For the use only of registered medical practitioners or a hospital or a laboratory

LIDOCAINE INJECTION BP

ANASICA™ 2%

COMPOSITION
Each ml contains :

Lidocaine Hydrochloride BP	21.33 mg
Sodium Chloride BP	6.00 mg
Methylparaben BP	1.00 mg
Water for Injection BP	to make 1.00 ml

CLINICAL PHARMACOLOGY
Local anaesthetics act by preventing the generation and transmission of impulses along nerve fibres and at nerve endings; depolarisation and ion exchange are inhibited. The effects are reversible. They are used for the local relief of painful conditions and to prevent pain and discomfort of various medical and surgical procedures. In general, loss of pain (analgesia) occurs before loss of sensory and autonomic function (anaesthesia) and loss of motor function (paralysis), but this may depend on the drug used and the site of administration. The potency of local anaesthetics is traditionally compared against that of Procaine, which is low; Chloroprocaine, Lidocaine, Mepivacaine and Prilocaine are similar or somewhat more potent; Etidocaine is of intermediate potency, Bupivacaine and Ropivacaine highly potent and Tetracaine extremely potent.

PHARMACOKINETICS
Lidocaine is readily absorbed from the gastrointestinal tract, from mucous membranes and through damaged skin. Absorption through intact skin is poor. It is rapidly absorbed from injection sites including muscle. After an intravenous dose Lidocaine is rapidly and widely distributed into highly perfused tissues followed by redistribution into skeletal muscle and adipose tissue. Lidocaine is bound to plasma proteins, including α_1-acid glycoprotein (AAG). The extent of binding is variable but is about 66%. Plasma protein binding of Lidocaine depends in part on the concentrations of both Lidocaine and AAG. Any alteration in the concentration of AAG can greatly affect plasma concentrations of Lidocaine. Plasma concentrations decline rapidly after an intravenous dose with an initial half-life of less than 30 minutes; the elimination half-life is 1 to 2 hours but may be prolonged if infusions are given for longer than 24 hours or if hepatic blood flow is reduced.

Local anaesthetics vary in their potency and speed of onset and duration of action. The anaesthetic must penetrate the lipoprotein nerve sheath in its unionized form before it can act and therefore drugs with high lipid solubility tend to have a greater potency and duration of action and a faster onset than drugs with low lipid-solubility. The most protein-bound drugs tend to have the longest duration of action.

Speed of onset and duration of action also depend on the technique employed, the type of block and the site of administration. Lidocaine is largely metabolised in the liver and any alteration in liver function or hepatic blood flow can have a significant effect on its pharmacokinetics and dosage requirements. First-pass metabolism is extensive and bioavailability is about 35% after oral administration.

Metabolism in the liver is rapid and about 90% of a given dose is dealkylated to form monoethylglycinexylidide and glycinexylidide. Both of these metabolites may contribute to the therapeutic and toxic effects of Lidocaine and since their half-lives are longer than that of Lidocaine. Accumulation, particularly of glycinexylidide, may occur during prolonged infusions. Further metabolism occurs and metabolites are excreted in the urine with less than 10% of unchanged Lidocaine. Reduced clearance of Lidocaine has been found in patients with heart failure, alcoholic liver disease or chronic or viral hepatitis. Concomitant therapy with drugs that alter hepatic blood flow or induce drug-metabolising microsomal enzymes can also affect the clearance of Lidocaine. Renal impairment does not affect the clearance of Lidocaine but accumulation of its active metabolites can occur. Lidocaine crosses the placenta and blood-brain barrier. It is distributed into breast milk.

INDICATIONS
Lidocaine is a local anaesthetic of the amide type and it is used for infiltration anaesthesia and regional nerve blocks. It has a rapid onset of action and anaesthesia is obtained within a few minutes depending on the site of administration. It has an intermediate duration of action. The speed of onset and duration of action of Lidocaine are increased by the addition of a vasoconstrictor and absorption into the circulation from the site of injection is reduced. Lidocaine is included in some injections, such as depot corticosteroids, to prevent pain, itching and other local irritation. Lidocaine has also been included in intramuscular injections of some antibacterials to reduce the pain on administration.

Lidocaine is also a class Ib antiarrhythmic used in the treatment of ventricular arrhythmias, especially after myocardial infarction. It has been given by intravenous infusion in the treatment of refractory status epilepticus

CONTRAINDICATIONS AND PRECAUTIONS
Lidocaine should not be given to patients with hypovolaemia, heart block or other conduction disturbances and should be used with caution in patients with congestive heart failure, bradycardia or respiratory depression. Lidocaine is metabolised in the liver and must be given with caution to patients with hepatic impairment. The plasma half-life of Lidocaine may be prolonged in conditions that reduce hepatic blood flow such as cardiac and circulatory failure. Metabolites of Lidocaine may accumulate in patients with renal impairment.

The intramuscular injection of Lidocaine may increase creatine phosphokinase concentrations that can interfere with the diagnosis of acute myocardial infarction.

Lidocaine is considered to be unsafe in patients with porphyria because it has been shown to be porphyrinogenic in animals.

ADVERSE REACTIONS
Adverse effects apparent after local anaesthesia may be caused by the anaesthetic or errors in technique or may be the result of blockade of the sympathetic nervous system. Local anaesthetics may produce systemic adverse effects as a result of raised plasma concentrations that occur when the rate of uptake into the circulation exceeds the rate of breakdown. For example, following accidental intravascular injection, excessive dosage or rate of administration, absorption of large amounts through mucous membranes or damaged skin, absorption of large amounts from inflamed or highly vascular areas.

The systemic toxicity of local anaesthetics mainly involves the CNS and the cardiovascular system. Excitation of the CNS may be manifested by restlessness, excitement, nervousness, paraesthesias, dizziness, tinnitus, blurred vision, nausea and vomiting, muscle twitching and tremors and convulsions. Numbness of the tongue and perioral region and lightheadedness followed by sedation may appear as early signs of systemic toxicity. Excitation when it occurs may be transient and followed by depression with drowsiness, respiratory failure and coma.

There may be effects on the cardiovascular system with myocardial depression and peripheral vasodilatation resulting in hypotension and bradycardia; arrhythmias and cardiac arrest may occur. Hypotension often accompanies spinal and epidural anaesthesia; inappropriate positioning of the patient may be a contributory factor for women in labour. Idiosyncrasy to local anaesthetics has been reported.

Fetal intoxication has occurred after the use of local anaesthetics in labour, either as a result of transplacental diffusion or after accidental injection of the fetus.

DOSAGE
The dose of Lidocaine hydrochloride used for local anaesthesia depends on the site of injection and the procedure used. When given with adrenaline, the suggested general maximum single dose of Lidocaine hydrochloride is 500 mg; without adrenaline, the recommended maximum single dose is 200 mg except for spinal anaesthesia. Lidocaine hydrochloride solutions containing adrenaline 1 in 200 000 are used for infiltration anaesthesia and nerve blocks including epidural block. Higher concentrations of adrenaline are seldom necessary, except in dentistry, where solutions of Lidocaine hydrochloride with adrenaline 1 in 80 000 are widely used.

Doses should be reduced in children, the elderly, and in debilitated patients. A test dose, preferably with adrenaline, should be given before commencing epidural block to detect inadvertent intravascular or subarachnoid administration.

Prescription only medicine.

PRESENTATION
Carton containing 3 vials & 10 vials of 30 ml.

STORAGE
Stored in a cool (below 30°C), dark place.

Keep out of reach of children.

Medicines you can trust

Mfg. by : Bharat Parenterals Limited
Survey No. 144 & 146, Jarod Samiaya Road,
Vill. Hanpura, Tal. Savli, Dist. Vadodara 391 520, India.

B28I16KE016-01

asence
Manufactured for :
Asence Pharma Private Limited
Sarabhai Campus, Dr. Vikram Sarabhai Marg,
Wadi Wadi, Vadodara 390 023, India.

SARABHAI Group

Actual Size : 97 x 140 mm

Black