Xylocaine Jelly 2%

Lidocaine hydrochloride

Composition

1 g jelly contains:
Lidocaine hydrochloride 20 mg
For a full list of excipients see “List of excipients”

Pharmaceutical Form

Jelly
Clear to almost clear, slightly coloured jelly.

Therapeutic indications

Xylocaine jelly is indicated as a surface anaesthetic and lubricant for:

- The male and female urethra during cystoscopy, catheterisation, exploration by sound and other endourethral procedures.
- Nasal and pharyngeal cavities in endoscopic procedures such as gastroscopy and bronchoscopy.
- During proctoscopy and rectoscopy.
- Tracheal intubation.

Symptomatic treatment of pain in connection with cystitis and urethritis.
To relieve pain after circumcision in children.

Posology and method of administration

Xylocaine jelly 2% provides prompt and profound anaesthesia of mucous membranes, giving effective anaesthesia of long duration (approx. 20-30 min). Anaesthesia usually occurs rapidly (within 5 min depending upon the area of application).

As with any local anaesthetic, the safety and effectiveness of lidocaine depend on the proper dosage, the correct technique, adequate precautions and readiness for emergencies.

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.

Absorption from mucous membranes is variable but especially high from the bronchial tree. The absorption of lidocaine jelly from the nasopharynx is usually lower than with other lidocaine products. Blood concentrations of lidocaine after instillation of the jelly in the intact urethra and bladder in doses up to 800 mg are fairly low and below toxic levels.

Debilitated or elderly patients, children over 12 years of age, acutely ill patients or patients with sepsis should be given doses commensurate with their age, weight and physical condition.

In children under the age of 12 years the dose should not exceed 6 mg/kg.

No more than four doses should be given in a 24 hour period.

Urethral anaesthesia
Surface anaesthesia of the male adult urethra: for adequate analgesia in males 20 ml (= 400 mg lidocaine hydrochloride) jelly is required. The jelly is instilled slowly until the patient has a feeling of tension or until almost half the tube (10 ml = 200 mg lidocaine hydrochloride) has been emptied. A penile clamp is then applied for several minutes at the corona, after which the rest of the jelly is instilled.

When anaesthesia is especially important, e.g. during sounding or cystoscopy, a larger quantity of jelly (e.g. 30-40 ml) may be instilled in 3-4 portions and allowed to act for 10 minutes before insertion of the instrument. The jelly instilled into the bladder is also effective for procedures in this region.

Surface anaesthesia of the female adult urethra: instill 5-10 ml in small portions to fill the whole urethra. In order to obtain adequate anaesthesia, several minutes should be allowed to elapse prior to performing urological procedures.

Endoscopy
The instillation of 10-20 ml is recommended for adequate analgesia and a small amount may be applied to the lubricating instrument. When combined with other lidocaine products (e.g. for bronchoscopy), the total dose of lidocaine should not exceed 400 mg.

Proctoscopy and rectoscopy
Up to 20 ml can be used for anal and rectal procedures. The total dose should not exceed 400 mg lidocaine.

Lubrication for endotracheal intubation
About 2 ml applied to the surface of the tube just prior to insertion. Care should be taken to avoid introducing the product into the lumen of the tube.

Contraindications
Known history of hypersensitivity to local anaesthetics of the amide type or to any of the ingredients in the jelly.
Xylocaine gel with preservatives should not be used in patients with hypersensitivity to methyl and/or propyl parahydroxybensoate (methyl-/propyl paraben), or to their metabolite para amino benzoic acid (PABA).
Xylocaine gel with preservatives should also be avoided in patients allergic to ester local anaesthetics which also have the metabolite PABA.

Special warnings and special precautions for use
Excessive doses of lidocaine products or short intervals between doses, can result in high plasma levels and serious adverse effects. Patients should be instructed to adhere strictly to the recommended dosage (the management of serious adverse reactions may require the use of resuscitative equipment, oxygen and other resuscitative drugs). (See Overdosage.)

Absorption from wound surfaces and mucous membranes is relatively high and especially high in the bronchial tree. The absorption of lidocaine jelly from the nasopharynx is variable but usually lower than with other lidocaine products. Following instillation in urethra and bladder, adsorption is low. Lidocaine jelly should be used with caution in patients with traumatized mucosa and/or sepsis in the region of the proposed application.

The oropharyngeal use of topical anaesthetic agents may interfere with swallowing and thus enhance the danger of aspiration. Numbness of the tongue or buccal mucosa may increase the danger of biting trauma.

When used for endotracheal tube lubrication, care should be taken to avoid introduction of the jelly into the lumen of the tube. The jelly may dry on the inner surface leaving a residue which tends to clump with flexion, narrowing the lumen. There have been rare reports in which this residue has caused the lumen to occlude.

Patients being treated with class III antiarrhythmic drugs (e.g. amiodarone) should be closely supervised, and ECG monitoring should be considered, as the effects on the heart can be additive.

If the dose or administration is likely to result in high blood levels, some patients require special attention to prevent potentially dangerous side effects:

- Patients with partial or complete heart block.
- The elderly and patients in poor general health.
- Patients with advanced liver disease or severe renal dysfunction.

Xylocaine gel is probably porphyrinogenic and should only be prescribed to patients with acute porphyria if there are very strong reasons. Appropriate precautions should be taken for all porphyric patients.

Interactions

Lidocaine should be used with caution in patients receiving agents structurally related to local anaesthetics, since the toxic effects are additive.
Specific interaction studies with local anaesthetics and class III antiarrhythmic drugs have not been carried out, but caution should be observed.

Drugs that reduce the clearance of lidocaine (e.g. cimetidine or betablockers) may cause potentially toxic plasma concentrations when lidocaine is given in repeated high doses over a long time period. Such interactions should be of no clinical importance following short term treatment with lidocaine at recommended doses.

Pregnancy and lactation

Pregnancy

Adequate data from treatment of pregnant women is missing.
Lidocaine passes the placenta.
It is reasonable to assume that a large number of pregnant women and women of child-bearing age have been given lidocaine. No specific disturbances to the reproductive process have so far been reported, e.g. no increased incidence of malformations, or direct or indirect effect on the foetus. However, the risks for human are not completely investigated.

Animal studies are incomplete regarding effects on pregnancy, embryonal/foetal development, childbirth and development after birth (see 5.3 Preclinical safety data).

At temporary use of Xylocaine gel during pregnancy the benefit is judged to overweigh the possible risks.

Lactation
Lidocaine is excreted into mother's milk in small amounts. The use of Xylocaine gel at recommended doses is unlikely to affect the neonate. Breastfeeding can therefore be continued during use of Xylocaine gel.

Effects on ability to drive and use machines
Depending on the dose, local anaesthetics may have a very mild effect on mental function and may temporarily impair locomotion and coordination.

Undesirable effects
Adverse reactions to local anaesthetics in the true sense of the term occur in fewer than 1/1000 of those treated.

Immune system disorders:
Rare (>1/10 000, <1/1000): Allergic reactions, in the most severe cases anaphylactic shock.

Lidocaine may have acute toxic effects if high systemic levels occur due to fast absorption or overdosage. (See section Overdose).

Overdose
Toxicity:
Oral administration: Less than 50 mg seems not impose any risk for toddlers. 75 mg to a 2 years old child caused a mild, 100 mg to a 5 months old child cause serious, 300 + 300 mg within 4 hours to a 3½ years old child caused serious to very serious, 400-500 mg to a 2 year old child and 1 g during 12 hours to a 1 year old child caused very serious intoxication. 600 mg to an adult caused mild, 2 g to an adult caused moderate intoxication.
Parenteral administration: 50 mg intravenously to a 1 months old child caused very severe intoxication. 200-400 mg infiltration to an adult caused serious, 500 mg to an 80 year old patient and 1 g intravenously to adults caused very severe intoxication.
Topical administration: 8.6-17.2 mg/kg BW to toddlers when used on burn injured skin caused serious intoxication.

Symptoms: First CNS excitation, later CNS depression. When exposed for large doses the first symptom can be rapidly developing seizures. Agitation, dizziness, visual disturbances, perioral paresthesia, nausea. Later ataxia, auditory disturbances, euphoria, confusion, speech difficulties, paleness, sweating, tremor, seizures, coma, apnoea. Different kinds of arrhythmias especially bradycardial arrhythmias but also if exposed to large doses ventricular tachycardia, ventricular fibrillation, QRS prolongation, atrio-ventricular block. Cardiac failure, hypotension. (rare cases of methaemoglobinaemia are described).

Treatment: If oral exposure, active charcoal. (Provoking vomiting may be hazardous due to anaesthesia of the mucous membrane and the risk for seizures in the early phase. If ventricular lavage is indicated, this should be done with a ventricular tube after tracheal intubation.) Seizures are treated with diazepam. Oxygen. If needed tracheal intubation and controlled respiration (if needed hyperventilation). Bradycardia is treated with atropine. Circulatory deterioration is treated with fluids administrated intravenously, dobutamine and if needed epinephrine (initially 0.05 microg/kg BW/min, to be increased if needed by 0.05 microg/kg BW/min each 10 minutes.), in more severe cases guided by haemodynamic monitoring. Ephedrine may also be tried. If circulatory arrest, resuscitation efforts for several hours may be indicated.

Pharmacological description
Xylocaine jelly 2% provides prompt and profound anaesthesia of mucous membranes and lubrication which reduces friction. Its water-miscible base, characterized by high viscosity and low surface tension, brings the anaesthetic into intimate and prolonged contact with the tissue, giving effective anaesthesia of long duration (approx. 20-30 min). Anaesthesia usually occurs rapidly (within 5 min, depending upon the area of application).

Pharmacodynamic properties
Pharmacotherapeutic group: Local anaesthetic, ATC code N01BB02

Lidocaine like other local anaesthetics, causes a reversible blockade of impulse propagation along nerve fibres by preventing the inward movement of sodium ions through the nerve membrane. Local anaesthetics of the amide type are thought to act within the sodium channels of the nerve membrane.

Local anaesthetic drugs may also have similar effects on excitable membranes in the brain and myocardium. If excessive amounts of drug reach the systemic circulation rapidly, symptoms and signs of toxicity will appear, emanating from the central nervous and cardiovascular systems.

Central nervous system toxicity (See Overdosage) usually precedes the cardiovascular effects as it occurs at lower plasma concentrations. Direct effects of local anaesthetics on the heart include slow conduction, negative inotropism and possibly cardiac arrest.

Pharmacokinetic properties
Lidocaine is absorbed following topical administration to mucous membranes, its rate and extent of absorption being dependent upon concentration and the total dose administered, the specific site of application, and the duration of exposure. In general, the rate of absorption of local anaesthetic agents following topical application is most rapid after intratracheal and bronchial administration. Lidocaine is also well-absorbed from the gastrointestinal tract, although little intact drug appears in the circulation because of biotransformation in the liver.

Normally about 65% of the lidocaine is bound to plasma proteins. Amide local anaesthetics are mainly bound to alpha-1-acid glycoprotein but also to albumin. Lidocaine crosses the blood-brain and placental barriers, presumably by passive diffusion.

The main elimination pathway of lidocaine is by liver metabolism. The primary route of lidocaine in human is N-dealkylation to monoethylglycine xylidine (MEGX), followed by hydrolysis to 2,6-xylidine and hydroxylation to 4-hydroxy-2,6-xylidine. MEGX can also be further dealkylated to glycine xylidine (GX). The pharmacological/toxicological actions of MEGX and GX are similar to, but less potent than, those of lidocaine. GX has a longer half-life (about 10h) than lidocaine and may accumulate during long-term administration. Approximately 90% of the lidocaine administrated intravenously is excreted in the form of various metabolites, and less than 10 % is excreted unchanged in the urine. The primary metabolite in urine is a conjugate of 4-hydroxy-2,6-xylidine, accounting for about 70-80% of the dose excreted in the urine.

The elimination half-life of lidocaine following an intravenous bolus injection is typically 1.5 to 2.0 hours. Because of the rapid rate at which lidocaine is metabolized, any condition that affects liver function may alter lidocaine kinetics. The half-life may be prolonged two-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 per ml.

Preclinical safety data

Reproduction toxicology

In studies of embryonal/foetal development in rat and rabbit no teratogenic effects where seen after dosing lidocaine during organogenesis. Embryonal toxicity was seen in rabbit at mother-toxic dose. The offspring of rats treated with mother-toxic dose during late pregnancy and lactation showed decreased post-natal survival.

Genotoxicity and carcinogenicity

Genotoxicity studies with lidocaine were negative. The carcinogenicity of lidocaine has not been studied. The metabolite 2,6-xylidine has genotoxic potential in vitro. In a cancer study on rat with exposure to 2,6-xylidine in utero, post natally and during the whole life-time, tumours in the nasal cavity, subcutaneously and in the liver were observed. The clinical relevance of these tumour findings after short-term/intermittent use is unknown.

Pharmaceutical Particulars

List of excipients

- Hypromellose
- Sodium hydroxide
- Hydrochloric acid to pH 6.2-6.6
- Methyl parahydroxybensoate (in tubes only)
- Propyl parahydroxybensoate (in tubes only)
- Water, purified

Special precautions for storage

Store below 30°C. Do not freeze.

Instructions for use/handling

Tubes (sterile-packed) for single use

The enclosed sterile plastic tip is screwed onto the tube and inserted into the opening of the urethra or into the anus.

Press the air out, so that the gel reaches the tip of the syringe before the instillation is carried out.

Instructions for use are printed on the pack.

Shelf-life

Please see outer pack

Pack size
Please see outer pack

Manufacturer
Manufactured by Aspen Bad Oldesloe GmbH, Bad Oldesloe, Germany.

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