XYLENE

Jelly

Composition
Each gram contains Lidocaine hydrochloride 2%.

Action
Xylene 2% provides prompt and profound anaesthesia of mucous membranes and lubrication that reduces friction. Its water-miscible base, characterised 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).

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 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.

Pharmacokinetics
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 anesthetic 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 anesthetics 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 10 h) 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 lignocaine is metabolised, 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.

Indications

Xylene 2% jelly are indicated as a surface anesthetic 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.
- To relieve pain after circumcision in children.

Contraindications

Patients with a known history of hypersensitivity to local anaesthetics of the amide type.

Warnings

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.)

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 traumatised 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 that tends to clump with flexion, narrowing the lumen. There have been rare reports in which this residue has caused the lumen to occlude.

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

Pregnancy

Category B

The safe use of Lidocaine in pregnant women has not been established with respect to possible adverse reactions on the fetus. Careful consideration should be given to this fact before administering this drug to pregnant women and women of childbearing potential, particularly during the early stages of pregnancy.

Nursing Mothers

It is not known whether this drug is excreted in human milk. Therefore, caution should be exercised when Lidocaine is administered to nursing mothers.

Paediatric Use
Dosage in children should be reduced in accordance with age, body weight and physical conditions.

Adverse Reactions
The potential for systemic adverse reactions with Xylene gel is very low.

Local reactions
An increased incidence of postoperative "sore throat" has been reported following endotracheal tube lubrication with Lidocaine jelly .

Allergic reactions
Allergic reactions (in most severe instances anaphylactic shock) to local anaesthetics of the amide type are rare (<1/1000).

Acute systemic toxicity
Lignocaine may have acute toxic effects if high systemic levels occur due to fast absorption or overdosage.

Precautions
The safety and effectiveness of Lidocaine depends on proper dosage, correct technique, adequate precautions and readiness for emergencies. Therefore, the smallest amount of the gel that results in effective anaesthesia should be used in order to avoid high plasma levels and serious adverse effects. Reduced amounts should be applied to debilitated, elderly and acutely ill patients and children, commensurate with their age and physical status. It should be used with caution in patients with epilepsy, reduced hepatic or renal function, or impaired cardiac conduction.

Topical Lidocaine should be used with caution in patients with severely traumatized mucosa and/or sepsis in the region of the proposed application, and in persons with known drug sensitivities. Patients with allergic sensitivity to para-aminobenzoic acid derivatives (e.g. procaine, tetracaine, benzocaine and others) have not shown cross-sensitivity to Lidocaine.

Dosage and Administration
Surface Anaesthesia of the Adult Male Urethra
For adequate analgesia in males, 20-30 ml of gel is required. The gel is instilled slowly into the urethra until almost half the tube (10-15 ml) is emptied. A penile clamp is then applied for several minutes at the corona, and an additional 10-15 ml of the gel is instilled.

When anaesthesia is especially important (e.g. during sounding or cystoscopy), a larger quantity of gel, for example 30-40 ml, may be instilled in 3-4 portions and allowed to work for 10-12 minutes before insertion of the instrument.
Prior to catheterization, a small volume of gel (5-10 ml) is usually adequate for lubrication.

Surface Anaesthesia of the Adult Female Urethra
Instil 5-10 ml in small portions to fill the whole urethra. If desired, some gel may be deposited on a cotton swab and introduced into the urethra. In order to obtain adequate anesthesia, wait several minutes prior to performing urological procedures.

Lubrication for Endotracheal Intubation
Apply approximately 5 ml to the external surface of the endotracheal tube just prior to intubation. Care should be taken to avoid introducing the gel into the lumen of the endotracheal tube.

Presentation
Tube of 30 grams