SUMMARY PRODUCT CHARACTERISTIC (SPC)

Lidocaine 5% ointment

1. NAME OF THE MEDICINAL PRODUCT
Lidocaine 5% ointment

2. QUALITATIVE AND QUANTITATIVE COMPOSITION
Each gram contains:
active ingredient: lidocaine – 50 mg.
For a full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM
Ointment
White homogeneous odorless ointment.

4. CLINICAL PARTICULARS
4.1. Therapeutic indications
Lidocaine 5% ointment is used for local anesthesia of skin and mucous membranes mouth and perianal area.

4.2. Posology and method of administration
Dosage of ***Lidocaine 5% ointment*** depends on the indications and the area of surface to be anesthetized, tissue vascularity and individual patient tolerance. The lowest dosage necessary to produce adequate anesthesia should be used. Avoid long-term use.

Adults aged over 12 years
Pain relief - 1–2 g applied when necessary.

Children (Under 12 Years)
Hence, for safety reasons, in children less than 12 years of age 100% bioavailability should be assumed following application to mucous membranes and broken skin, and the maximum amount of ***Lidocaine 5% ointment*** administered to children should not be exceed 0.1 g ointment/kg body weight (corresponding to 5 mg lidocaine/kg of body weight). The minimum dosing interval in children should be 8 hours.

4.3. Contraindications
Traumatized mucosa (increased absorption of anesthetic, leading to increased risk of systemic toxicity).
Children younger than 2 years of age.
Known hypersensitivity to lidocaine.

4.4. Special warnings and precautions for use
Lidocaine should not be given in dentistry where inflammation or sepsis exists.
Although systemic absorption is low, caution in anaemia, in congenital or acquired methaemoglobinaemia or in G6PD deficiency. If an allergic reaction occurs, the drug should be immediately discontinued, and symptomatic treatment of itching or rash begun.
In general lidocaine should not be given to patients with hypovolemia, heart block or other conduction disturbances, and should be used with caution in patients with congestive heart failure, bradycardia, or respiratory depression.
In the application of the recommended doses the risk of CNS side effects is low.
Sensitivity: Lidocaine should be used with caution in persons with known drug sensitivities. Patients allergic to para-aminobenzoic acid derivates (procaine, tetracaine, benzocaine, etc.) have not shown cross sensitivity to lidocaine.
In renal insufficiency: the pharmacokinetics of lidocaine 5% ointment is not being changed.
Hepatic: Because lidocaine is metabolized by the liver, repeated doses should be used cautiously in patients with hepatic disease.
Avoid contact with eyes.

4.5. Interaction with other medicinal products and other forms of interaction

Antiarrhythmics. There are individual reports of seizures or heart failure and cardiac arrest in patients who received intravenous lidocaine with *amiodarone*, or *tocainide*. Delirium has been reported in a patient who received lidocaine with *procainamide*.

Antiepileptics. Studies in healthy subjects and patients with epilepsy suggest that long-term use of drugs such as *phenytoin* or *barbiturates* may increase dosage requirements for lidocaine due to induction of drug-metabolising microsomal enzymes. I/v of phenytoin enhances cardiodepressive action of **LIDOCAINE.**

Beta blockers. Significant increases in plasma-lidocaine concentrations have occurred with *propranolol*, owing to a reduction in the clearance of lidocaine from plasma. A similar interaction has occurred with *nadolol*.

H_2-antagonists. *Cimetidine* may inhibit hepatic metabolism of lidocaine, leading to increased risk of lidocaine toxicity.

Hypokalaemia produced by *acetazolamide, loop diuretics*, and *thiazides* antagonizes the effect of lidocaine.

Adverse effects may also be caused by *vasoconstrictors* given with the anesthetic.

4.6. Fertility, pregnancy and lactation

Pregnant Women: Adequate and well-controlled studies in humans have not been done. However, care should be given during early pregnancy.

Nursing Women: Lidocaine is distributed into breast milk in very small quantities that cause no risk to the infant.

4.7. Effects on ability to drive and use machines

Not applicable.

4.8. Undesirable effects

Hypersensitivity reactions in the form of skin irritation (the drug contains propylene glycol), urticaria, edema, in severe cases anaphylactic shock may develop.

The systemic toxicity of local anesthetics mainly involves adverse effects from the side of CNS and the cardiovascular system;

CNS effects

Excitation of the CNS may be manifested by restlessness, anxiety, excitement, nervousness, disorientation, confusion, paraesthesias, dizziness, tinnitus, miosis, blurred vision, nausea and vomiting, muscle twitching and tremors. Numbness of the tongue and perioral region, absentmindedness, irritation, depression and drowsiness, respiratory insufficiency and coma.

Cardiovascular system effects

There may be effects on the cardiovascular system with myocardial depression and peripheral vasodilatation resulting by hypotension and bradycardia; arrhythmia and cardiac arrest may occur.

Rarely: methaemoglobinaemia may occur.

Reporting of suspected adverse reactions

Reporting suspected adverse reactions after authorisation of the medicinal product is important. It allows continued monitoring of the benefit/risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions online to the ″Center of Drug and Medical Technologies Expertise″ SNPO of MoH of RA via www.pharm.am or call the hotline numbers: (+374 10) 20 05 05 and (+374 96) 22 05 05.

4.9. Overdose

The systemic toxicity from local anesthetics is generally related to high plasma levels encountered during therapeutic use of local anesthetics and ariginates mainly the CNS and the cardiovascular system.

Symptoms

CNS toxicity: the first symptoms are circumoral paresthesia, numbness of the tongue, dizziness or lightheadedness, tinnitus, drowsiness, feeling hot, cold, or numb, ringing in ears, shivering or trembling, unusual anxiety, excitement, nervousness, or restlessness, blurred or double vision,

confusion, convulsions. Unconsciousness and grand mal convulsions may follow, which may last from a few seconds to several minutes.
***Cardiovascular* effects** severe hypotension, bradycardia, arrythmia, and cardiovascular collaps may be result in such cases.
Treatment
Symptomatic - must ensure free airway, oxygen utilization, removal of carbon dioxide, the introduction of oxygen and / or assisted respiration is necessary.
For reversal of convulsions succinylcholine (50-100 mg) or diazepam (5-15 mg) are required. Also application of barbiturates of short action (sodium thiopental) is possible. In the acute phase of overdosage of lidocaine dialysis is noneffective.

5. PHARMACOLOGICAL PROPERTIES
5.1. Pharmacodynamic properties
Pharmacotherapeutic group: Local anaesthetic;
ATC code: N01BB02.
Lidocaine is a local anesthetic of the amide type with actions. Lidocaine blocks the generation and conduction of impulses through all nerve fibers-sensory, motor, and autonomic. Lidocaine appears to block conduction of nerve impulses by decreasing permeability of the nerve cell membrane to sodium ions, thereby decreasing the rate of depolarization of the nerve membrane, increasing threshold for electrical excitability, and preventing propagation of the potential.

5.2. Pharmacokinetic properties
Absorption:Lidocaine is readily absorbed from mucous membranes. The rate and extent of absorption depends upon concentration and total dose administered, the specific site of application and duration of exposure. Perfusion rate in the mucous membranes influences on the absorption.
Distribution: Lidocaine is widely distributed into highly perfused tissues including kidney, lung, liver, heart, and also penetrates into the adipose tissue. Penetrates into the placenta by passive diffusion. Distribution in the placenta may be sufficient to penetrate into the embryo and achieving toxic levels.
Lidocaine rapidly cross the placenta, appearing in the bloodstream of the embryo during several minutes after application by mother. Lidocaine is bound to plasma proteins, including α_1-acid glycoprotein (AAG). The extent of binding is variable but is about 60-80%. This indicates that the binding with plasma proteins increases in patients with uraemia and renal transplant recipients, and increases after acute myocardial infarction. The latter is also characterized by increasing levels of AAG. Increased binding with proteins can reduce the effect of free lidocaine or even cause a general increase drug concentration in blood plasma.
Metabolism: lidocaine is metabolized by the microsomal enzymes of the liver, reduction in alkalinity through oxidation occurs within several minutes. Metabolic rate is restricted by the blood flow in the liver and, as a result, can be compromised in patients after myocardial infarction and / or congestive heart failure. As a result of the biotransformation of lidocaine monoethylglycinexylidide (MEGX) and glitsineksilidid metabolites formed which have significantly less compromised antiarrhythmic activity.
Excretion: Metabolism in the liver is rapid and about 90% of a given dose is dealkylated to form monoethylglycinexylidide and glycinexylidide. Metabolites are excreted in the urine with less than 10% of unchanged lidocaine, which partly depends on the pH of urine. It is reported that acidic urine increases the fraction which is outputted with urine. The half-life (T1 / 2) of lidocaine is longer in patients with liver disease.

5.3. Preclinical safety data
None stated.

6. PHARMACEUTICAL PARTICULARS
6.1. List of excipients
Polyethylene glycol 400
Polyethylene glycol 4000
Propylene glycol
Water purified.

6.2. Incompatibilities
Not applicable.

6.3. Shelf life
3 years. Do not use after the expiration date.

6.4. Special precautions for storage
Store at temperature below 15ºC, in dry place, out of the reach of children. Protect from light.

6.5. Nature and contents of container
15 g of ointment is filled into aluminum tubes, which are packed and inserted with the leaflet into cardboard boxes.

6.6. Special precautions for disposal and other handing
No special requirements.

7. MARKETING AUTHORIZATION HOLDER
"ARPIMED" LLC
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8. MARKETING AUTHORISATION NUMBER

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION
24.05.2010

10. DATE OF REVISION OF THE TEXT