XYLOCAINE® 10% SPECIAL ADHESIVE PRODUCT INFORMATION

NAME OF THE MEDICINE

Xylocaine 10% Special Adhesive contains lidocaine (lignocaine) base as the active ingredient. Lidocaine is the new medicine ingredient name for lignocaine and is mostly used in this product information.
The Australian Approved Name is lidocaine (lignocaine).

DESCRIPTION

Xylocaine 10% Special Adhesive is formulated to adhere to moist oral mucosa and provide topical anaesthesia.

Each gram of Special Adhesive contains: lidocaine base 100 mg, propylene glycol, macrogol (300, 400 and 1500), carmellose sodium and spearmint oil.

PHARMACOLOGY

Lidocaine, the active ingredient of Xylocaine 10% Special Adhesive, stabilises the neuronal membrane and prevents the initiation and conduction of nerve impulses, thereby effecting local anaesthetic action.

The onset of action of Xylocaine 10% Special Adhesive 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

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

Temporary relief of pain associated with removal of deciduous teeth.

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 10% Special Adhesive for prolonged periods except on the advice of their physician or dentist.

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, and children should be given reduced doses commensurate with their age and physical status.

Excessive absorption
Absorption from mucous membranes is relatively high, especially in the bronchial tree. This should be taken into consideration when the Special Adhesive is used in children for treatment of large areas. Because of the possibility of significant systemic absorption, Xylocaine Special Adhesive 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.

Sterile instruments
Xylocaine Special Adhesive 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 500mg/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 in lactation
Lidocaine enters breast milk, but in such small quantities that there is generally no risk of affecting the child at therapeutic dose levels.

Effects on ability to drive and operate machines
Depending on the dose, local anaesthetics may have a very mild effect on mental function and may temporarily impair locomotion and coordination. With the recommended doses of lidocaine ointment adverse effects on the CNS are unlikely.

Xylocaine® 10% Special Adhesive is probably porphyrinogenic and should only be prescribed to patients with acute porphyria on strong or urgent indications.
Appropriate precautions should be taken for all porphyric patients.

INTERACTIONS

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
Cimetidine has been shown to reduce clearance of IV administered lidocaine. Caution should be taken if administered concurrently with lidocaine.

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.

ADVERSE REACTIONS

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.

DOSAGE AND ADMINISTRATION

As with any local anaesthetic, reactions and complications are best averted by employing the minimal effective dosage. Debilitated 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 following dosage recommendations should be regarded as a guide. The clinician’s experience and knowledge of the patient’s physical status are of importance in calculating the required dose.

Adults
The maximum single recommended dose of Xylocaine 10% Special Adhesive is 2.5g, containing 250mg of lidocaine base (approximately equivalent to 300mg lidocaine hydrochloride). This is roughly equivalent to squeezing a 7.5cm length of Special Adhesive from the tube. Not more than 8.5 - 10g of the Special Adhesive should be administered in any 24 hour period.

Children
In children less than 12 years of age, the maximum single recommended dose should not exceed 0.05g ointment/kg bodyweight (corresponding to 5 mg lidocaine/kg bodyweight). Not more than three doses should be administered in a 24 hour period.

The Special Adhesive should be applied in a thin layer for adequate control of symptoms.

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.

OVERDOSAGE

Contact the Poisons Information Centre on 13 11 26 for advice on management of overdose.

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 IV. 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 IV. 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

Presentations

Xylocaine 10% Special Adhesive is supplied as an ointment in a 15g tube.

It contains lidocaine base as the active ingredient.

Storage conditions

Store below 25°C

NAME AND ADDRESS OF THE 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

14 October 1999

DATE OF MOST RECENT AMENDMENT

9 February 2018

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