

USER INFORMATION
INJECSOL LIG2P
Lignocaine Hydrochloride Injection 2% w/v with Preservative
Sterile and Non Pyrogenic

Composition:

Each mL solution contains 20mg Lignocaine (Lidocaine) Hydrochloride is equivalent to 21.32 mg of Lignocaine (Lidocaine) Hydrochloride (as monohydrate). Each 10mL solution contains Lignocaine Hydrochloride 200mg with methylparaben 0.1% w/v as preservative.

Product Description:

INJECSOL LIG2P is a sterile, clear and colourless solution for injection.

Pharmacodynamics:

Lignocaine 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 reflexes 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, Lignocaine has important effects on the central nervous system and cardiovascular system. After absorption, lignocaine 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.

Pharmacokinetics:

Lignocaine 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 intercostals nerve block and the lowest after subcutaneous administration. Lignocaine is bound to plasma proteins, including alpha-1-acidglycoprotein. The drug crosses the blood-brain and placental barriers. Lignocaine 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 lignocaine. Further metabolism occurs and metabolites are excreted in the urine with less than 10% as unchanged lignocaine. The elimination half-life of lignocaine following an intravenous bolus injection is one to two hours, but this may be prolonged in patients with hepatic dysfunction.

Indication:

Lignocaine is a local anaesthetic of the amide group. Lignocaine Hydrochloride Injection is for local or regional anaesthesia, peripheral nerve block, infiltration anaesthesia and diagnostic or therapeutic block.

Recommended Dosage:

As a local anaesthetic for infiltration and nerve block:

The dosage varies and depends upon the area to be anaesthetized, vascularity of the tissues, number of neuronal segments to be blocked, individual tolerance and the technique of anaesthesia. The lowest dose needed to provide effective anaesthesia should be administered. For specific techniques and procedures, refer to standard textbooks.

Adult:

Infiltration:

Percutaneous: 5 to 500 mg.

Peripheral nerve block:

Bronchial: 225 to 300 mg.

Intercostal: 30 mg

Paracervical: 100 mg per side as a 1% solution; may be repeated if necessary at intervals of not less than 90 minutes.

Paravertebral: 30 to 50 mg.

Retrobulbar: 120 to 200 mg.

Sympathetic nerve block:

Cervical (stellate ganglion): 50 mg

Transtacheal: 80 to 120 mg. In addition, a 4% spray to the pharynx may be required to achieve complete analgesia.

Usual adult prescribing limits: Not to exceed 4.5 mg per kg of body weight.

Usual pediatric dose:

Local infiltration: Up to 4.5 mg per kg of body weight as a 0.25% to 0.5% solution.

Nerve block: Up to 4.5 mg per kg of body weight as a 0.5% to 1% solution.

Note: Dosage must be individualized by physician, based on patients age and weight. For local infiltration, concentration of 0.25% to 0.5% are recommended for use in infants; concentrations of 0.5% are recommended for other pediatric patients.

Route of Administration: Intramuscular (IM)/ Subcutaneous (SC)

Contraindications:

Known hypersensitivity to anaesthetics of the amide type and hydroxybenzoates; hypovolaemia; complete heart block.

Warnings and Precautions:

Lignocaine should only be used by people with skills in resuscitation. Facilities and equipment for resuscitation should be available when administering local anaesthetics.

As with other local anaesthetics, Lignocaine should be used with caution in patients with epilepsy, myasthenia gravis, cardiac conduction disturbances, congestive cardiac failure, severe shock, bradycardia, impaired respiratory depression or impaired renal function with a creatinine clearance of less than 10mL/minute. Since Lignocaine is metabolised in the liver, it should be used with caution in patients with impaired hepatic function. Lignocaine should not be used in cases of acute porphyrias. The effect of local anaesthetics may be reduced if the injection is made into an inflamed or infected area.

Retrobulbar injections may rarely reach the cranial subarachnoid space, causing serious/severe reactions including cardiovascular collapse, apnoea, convulsions and temporary blindness. Retro- and peribulbar injections of local anaesthetics carry a low risk of persistent ocular motor dysfunction. The primary causes include trauma and/or local toxic effects on muscles and/or nerves.

The severity of such tissue reactions is related to the degree of trauma, the concentration of the local anaesthetic and the duration of exposure of the tissue to local anaesthetic. For this reason, as with all local anaesthetic, the lowest effective concentration and dose of local anaesthetic should be used.

INJECSOL LIG2P is not recommended for use in neonates. The optimum serum concentration of Lignocaine required to avoid toxicity, such as convulsions and cardiac arrhythmias, in this age group is not known.

Interactions with Other Medicaments:

Effects of Lignocaine on other medicinal products

Lignocaine should be used with caution in patients receiving other local anaesthetics or agents related structurally to amide-type local anaesthetics (e.g. anti-arrhythmics, such as mexiletine), since the systemic toxic effects are additive. Specific interaction studies with Lignocaine and class III anti-arrhythmic drugs (e.g. amiodarone) have not been performed, but caution is advised. There may be an increased risk of enhanced and prolonged neuromuscular blockade in patients treated concurrently with muscle relaxants (e.g. suxamethonium).

Effects of other medicinal products on Lignocaine

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), prenylamine, adrenaline (if accidentally injected intravenously) or 5HT₃ antagonists (e.g. tropisetron, dolasetron).

Concomitant use of quinupristin/dalfopristin may increase Lignocaine levels and therefore should be avoided.

The clearance of Lignocaine may be reduced by beta-adrenoreceptor blocking agents (e.g. propranolol) and by cimetidine requiring a reduction in the dosage of Lignocaine. Increase in serum levels of Lignocaine may also occur with anti-viral agents (e.g. amprenavir, atazanavir, darunavir, lopinavir). Hypokalaemia caused by acetazolamide, loop diuretics and thiazides antagonize the effect of Lignocaine.

Cardiovascular collapse has been reported following the use of bupivacaine in patients on treatment with verapamil and timolol; Lignocaine is closely related to bupivacaine. While adrenaline when used in conjunction with Lignocaine might decrease vascular absorption, it greatly increases the danger of ventricular tachycardia and fibrillation if accidentally injected intravenously.

Statement on Usage During Pregnancy and Lactation:

Pregnancy:

There are no adequate and well-controlled studies in pregnant women on the effect of lidocaine on the developing foetus, Lignocaine crosses the placenta and should not be administered during early pregnancy unless the benefits are considered to outweigh the risks. Lignocaine given by local perineal infiltration prior to delivery crosses rapidly into the foetal circulation. Elevated Lignocaine levels may persist in the newborn for at least 48 hours after delivery. Foetal bradycardia or tachycardia, neonatal bradycardia, hypotonia or respiratory depression may occur.

Lactation:

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

Adverse Effects / Undesirable Effects:

In common with other local anaesthetics, adverse reactions to Lignocaine are rare and are usually the result of raised plasma concentrations due to accidental intravascular injection, excessive dosage or rapid absorption from highly vascular areas, or may result from a hypersensitivity, idiosyncrasy or diminished tolerance on the part of the patient. Systemic toxicity mainly involves the central nervous system and/or the cardiovascular system.

Solutions of lignocaine which contain preservatives are not suitable for spinal, epidural or caudal anaesthesia. Adverse effects reported following unpreserved lignocaine solutions administered by this route include hypotension and isolated cases of bradycardia and cardiac arrest.

Immune system disorders

Hypersensitivity reactions (allergic or anaphylactoid reactions, anaphylactic shock) see also skin & subcutaneous tissue disorders. Skin testing for allergy to Lignocaine is not considered to be reliable.

Nervous & Psychiatric disorders

Neurological signs of systemic toxicity include dizziness or light-headedness, nervousness, tremor, circumoral paraesthesia, tongue numbness, drowsiness, convulsions and coma. Nervous system reactions may be excitatory and/or depressant. Signs of CNS stimulation may be brief, or may not occur at all, so that the first signs of toxicity may be confusion and drowsiness, followed by coma and respiratory failure. Neurological complications of spinal anaesthesia include transient neurological symptoms such as pain of the lower back, buttock and legs. These symptoms usually develop within twenty-four hours of anaesthesia and resolve within a few days. Isolated cases of arachnoiditis or cauda equina syndrome, with persistent paraesthesia, bowel and urinary dysfunction, or lower limb paralysis have been reported following spinal anaesthesia with Lignocaine and other similar agents. The majority of cases have been associated with hyperbaric concentrations of Lignocaine or prolonged spinal infusion.

Eye disorders

Blurred vision, diplopia and transient amaurosis may be signs of Lignocaine toxicity. Bilateral amaurosis may also be a consequence of accidental injection of the optic nerve sheath during ocular procedures. Orbital inflammation and diplopia have been reported following retro or peribulbar anaesthesia.

Ear and labyrinth disorders

Tinnitus, hyperacusis.

Cardiac and vascular disorders

Cardiovascular reactions are depressant and may manifest as hypotension, bradycardia, myocardial depression, cardiac arrhythmias and possibly cardiac arrest or circulatory collapse.

Respiratory, thoracic or mediastinal disorders

Dyspnoea, bronchospasm, respiratory depression, respiratory arrest.

Gastrointestinal

Nausea, vomiting.

Skin & subcutaneous tissue disorders

Rash, urticaria, angioedema, face oedema.

Blood and lymphatic system disorders

Methaemoglobinaemia

Overdose and Treatment:**Symptoms of acute systemic toxicity:**

Central nervous system toxicity presents with symptoms of increasing severity. Patients may present initially with circumoral paraesthesia, numbness of the tongue, light-headedness, hyperacusis and tinnitus. Visual disturbances and muscular tremors or muscle twitching are more serious and precede the onset of generalised convulsions. These signs must not be mistaken for neurotic behaviour. Unconsciousness and grand mal convulsions may usually follow, which may last from a few second to several minutes. Hypoxia and hypercapnia occur rapidly following convulsions due to increase muscular activity, together with the interference with normal respiration and loss of the airway. In severe cases, apnoea may occur. Acidosis increases the toxic effects of local anaesthetics.

Effects on the cardiovascular system may be seen in severe cases. Hypotension, bradycardia, arrhythmia and cardiac arrest may occur as a result of high systemic concentrations, with potentially fatal outcome.

Recovery occurs as a consequence of redistribution of the local anaesthetic drug from the central nervous system, and metabolism and may be rapid unless large amounts of the drug have been injected.

Treatment of acute toxicity:

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. The circulation should be maintained with infusions of plasma or intravenous fluids. Where further supportive treatment of circulatory depression is required, use of a vasopressor agent may be considered although this involves a risk of central nervous system excitation.

If convulsions do not stop spontaneously in 15-20 seconds, they may be controlled by the intravenous administration of Diazepam or Thiopentone Sodium, bearing in mind that anti-convulsant drugs may also depress respiration and the circulation. Prolonged convulsions may jeopardize the patient's ventilation and oxygenation and early endotracheal intubation should be considered. If cardiac arrest should occur, standard cardiopulmonary resuscitation procedures should be instituted. Continual optimal oxygenation and ventilation and circulatory support as well as treatment of acidosis are of vital importance.

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

Effects on Ability to Drive and Use Machine

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.

Incompatibilities:

Lignocaine caused precipitation of amphotericin, methohexital sodium and sulfadiazine sodium in glucose injection. It is recommended that admixtures of lignocaine and glyceryl trinitrate should be avoided.

Storage Condition Before and After Opening:

Do not store above 30°C.

Shelf life:

2 years from manufacturing date in proposed storage condition. Discard 3 days after first opening. Do not use after expiry.

Dosage form and packaging available:

10mL X 10 vials per box

Manufacturer/ Product Registration Holder:

AIN MEDICARE SDN. BHD.

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