1.17 SUMMARY PRODUCT CHARACTERISTICS (SPC)

1. NAME OF THE MEDICINAL PRODUCT

LIDORAL

Lidocaine Hydrochloride Gel

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Composition:

Lidocaine Hydrochloride USP 2%w/w

Gel Base

3. PHARMACEUTICAL FORM

Topical Gel

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Lidocaine Hydrochloride Gel is a local anesthetic used to temporarily numb certain areas of the body. It is used as an anesthetic lubricant to insert instruments in the human body for medical procedures (e.g., catheters). It is also used for the treatment of symptoms of painful inflammation of the urethra and bladder.

4.2 Dosage and Administration

For External use only

Posology For adults and adolescents, a pea sized piece of gel (approx. 0.2 g gel (4 mg lidocaine hydrochloride)) is applied 4-8 times a day.

The daily dose should not exceed 40 mg lidocaine.

Paediatric population For children from 6 years the dosing should be up to 4 times daily a pea sized piece of gel (approx. 0.2 g gel (4 mg lidocaine hydrochloride).

The safety and efficacy of in children younger than 6 years have not yet been established.

4.3 Contradiction

Hypersensitivity to the active substance (this has not been seen so far) or to any of the excipients.

4.4 Special Warnings and Precautions for use

Although the resorbed quantity of lidocaine is clearly lower after local application of the gel than after infiltration anaesthesia or nerve block anaesthesia, systemic effects cannot be completely excluded if resorption conditions are very unfavourable (strongly traumatised mucosa). Therefore extensive use should be avoided in patients with serious underlying conditions; in particular impairment of cardiac conduction, noncompensated cardiac insufficiency or severe liver or kidney disease.

Excessive dosage, or short intervals between doses, can result in high serum levels of lignocaine or its metabolites and serious adverse effects, therefore the recommended dosage and administration guidelines should be strictly followed. Where possible the lowest dose that results in effective anaesthesia should be used to avoid high plasma levels and serious adverse effects.

4.5 Drug Interactions

No interaction studies have been performed. Relevant clinical interactions are highly unlikely due to the local application and the amount of the gel to be applied. However, the analgesic effect of other local anaesthetics could be increased. Interactions known for lidocaine (antiarrhythmics, beta blockers) are not relevant for the oromucosal application of gel.

4.6 Fertility, Pregnancy and Lactation

Lignocaine crosses the placental barrier and may be taken up by fetal tissues. When used for surface anaesthesia, lignocaine blood levels following normal administration are low thus minimal drug is available for placental transfer. No specific disturbances to the reproductive process have so far been reported.

Lignocaine enters the breast milk, but in such small quantities at therapeutic dose levels that there is generally no risk when breastfeeding.

4.7 Effects on ability to drive and use machines

Depending on the dose administered, local anaesthetics may have a very mild effect on mental function and may temporarily impair locomotion and coordination.

4.8 Undesirable Effects

Systemic adverse reactions are rare and may result from high plasma levels due to excessive dosage or rapid absorption, or from hypersensitivity, idiosyncrasy or reduced tolerance on the part of the patient. Such reactions are systemic in nature and involve the central nervous and/or cardiovascular systems.

Central nervous system: CNS reactions are excitatory and/or depressant and may be characterised by lightheadedness, nervousness, apprehension, euphoria, confusion, dizziness, drowsiness, tinnitus, blurred vision, vomiting, sensation of heat, cold or numbness, twitching, tremors, convulsions, unconsciousness and possibly respiratory arrest. The excitatory reactions may be very brief or may not occur at all, in which case the first manifestations of toxicity may be drowsiness, progressing to unconsciousness and respiratory arrest. Drowsiness following administration of lignocaine is usually an early sign of a high blood level of the drug and may occur as a result of rapid absorption.

Cardiovascular: Cardiovascular reactions are depressant and may be characterised by hypotension, myocardial depression, bradycardia and possibly cardiac arrest.

Allergic reactions: Allergic reactions may occur as a result of sensitivity either to the local anaesthetic agent or to other ingredients in the formulation. Allergic reactions as a result of sensitivity to lignocaine are rare. The detection of sensitivity by skin testing is of doubtful value. The extremely rare cases of allergy to local anaesthetic preparations have included bronchospasm, chest pain, dyspnoea, pruritus, rash, oedema, rhinitis, increased sweating, urticaria, sleepiness, dizziness, paraesthesia and, in the most severe instances, anaphylactic shock.

Effects on the blood: Methaemoglobinaemia may occur, probably due to the metabolism of lignocaine to an aniline-like structure. Infants (during the first 3 months of life) are particularly susceptible to induced methaemoglobinaemia, probably due to their limited enzyme capacity.

4.9 Overdose

Lignocaine is absorbed from mucous membranes and serious toxicity has been reported following the use of lignocaine preparations for urethral anaesthesia. Lignocaine intoxication affects the CNS and cardiovascular system. Overdose symptoms include: severe hypotension, asystole, bradycardia, apnoea, cardiac arrest, respiratory arrest, seizures, coma and possibly death.

Management of local anaesthetic emergencies: The first consideration is prevention, which is best accomplished by careful and constant monitoring of cardiovascular and respiratory vital signs and the patient's state of consciousness after each local anaesthetic administration. At the first sign of change, oxygen should be administered.

Treatment: If convulsions occur, immediate attention is required for the maintenance of a patent airway and assisted or controlled ventilation with oxygen. Adequacy of the circulation should then be evaluated, bearing in mind that drugs used to treat convulsions depress the circulation when administered intravenously.

Should convulsions persist despite adequate respiratory support, and if the status of the circulation permits, appropriate anticonvulsant medication such as an ultrashort acting barbiturate (e.g., thiopentone) or a benzodiazepine (e.g., diazepam) may be administered intravenously.

Hypotension may be initially managed by the use of intravenous fluids and by vasopressors if the problem persists.
Dialysis is of negligible value in the treatment of acute overdosage with lignocaine.
Contact the Poisons Information Centre for advice on the management of an overdose.

5. Pharmacological properties

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: anesthetics, local; amides
ATC code: N01BB02

Lidocaine reversibly inhibits the opening of sodium channels and thus the development of an action potential. The active substance binds on a specific receptor of the sodium channel, inhibiting the ion transport and the development of an action potential. The transmission of nerve impulses is suppressed locally.

Pain perception is suppressed. Thin unmyelinated nerve fibres are switched off more quickly than thick motoric nerve fibres. Perceptions are switched off in the following order: Pain, temperature, touch, and pressure.

Topically applied lidocaine efficaciously relieves pain of various etiology on mucous membranes of the mouth, like e.g., aphthous ulcers, gingivostomatitis herpetica, during teething and dental procedures.

Paediatric population

Children between 6 months and 8 years: In a randomized, placebo-controlled, double-blind study children were included in group I (4 – 8 years, average age 6.4 years, treated with or placebo, main indication: aphthous ulcers (36%) (n=161)) or group II (6 months - < 4 years, average age 1.8 years, treated only with , main indication: teething (n=64)) depending on age. Pain reduction from prior to administration to 10 or 30 minutes after application as measured by using the Wong-Baker FACES Pain Rating Scale was significantly higher after applying in comparison to placebo in group I. In group II the individual pain rating shift showed a statistically significant lower pain after treatment. No adverse events related to the study medication were reported. The local tolerability was assessed as very good in over 97% of cases.

Children between 6 years and 15 years: In a randomized, placebo-controlled, double-blind study children between 6 years and 15 years with clamp placement, oral trauma or aphthous ulceration were included. Application of led to a statistically significant higher reduction of pain intensity as measured using a 100 mm visual analog scale. No local or systemic adverse reactions were reported.

5.2 Pharmacokinetic properties

Lidocaine is well absorbed after application on the oral mucosa because of its special morphological conditions which are different from the normal skin (no stratum corneum, blood vessels nearer to the surface). It is absorbed within seconds to

minutes and pain relief lasts for about 1 hour. The plasma elimination half-life of lidocaine is 1.5-2 hours after absorption from the tissues. The distribution volume is 1.5 l / kg and the plasma protein binding is approximately 65 %. Lidocaine undergoes extensive first pass-metabolism by the liver. 90-95 % is metabolised (N-dealkylation, ring hydroxylation, hydrolytic cleavage of acid amide linkage). About 5-10 % of the dose is excreted unchanged by the kidneys. The metabolic rate may be strongly decreased in case of impaired liver function.

5.3 Preclinical safety data:

Genotoxicity and carcinogenicity

Genotoxic studies of lidocaine were negative. 2,6-xylidine, a metabolite of lidocaine has, however, shown genotoxic potential in vitro. In a carcinogenicity study of rats exposed in utero, postnatally and throughout life to 2,6-xylidine, tumours were observed in the nasal cavity, the liver and subcutaneously. High doses of 2,6- xylidine were needed to induce tumours in animal studies. The clinical relevance of the tumour inducing effect of this lidocaine metabolite after intermittent use as a local anaesthetic is unknown.

6. Pharmaceutical particulars

6.1 List of excipients

Acrypol 934
Sodium Metabisulphite
EDTA
Diethanolamine
Propylene Glycol
Glycerine
Sodium Methyl paraben
Sodium propyl paraben
Purified water

6.2 Incompatibilities

Not Applicable.

6.3 Shelf life

2 years

6.4 Special precautions for storage

Store below 30^0C.

6.5 Nature and contents of container

20 g (Lami Tube) pack in each carton along with insert.

6.6 Special precautions for disposal and other handling

Not applicable.

7. MARKETING AUTHORISATION HOLDER

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8. MARKETING AUTHORISATION NUMBER(S)
