1.4.3	Patient Information Leaflet

xxxxx

Xylocaine Pump Spray 10% (10 mg/dose)

lidocaine

Pump spray for topical anaesthesia

Composition
Active constituent:
1 dose Xylocaine pump spray contains: Lidocaine base 10 mg.

For excipients see List of excipients.

Pharmaceutical form
Cutaneous spray, solution
The solution is a clear to almost clear, slightly pink-coloured liquid with menthol and banana flavour.

Therapeutic indications
For the prevention of pain associated with the following procedures:

Otorhinolaryngology
- Puncture of the maxillary sinus and minor surgical procedures in the oral and nasal cavity, pharynx and epipharynx.

Obstetrics
During the final stages of delivery and before episiotomy and perineal suturing as supplementary pain control.

Introduction of instruments, tubes and catheters into the respiratory and digestive tract
Provides surface anaesthesia for the oropharyngeal and tracheal areas to reduce reflex activity, attenuate haemodynamic responses and facilitate insertion of the tube or the passage of instruments during endotracheal intubation and endoscopic procedures of the airways and upper gastrointestinal tract.

Dental practice
Before injections, dental impressions, X-ray photography, removal of calculus.

Posology and method of administration
Xylocaine spray is intended for use on mucous membranes and provides efficient surface anaesthesia, which lasts for approximately 10-15 minutes. The anaesthesia usually occurs within 1-5 minutes, depending on the area of application.

As with any local anaesthetic, the safety and effectiveness of lidocaine depend on the proper dosage, the correct technique, adequate precautions and readiness for emergencies.

The following dosage recommendations should be regarded as a guide. The clinician's experience and knowledge of the patient's physical status are of importance in calculating the required dose.

The degree of absorption from mucous membranes is variable but especially high from the bronchial tree. Application only to areas below the vocal cords may result in excessive plasma concentrations because of less transfer to the intestine and less first-pass loss.

Each actuation of the metered-dose valve delivers 10 mg Xylocaine base. It is unnecessary to dry the site prior to application.

Xylocaine spray 10% should not be used on cuffs of endotracheal tubes (ETT) made of plastic.

- Otorhinolaryngology: 3 metered doses for puncture of the maxillary sinus or other minor surgical procedures.
- Obstetrics - During delivery: Up to 20 metered doses (200 mg lidocaine base).
- Introduction of instruments, tubes and catheters into the respiratory and digestive tract: Up to 20 metered doses (200 mg lidocaine base) for procedures in pharynx, larynx and trachea. During prolonged procedures up to 400 mg of lidocaine may be administered. In addition, when combined with other lidocaine products, the total dose should not exceed 400 mg. With applications mainly to the larynx, trachea and bronchi, the dose should not exceed 20 metered doses (200 mg lidocaine base).
- Dental practice: 1-5 metered doses to the mucous membranes.

Debilitated or elderly patients, children over 12 years of age, acutely ill patients or patients with sepsis should be given doses commensurate with their age, weight and physical condition.

In children less than 12 years of age the dose should not exceed 3 mg/kg (e.g. 6 metered doses in an infant weighing 20 kg).

When used mainly in the larynx and trachea the dose should be reduced to 1.5 mg/kg. In children less than 3 years of age less concentrated lidocaine solutions are recommended.

Contraindications
Known history of hypersensitivity to local anaesthetics of the amide type or to other components of the spray solution.

Special warnings and precautions for use
Excessive dosage or short intervals between doses, may result in high plasma levels and serious adverse effects. Absorption from mucous membranes is variable but is especially high from the bronchial tree. Lidocaine spray should be used with caution in patients with wounds or traumatized mucosa in the region of the proposed application. A damaged mucosa will permit increased systemic absorption. The management of serious adverse reactions may require the use of resuscitative equipment, oxygen and other resuscitative drugs. (See Overdose.)

In paralysed patients under general anaesthesia, higher blood concentrations may occur than in spontaneously breathing patients. Unparalysed patients are more likely to swallow a large proportion of the dose which then undergoes considerable first-pass hepatic metabolism following absorption from the gut.

The oropharyngeal use of topical anaesthetic agents may interfere with swallowing and thus enhance the danger of aspiration. Numbness of the tongue or buccal mucosa may increase the danger of biting trauma.

If the dose or administration is likely to result in high blood levels, some patients require special attention to prevent potentially dangerous side effects:

- Patients with partial or complete heart block.
- The elderly and patients in poor general health.
- Patients with advanced liver disease or severe renal dysfunction.

Avoid contact with the eyes.

Patients treated with anti-arrhythmic drugs class III (e.g. amiodarone) should be under close surveillance and ECG monitoring considered, since cardiac effects may be additive.

Xylocaine spray 10% should not be used on cuffs of endotracheal tubes (ETT) made of plastic. Lidocaine base in contact with both PVC and non-PVC cuffs of endotracheal tubes may cause damage of the cuff. This damage is described as pinholes, which may cause leakage that could lead to pressure loss in the cuff.

Xylocaine pump spray 10 mg/ml is probably porphyrinogenic and should only be prescribed to patients with acute porphyria on strong or urgent indications. Appropriate precautions should be taken for all porphyric patients.

Interactions
Lidocaine should be used with caution in patients receiving agents structurally related to local anaesthetics, e.g. antiarrhythmics such as mexiletin and tocainide, since the toxic effects are additive.

Specific interaction studies with lidocaine and anti-arrhythmic drugs class III (e.g. amiodarone) have not been performed, but caution is advised.

Drugs that reduce the clearance of lidocaine (e.g. cimetidine or betablockers) may cause potentially toxic plasma concentrations when lidocaine is given in repeated high doses over a long time period. Such interactions should therefore be of no clinical importance following short term treatment with lidocaine (e.g. Xylocaine spray) at recommended doses.

Pregnancy and lactation
Pregnancy
It is reasonable to assume 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, e.g. no increased incidence of malformations.

Lactation
Like other local anaesthetics lidocaine may enter the mother's milk, but in such small amounts that there is generally no risk of this affecting the neonate.

Effects on ability to drive and use machines
Depending on the dose, local anaesthetics may have a very mild effect on mental function and may temporarily impair locomotion and coordination.

Undesirable effects
Local reactions
Local irritation at the application site has been described. Following application to laryngeal mucosa before endotracheal intubation, reversible symptoms such as "sore throat", "hoarseness" and "loss of voice" have been reported. The use of Xylocaine spray provides surface anaesthesia during an endotracheal procedure but does not prevent post-intubation soreness.

Allergic reactions
Allergic reactions (in the most severe instances anaphylactic shock) to local anaesthetics of the amide type are rare (<0.1%).

Acute systemic toxicity
Lidocaine may cause acute toxic effects if high systemic levels occur due to rapid absorption or overdose. (See Pharmacokinetic properties and Overdose.)

Overdose
Acute systemic toxicity
Toxic reactions originate mainly in the central nervous system and the cardiovascular system.

Central nervous system 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 a few seconds to several minutes. Hypoxia and hypercarbia occur rapidly following convulsions due to the increased muscular activity, together with the interference with normal respiration. In severe cases apnoea may occur. Acidosis increases the toxic effects of local anaesthetics.

Recovery is due to redistribution and metabolism of the local anaesthetic drug from the central nervous system. Recovery may be rapid unless large amounts of the drug have been administered.

Cardiovascular 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 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 barbiturate.

Treatment of acute toxicity
Treatment of acute toxicity should be instituted at the latest when twitches occur. The necessary drugs and equipment should be immediately available. The objectives of treatment are to maintain oxygenation, stop the convulsions and support the circulation.

Oxygen must be given and, if necessary, assisted ventilation (mask and bag). An anticonvulsant should be given i.v. if the convulsions do not stop spontaneously in 15-20 sec. Thiopentone sodium 1-3 mg/kg i.v. will abort the convulsions rapidly. Alternatively diazepam 0.1 mg/kg bw i.v. may be used although its action is slower.
Prolonged convulsions may jeopardise the patient's ventilation and oxygenation. If so, injection of a muscle relaxant (e.g. succinylcholine 1 mg/kg bw) will facilitate ventilation, and oxygenation can be controlled. Early endotracheal intubation must be considered in such situations.
Suxamethonium will stop the muscle convulsions rapidly, but will require tracheal intubation and artificial ventilation, and should only be used by those familiar with these procedures. If cardiovascular depression is evident (hypotension, bradycardia), ephedrine 5-10 mg 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 acidosis are of vital importance, since hypoxia and acidosis will increase the systemic toxicity of local anaesthetics. Adrenaline (0.1-0.2 mg as intravenous 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.

Pharmacodynamic properties
ATC Code: N01B B02
Pharmacotherapeutic group: Local anaesthetic

Xylocaine pump spray is intended for use on mucous membranes and provides an efficient surface anaesthesia, which lasts for approximately 10-15 minutes. The anaesthesia usually occurs within 1-5 minutes depending on the area of application.

Pharmacokinetic properties
The extent of absorption of lidocaine is dependent upon the total dose administered, and also upon the specific site of application and the duration of exposure. In general, the rate of absorption following topical administration is most rapid after intratracheal and bronchial administration. Such applications may therefore result in rapidly rising plasma concentrations, with an increased risk of toxic symptoms, such as convulsions. Lidocaine is well absorbed from the gastrointestinal tract, but undergoes extensive first-pass metabolism.

The plasma protein binding is predominantly to alpha-1-glycoprotein.

The main elimination pathway of lidocaine is by liver metabolism. De-alkylation to monoethylglycine xylidide (MEGX) is mediated mainly by cytochrome P450 3A4. MEGX is metabolised to 2,6-xylidine and glycine xylidide (GX). 2,6-xylidine is metabolised further by CYP2A6 to 4-hydroxy-2,6-xylidine, which is the major metabolite in the urine (80%) and is excreted as conjugate. MEGX has a convulsant activity equivalent to that of lidocaine, while GX is devoid of convulsant activity. MEGX appears to occur in similar plasma concentrations as the parent substance. The elimination half-life of lidocaine and MEGX following an intravenous bolus dose are approx. 1.5-2 and 2.5 hours respectively.
On account of the rapid hepatic metabolism, the kinetics are sensitive to all alterations in liver function. The half-life can be more than doubled in patients with impaired liver function. Impaired renal function does not affect the kinetics, but can increase the accumulation of metabolites.

Factors such as acidosis and the use of CNS stimulants and depressants affect the levels of lidocaine required to produce systemic effects. With plasma levels from 5 to 10 mg/ml signs of overdosage become apparent.

List of excipients
Ethanol, Polyethylene glycol 400, Essence of banana, Menthol, Saccharin, Purified water.

Special precautions for storage
Do not store above 25°C. During storage at temperatures below 8°C precipitation may occur. This precipitation is dissolved when warming up in room-temperature.

Instructions for use and handling
The spray nozzle is already bent to its final appearance and no further actions should be done before using the spray nozzle. The nozzle must not be shortened, otherwise the spray function will be destroyed. If cleaning of the nozzle is desired, the entire nozzle can be submersed in boiling water for 5 minutes. The nozzle can be autoclaved (20 minutes at 120°C).

Shelf-life
Please see outer pack

Pack size
Please see outer pack

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Manufactured by AstraZeneca AB, Forskargatan, 18,
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