Lidocaine 10% w/w

Description
Each gram of avocaine 10% spray contains 100 mg of lidocaine base (10 mg/dose)

Properties
Pharmacodynamic
Avocaine 10% spray like other local anesthetics, causes reversible blockade of the impulse propagation along nerve fibres by preventing the inward movement of the sodium ion through the nerve membrane.

Local anesthetics of the amide-type are thought to act within the sodium channels of the nerve membranes. Local anesthetics drugs may also have similar effects on the excitable membranes on the brain and myocardium excessive amount of the drug reach the systemic circulation rapidly, symptoms and signs of toxicity appear, emanating from the central nervous system and cardiovascular system.

Central nervous system toxicity y usually precedes the cardiovascular effect since it occurs at lower plasma concentration, direct effect of the local anesthetics on the heart include slow conduction negative inotropism and eventually cardiac arrest.

Pharmacokinetic
Lidocaine absorbed following topical administration to mucus membrane. Its rate and extent of absorption being dependent upon the concentration and total dose administered, the specific site of application and during exposure. In general the rate of absorption of local anesthetics agents following topical application is most rapid after intra tracheal and bronchial administration.

Lidocaine is also well absorbed from gastrointestinal tract, although little of the intact drug appet.

In the circulation because of the biotransformation in the liver, the plasma protein binding of lidocaine is dependant on the drug concentration and the fraction bound decreases with increasing concentration of 1 to 4 microgram of the free base per ml, 60 to 80% of lidocaine is protein bound. Binding is also dependant on the plasma concentration of the alpha -1-acid glycol – protein lidocaine crosses the blood brain and placenta barriers, presumably by passive diffusion. Lidocaine is metabolized rapidly by the liver, metabolite and unchanged drug are excreted by the kidney. Biotransformation includes oxidative –n-adealkylation ring hydroxylation, cleavage of the amide linkage and conjugation, n-dealkylation is major pathway of biotransformation, yields the metabolite monoethylglycinexylidide and glycinexylidide, the pharmacological and toxicological action of these metabolites are similar to but less potent than those of lidocaine, approximately 90% of lidocaine administered is excreted in the form of various n metabolited and less than 10% is excreted unchanged, the primary metabolite in the urine is a conjugate of 4-hydroxy-2,6 dimethylalanine. The elimination half life of lidocaine following antravenous bolus injection is typically 1.5 – 2 hrs. Because of the rapid rate at which lidocaine is metabolized, any condition that affect the liver function may alter lidocaine kinetics the half life may be prolonged two –fold or more in patients with liver dysfunction. Renal dysfunction does not affect lidocaine kinetic but may increase the accumulation of metabolite.

Factors such as acidosis and the use of CNS stimulant and depressant affect the CNS levels of lidocaine required to produce overt systemic effect.

Objective adverse manifestation become increasingly apparent with increasing venous plasma level above 6 microgram free base per ml.

Dental practice
Before injection dental impression x-ray photography removal of calculus introduction of instrument tubes and catheter into the respiratory and digestive tract, provides surface anesthesia for the oropharyngeal and tracheal areas to reduce reflex activity, attenuate hemodynamic response and facilitate insertion of the tube or the passage of instrument.
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Membrane is relatively high especially in the bronchi-al tree, avocaine spray should be used with caution in patient with traumatized mucosa and / or sepsis in the region of the proposed application, if the dose or site of administration is likely to result in high plasma level avocaine in common with other local anesthetics should be used with caution in the patient with epi-lepsy, cardiovascular disease and heart failure impair cardiac conduction, bradycardia sever renal dysfunc tion, impaired hepatic function and in sever shock. Avocaine 10% spray should be used with caution in elderly patient and patient with poor general health. In paralyzed patient under general anesthaesia, higher blood conc may occur than in spontaneously patient breathing. Unanalysed patient are more likely to swallow a large proportion of the dose which then under goes considerable first pass hepatic metabolism following absorption from the gut the oropharyngeal use of topical anesthetic agent may interfere with swallowing thus enhance the danger of aspiration. This is particularly important in children because of their frequency of eating. Numbness of the tongue or buccal mucosa may increase the danger of bitting trauma. Avoid contact with the eyes. Patients treated with antiarrhythmic drugs class 3 should be under close super vision and ecg monitoring, since cardiac effect may be additive, avocaine 10% spray base in contact with both pvc, and non pvc cuffs of endotracheal tubes may cause damage of the cuff this damage is described as pin holes which may cause leakage that could lead to pressure loss in the cuff.

Pregnancy and lactation
In considering that a large number of pregnant women and women of child bearing age have been given lidocaine, no specific disturbances to the reproductive process have so far been reported exp. no. of increased incidence mal formation. Like other local anaesthetic lidocaine may enter the mother milk, but in such small amount that shows no risk affecting the neonate.

Effect on the ability to drive and use machines
Depending on the dose. Local anesthetics may have a very mild effect on the mental function and may temporarily impair the locomotion and coordination.
Drug interaction
Avocaime 10% spray should be used in caution with patients receiving agents structurally related to local anesthetic eg. tocainide since toxic effects are additive.

Overdose
Acute systemic toxicity
Toxic reactions originate mainly in the central nervous and cardiovascular systems.
Central nervous systems toxicity is a graded response with symptoms and signs of escalating severity.
The first symptoms are circumoral paraesthesia, numbness of the tongue, light headedness, hyperacusis, and tinnitus, visual disturbance and muscular tremors are more serious and precede the onset of generalized convulsions, unconsciousness and grand mal convulsions may follow which may last from few seconds to several mins, hypoxia and hypercarbia occur rapidly following convulsions due to increased muscular activity together with interference with normal respiration.
In severe cases apnea may occur. Acidoses increase the toxic effects of local anesthetics.
Cardio vascular effects are only seen in cases with high systemic concentrations, severe hypotension bradycardia arrhythmia and cardiovascular collapse may be the result in such cases. Cardiovascular toxic effects are generally preceded by sign of toxicity in central nervous system unless the patient is receiving a general anesthetic or is heavily sedated with drugs such as benzodiazepine or barbiturate.
Recovery is due to redistribution and metabolism of local anesthetic drug from the central nervous system. Recovery may be rapid unless large amounts of the drug have been administered.
The objective of the treatment are to maintain oxygenation stop convulsions and support the circulation the necessary drugs and equipment should be immediately available. Ventilation should be maintained with oxygen by assisted or controlled respiration as required. An anticonvulsant should be given i.v.
If the convulsions do not stop in 15 -20 sec, thiopentone 100-150mg IV will abort the convulsion rapidly or diazepam 5-10mg IV may be used, although its action will be slow. Suxamethonium will stop the muscle convulsions rapidly but will require tracheal intubation and artificial ventilation, and should be only be used by those familiar with these procedures. If cardiovascular depression is evident ephedrine 5-10mg IV should be given and repeated, if necessary, after 2-3 min. Should circulatory arrest occur immediate cardiopulmonary resuscitation should be instituted. Optimal oxygenation and ventilation and circulatory support as well as treatment of acidoses are of vital importance, since hypoxia and acidosis are of vital importance, since hypoxia and acidosis will increase the systemic toxicity of local anesthetics. Adrenaline 0.1-0.2 mg as IV OR INTRACARDIAC injections should be given as soon as possible and repeated if necessary. Children should be given doses commensurate with their age and weight.
Dosage and administration
Avocaime 10% spray is intended for use on mucous membrane and provides efficient surface anesthesia which last for approximately 10-15 min. The anesthesia occurs usually 1-5 min depending on the area of application, the following dosage recommendation should be regarded as a guide.
The clinicians experience and knowledge of the patient physical status are of importance in calculating the proper required dose, as with any local anesthetic reactions and complication can be avoided by using the minimal affecting dose.
Debilitated or elderly patient and children below 12 years should be given doses commensurate with their age and physical condition.
Children less than 12 years of age the dose should not exceed 3 mg/Kg and when used mainly in the larynx and trachea the dose should be reduced to 1.5 mg/Kg.
In children less than 3 years less concentrated lidocaine spray should be used.
Each activation of the metered dose device it produce 10 mg lidocaine base. It is unnecessary to dry the area of application.
No more than 20 spray should be used with adult to produce anesthetic effect.
The no. of sprays depend on the area to be anaesthetized.

**Dental practice**
1-5 sprays to used on the required mucous membrane

**Otorhinolaryngology**
3 applications for the punctured maxillary sinus.
During delivery.
Up to 20 application
Introduction of instrument and catheter into respiratory and digestive tract up to 20 applications for procedures in pharynx, larynx and trachea.