

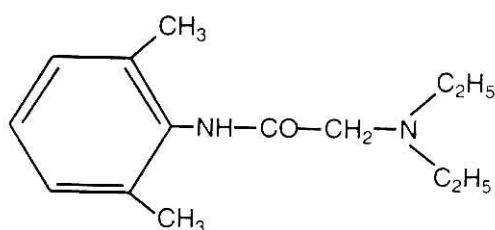
DATA SHEET

NAME OF MEDICINE

MIN-I-JET® Lignocaine Hydrochloride Injection 100mg/10mL (1% solution) and MIN-I-JET® Lignocaine Hydrochloride Injection 100mg/5mL (2% solution).

PRESENTATION

Lignocaine is 2-(diethylaminoaceto-2',6'-xylidide; C₁₄H₂₂N₂O. It is a stable, colourless, crystalline solid whose hydrochloride salt is readily soluble in water. Its chemical structure is:



Lignocaine Hydrochloride Injection is a sterile solution of lignocaine hydrochloride in water. The solution also contains sodium chloride. The injection is available as 1% and 2% solutions.

USES

ACTIONS

Lignocaine stabilises the neuronal membrane and prevents the initiation and transmission of nerve impulses, thereby effecting local anaesthetic action. The onset of action is rapid and the blockade may last from 1 to 1.5 hours.

In the heart, lignocaine reduces automaticity by decreasing the rate of diastolic (phase 4) depolarisation. Lignocaine is considered as a class 1 (membrane stabilising) antiarrhythmic agent. The duration of the action potential is decreased due to blockade of the sodium channel and the refractory period is shortened.

Lignocaine is rapidly distributed to all body tissues; about 65% is protein bound. Lignocaine crosses the placenta. The half life is 1.6 hours. About 80% of the dose is metabolised in the liver; less than 10% is excreted unchanged in the urine.

INDICATIONS

For local or regional anaesthesia by infiltration; for regional intravenous anaesthesia and nerve blocks such as major plexus blocks and epidural anaesthesia.

Treatment or prophylaxis of life-threatening ventricular arrhythmias, including those associated with myocardial infarction, general anaesthesia in patients predisposed to ventricular arrhythmias, digitalis intoxication, or following resuscitation from cardiac arrest.

DOSAGE AND ADMINISTRATION

Local anaesthesia

The dose varies depending upon the area to be anaesthetised, vascularity of the tissues, number of neuronal segments to be blocked, individual tolerance and the anaesthetic technique. The lowest dose needed to provide effective anaesthesia should be used.

Injection should be made slowly and with frequent aspiration to guard against intravascular injection, which may produce toxic effects. Care should be exercised in performing epidural anaesthesia to prevent intravascular or subarachnoid injection of the large dose of anaesthetic.

For continuous epidural or caudal anaesthesia and paracervical block for obstetric analgesia, the maximum dose should not be repeated at intervals of less than 1.5 hours.

During spinal anaesthesia the positioning of the patient is very important (see **WARNINGS AND PRECAUTIONS**) and the patient's blood pressure should be monitored.

Adults

The dose should not exceed 200 mg.

For spinal anaesthesia, dose should not exceed 100mg.

Children

The dose should not exceed 3 mg/kg.

In children, early signs of local anaesthetic toxicity may be difficult to detect in cases where the block is given during general anaesthesia.

Intravenous use in cardiac arrhythmias

Patients with congestive heart failure or cardiogenic shock may require smaller bolus doses.

Adults

The usual dose is 50 to 100 mg lignocaine administered intravenously under ECG monitoring. The dose may be injected at a rate of approximately 25 to 50 mg (2.5 to 5.0 mL of the 1% solution or 1.25 to 2.5 mL of the 2% solution) per minute. A sufficient period of time should be allowed to enable a slow circulation to carry the drug to the site of action. If the initial dose of 50 to 100 mg does not produce the desired response, a second dose may be given after 5 minutes. No more than 200 - 300 mg of lignocaine should be administered during a 1 hour period.

Following a single injection in those patients in whom arrhythmia tends to recur and who are incapable of receiving oral antiarrhythmic therapy, intravenous infusions of lignocaine may be administered at a rate of 1 to 4 mg/minute (20 to 50 microgram/kg/minute). Intravenous infusions must be given under ECG monitoring to avoid potential overdosage and toxicity. The infusion should be terminated as soon as the patient's cardiac rhythm appears to be stable or at the earliest signs of toxicity. It should rarely be necessary to continue the infusion beyond 24 hours. As soon as possible, patients should be changed to an oral antiarrhythmic agent for maintenance therapy.

Children

Experience with lignocaine is limited. A suggested paediatric dose is a loading dose of 0.5 to 1 mg/kg repeated if necessary up to 3-5 mg/kg, followed by continuous infusions of 10 to 50 microgram/kg/minute.

Elderly

The dose may need to be reduced depending on age and physical state.

CONTRAINDICATIONS

Lignocaine is contraindicated in patients with a known hypersensitivity to local anaesthetics of the amide type. Lignocaine should not be used intravenously in patients with Stokes-Adams syndrome or with severe degrees of sinoatrial, atrioventricular or intraventricular block *unless the patient has* an artificial pacemaker.

Lignocaine suppresses ventricular pacemaker activity and may cause severe bradycardia or asystole in patients, including those undergoing epidural anaesthesia.

Serious diseases of the CNS or of the spinal cord such as meningitis, spinal fluid block, cranial or spinal haemorrhage, tumors, poliomyelitis, syphilis, tuberculosis or metastatic lesions of the spinal cord.

Patients with myasthenia gravis, severe shock, or impaired cardiac conduction.

Local anaesthetic techniques must not be used when there is inflammation and/or sepsis in the region of the proposed injection and in the presence of septicaemia.

Epidural and spinal anaesthesia in patients with uncorrected hypotension and in patients with coagulation disorders or receiving anti-coagulation treatment.

WARNINGS AND PRECAUTIONS

In the Cardiac Arrhythmia Suppression Trial (CAST), a long-term multi-centred randomised double-blind study in patients with asymptomatic non-life-threatening ventricular arrhythmia, who had myocardial infarction more than six days but less than two years previously, an excess mortality and non-fatal cardiac arrest rate was seen in patients treated with encainide or flecainide (56/730), compared with that seen in patients assigned to matched placebo treated groups (22/725). The average duration of treatment with encainide or flecainide in this study was ten months.

While there are no comparable mortality trial data for other Class I antiarrhythmic agents post-myocardial infarction or in other clinical settings, meta-analyses of small scale clinical trials of these agents in similar populations suggest a trend towards increased mortality compared to placebo and no evidence of benefit.

All Class I antiarrhythmic agents share the capacity to produce slowing of conduction velocity which can promote tachycardias via re-entry mechanisms.

Therefore, the prophylactic use of Class I antiarrhythmic drugs following myocardial infarction is potentially hazardous. Indeed the use of these agents for other than life-threatening arrhythmias or severe symptoms due to arrhythmias is not recommended.

Constant ECG monitoring is necessary during intravenous administration. Resuscitative equipment and drugs, including oxygen, should be immediately available for the management of severe cardiovascular, respiratory or central nervous system effects. If signs of excessive depression of cardiac conductivity, aggravation of arrhythmias or other severe reactions occur, lignocaine should be discontinued.

Lignocaine should be used with caution in patients with epilepsy, liver disease, renal disease, congestive cardiac failure, marked hypoxia, severe respiratory depression, hypovolaemia or shock and in patients with any form of heart block or sinus bradycardia. Hypokalaemia, hypoxia and disorders of acid-base balance should be corrected before treatment with lignocaine.

Blood pressure should be carefully monitored during spinal anaesthesia.

Large, or repeated doses of small injections of lignocaine for local anaesthesia may lead to plasma levels which are great enough to cause problems associated with intravenous use.

It may be necessary to reduce the dose of lignocaine in elderly or debilitated patients, acutely ill patients and children commensurate with their age and physical status.

Lignocaine should be used cautiously in patients with known drug allergies or sensitivities.

Lignocaine suppresses ventricular pacemaker activity and may cause severe bradycardia or asystole in patients, including those undergoing epidural anaesthesia.

ECG changes such as prolongation of the PR interval and QRS complex or the appearance or aggravation of arrhythmias necessitates prompt cessation of lignocaine infusion.

Severe reactions are often preceded by somnolence and paraesthesia, and these symptoms should not be ignored.

Lignocaine may increase ventricular rate when it is administered to patients with atrial fibrillation.

Ischaemia or necrosis may occur in patients with hypertensive vascular disease or with an exaggerated vasoconstrictor response.

The safety and effectiveness of lignocaine depend on the proper dosage, correct technique and adequate precautions. Standard textbooks and Australian Guidelines should be consulted for specific techniques and precautions for spinal anaesthetic procedures.

Use with caution in patients with genetic predisposition to malignant hyperthermia as the safety of amide local anaesthetic agents in these patients has not been fully established.

The lignocaine is in a single use MIN-I-JET[®] prefilled syringe. Once the unit is assembled and used, any remaining portion of the solution must be discarded with the entire unit.

Carcinogenicity/mutagenicity

A metabolite of lignocaine, 2, 6-dimethylamiline (2,6-Xylidine), has tumorigenic potential in man.

Use in pregnancy (Category A)

The safe use of lignocaine during pregnancy has not been established with respect to possible adverse effects upon foetal development. Therefore, lignocaine MIN-I-JET[®] should only be used in pregnancy if the expected medical benefits outweigh any potential risk.

Foetal bradycardia frequently follows paracervical block and may be associated with foetal acidosis. Foetal heart rate should always be monitored during paracervical anaesthesia. When the recommended dose is exceeded, the incidence of foetal bradycardia increases.

Use in lactation

Lignocaine is excreted in breast milk. The clinical significance of this is unknown.

Interaction with other drugs

Propranolol and cimetidine may reduce the clearance of lignocaine and hence increase its toxicity. If either of these is administered concurrently with lignocaine, the patient should be closely observed for signs of lignocaine toxicity, and serum lignocaine concentrations should be carefully monitored.

When lignocaine is administered with other antiarrhythmic drugs, the cardiac effects may be additive or antagonistic and toxic effects may be additive.

Lignocaine prolongs the duration of action of suxamethonium.

Phenytoin and other antiepileptic drugs such as phenobarbitone, primidone and carbamazepine appear to enhance the metabolism of lignocaine but the significance of this effect is not known. Phenytoin and lignocaine have additive cardiac depressant effects.

ADVERSE EFFECTS

The use of lignocaine as a local anaesthetic may cause adverse reactions resulting from high plasma levels due to rapid absorption, inadvertent intravascular injection or excessive dosage. Other causes of reactions are hypersensitivity, idiosyncrasy or diminished tolerance.

Systemic reactions of the following types have been reported:

More common reactions: lightheadedness, drowsiness, dizziness, apprehension, euphoria, tinnitus, blurred or double vision, nystagmus, vomiting, sensations of heat, cold or numbness, twitching and tremors, disorientation, confusion, psychosis, nervousness, agitation, nausea, difficulty swallowing, dyspnoea, slurred speech.

Less common reactions are usually more serious and include convulsions, unconsciousness, respiratory depression and arrest, hypotension, arrhythmias and heart block, cardiovascular collapse and bradycardia which may lead to cardiac arrest. Methaemoglobinaemia can occur following intravenous administration.

Allergic reactions may occur but are infrequent. They are characterised by cutaneous lesions of delayed onset and other manifestations of allergy. The detection of sensitivity by skin testing is of doubtful value.

Cardiovascular

Cardiovascular toxic effects are generally preceded by signs of toxicity in the central nervous system, unless the patient is receiving a general anaesthetic or is heavily sedated with drugs such as a benzodiazepine or a barbiturate. In rare cases, cardiac arrest has occurred without prodromal CNS effects.

In children, early signs of local anaesthetic toxicity may be difficult to detect in cases where the block is given during general anaesthesia.

OVERDOSAGE

Symptoms

Reactions due to overdose with lignocaine are systemic and involve the central nervous, respiratory and cardiovascular systems. Effects include medullary depression, tonic and clonic convulsions and cardiovascular collapse (**SEE ADVERSE EFFECTS**).

In children, early signs of local anaesthetic toxicity may be difficult to detect in cases where the block is given during general anaesthesia.

Cardiovascular toxicity

In rare cases, cardiac arrest has occurred without prodromal CNS effects.

Treatment

Institute emergency resuscitative procedures and administer the drugs necessary to counteract each appropriate abnormality. For severe convulsions, small increments of diazepam or an ultra-short acting barbiturate (thiopentone) should be given. If the patient is anaesthetised, a short acting muscle relaxant may be given intravenously. Patency of the airway and adequacy of ventilation must be assured. Should circulatory depression occur, vasopressors such as metaraminol may be used.

PACKAGE QUANTITIES

Lignocaine Hydrochloride Injections are available in single use prefilled MIN-I-JET® syringes containing 100 mg lignocaine hydrochloride in 10 mL (1% solution) and 100 mg lignocaine hydrochloride in 5 mL (2% solution).

STORAGE

Store below 25°C.

MEDICINE CLASSIFICATION

Prescription Only Medicine.

FURTHER INFORMATION

Nil

NAMES AND ADDRESSES

Manufactured by:

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