For the use of only a Registered Medical Practitioner or a Hospital.

LIDOCAINE INJECTION BP

COMPOSITION:
Each ml contains:
Lidocaine Hydrochloride BP. . . . . 1% w/v / 2% w/v
Benzyl Alcohol BP (as preservative). . . . . 2% w/v
Water For Injection BP . . . . . q.s.

DESCRIPTION:
Lidocaine hydrochloride is a white or almost white, crystalline powder and very soluble in water, freely soluble in ethanol (96 per cent). Lidocaine HCL chemical name is 2-(Diethylamino)-N-(2,6-dimethylphenyl)acetamide hydrochloride monohydrate. Lidocaine hydrochloride molecular formula is $C_{14}H_{23}ClN_2O,H_2O$ and molecular weight is 288.8.

PHARMACODYNAMIC PROPERTIES:
Lidocaine is a local anaesthetic of the amide type. It is used to provide local anaesthesia at various sites in the body and it acts by inhibiting the ionic refluxes required for the initiation and conduction of impulses, thereby stabilising the neuronal membrane. In addition to blocking conduction in nerve axons in the peripheral nervous system, lidocaine has important effects on the central nervous system 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.

PHARMACOKINETIC PROPERTIES:
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 subcutaneous administration. Lidocaine is bound to plasma proteins, including alpha-1-acid-glycoprotein. The drug crosses the blood-brain and placental barriers.

Lidocaine is metabolised 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. Further metabolism occurs and metabolites are excreted in the urine with less than 10% as unchanged lidocaine. The elimination half-life of lidocaine following an intravenous bolus injection is one to two hours, but this may be prolonged in patients with hepatic dysfunction.

INDICATIONS:
Lidocaine is used as a local anaesthetic in infiltration field block, nerve block and spinal anaesthesia. As a local anaesthetic it has an action of intermediate duration which can be increased by adding adrenaline.

CONTRAINDICATIONS:
Contraindicated in patients that are hypersensitive to local anaesthetics. Lidocaine hydrochloride should not be given to patients with hypovolaemia, heart block or other conduction disturbances, bradycardia, cardiac decompensation or hypotension unrelated to treatable tachyarrhythmias, myasthenia gravis.

DOSAGE AND ADMINISTRATIONS:
As local anaesthetic the dose is dependent of the area to be anaesthetized and it is given subcutaneously or intramuscularly:

1. Infiltration anaesthesia - a 0.5 to 1.0% solution is used.
2. Field block anaesthesia - as for infiltration anaesthesia.
3. Nerve block anaesthesia - depending upon which nerves or plexuses, the type of fibers - a 1 to 2% solution is used.
4. Spinal anaesthesia - 0.5 to 5% solution; 100 mg when high thoracic anaesthesia is sought.
5. Epidural anaesthesia-determined by the segmental level of anaesthesia required. The volume of anaesthetic required is determined by which nerve fibers are to be blocked, what level of anaesthesia is required and whether adrenaline is used. The addition of adrenaline 1:200000 is often used to increase the duration of anaesthesia.

The maximum 24 hour dose is 300 mg of Lidocaine.

DRUG INTERACTIONS:
The administration of local anesthetic solutions containing epinephrine or norepinephrine to patients receiving monamine oxidase inhibitors or tricyclic antidepressants may produce severe, prolonged hypertension. Phenothiazine and butyrophenones may reduce or reverse the pressure effect of epinephrine. Concurrent use of these agents should generally be avoided in situations when concurrent therapy is necessary careful patient monitoring isessential. Concurrent administration of vasopressor drugs (for the treatment of hypotension related to obstetric blocks) and ergot-type or Oxytocic drugs may cause severe persistent hypertension or cerebrovascular accidents.

SIDE EFFECTS:
Caution should be exercised in the presence of hepatic insufficiency, other cardiac conditions, epilepsy and myasthenia gravis and impaired respiratory function. The plasma half-life of Lidocaine hydrochloride may be prolonged in conditions which reduce hepatic blood flow such as cardiac and circulatory failure. The main systemic toxic effect is stimulation of the central nervous system manifested by yawning, restlessness excitement, nervousness, dizziness, blurred vision, nausea, vomiting, muscle twitching and convulsions.

Excitation of the central nervous system may be transient, and followed by depression, with drowsiness, respiratory failure and coma. There is simultaneous depression of the cardiovascular system, with pallor, sweating and hypotension.

Arrhythmias, bradycardia and cardiac arrest may be precipitated. Allergic reactions of an anaphylactic nature may occur. Drowsiness, lassitude and amnesia have been reported with therapeutic doses of Lidocaine hydrochloride. Numbness of the tongue and perioral region is an early sign of systemic toxicity.

Methaemoglobinaemia has been reported. Fetal intoxication has occurred following the use of Lidocaine hydrochloride in labor. Doses should be reduced in elderly and debilitated patients and in children. The local anaesthetic effect of Lidocaine may be reduced if the injection is administered into an inflamed area with a low tissue pH.

WARNINGS & PRECAUTIONS:
Warnings:
LIDOCAINE HYDROCHLORIDE SOLUTION FOR INFILTRATION AND NERVE BLOCK SHOULD BE EMPLOYED ONLY BY CLINICIANS WHO ARE WELL VERSED IN DIAGNOSIS AND MANAGEMENT OF DOSE-RELATED TOXICITY AND OTHER ACUTE EMERGENCIES THAT MIGHT ARISE FROM THE BLOCK TO BE EMPLOYED AND THEN ONLY AFTER ENSURING THE IMMEDICATE AVAILABILITY OF OXYGEN, OTHER RESUSCITATIVE DRUGS, CARDIOPULMONARY EQUIPMENT, AND THE PERSONNEL NEEDED FOR PROPER MANAGEMENT OF TOXIC REACTIONS AND RELATED EMERGENCIES.

DELAY IN PROPER MANAGEMENT OF DOSE-RELATED TOXICITY, UNDER-VENTILATION FROM ANY CAUSE AND/OR ALTERED SENSITIVITY MAY LEAD TO THE DEVELOPMENT OF ACIDOSIS, CARDIAC ARREST AND, POSSIBLY, DEATH.

To avoid intravascular injection, aspiration should be performed before the local anesthetic solution is injected. The needle must be repositioned until no return of blood can be elicited by aspiration Note, however, that the absence of blood in the syringe does not guarantee that intravascular injection has been avoided.

Local anesthetic solutions containing antimicrobial preservatives (e.g. methyl paraben) should not be used for epidural or spinal anesthesia because the safety of these agents has not been established with regard to intrathecal injection, either intentional or accidental.

Precautions:
General:
Resuscitative equipment, oxygen and other resuscitative drugs should be available for immediate use. The lowest dosage that results in effective anesthesia should be used to avoid high plasma levels and serious adverse effects. Syringe aspirations should also be performed before and during each supplemental injection when using indwelling catheter techniques. Local anesthetic solutions containing a vasoconstrictor should be used cautiously and in carefully circum-scribed quantities in areas of the bodysupplied by end arteries or having otherwise compromised blood supply. Patients with peripheral vascular disease and those with hypertensivevascular disease may exhibit exaggerated vasoconstrictor response. Ischemic injury or necrosis may result. Preparations containing a vasoconstrictor should be used with caution in patients during or following the administration of potent general anesthetic agents since cardiacarrhythmias may occur under such conditions.

Careful and constant monitoring of cardiovascular and respiratory (adequacy of ventilation) vital signs and the patient's state of consciousnessshould be accomplished after each local anesthetic injection It should be kept in mind at such times that restlessness, anxiety, tinnitus, dizziness,blurred vision, tremors, depression or drowsiness may be early warning signs of central nervous system toxicity.

Since amide-type anesthetics are metabolized by the liver, Lidocaine Hydrochloride should be used with caution in patients with hepatic disease. Patients with severe hepatic disease, because of their inability to metabolize local anesthetics normally, are at greater risk of developing toxic plasmaconcentrations. Lidocaine Hydrochloride should be used with caution in patients with impaired cardiovascular function since they may be less able to compensate for functional changes associated with the prolongation of A-V conduction produced by these drugs.

Lidocaine should be used with caution in persons with known drug sensitivities. Patients allergic to para-aminobenzoic acid derivatives (procaine,tetracaine, benzocaine, etc) have not shown cross sensitivity to lidocaine. USE IN THE HEAD AND NECK AREA Small doses of local anestheticsinjected into the head and neck area including retrobulbar, dental and stellate ganglion blocks may produce adverse reactions similar to systemictoxicity seen with unintentional intravascular injections of larger doses. Confusion, convulsions, respiratory depression and/or respiratory arrest andcardiovascular stimulation or depression have been reported. These reactions may be due to intra-arterial injections of the local anesthetic withretrograde flow to the cerebral circulation. Patients receiving these blocks should have their circulation and respiration monitored and is constantlyobserved. Resuscitative equipment and personnel for treating adverse reactions should be immediately available. Dosage recommendations shouldnot be exceeded.

PREGNANCY AND LACTATION:
Pregnancy: Category B:
General consideration should be given to this fact before administering Lidocaine to women of childbearing potential, especially during early pregnancy when maximum organogenesis takes place.

Lactation:
It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk caution should be exercised when Lidocaine is administered to a nursing woman.

Pediatric use:
Dosages in children should be reduced commensurate with age, body weight and physical condition.

Labour and delivery:
Local anesthetics rapidly cross the placenta and, when used for paracervical or pudendal anesthesia, can cause varying degrees of maternal, fetal and neonatal toxicity. The potential for toxicity depends upon the procedure performed, the type and amount of drug used and the technique of drug administration. Adverse reactions in the parturient, fetus and neonate involve alterations of the central nervous system, peripheral vascular tone and cardiac function.

The use of some local anesthetic drug products during labor and delivery may be followed by diminished muscle strength and tone for the first day or two. The long term significance of these observations is unknown. Fetal bradycardia may occur in 20 to 30 percent of patients receiving paracervical nerve block anesthesia with the amide-type local anesthetics and may be associated with fetal acidosis.

Fetal heart rate should always be monitored during paracervical anesthesia. The physician should weigh the possible advantages against risks when considering paracervical block in prematurity, toxemia of pregnancy, and fetal distress. Careful adherence to recommended dosage is of the utmost importance in obstetrical paracervical block. Failure to achieve adequate analgesia with recommended doses should arouse suspicion of intravascular or fetal intracranial injection. Cases compatible with unintended fetal intracranial injection of local anesthetic solution have been reported following intended paracervical or pudendal block or both. Babies so affected present with unexplained neonatal depression at birth, which correlates with high local anesthetic serum levels, and often manifest seizures within six hours. Prompt use of supportive measures combined with forced urinary excretion ofthe local anesthetic has been used successfully to manage this complication.

OVERDOSAGE:
Acute emergencies from local anesthetics are generally related to high plasma levels encountered during therapeutic use of local anesthetics or to unintended subarachnoid injection of local anesthetic.

KNOWN SYMPTOMS OF OVERDOSAGE AND PARTICULARS OF ITS TREATMENT:
See symptoms mentioned under Side-effects and special precautions. Treatment is symptomatic and supportive.

STORAGE:
Store below 30°C.

PRESENTATIONS:
Box of 1 / 10 / 25 Vials of 10, 20, 25, 30 or 50 mL containing 1% or 2% solution.

Keep all the medicines away from reach of children.

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