Summary of Product Characteristics

1 NAME OF THE MEDICINAL PRODUCT

Xylocaine 20mg/g Gel

2 QUALITATIVE AND QUANTITATIVE COMPOSITION

One gram of gel contains 21.3 mg lidocaine hydrochloride monohydrate, equivalent to 20 mg lidocaine hydrochloride anhydrous.

Excipients with known effect:
One gram of gel contains 0.61 mg of methyl p-hydroxybenzoate (E 218) and 0.27 mg of propyl p-hydroxybenzoate (E 216).

For the full list of excipients, see section 6.1.

3 PHARMACEUTICAL FORM

Gel.

Clear to almost clear, slight-coloured sterile gel.

4 CLINICAL PARTICULARS

4.1 Therapeutic indications

Xylocaine 20mg/g Gel is indicated as surface anaesthetic and lubricant for adults and adolescents aged 12 years and above.

The male and female urethra during cystoscopy, catheterisation, exploration by sound and other endourethral procedures.

Nasal and pharyngeal cavities in endoscopic procedures such as gastroscopy and bronchoscopy.

During proctoscopy and rectoscopy.

Tracheal Intubation.

4.2 Posology and method of administration

Posology

One gram (g) of gel is equivalent to one millilitre (ml) of gel.

Adults

Xylocaine 20mg/g Gel provides anaesthesia of the mucous membranes within approximately 5 minutes, depending on the site of administration. Anaesthesia lasts about 20 to 30 minutes. As with all local anaesthetics, the minimum effective dose should be used to minimise the risk of possible adverse reactions.
When used concomitantly with other products containing lidocaine, the total dose contributed by all formulations must be taken into consideration.

The following dosage recommendations serve as a guide. The physician's experience and knowledge of the patient's physical condition are important in calculating the required dosage.

Anaesthesia of the urethra
For men
Screw the plastic cannula onto the tube.

After the normal cleaning of the glans and the external urethral orifice, insert the cannula into the urethra. Hold the tube with one hand and fix the end in the urethra while holding the penis behind the glans between the index and middle fingers. Instil the gel by pressing the tube with the other hand.

For adequate analgesia in males, 20 g of gel (equivalent to 400 mg of lidocaine hydrochloride) is usually required. The gel is instilled slowly into the urethra until resistance is felt, i.e. after approximately 10 g of gel (equivalent to 200 mg of lidocaine hydrochloride). A penile clamp is applied for a few minutes (at least 5 minutes) at the corona, after which a further 10 g (equivalent to 200 mg of lidocaine hydrochloride) is given.

When anaesthesia is especially important, e.g. for cystoscopy and probing, the penile clamp should be applied for 5-10 minutes, initially 10-20 g of gel (equivalent to 200-400 mg lidocaine hydrochloride) are instilled. If adequate analgesia is not achieved, re-administration of 10-20 g of gel is possible. Doses up to 40 g of gel
(equivalent to 800 mg of lidocaine hydrochloride) can be safely given. The maximum recommended daily dose is 40 g of gel (equivalent to 800 mg lidocaine hydrochloride).

To anaesthetise only the anterior male urethra, e.g. for catheterisation (including self-catheterisation), small volumes (5-10 g of gel, i.e. (equivalent to 100-200 mg lidocaine hydrochloride) are usually adequate.

For women
In women, the amount of gel instilled is adapted to the individual urethra anatomy.
In women, 5-10 g of gel is instilled (equivalent to 100-200 mg of lidocaine hydrochloride) in small portions to fill the whole urethra. If desired, some gel may be applied to the urethral orifice and spread with a cotton swab. For cystoscopy and probing, 10-20 g of gel (equivalent to 200-400 mg of lidocaine hydrochloride) is recommended to obtain adequate anaesthesia. The total dose of gel should not exceed 20 g (equivalent to 400 mg of lidocaine hydrochloride).

Endoscopy
Use of 10-20 g of gel (equivalent to 200-400 mg of lidocaine hydrochloride) is recommended to achieve adequate analgesia and a small amount can be applied to the instrument as a lubricant. When combined with other lidocaine products (eg, for bronchoscopy), the total dose of lidocaine hydrochloride should not exceed 400 mg.

Rectoscopy
An amount of up to 20 g of gel (equivalent to 400 mg of lidocaine hydrochloride) can be used for this type of procedure. The total dose should not exceed 20 g of gel (equivalent to 400 mg lidocaine hydrochloride).

Intubation
About 2 g of gel (equivalent to 40 mg of lidocaine hydrochloride) applied to the surface of the tube just prior to insertion. The total dose should not exceed 2 g of gel (equivalent to 40 mg of lidocaine hydrochloride).

Special patient populations
Impaired renal function
The dose may need to be reduced in patients with impaired renal function (see section 4.4 and 5.2).

Impaired hepatic function
The dose may need to be reduced in patients with impaired hepatic function (see section 4.4 and 5.2).

Paediatric population
Children < 2 years of age
Xylocaine 20mg/g Gel is contraindicated in children < 2 years of age (see section 4.3).

Children (below 12 years):
The systemic absorption of lidocaine can be increased in children and caution is accordingly required. Other formulations should be used for administration to this population.

Adolescents aged 12 years and above
In adolescents (aged 12 years and above), the effect of lidocaine hydrochloride gel is not well demonstrated and therefore, its use should be assessed by the physician. Specific dosage recommendations cannot be given for these groups of patients, but as a general rule, the amount of gel instilled is adapted to the individual anatomy.

Debilitated, elderly, acutely ill patients and patients with sepsis should be given reduced doses

commensurate with their age, weight and physical condition, because they may be more sensitive to systemic effects due to increased blood levels of lidocaine following repeated doses (see section 4.4).

Method of administration
For instructions before administration, see section 6.6.
Xylocaine 20mg/g Gel is administered using the supplied nozzle. Nozzles are supplied in the finished product packaging. Nozzles are non-sterile single patient single use only. Appropriate measures should be undertaken to avoid cross contamination (see Section 6.6).

4.3 Contraindications

- Hypersensitivity to the active substance or to any of the excipients listed in section 6.1.
- Known hypersensitivity to amide-type local anaesthetics, such as bupivacaine, mepivacaine and prilocaine.
- The gel should not be used in children under 2 years (see section 4.2).

4.4 Special warnings and precautions for use

Anti-arrhythmic drugs class III
Patients treated with class III antiarrhythmics (e.g. amiodarone) should be kept under close surveillance and ECG monitoring must be considered, as additive effects on the heart may occur.

Excessive absorption
High dosages or short intervals between doses can lead to high plasma concentrations and, possibly, to serious adverse reactions. The absorption of lidocaine gel from the nasopharynx is variable but usually lower than with other lidocaine products. Following instillation in urethra and bladder, adsorption is low. Absorption from wound surfaces and mucous membranes is relatively high, especially in the bronchial tree. Administration in these areas may therefore lead to rapidly increasing or excessively high plasma concentrations, with an increased risk of toxic effects, such as convulsions. Lidocaine gel must therefore be used with caution in patients with damaged mucous membranes and/or inflammation in the area where the gel is applied. Application to damaged mucous membranes leads to increased systemic absorption. When treating serious adverse reactions, resuscitation equipment, oxygen and other life-saving medicinal products may be required (see section 4.9). Possible occurrence of laryngeal oedema should also be taken into account.

Higher plasma concentrations may occur in patients under general anaesthesia than in patients with spontaneous breathing. Conscious patients swallow a larger portion of the dose, which is then subject to considerable first-pass metabolism in the liver after absorption from the intestine.
The use of local anaesthetics in the oropharyngeal cavity may affect the swallowing process and thus increase the risk of aspiration.
Anaesthesia of the tongue or oral mucosa can increase the risk of bite wounds. To limit this risk, nothing should be eaten until the anaesthetic effect wears off.

If the dosage used or site of administration might probably result in high blood levels of lidocaine, then, as with other local anaesthetics, due caution must be exercised in the following cases:
• elderly patients and patients in a poor general condition,
• patients in a severe state of shock,
• epilepsy,
• patients with cardiovascular problems and heart failure,
• patients with cardiac conduction disorders (especially partial or complete AV block),
• bradycardia,
• there is a risk of accumulation of lidocaine. Hence, the dose may need to be reduced in patients with impaired hepatic function (see section 5.2),
• there is a risk of accumulation of metabolites if the renal function is impaired. The dose may need to be reduced in patients with impaired renal function (see section 4.4 and 5.2).

In patients concomitantly receiving different forms of the lidocaine (e.g. lignocaine cream, lidocaine spray, lidocaine topical solution and lidocaine injection), caution should be exercised such that the total dose of lidocaine does not exceed the maximum recommended dose.

Methaemoglobinaemia

Cases of methaemoglobinaemia have been reported in association with local anaesthetic use. Patients with defective glucose-6-phosphate dehydrogenase, hereditary or idiopathic methaemoglobinemia are more susceptible to active-substance-induced signs of methaemoglobinaemia. In glucose-6-phosphate dehydrogenase deficient patients, the antidote methylene blue is ineffective at methaemoglobin reduction, and is capable of oxidising haemoglobin itself, and therefore methylene blue therapy cannot be given.

Caution is also indicated when Xylocaine 20mg/g Gel is used in the trachea as a lubricant during intubation. The gel could stick to the inner surface and narrow the lumen. There have been rare reports in which this residue has caused the lumen to occlude.

Xylocaine 20mg/g Gel is possibly porphyrinogenic; hence, a careful risk/benefit assessment must first be made before treating patients suffering from porphyria with the gel. For all patients with porphyria, the necessary precautions should be taken.

Excipient warnings
Xylocaine 20mg/g Gel contains excipients including methyl p-hydroxybenzoate and propyl p-hydroxybenzoate. May cause allergic reactions (possibly delayed).

4.5 Interaction with other medicinal products and other forms of interaction

Potential for influence of lidocaine on the plasma levels/effect of other drugs
Lidocaine is metabolised by cytochrome P4501A2 (CYP1A2) and P4503A4 (CYP3A4); therefore, it has the potential to inhibit the metabolism of other drugs metabolised by these isoenzymes resulting in increased plasma levels of these products. To date, this has not been reported for any CYP1A2 or CYP3A substrate.

Amide-type local anaesthetics
Lidocaine should be used with caution in patients also using other local anaesthetics or other agents structurally related to amide-type local anaesthetics. Examples of these include antiarrhythmics such as mexiletine or tocainide. Since the systemic, toxic effects are additive, there is a risk of overdose.

Class III antiarrhythmics
There have been no specific interaction studies performed with lidocaine and class III antiarrhythmics (such as amiodarone), but caution must be exercised when treating patients (see also section 4.4).

CYP3A4 inducers
During concomitant administration with CYP3A4 inducers (e.g., carbamazepine, phenobarbitone, and phenytoin), decreased plasma levels of lidocaine have been reported. Primidone has also been reported to induce the metabolism of lidocaine.

Cimetidine or beta-blockers
If lidocaine is used repeatedly at high doses for a prolonged period, medicinal products that reduce the clearance of lidocaine, such as cimetidine or beta-blockers like metoprolol, nadolol, and propranolol, could theoretically lead to a clinically relevant increase in plasma levels. This is not to be expected with short-term use of Xylocaine 20mg/g Gel at the recommended dosage.

Methaemoglobinaemia
Methaemoglobinaemia may be accentuated in patients already taking methaemoglobin-inducing medicinal products (e.g. sulphonamides, nitrofurantoin, phenytoin, phenobarbital). This list is not exhaustive (see section 4.4).

4.6 Fertility, pregnancy and lactation

Pregnancy
Data on topical use of lidocaine during a large number of human pregnancies provide no indications of harmful effects. Lidocaine crosses the placenta, but is only absorbed systemically to a limited extent when topically administered.

Animal studies do not indicate direct or indirect harmful effects with respect to pregnancy, embryofetal development, parturition or postnatal development.

The use of Xylocaine 20mg/g Gel may be considered during pregnancy, if clinically needed.

Lactation
Lidocaine is excreted in human milk. Given the limited systemic absorption and hence very low exposure to lidocaine at therapeutic doses of Xylocaine 20mg/g Gel, no effects on breastfed newborns/infants are anticipated.

Fertility
No human data on the effect of lidocaine on fertility is available.

4.7 Effects on ability to drive and use machines

No studies on the effects on the ability to drive and use machines have been performed.

Depending on the dosage, local anaesthetics may have a minor effect on mental functions and they may temporarily affect motor skills and coordination.

4.8 Undesirable effects

Frequencies of adverse reactions are ranked as follows:
Very common (≥1/10), common (≥1/100 to <1/10), uncommon (≥1/1 000 to <1/100), rare (≥1/10 000 to <1/1 000) and very rare (<1/10 000), including isolated case reports.

General disorders and administration site conditions
Frequency unknown: Postoperative complaints of sore throat have been observed after the use of Xylocaine 20mg/g Gel for endotracheal intubation.

Immune system disorders
Rare: hypersensitivity reactions - in the most severe cases, anaphylactic shock - as a result of local amide-type anaesthetics. Other components of Xylocaine 20mg/g Gel, e.g. methyl- and propyl p-hydroxybenzoate, can also cause similar reactions.

Injury, poisoning and procedural complications
Lidocaine may cause signs of acute toxicity if high plasma levels occur as a result of rapid absorption or overdose (see section 4.9 'Overdose' and 5.1 'Pharmacodynamic properties').

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 via HPRA Pharmacovigilance, Website: www.hpra.ie.

4.9 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 generalised 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
Should symptoms of systemic toxicity occur, the signs are anticipated to be similar in nature to those following the administration of local anaesthetics by other routes. Local anaesthetic toxicity is manifested by symptoms of nervous system excitation and, in severe cases, central nervous and cardiovascular depression.

Severe neurological symptoms (convulsions, CNS depression) must be treated symptomatically by respiratory support and the administration of anticonvulsive drugs.

If circulatory arrest should occur, immediate cardiopulmonary resuscitation should be instituted. Optimal oxygenation and ventilation and circulatory support as well as treatment of acidosis are of vital importance.

5 PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: local anaesthetic, ATC code: N01BB02.

Anaesthetic effect
The anaesthetic ingredient of Xylocaine 20mg/g Gel is Lidocaine, which stabilizes neuronal membranes and prevents the initiation and conduction of nerve impulses, thus effecting local anaesthetic action.

Lubricating effect
Xylocaine 20mg/g Gel is particularly indicated for anaesthesia of the urethra. The mucosa of a normal urethra is heavily folded. Thanks to the low surface tension and high viscosity of the solution, close and prolonged contact is created between the local anaesthetic and urethral mucosa; in men, even as far as the posterior part of the urethra. This provides complete anaesthesia of the entire urethra for 20-30 minutes. Hypromellose is considered to be the main ingredient of the medicinal product.
Lubrication is known to reduce the vulnerability of sensitive tissues during catheterisation or introduction of instruments prior to procedures and is therefore considered to further support the local anaesthetic effect of the medicinal product.

5.2 Pharmacokinetic properties

Absorption
The rate and extent of lidocaine absorption after topical application to mucous membranes are dependent on the concentration and total dose administered, the site of administration and duration of administration. In general, the rate of absorption after topical administration is greatest after intratracheal or bronchial administration. Such applications can therefore lead to rapidly rising or excessively high plasma concentrations, with an increased risk of toxic symptoms such as convulsions. Lidocaine is also rapidly absorbed from the gastrointestinal tract, although little of the drug enters the circulation due to the first-pass effect in the liver. Blood concentrations of lidocaine hydrochloride after instillation of the gel in the intact urethra and bladder in doses up to approximately 800 mg in adults are fairly low and below the levels at which systemic effects or toxicity are likely to occur. Lesions of the urethral mucosa and/or surface enlargement due to urethral dilation can lead to increased absorption of lidocaine.

Distribution
Normally, about 65% of lidocaine is bound to plasma proteins. Amide-type local anaesthetics are mainly bound to alpha-1-acid glycoprotein (AAG) and also to albumin. In neonates, AAG levels are low and the free, biologically active fraction of lidocaine is relatively high in neonates.

Lidocaine crosses the blood-brain barrier and placenta, probably due to passive diffusion.

Biotransformation
Lidocaine is mainly eliminated via hepatic metabolism. In humans, it is primarily metabolised via N-dealkylation to monoethylglycinexylidide (MEGX), followed by hydrolysis to 2,6-xylidine and hydroxylation to 4-hydroxy-2,6-xylidine. MEGX can also be further metabolised via N-dealkylation to glycine xylidide (GX). The pharmacological/toxic action of MEGX and GX is comparable with, but less potent than, that of lidocaine. GX has a longer half-life (about 10 hours) than lidocaine and it can accumulate during prolonged administration.

Elimination
In healthy adults, the elimination half-life of lidocaine is 1.5 – 2 hours. The elimination half-life is about 10 hours for glycine xylidide and 2 hours for monoethylglycinexylidide.
About 90% of administered lidocaine is excreted in the form of various metabolites and less than 10% is excreted unchanged in the urine. About 70 - 80% of the dose is excreted with the urine in the form of the primary metabolite, a conjugate of 4-hydroxy-2,6-xylidine.

Pharmacokinetics in special patient groups

Given the rapid rate at which lidocaine is metabolised, the kinetics of lidocaine can be influenced by any condition that affects liver function. The half-life may increase by a factor of 2 or more in patients with hepatic disorders. Renal dysfunction has no influence on the kinetics of lidocaine, but may lead to the accumulation of metabolites.

5.3 Preclinical safety data

Undesirable effects in animal trials were observed only at exposures considered sufficiently in excess of the maximum human exposure indicating little relevance to clinical use.

Genotoxicity studies with lidocaine provided no evidence of any mutagenic potential. In toxicological studies of long-term use, a metabolite of lidocaine, 2,6-xylidine (2,6-dimethylaniline), was observed to exhibit possibly carcinogenic effects. Risk assessments based on comparison of the calculated maximum human exposure to intermittently administered lidocaine and exposure in preclinical studies support a wide margin of safety for short-term clinical use of Xylocaine 20mg/g Gel.

6 PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Hypromellose (E 464)
Methyl p-hydroxybenzoate (E 218)
Propyl p-hydroxybenzoate (E 216)
Hydrochloric acid (for pH adjustment) (E 507)
Sodium hydroxide (for pH adjustment) (E 524)
Water for Injection

6.2 Incompatibilities

Not applicable.

6.3 Shelf life

2 years

6.4 Special precautions for storage

Store below 25ºC. Do not refrigerate or freeze.
Keep the tube in its unopened blister until use.

6.5 Nature and contents of container

Per pack: one 30 g tube with applicator.
Each tube is packaged in a sterile blister pack made from Polypropylene/HDPE.
The tube is made from aluminium and is coated internally with an Epoxy resin; the applicator is made from polypropylene.
Each pack contains a CE certified medical device.

6.6 Special precautions for disposal

Place the applicator on the opened tube. The applicator is intended as an aid to squeeze the gel out of the tube. The product is for single use only. Opened tubes and used applicator should not be reused.

7 MARKETING AUTHORISATION HOLDER

Aspen Pharma Trading Limited
3016 Lake Drive
Citywest Business Campus
Dublin 24
Ireland

8 MARKETING AUTHORISATION NUMBER

PA1691/037/001

9 DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

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10 DATE OF REVISION OF THE TEXT