## SUMMARY OF PRODUCT CHARACTERISTICS

**A. Brand Name**
**ANASICA 2%(LIDOCAINE INJECTION BP)**

**B. International Non-Proprietary Names (INNs)**
Lidocaine Injection B.P.

**C. Pharmaceutical Form, Dosage and Route of Administration**
**Pharmaceutical Form**: Injection

**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 500mg; 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 adrenaline1 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.

**Route of Administration:** I.M/I.V

**D. Qualitative and Quantitative Composition of active ingredients and excipients**
**Batch Size:** 510 Lit.

| Sr. No. | Ingredients | Specification | Standard Quantity mg/ml | % Overages added | Standard Quantity / Batch (kg) | Function |
|---|---|---|---|---|---|---|
| 1. | Lidocaine hydrochloride* | BP | 21.330 | -- | 10.878 kg | Active Ingredient |
| 2. | Sodium chloride | BP | 6.000 | -- | 3.060 kg | Electrolyte replacement |
| 3. | Methyl paraben | BP | 1.000 | -- | 0.510 kg | Preservative |
| 4. | Sodium hydroxide** | BP | -- | -- | 0.128 kg | pH regulator |
| 5. | Water for Injection | BP | 1.000 | -- | 510.0 Liter | Solvent |

Where, BP - British Pharmacopoeia
**Note:** * Add the calculated quantity based on the assay and water content of Lidocaine Hydrochloride
BP.
** For pH adjustment

**E. Therapeutic 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.

**F. Dosage and Method of Administration**

Refer section C above in the SPC

**G. Contra-indications**

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.

**H. Precautions and Warnings**

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.

**I. Drug Interactions**

Lidocaine Hydrochloride Injection BP should be used with caution in patients with digitalis toxicity accompanied by atrioventricular block. Concomitant use of beta-blocking agents or cimetidine may reduce hepatic blood flow and thereby reduce lidocaine clearance. The concomitant use of these two agents may cause an increased incidence of adverse reactions, including central nervous system adverse reactions such as seizure.
Lidocaine and tocainide are pharmacodynamically similar.

**J. Use during Pregnancy and Lactation**

**Pregnancy:**

Although animal studies have revealed no evidence of harm to the foetus, Lidocaine crosses the placenta and should not be administered during early pregnancy unless the benefits are considered to outweigh the risks.
Foetal adverse effects due to local anaesthetics, such as foetal bradycardia, seem to be most apparent in paracervical block anaesthesia. Such effects may be due to high concentrations of anaesthetic reaching the foetus.

**Lactation:**

Small amounts of Lidocaine are secreted into breast milk and the possibility of an allergic reaction in the infant, albeit remote, should be borne in mind when using Lidocaine in nursing mothers.

**K. Side Effects**

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.

## L. Over dosage

Overdosage of Anasica (lidocaine HCl) usually results in signs of central nervous system or cardiovascular toxicity.

Treatment will be required if convulsions or signs of respiratory depression and arrest develop, the patent airway should be established and oxygen should be administered and adequacy of ventilation must be assured immediately. If convulsions persist despite ventilatory therapy with oxygen, small increments of anticonvulsive agents may be given intravenously. Examples of such agents include a benzodiazepine (e.g., diazepam), an ultrashort-acting barbiturate (e.g., thiopental or thiamylal) or a short-acting barbiturate (e.g., pentobarbital or secobarbital). If the patient is under general anesthesia, a short-acting muscle relaxant (e.g., succinylcholine) may be administered.

If supportive treatment of circulatory depression required, vasopressors may be used. If cardiac arrest should occur, standard cardiopulmonary resuscitation procedures should be instituted.

Dialysis is of negligible value in the treatment of acute overdosage with lidocaine HCl.

## M. Pharmacodynamic Data

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.

## N. Pharmacokinetic Data

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 α1-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 is1 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 halt-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- metabolizing 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.

**O. Incompatibilities**

Lidocaine causes precipitation of amphotericin, methohexitone sodium and sulfadiazine sodium in glucose injection. It is recommended that admixtures of lidocaine and glyceryl trinitrate should be avoided.

**P. Storage Conditions**

Store in a cool (below $25^0$C), dark place. Keep out of the reach of children.

**Q. Instructions For Use /handling**

Use as directed by a physician.

**R. Effect on Ability to Drive and Use Machines**

Where 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.

**S. Shelf Life**

36 months

**T. Inscription in the List of Poisonous Substance**

Not applicable

**U. Packaging**

**ANASICA 2 % (Lidocaine Injection BP)** is available in 10×30 ml amber colored glass vial packed in a carton along with a Insert.

## V. Name and Address of the Manufacturer

**Bharat Parenterals Limited**
Survey No. 144 &146, Jarod Samlaya Road,
Vill. Haripura, Tal. Savli,
Dist. Vadodara 391520, India.

## W. Name and Address of the MA Holder

**Asence Pharma Pvt. Ltd.**
Sarabhai Campus,
Dr. Vikram Sarabhai Marg, Wadi Wadi,
Vadodara – 390 023, India