyperthermia have occasionally been documented after use.

Endotracheal tube lubrication

When used for endotracheal tube lubrication the ointment may dry on the inner surface leaving residue which tends to clump with flexion, narrowing the lumen. Xylocaine 5% Ointment is therefore not recommended to be used for endotracheal tube lubrication.

Sterile instruments

Xylocaine Ointment is not intended for use with sterile instruments.

Carcinogenic and Mutagenic Potential

Genotoxicity tests with lidocaine are inconclusive. In genotoxicity studies, a metabolite of lidocaine, 2,6-xylidine, showed evidence of activity in some tests but not in other tests. This metabolite has been shown to have carcinogenic potential (nasal and subcutaneous tumours) in preclinical toxicological studies evaluating chronic exposure.

Use in pregnancy – Category A

Lidocaine crosses the placental barrier and may be taken up by foetal tissues. When used for surface anaesthesia, lidocaine blood levels after normal doses are low so little drug is available for placental transfer.

There are, however, no adequate and well-controlled studies in pregnant women. Reproduction studies have been performed in rats at doses of 500 mg/kg/day and have revealed no evidence of harm to the foetus caused by lidocaine

It is reasonable to assume that a large number of pregnant women and women of child bearing age have used lidocaine. No specific disturbances to the reproduction process have so far been reported.

Labour and delivery

Lidocaine is not contraindicated in labour and delivery.

Use during lactation

Lidocaine enters breast milk, but in such small quantities that there is generally no risk of affecting the child at therapeutic dose levels.

Use in Children

Xylocaine 5% Ointment is not recommended for use in children under 2 years of age.

Effects on ability to drive and operate machines

Depending on the dose, local anaesthetics may have a very mild effect on mental function and coordination even in the absence of overt CNS toxicity and may temporarily impair locomotion and alertness. With the recommended doses of lidocaine ointment adverse effects on the CNS are unlikely.

Contact with eyes

Xylocaine 5% Ointment is not intended for ophthalmological use. If it inadvertently comes into contact with eyes, rinse immediately with copious amounts of water for at least 15 minutes and seek medical advice.

INTERACTIONS WITH OTHER MEDICINES

Antiarrhythmic drugs

Lidocaine should be used with caution in patients receiving other local anaesthetics or agents structurally related to local anaesthetics, e.g. antiarrhythmic drugs such as mexiletine, since the toxic effects are additive. Specific interaction studies with lidocaine and anti-arrhythmic drugs class III (e.g. amiodarone) have not been performed, but caution is advised.

Enzyme inducing drugs

Phenytoin and other antiepileptic drugs such as phenobarbitone, primidone and carbamazepine appear to enhance the metabolism of lidocaine but the significance of this effect is not known. Phenytoin and lidocaine have additive cardiac depressant effects.

Clearance reducing drugs

Cimetidine or betablockers have been shown to cause potentially toxic plasma concentrations when lidocaine is given in repeated high doses over a long period of time. Therefore, caution should be taken if lidocaine was administered at higher than the recommended doses over extended period of time.

ADVERSE EFFECTS

Systemic adverse reactions are rare and may result from high plasma levels caused by excessive dosage or rapid absorption, or may result from a hypersensitivity, idiosyncrasy or reduced tolerance on the part of the patient. Such reactions are systemic in nature and involve the central nervous system and/or the cardiovascular system.

Central Nervous System

CNS reactions are excitatory and/or depressant and may be characterised by lightheadedness, nervousness, apprehension, euphoria, confusion, dizziness, drowsiness, tinnitus, blurred vision, vomiting, sensations of heat, cold or numbness, twitching, tremors, convulsions, unconsciousness and possibly respiratory arrest. The excitatory reactions may be brief or may not occur at all, in which case the first manifestations of toxicity may be drowsiness, progressing to unconsciousness and respiratory arrest.

Drowsiness following administration of lidocaine is usually an early sign of a high blood level of the drug and may occur as a result of rapid absorption.

Cardiovascular

Cardiovascular reactions are usually depressant and may be characterised by hypotension, myocardial depression, bradycardia and possibly cardiac arrest.

Allergic reactions

Allergic reactions may occur as a result of sensitivity either to the local anaesthetic agent or to other ingredients in the formulation. Allergic reactions as a result of sensitivity to lidocaine are rare (<0.1%). The detection of sensitivity by skin testing is of doubtful value.

The extremely rare cases of allergy to local anaesthetic preparations have included bronchospasm, chest pain, dyspnoea, pruritis, rash, rhinitis, increased sweating, urticaria, sleepiness, dizziness, paraesthesia, oedema, and in the most severe instances anaphylactic shock. Several cases of contact dermatitis have been reported with the use of lidocaine.

Endotracheal tube occlusions

There have been rare reports of endotracheal tube occlusions associated with the presence of dried ointment residue in the inner lumen of the tube (see PRECAUTIONS).

Local skin irritation has been reported with topical products which contain propylene glycol.

DOSAGE AND ADMINISTRATION

As with any local anaesthetic, reactions and complications are best averted by employing the minimal effective dosage. Debilitated, acutely ill or elderly patients

and children should be given doses commensurate with their age and physical condition.

The dose of topical lidocaine should be taken into consideration in estimating the total dose of lidocaine if parenteral lidocaine is to be administered concomitantly.

The ointment should be applied in a thin layer for adequate control of symptoms. A sterile gauze pad covering to the affected area is recommended.

The ointment should not be applied to large areas of the body, except on the advice of a doctor. It should not be applied on broken skin.

In dentistry, apply to previously dried oral mucosa, allow at least 3 - 5 minutes for anaesthesia to become effective. When inserting new dentures, apply to all denture surfaces contacting mucosa.

For tender nipples, apply on a small piece of gauze; the ointment must be washed away before next feeding.

Adults

The ointment should be applied to the affected area no more than 3 to 4 times a day, when necessary. The maximum single recommended dose of Xylocaine 5% Ointment is 5g, containing 250mg of lidocaine base (approximately equivalent to 300mg lidocaine hydrochloride). This is roughly equivalent to squeezing a 15cm length of ointment from the tube. Not more than 17 – 20g of the ointment should be administered in any 24 hour period.

Children

In children 2 - 12 years of age, the ointment should be applied to the affected area no more than 3 times a day, when necessary. A single dose should not exceed 0.1g ointment/kg bodyweight (corresponding to 5mg lidocaine/kg bodyweight). Not more than three doses should be administered during 24 hours.

OVERDOSAGE

In the event of an overdose, contact the Poisons Information Centre on 13 11 26.

Management of Local Anaesthetic Emergencies

The first consideration is prevention, best accomplished by careful and constant monitoring of cardiovascular and respiratory vital signs and the patient's state of consciousness after each local anaesthetic administration. At the first sign of change, oxygen should be administered.

Treatment

If convulsions occur then immediate attention is required for the maintenance of a patent airway and assisted or controlled ventilation with oxygen, via a positive airway pressure delivery system mask. Adequacy of the circulation should then be

evaluated, bearing in mind that drugs used to treat convulsions depress the circulation when administered intravenously.

Should convulsions persist despite adequate respiratory support, and if the status of the circulation permits, appropriate anticonvulsant medication such as an ultra-short acting barbiturate (eg. thiopental) or a benzodiazepine (eg. diazepam) may be administered intravenously. The clinician should be familiar with these anticonvulsant drugs prior to use of local anaesthetics.

Dialysis is of negligible value in the treatment of acute overdosage with lidocaine.

PRESENTATION AND STORAGE CONDITIONS

Xylocaine 5% Ointment is a white to greyish-white ointment containing lidocaine base 50mg/g in pack sizes of 15g and 35g aluminium tube.

Store below 25°C.

NAME AND ADDRESS OF SPONSOR

Aspen Pharmacare Australia Pty Ltd
34-36 Chandos Street
St Leonards NSW 2065

POISON SCHEDULE OF THE MEDICINE

S2- Pharmacy Medicine

DATE OF FIRST INCLUSION IN THE AUSTRALIAN REGISTER OF THERAPEUTIC GOODS

13 August 1991

DATE OF MOST RECENT AMENDMENT

9 February 2018

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