For the use of a Registered Medical Practitioner, Hospital or a Laboratory only

Lidocaine Hydrochloride Injection USP (20mg/ml)

EVACAINE 2%

For I.V./I.M./ S.C. Injection

COMPOSITION

Each ml contains:

Lidocaine Hydrochloride	USP	20 mg
Sodium Chloride	BP	6.0 mg
Methylparaben (As preservative)	BP	1.0 mg
Water for Injection	BP	q.s.

Description

EVACAINE 2% is clear, colorless sterile solution. It contains 20mg/ml of Lidocaine Hydrochloride and belongs to a group a medicines called Local anesthetics. It is used to produce local anesthesia (numb a specific area) and stop pain being felt in the area of the body where it is administered. Also control fast or abnormal heart beats that is experienced after a heart attack.

Pharmacodynamics

- It is used to provide local anaesthesia by nerve blockade at various sites in the body and in the ionic control of dysrhythmias.
- It acts by inhibiting the ionic refluxes required for the initiation and conduction of impulses, thereby stabilizing the neuronal membrane.
- In addition to blocking conduction in nerve axons in the peripheral nervous system, it has important effects on the CNS and cardiovascular system.
- After absorption Lidocaine may cause stimulation of the CNS followed by depression and in the cardiovascular system, it acts primarily on the myocardium where it may produce decreases in electrical excitability, conduction rate and force of contraction.
- It has a rapid onset of action (about one minute following I.V. injection and 15 minutes following I.M. injection) and rapidly spreads through the surrounding tissues.
- The effect lasts about 10 to 20 minutes and about 60 to 90 minutes following I.V. and I.M. injection respectively.

Pharmacokinetics

- Lidocaine is absorbed from injection sites including muscle and its rate of absorption is determined by factors such as the site of administration and the tissue vascularity. Except for intravascular administration, the highest blood levels occur following intercostal nerve block and the lowest after S.C. administration. Lidocaine is bound to plasma proteins, including alpha-1-acid-glycoprotein. The drug crosses the blood brain and placental barriers.
- Lidocaine is metabolized in the liver and about 90% of a given dose undergoes N-dealkylation to form monoethylglycinexylidide and glycinexylidide, both of which may contribute to the therapeutic and toxic effects of Lidocaine.
- The elimination half-life of Lidocaine following an I.V. bolus injection is 1 to 2 hours, but this may be prolonged in patients with hepatic dysfunction.

Therapeutic Indication

- Suppression of ventricular extrasystoles and ventricular tachycardia, especially after an acute myocardial infarction.
- Local anaesthesia by surface infiltration, regional, epidural and caudal routes, dental anaesthesia, either alone or in combination with adrenaline.

Dosage and Administration

- The dosage should be adjusted according to the response of the patient and the site of administration.
- The maximum dose should not exceed 200mg.
- Children and elderly or debilitated patients require smaller doses, commensurate with age and physical status.

Overdose

- Patients may present initially with circumoral paranesthesia, numbness of the tongue, light-headedness, hyperacusis and tinnitus.
- Visual disturbance and muscular tremors or muscle twitching are more serious and precede the onset of generalized convulsions.
- Hypoxia and hypercapnia occur rapidly following convulsions due to increased muscular activity, together with the interference with normal respiration and loss of the airway
- Hypotension, bradycardia, arrhythmia and cardiac arrest may occur as a result of high systemic concentrations, with potentially fatal outcome.
- If signs of acute systemic toxicity appear, injection of the anaesthetic should be stopped immediately.
- Treatment will be required if convulsions and CNS depression occurs. The objectives of treatment are to maintain oxygenation, stop the convulsions and support the circulation. A patent airway should be established and oxygen should be administered, together with assisted ventilation (mask and bag) if necessary.

Contraindications

- Known hypersensitivity to Lidocaine or other anaesthetics of the amide type.
- In ventricular arrhythmia
  - Sino-atrial disorders
  - All grades of atrioventricular block
  - Severe myocardial depression
  - Complete heart block
  - Hypovolaemia

Warning and Precautions

- Lidocaine should be used with caution in patients with epilepsy, myasthenia gravis, cardiac conduction disturbances, congestive heart failure, bradycardia, severe shock, impaired respiratory or renal function Lidocaine is metabolized in the liver and it should be used with caution in patients with impaired hepatic function.
- Lower doses should be used in congestive cardiac failure and following cardiac surgery.
- The effect of local anaesthetics may be reduced if the injection is made into an inflamed or infected area.
- Intra-articular administration of lidocaine may cause chondrotoxicity.
- Central nerve blocks may cause cardiovascular depression, especially in the presence of hypovolemia, and therefore epidural anaesthesia should be used with caution in patients with impaired cardiovascular function.
- Blood pressure should be monitored during spinal anaesthesia. Epidural anaesthesia may lead to hypotension and bradycardia. This risk can be reduced by preloading the circulation with crystalloidal or colloidal solutions.
- Paracervical block can sometimes cause foetal bradycardia or tachycardia, and careful monitoring of the foetal heart rate is necessary.
- Injections in the head and neck regions may be made inadvertently into an artery, causing cerebral symptoms even at low doses.
- Lidocaine has been shown to be porphyrinogenic in animals and should be avoided in persons suffering from porphyria. Lidocaine Injection is not recommended for use in neonates

Pregnancy and Lactation

- Lidocaine crosses the placenta and should not be administered during early pregnancy unless the benefits are considered to outweigh the risks.
- Elevated lidocaine levels may persist in the newborn for at least 48 hours after delivery. Foetal bradycardia or neonatal bradycardia, hypotonia or respiratory depression may occur.
- Small amounts of Lidocaine are secreted into breast milk and the possibility of an allergic reaction in the infant, should be borne in mind when using Lidocaine in nursing mothers.

Effect on ability to drive and use medicines

- When outpatient anaesthesia affects areas of the body involved in driving or operating machinery, patients should be advised to avoid these activities until normal function is fully restored.

Drug Interaction

- Lidocaine should be used with caution in patients receiving other local anaesthetics or agents structurally related to amide-type local anaesthetics (e.g. anti-arrhythmics, such as mexiletine).
- There may be an increased risk of enhanced and prolonged neuromuscular blockade in patients treated concurrently with muscle relaxants (e.g. suxamethonium).
- The clearance of Lidocaine may be reduced by beta-adrenoceptor blocking agents (e.g. propranolol) and by cimetidine, requiring a reduction in the dosage of lidocaine. Increase in serum levels of lidocaine may also occur with anti-viral agents (e.g. amprenavir, atazanavir, darunavir, lopinavir).
- There may be an increased risk of ventricular arrhythmia in patients treated concurrently with antipsychotics which prolong or may prolong the QT interval (e.g. pimozide, sertindole, olanzapine, quetiapine, zotepine), or $5HT_3$ antagonists (e.g. tropisetron, dolasetron).
- While adrenaline (epinephrine) when used in conjunction with lidocaine might decrease vascular absorption, it greatly increases the danger of ventricular tachycardia and fibrillation if accidentally injected intravenously.
- Concomitant use of quinupristin/dalfopristin should be avoided.
- Hypokalaemia produced by acetazolamide, loop diuretics and thiazides may antagonize the effect of lidocaine if administered concomitantly.
- Concomitant use of both fluvoxamine and a CYP3A4 inhibitor such as erythromycin can further increase lidocaine concentrations. Because lidocaine possesses a narrow therapeutic window, doses of lidocaine may need to be adjusted accordingly.
- Opioid-antiemetic combination sometimes used for sedation in children could reduce the convulsant threshold to lidocaine and increase the CNS depressant effect.

Adverse Effects

- Any sudden wheeziness, difficulty in breathing, swelling of the eyelids, face or lips, rash or itching.
- Lidocaine may result in abnormal amount of methemoglobin (a form of hemoglobin in blood) which may cause bluish discoloration of skin, headache, shortness of breath, malaise and fatigue.
- Other serious side effects are also rare, but may occur if high dose is given or if the drug is unintentionally injected into a blood vessel.

Presentation

- EVACAINE 2% Injection is available in 30ml flint fiolex tubular glass vial with 20mm neck with bromobutyl rubber stopper and flip off seal.

Storage and other information

- Store in a cool and dry place. Caution: Not to be used if container is found leaking or solution is hazy or contain any visible solid particles. Keep out of the reach of children

Manufactured By

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