PRODUCT MONOGRAPH

INCLUDING PATIENT MEDICATION INFORMATION

PrXYLOCAINE SPRAY®

(lidocaine non-aerosol spray)

10mg/metered dose

Non-Sterile

Topical Anesthetic

Aspen Pharmacare Canada Inc

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TABLE OF CONTENTS

PART I: HEALTH PROFESSIONAL INFORMATION

1 INDICATIONS

XYLOCAINE Spray (lidocaine) is indicated for surface anesthesia associated with:

- nasal procedures, e.g. puncture of the maxillary sinus;
- procedures in the oropharynx, e.g. gastrointestinal endoscopy;
- procedures in the upper respiratory tract, above the larynx e.g. insertion of instruments and tubes

1.1 Pediatrics

Pediatrics (<18 years of age):
Children should be given reduced doses commensurate with their age, weight and physical condition (see DOSAGE AND ADMINISTRATION-Special Populations).

Lidocaine should be used with caution in children younger than two years of age as there are insufficient data to support the safety and efficacy of this product in this patient population at this time (see WARNINGS AND PRECAUTIONS-Special Populations).

1.2 Geriatrics

Geriatrics (> *65* years of age): Elderly patients should be given reduced doses commensurate with their age and physical condition (see DOSAGE AND ADMINISTRATION-Special Populations).

2 CONTRAINDICATIONS

XYLOCAINE Spray is contraindicated in:

- patients with a known hypersensitivity to local anesthetics of the amide type or to any of the ingredients in the formulation. For a complete listing, see DOSAGE FORMS, COMPOSITION, AND PACKAGING.

- patients undergoing a procedure where sterile topical anesthesia is required

3 DOSAGE AND ADMINISTRATION

3.1 Dosing Considerations

General

When XYLOCAINE Spray (lidocaine) is used concomitantly with other products containing lidocaine, the total dose contributed by all formulations must be kept in mind.

Since absorption is variable and especially high in the trachea and bronchi, the maximum recommended doses vary depending on the area of application.

Each actuation of the metered dose valve delivers 10 mg lidocaine.

Special Populations

Lidocaine should be used with caution in patients with epilepsy, impaired cardiac conduction, bradycardia, impaired hepatic or renal function and in severe shock (See WARNINGS AND PRECAUTIONS).

Debilitated, elderly patients, acutely ill patients, patients with sepsis and children should be given reduced doses commensurate with their age, weight and physical condition.

XYLOCAINE Spray should be used with caution in children under the age of 2 as there is insufficient data to support the safety and efficacy of this product in this patient population at this time.

3.2 Recommended Dose and Dosage Adjustment

Adults

Table 1. Dose Recommendations for Adults

Area	Recommended Dose (mg)	Maximum Dose for Short[1] Procedures (mg)	Maximum Dose for Prolonged[2] Procedures (mg)
Nasal procedures, e.g. puncture of the maxillary sinus.	20-60	500	600
Procedures in the oropharynx, e.g. gastrointestinal endoscopy.	20-200	500	600
Procedures in the upper respiratory tract, above the larynx e.g. insertion of instruments and tubes.	50-400	400	600

[1] For short procedures the drug is given for less than one minute.
[2] For prolonged procedures, the duration of application is more than 5 minutes.

Since absorption is variable, the maximum recommended doses vary depending on the area of application (see ACTION AND CLINICAL PHARMACOLOGY, Pharmacokinetics).

Pediatrics (<18 years of age)

All children (<18 years of age) should be given doses commensurate with their age, weight, and physical condition; however, children over 12 years of age considered underweight (i.e., less than 25 kg) should be dosed with caution.

Under 12 years of age: For upper respiratory tract use, above the larynx, the dose should not exceed 3mg/kg. For nasal and oropharyngeal use, the dose should not exceed 4-5mg/kg. In

neonates and infants, less concentrated lidocaine solutions are recommended.

3.3 Administration

When using the spray for the first time, after attaching the nozzle, the pump must be primed by pressing downwards on the actuator five to ten times. When changing to a new nozzle, the pump need not be re-primed but the air in the nozzle must be voided before a full dose is delivered. This usually requires two actuations.

The spray nozzle is already bent to its final configuration for use. No further manipulations should be made to the spray nozzle before use. The nozzle must not be shortened, otherwise the spray function will be destroyed. XYLOCAINE Spray should be used in the upright position to ensure proper function. Nozzles should not be reused and should be discarded immediately after use.

4 OVERDOSAGE

For management of a suspected drug overdose, contact your regional poison control centre.

Acute systemic toxicity from local anesthetics is generally related to high plasma levels encountered during therapeutic use of local anesthetics and originates mainly in the central nervous and the cardiovascular systems (see ADVERSE REACTIONS and WARNINGS AND PRECAUTIONS). It should be kept in mind that clinically relevant pharmacodynamic drug interactions (i.e., toxic effects) may occur with lidocaine and other local anesthetics or structurally related drugs, and Class I and Class III antiarrhythmic drugs due to additive effects (see DRUG INTERACTIONS).

Symptoms

Central nervous system toxicity is a graded response, with symptoms and signs of escalating severity. The first symptoms are circumoral paresthesia, 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 apnea may occur. Acidosis, hyperkalaemia, hypocalcaemia and hypoxia increase and extend the toxic effects of local anesthetics.

Recovery is due to redistribution and metabolism of the local anesthetic drug. Recovery may be rapid unless large amounts of the drug have been administered.

Cardiovascular effects may be 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 anesthetic or is heavily sedated with drugs such as a benzodiazepine or barbiturate.

Treatment

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

The first step in the management of systemic toxic reactions consists of immediate attention to the maintenance of a patent airway and assisted or controlled ventilation with oxygen and a delivery system capable of permitting immediate positive airway pressure by mask. This may prevent convulsions if they have not already occurred.

If convulsions occur, the objective of the treatment is to maintain ventilation and oxygenation and support circulation. Oxygen must be given and ventilation assisted if necessary (mask and bag or tracheal intubation). Should convulsions not stop spontaneously after 15-20 seconds, an anticonvulsant should be given iv to facilitate adequate ventilation and oxygenation. Thiopental sodium 1-3 mg/kg iv is the first choice. Alternatively diazepam 0.1mg/kg bw iv may be used, although its action will be slow. Prolonged convulsions may jeopardise the patient’s ventilation and oxygenation. If so, injection of a muscle relaxant (e.g. succinylcholine 1mg/kg bw) will facilitate ventilation, and oxygenation can be controlled. Early endotracheal intubation is required when succinylcholine is used to control motor seizure activity.

If cardiovascular depression is evident (hypotension, bradycardia), ephedrine 5-10 mg i.v. should be given and may be repeated, if necessary, after 2-3 minutes.

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 anesthetics. Epinephrine (0.1-0.2 mg as intravenous or intracardial injections) should be given as soon as possible and repeated, if necessary.

Children should be given doses of epinephrine commensurate with their age and weight.

5 DOSAGE FORMS, STRENGTHS, COMPOSITION AND PACKAGING

Table 2 – Dosage Forms, Strengths, Composition and Packaging.

Route of Administration	Dosage Form / Strength/Composition	Non-medicinal Ingredients
Topical (nasal, oropharyngeal).	10 mg lidocaine/metered dose	ethanol, polyethylene glycol 400, essence of banana, menthol (natural), saccharin, purified water.

Dosage Forms

XYLOCAINE Spray (lidocaine) is a clear or almost clear, slightly colored liquid with an odor of ethanol, menthol, and banana.

Packaging

XYLOCAINE Spray is available in a 50 mL non-aerosol glass spray bottle with a metered dose

valve and a 12 cm (5") single use plastic nozzle. Additional 12 cm (5”) plastic nozzles are available in packages of 50 nozzles.

6 WARNINGS AND PRECAUTIONS

General

EXCESSIVE DOSAGE, OR SHORT INTERVALS BETWEEN DOSES, CAN RESULT IN HIGH PLASMA LEVELS OF LIDOCAINE OR ITS METABOLITES AND SERIOUS ADVERSE EFFECTS. Absorption from the mucous membranes is variable. Such applications may therefore result in rapidly rising or excessive plasma concentrations, with an increased risk for toxic symptoms, such as convulsions. This is especially important in children where doses vary with weight. The management of serious adverse reactions may require the use of resuscitative equipment, oxygen and other resuscitative drugs (see OVERDOSAGE).

The lowest dosage that results in effective anesthesia should be used to avoid high plasma levels and serious adverse effects. Tolerance to elevated blood levels varies with the status of the patient.

Lidocaine should be used with caution in patients with sepsis and/or traumatized mucosa at the area of application, since under such conditions there is the potential for rapid systemic absorption.

XYLOCAINE Spray (lidocaine) should be used with caution in children under the age of 2 as there is insufficient data to support the safety and efficacy of this product in this patient population at this time.

In patients under general anesthesia who are paralyzed, higher plasma 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.

Avoid contact with eyes.

Many drugs used during the conduct of anesthesia are considered potential triggering agents for familial malignant hyperthermia. It has been shown that the use of amide local anesthetics in malignant hyperthermia is safe. However, there is no guarantee that neural blockade will prevent the development of malignant hyperthermia during surgery. It is also difficult to predict the need for supplemental general anesthesia. Therefore a standard protocol for the management of malignant hyperthermia should be available.

When topical anesthetics are used in the mouth, the patient should be aware that the production of topical anesthesia may impair swallowing and thus enhance the danger of aspiration. Numbness of the tongue or buccal mucosa may enhance the danger of unintentional biting trauma. Food or chewing gum should not be taken while the mouth or throat area is anesthetized. See also Part III: Patient Medication Information.

XYLOCAINE Spray is ineffective when applied to intact skin.

Lidocaine has been shown to be porphyrinogenic in animal models. XYLOCAINE Spray should

only be prescribed to patients with acute porphyria on strong or urgent indications, when they can be closely monitored. Appropriate precautions should be taken for all porphyric patients.

Carcinogenesis and Mutagenesis

Genotoxicity tests with lidocaine showed no evidence of mutagenic potential. A metabolite of lidocaine, 2,6-dimethylaniline, showed weak evidence of activity in some genotoxicity tests. A chronic oral toxicity study of the metabolite 2,6-dimethylaniline (0, 14, 45, 135 mg/kg) administered in feed to rats showed that there was a significantly greater incidence of nasal cavity tumors in male and female animals that had daily oral exposure to the highest dose of 2,6-dimethylaniline for 2 years. The lowest tumor-inducing dose tested in animals (135 mg/kg) corresponds to approximately 45 times the amount of 2,6-dimethylaniline to which a 50 kg subject would be exposed following the application of 40x10 mg/metered dose of lidocaine non-aerosol spray for 24 hours on the mucosa, assuming the highest theoretical extent of absorption of 100%, and 80% conversion to 2,6-dimethylaniline. Based on a yearly exposure (once daily dosing with 2,6-dimethylaniline in animals and 5 treatment sessions with 40x10 mg/metered dose of lidocaine non-aerosol spray in humans), the safety margins would be approximately 3400 times when comparing the exposure in animals to man.

Cardiovascular

Lidocaine should be used with caution in patients with bradycardia or impaired cardiovascular function since they may be less able to compensate for functional changes associated with the prolongation of A-V conduction produced by amide-type local anesthetics.

Lidocaine should be used with caution in patients with severe shock.

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

Driving and Operating Machinery

Due caution should be exercised when driving or operating a vehicle or potentially dangerous machinery.

Hepatic

Because amide-type local anesthetics such as lidocaine are metabolized by the liver, these drugs, especially repeated doses, should be used cautiously in patients with hepatic disease. Patients with severe hepatic disease, because of their inability to metabolize local anesthetics normally, are at greater risk of developing toxic plasma concentrations.

Neurologic

***Epilepsy*:** The risk of central nervous system side effects when using lidocaine in patients with epilepsy is very low, provided that the dose recommendations are followed (See DOSAGE AND ADMINISTRATION).

***Locomotion and Coordination*:** Topical lidocaine formulations generally result in low plasma

concentrations because of a low degree of systemic absorption. However, depending on the dose, local anesthetics may have a very mild effect on mental function and coordination even in the absence of overt CNS toxicity and may temporarily impair locomotion and alertness.

Renal

Lidocaine is metabolized primarily by the liver to monoethylglycinexylidine (MEGX, which has some CNS activity), and then further to metabolites glycinexylidine (GX) and 2,6-dimethylaniline (see ACTION AND CLINICAL PHARMACOLOGY). Only a small fraction (2%) of lidocaine is excreted unchanged in the urine. The pharmacokinetics of lidocaine and its main metabolite were not altered significantly in haemodialysis patients (n=4) who received an intravenous dose of lidocaine. Therefore, renal impairment is not expected to significantly affect the pharmacokinetics of lidocaine when XYLOCAINE Spray is used for short treatment durations, according to dosage instructions (see DOSAGE AND ADMINISTRATION). Caution is recommended when lidocaine is used in patients with severely impaired renal function because lidocaine metabolites may accumulate during long term treatment (see DOSAGE AND ADMINISTRATION).

Sensitivity/Resistance

Lidocaine should be used with caution in persons with known drug sensitivities.

6.1 Special Populations

Debilitated patients, 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.

6.1.1 Pregnant Women

There are no adequate and well-controlled studies in pregnant women on the effect of lidocaine on the developing fetus.

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. However, care should be given during early pregnancy when maximum organogenesis takes place.

Labour and Delivery: Should XYLOCAINE Spray be used concomitantly with other products containing lidocaine during labour and delivery, the total dose contributed by all formulations must be kept in mind.

6.1.2 Breast-feeding

Lidocaine and its metabolites are excreted in the breast milk. At therapeutic doses the quantities of lidocaine and its metabolites in breast milk are small and generally are not expected to be a risk for the infant.

6.1.3 Pediatrics

Pediatrics (< 18 years of age): Children 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 DOSAGE AND ADMINISTRATION).

XYLOCAINE Spray should be used with caution in children under the age of 2 as there is insufficient data to support the safety and efficacy of this product in this patient population at this time.

6.1.4 Geriatrics

Elderly patients may be more sensitive to systemic effects due to increased blood levels of lidocaine following repeated doses and may require dose reductions.

7 ADVERSE REACTIONS

7.1 Adverse Reaction Overview

Adverse experiences following the administration of lidocaine are similar in nature to those observed with other amide local anesthetic agents. These adverse experiences are, in general, dose-related and may result from high plasma levels caused by overdosage or rapid absorption, eg, application to areas below the vocal cords, or may result from a hypersensitivity, idiosyncrasy or diminished tolerance on the part of the patient. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels at or above 6.0 µg free base per mL.

Serious adverse experiences are generally systemic in nature. The following types are those most commonly reported:

Central Nervous System: CNS manifestations are excitatory and/or depressant and may be characterized by the following signs and symptoms of escalating severity: circumoral paresthesia, light-headedness, nervousness, apprehension, euphoria, confusion, dizziness, drowsiness, hyperacusis, tinnitus, blurred vision, vomiting, sensations of heat, cold or numbness, twitching, tremors, convulsions, unconsciousness, respiratory depression and arrest. The excitatory manifestations (e.g., twitching, tremors, convulsions) may be very brief or may not occur at all, in which case the first manifestation of toxicity may be drowsiness merging into unconsciousness and respiratory arrest.

Drowsiness following the administration of lidocaine is usually an early sign of a high lidocaine plasma level and may occur as a consequence of rapid absorption.

Cardiovascular System: Cardiovascular manifestations are usually depressant and are characterized by bradycardia, hypotension, arrhythmia, and cardiovascular collapse, which may lead to cardiac arrest.

Allergic: Allergic reactions are characterized by cutaneous lesions, urticaria, edema or, in the most severe cases, anaphylactic shock. Allergic reactions of the amide type are rare (<0.1%) and may occur as a result of sensitivity either to the local anesthetic agent or to other components in the formulation (See DOSAGE FORM, COMPOSITION AND PACKAGING).

Local Reactions: Local irritation at the application site has been described.

8 DRUG INTERACTIONS

8.1 Overview

Lidocaine is mainly metabolized in the liver by CYP1A2 and CYP3A4 to its two major metabolites, monoethylglycinexylidine (MEGX) and glycinexylidine (GX), both of which are pharmacologically active. Lidocaine has a high hepatic extraction ratio. Only a small fraction (2%) of lidocaine is excreted unchanged in the urine. The hepatic clearance of lidocaine is expected to depend largely on blood flow.

Strong inhibitors of CYP1A2, such as fluvoxamine, given concomitantly with lidocaine, can cause a metabolic interaction leading to an increased lidocaine plasma concentration. Therefore, prolonged administration of lidocaine should be avoided in patients treated with strong inhibitors of CYP1A2, such as fluvoxamine. When co-administered with intravenous lidocaine, two strong inhibitors of CYP3A4, erythromycin and itraconazole, have each been shown to have a modest effect on the pharmacokinetics of intravenous lidocaine. Other drugs such as propranolol and cimetidine have been reported to reduce intravenous lidocaine clearance, probably through effects on hepatic blood flow and/or metabolism.

When lidocaine is used topically, plasma concentrations are of importance for safety reasons (see WARNINGS AND PRECAUTIONS, General; ADVERSE REACTIONS). However, with the low systemic exposure and short duration of topical application, the abovementioned metabolic drug-drug interactions are not expected to be of clinical significance when XYLOCAINE Spray is used according to dosage recommendations.

Clinically relevant pharmacodynamic drug interactions may occur with lidocaine and other local anesthetics or structurally related drugs, and Class I and Class III antiarrhythmic drugs due to additive effects.

8.2 Drug-Drug Interactions

<u>Local anesthetics and agents structurally related to amide-type local anesthetics</u>

Lidocaine should be used with caution in patients receiving other local anesthetics or agents structurally related to amide-type local anesthetics (e.g. antiarrhythmics such as mexiletine), since the toxic effects are additive.

<u>Antiarrhythmic Drugs</u>

Class I Antiarrhythmic drugs Class I antiarrhythmic drugs (such as mexiletine) should be used with caution since toxic effects are additive and potentially synergistic.

Class III Antiarrhythmic drugs

Caution is advised when using Class III antiarrhythmic drugs concomitantly with lidocaine due to potential pharmacodynamic or pharmacokinetic interactions with lidocaine, or both. A drug interaction study has shown that the plasma concentration of lidocaine may be increased following administration of a therapeutic dose of intravenous lidocaine to patients treated with amiodarone (n=6). Case reports have described toxicity in patients treated concomitantly with

lidocaine and amiodarone. Patients treated with Class III antiarrhythmic drugs (e.g. amiodarone) should be kept under close surveillance and ECG monitoring should be considered, since cardiac effects of these drugs and lidocaine may be additive.

Strong Inhibitors of CYP1A2 and CYP3A4

Cytochrome CYP1A2 and CYP3A4 are involved in the formation of the pharmacologically active lidocaine metabolite MEGX

Fluvoxamine: Strong inhibitors of CYP1A2, such as fluvoxamine, given during prolonged administration of lidocaine to areas with a high extent of systemic absorption (e.g., mucous membranes) can cause a metabolic interaction leading to an increased lidocaine plasma concentration. The plasma clearance of a single intravenous dose of lidocaine was reduced by 41 to 60% during co-administration of fluvoxamine, a selective and potent CYP1A2 inhibitor, to healthy volunteers.

Erythromycin and Itraconazole: Erythromycin and itraconazole, which are strong inhibitors of CYP3A4, have been shown to reduce clearance of lidocaine by 9 to 18%, following a single intravenous dose of lidocaine to healthy volunteers.

During combined co-administration with fluvoxamine and erythromycin the plasma clearance of lidocaine was reduced by 53%.

β-blockers and cimetidine

Following a single intravenous dose of lidocaine, administered to healthy volunteers, the clearance of lidocaine has been reported to be reduced up to 47% when co-administered with propanolol and up to 30% when co-administered with cimetidine. Reduced clearance of lidocaine when co-administered with these drugs is probably due to reduced liver blood flow and/or inhibition of microsomal liver enzymes. The potential for clinically significant interactions with these drugs should be considered during long-term treatment with high doses of lidocaine.

8.3 Drug-Food Interactions

Interactions of lidocaine with food have not been established.

8.4 Drug-Herb Interactions

Interactions of lidocaine with herbal products have not been established.

8.5 Drug-Laboratory Test Interactions

Interactions of lidocaine with laboratory tests have not been established.

8.6 Drug-Lifestyle Interactions

Interactions of lidocaine with lifestyle have not been established.

9 ACTION AND CLINICAL PHARMACOLOGY

9.1 Mechanism of Action

Mechanism of Action
Lidocaine stabilizes the neuronal membrane by inhibiting the ionic fluxes required for the initiation and conduction of impulses, thereby effecting local anesthetic action. Local anesthetics of the amide type are thought to act within the sodium channels of the nerve membrane.

Onset of Action
XYLOCAINE Spray (lidocaine), when applied topically to the oral cavity, acts on mucous membranes to produce local anesthesia. Anesthesia occurs usually within 1-5 minutes and persists for approximately 10-15 minutes. XYLOCAINE Spray is ineffective when applied to intact skin.

Hemodynamics
Lidocaine, like other local anesthetics, may also have effects on excitable membranes in the brain and myocardium. If excessive amounts of drug reach systemic circulation rapidly, symptoms and signs of toxicity will appear, emanating from the central nervous and cardiovascular systems.

Central nervous system toxicity (see OVERDOSAGE) usually precedes the cardiovascular effects since it occurs at lower plasma concentrations. Direct effects of local anesthetics on the heart include slow conduction, negative inotropism and eventually cardiac arrest.

9.2 Pharmacokinetics

Absorption: The rate and extent of absorption depends upon concentration and total dose administered, the specific site of application and duration of exposure. In general, the rate of absorption of local anesthetic agents, following topical application to wound surfaces and mucous membranes is high. Lidocaine is also well absorbed from the gastrointestinal tract, although little intact drug may appear in the circulation because of biotransformation in the liver.

Distribution: Lidocaine has a total plasma clearance of 0.95 L/min and a volume of distribution at steady state of 91 L.

Lidocaine readily crosses the placenta, and equilibrium in regard to free, unbound drug will be reached. Because the degree of plasma protein binding in the fetus is less than in the mother, the total plasma concentration will be greater in the mother, but the free concentrations will be the same.

The plasma binding of lidocaine is dependent on drug concentration, and the fraction bound decreases with increasing concentration. At concentrations of 1 to 4 µg of free base per mL, 60 to 80 percent of lidocaine is protein bound. Binding is also dependent on the plasma concentration of the alpha-1-acid glycoprotein.

Metabolism: Lidocaine is metabolized rapidly by the liver, and metabolites and unchanged drug are excreted by the kidneys. Biotransformation includes oxidative N-dealkylation, ring hydroxylation, cleavage of the amide linkage, and conjugation. Only 2% of lidocaine is excreted unchanged. Most of it is metabolized first to monoethylglycinexylidide (MEGX) and then to

glycinexylidide (GX) and 2,6-dimethylaniline. Up to 70% appears in the urine as 4-hydroxy- 2,6-dimethylaniline.

Elimination: Lidocaine has an elimination half-life of 1.6 h and an estimated hepatic extraction ratio of 0.65. The clearance of lidocaine is almost entirely due to liver metabolism, and depends both on liver blood flow and the activity of metabolizing enzymes.

The elimination half-life following an intravenous bolus injection is typically 1.5 to 2.0 hours. The elimination half-life in neonates (3.2 h) is approximately twice that of adults. The half-life may be prolonged two-fold or more in patients with liver dysfunction. Renal dysfunction does not affect lidocaine kinetics but may increase the accumulation of metabolites.

Special Populations and Conditions

Acidosis increases the systemic toxicity of lidocaine while the use of CNS depressants may increase the levels of lidocaine required to produce overt CNS effects. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels at or above 6.0 µg free base per mL.

10 STORAGE, STABILITY AND DISPOSAL

Store at controlled room temperature (15 – 25 °C). Protect from light and freezing.

READ THIS FOR SAFE AND EFFECTIVE USE OF YOUR MEDICINE

PATIENT MEDICATION INFORMATION

[Pr]XYLOCAINE® Spray
Lidocaine non-aerosol spray

Read this carefully before you start taking **XYLOCAINE® Spray** and each time you get a refill. This leaflet is a summary and will not tell you everything about this drug. Talk to your healthcare professional about your medical condition and treatment and ask if there is any new information about **XYLOCAINE® Spray**.

What is XYLOCAINE® Spray used for?
XYLOCAINE Spray is used to produce temporary loss of feeling or numbness of the area where it is applied in adults and children, and can be used:

- before certain types of medical procedures are performed by your doctor, e.g., gastrointestinal endoscopy;
- before surgical procedures in the mouth and nose

XYLOCAINE Spray should be used with caution in children younger than two years of age.

How does XYLOCAINE® Spray work?
XYLOCAINE Spray works on the nerves to create temporary numbness. XYLOCAINE Spray should start to work within 5 minutes after it is applied and its effect should last about 10 to 15 minutes.

What are the ingredients in XYLOCAINE® Spray?
Medicinal ingredients: lidocaine 10 mg/metered dose

Non-medicinal ingredients: ethanol, polyethylene glycol 400, essence of banana, menthol, saccharin and purified water.

XYLOCAINE® Spray comes in the following dosage forms:
XYLOCAINE Spray is a spray solution that delivers 10 mg per metered dose.

Do not use XYLOCAINE® Spray if:
- you are allergic to any local anesthetics of the amide type
- you are allergic to lidocaine, or to any of the other ingredients in the product

To help avoid side effects and ensure proper use, talk to your healthcare professional before you take XYLOCAINE® Spray. Talk about any health conditions or problems you may have, including if you:

- have health problems now or have had in the past
- have sepsis, or a skin infection, skin rash, cut, or wound at or near the area you want to apply XYLOCAINE Spray
- have heart, kidney, or liver disease
- have epilepsy (there is a very low risk if used as per PROPER USE OF THE MEDICATION)
- have been diagnosed with porphyria
- are experiencing severe shock
- are pregnant, plan to become pregnant, or are breastfeeding

Other Warnings you should know about:
XYLOCAINE Spray may affect your ability to drive. Use caution when driving a vehicle, or dangerous machinery.

Tell your healthcare professional about all the medicines you take, including any drugs, vitamins, minerals, natural supplements or alternative medicines.

The following may interact with XYLOCAINE® Spray:
- Other local anesthetics
- Mexiletine, amiodarone, propranolol and other drugs used for heart problems
- Cimetidine, used for gastrointestinal problems
- Fluvoxamine, used for depression
- Erythromycin, an antibiotic drug
- Itraconazole, an antifungal drug

Usage of such medicines at the same time as XYLOCAINE Spray may increase the risk of serious side effects.

How to take XYLOCAINE® Spray:
- A healthcare professional will give you XYLOCAINE Spray and will decide your dose.
- If you think the effect of XYLOCAINE Spray is too strong or too weak, talk to your healthcare professional.
- Your mouth or throat will be numb after receiving XYLOCAINE Spray. This may make swallowing more difficult and can cause choking. Your tongue or gums may also be numb and you may bite them accidentally. Avoid chewing gum, eating or drinking until you have regained feeling in your mouth and/or throat.

Dose adjustments may be required in:
- elderly patients
- children under 18 years of age
- acutely ill patients
- patients with sepsis

Usual dose:
The recommended dose of XYLOCAINE Spray **for adults** is:
- 20-60 mg for nasal procedures. No more than 500 mg for short procedures and no more than 600 mg for longer procedures;
- 20-200 mg for procedures such as gastrointestinal endoscopy. No more than 500 mg for short procedures and no more than 600 mg for longer procedures;

- 50-400 mg for procedures in the upper respiratory tract, above the larynx. No more than 200 mg for short procedures and no more than 400 mg for longer procedures.

For short procedures the drug is given for less than one minute. For longer procedures, the drug is given for more than 5 minutes.

The dose **for children (under 18 years of age)** depends on the child's age, weight and physical condition. Children over 12 years of age considered underweight (less than 25 kg) should be dosed with caution. For children under 12 years of age:

- No more than 3 mg/kg of body weight should be used for procedures in the upper respiratory tract, above the larynx;
- No more than 4-5 mg/kg of body weight should be used for nasal and gastrointestinal procedures;
- In neonates and infants, less concentrated lidocaine solutions are recommended.

Overdose:

Early signs of overdosage are light-headedness, abnormal feeling such as burning or prickling around the mouth, numbness of the tongue, loss of hearing or ringing in the ears. In the event of a serious overdosage, changes in your vision, trembling, seizures or unconsciousness may occur.

If you think you have been given too much XYLOCAINE® Spray, contact your healthcare professional, hospital emergency department or regional poison control centre immediately, even if there are no symptoms.

What are possible side effects from using XYLOCAINE® Spray?

These are not all the possible side effects you may feel when taking XYLOCAINE® Spray. If you experience any side effects not listed here, contact your healthcare professional.

- A burning or prickling feeling around the mouth after surgery
- Irritation at the application site
- Hot/cold sensations, or numbness
- Brief twitching or tremors
- Light-headedness, dizziness, drowsiness and/or blurred vision
- Feelings of nervousness, apprehension, euphoria or confusion
- Unusual sweating
- Hearing problems or ringing in the ears
- Vomiting

Serious side effects and what to do about them			
Symptom / effect	Talk to your healthcare professional		Stop taking drug and get immediate medical help
	Only if severe	In all cases	
RARE **Allergic reaction**: difficulty swallowing or breathing, wheezing; drop in blood pressure; feeling sick to your stomach and throwing up; hives or rash; swelling of the face, lips, tongue or throat			X
Bradycardia (abnormally slow heartbeat): dizziness, light-headedness, fatigue, shortness of breath, chest pains		X	
Arrhythmia (abnormal heart rhythms): rapid, slow or irregular heartbeat		X	
Hypotension (low blood pressure): dizziness, fainting, light-headedness, blurred vision, nausea, vomiting, fatigue (may occur when you go from lying or sitting to standing up)		X	
Convulsions: seizure, spasms, shaking or fits		X	
Cardiovascular Collapse: chest pain or discomfort, rapid or irregular heartbeat, light-headedness, dizziness, shortness of breath		X	
Respiratory Depression (also known as hypoventilation): slow, shallow or weak breathing; blue lips, fingers, toes; confusion; headaches		X	

If you have a troublesome symptom or side effect that is not listed here or becomes bad enough to interfere with your daily activities, talk to your healthcare professional.

Reporting Side Effects

You can report any suspected side effects associated with the use of health products to Health Canada by:

- Visiting the Web page on Adverse Reaction Reporting (https://www.canada.ca/en/health-canada/services/drugs-health-products/medeffect-canada/adverse-reaction-reporting.html) for information on how to report online, by mail or by fax; or
- Calling toll-free at 1-866-234-2345.

NOTE: Contact your health professional if you need information about how to manage your side effects. The Canada Vigilance Program does not provide medical advice.

Storage:
XYLOCAINE Spray will be stored at room temperature (15 – 25 °C) protected from light and freezing.

Keep out of reach and sight of children.

If you want more information about XYLOCAINE® Spray:

- Talk to your healthcare professional
- Find the full product monograph that is prepared for healthcare professionals and includes this Patient Medication Information by visiting the Health Canada website (https://health-products.canada.ca/dpd-bdpp/index-eng.jsp); the manufacturer's website: http://aspenpharma.ca/ or by calling 1-844-330-1213.

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