Lidocaine Hydrochloride
Phenylephrine Hydrochloride

Co-Phenylcaine™
Forte Spray
50 mg/ mL / 5 mg/ mL

Anaesthetic and Vasoconstrictor

FORMULATION:
Lidocaine Hydrochloride ..... 50 mg/mL (5%)
Phenylephrine Hydrochloride ..... 5 mg/mL (0.5%)

Also contains:
Sodium phosphate monobasic, sodium metabisulfite, disodium edetate, benzalkonium chloride.

PRODUCT DESCRIPTION:
A clear solution free from particulate matter.

PHARMACODYNAMICS AND PHARMACOKINETICS:
Co-Phenylcaine Forte Spray contains two active ingredients: Lidocaine hydrochloride 50 mg/mL, a local anaesthetic and phenylephrine hydrochloride 5 mg/mL, an agent that causes blood vessel constriction.
Lidocaine hydrochloride is a local anaesthetic which stabilizes the neuronal membrane and prevents initiation and transmission of nerve impulses, thereby effecting local anaesthetic action. Like other anaesthetics, lidocaine impairs the generation and conduction of the nerve impulses by slowing depolarization. This results from blocking of the large transient increase in permeability of the cell membrane to sodium ions that follows initial depolarization of the membrane. Onset of action is rapid and may last for 1 hour. It does not produce irritation to mucous membranes due to its non-ester structure and it is not detoxified by circulating plasma esterases. The liver is the chief site of biotransformation of lidocaine and both free and conjugated forms of the drug are excreted in the urine.
Phenylephrine hydrochloride is a sympathomimetic agent with direct effects on the α-1 adrenoreceptors. It has mainly α-1 adrenergic activity. Phenylephrine is a relatively selective α-1-adrenoreceptor agonist. α-1 receptors are widely expressed in vascular beds and their activation leads to vasoconstriction. The phenylepherine in Co-Phenylcaine Forte Spray constricts the blood vessels locally, which can decrease the systemic absorption of lidocaine and restrict bleeding. It also decreases the onset of action and increases the duration of

action of lidocaine. Its nasal decongestant action can assist in easier passage of endoscopes.
Systemic bioavailability of phenylephrine is only about 40% following administration. Peak plasma concentrations are achieved in 1-2 hours. The mean plasma half-life is in the range of 2-3 hours. Penetration into the brain appears to be minimal.

INDICATIONS:
Topical anaesthesia and local vasoconstriction prior to endoscopy of the upper airways.

Preparation of nasal mucosa for surgery.

Aid in the treatment of acute nose bleeds and removal of foreign bodies from the nose.

Topical anaesthesia of the pharynx prior to direct or indirect laryngoscopy.

DOSAGE AND MODE OF ADMINISTRATION:
For nasal and pharyngeal administration:
Adults: Up to 5 squirts per nostril.
Each squirt contains 100 microlitres.
Doses are to be administered once only. They may be repeated as directed only by a physician.

CONTRAINDICATIONS/ PRECAUTIONS/ WARNINGS:
Known hypersensitivity to either of the active ingredients or any of the non-active ingredients. Patient's history of hypersensitivity to local anaesthetics of the amide type, or to other sympathomimetic agents or any of the non-active ingredients must be carefully obtained. Co-Phenylcaine Forte Spray must be discontinued and immediate medical attention must be given to susceptible or affected patients.

Co-Phenylcaine Forte Spray should not be used in pregnancy.

This medicine should not be used in children.

Patients with comorbidities should be given a reduced dose.

The use of topical anaesthetic agents in the oral cavity and upper airway tissues may interfere with swallowing and may enhance the danger of aspiration of food or drinks. Numbness of the tongue or buccal mucosa may increase the risk of trauma from hot drinks or biting. For this reason, food or drinks especially hot liquids should not be ingested within 2 hours of using local anaesthetics or until the numbness in the throat and tongue has worn off.

Co-Phenylcaine Forte Spray should be given with caution to patients with hyperthyroidism and cardiovascular disease, especially those suffering from hypertension, severe bradycardia, conduction disturbances or severe digitalis intoxication. A small but transient increase in pulse and blood pressure may occur. Careful and constant monitoring of cardiovascular and respiratory vital signs should be done. Dose must be adjusted when necessary.

Lidocaine is metabolized in the liver and must be given with caution to patients with hepatic insufficiency.

Metabolites of lidocaine may accumulate in patients with renal impairment. Dose must be adjusted when necessary.

Co-Phenylcaine Forte Spray contains sodium metabisulfite, a sulfite that may cause allergic-type reactions including anaphylactic symptoms and life-threatening or less severe asthmatic episodes in certain susceptible people. The overall prevalence of sulfite sensitivity in the general population is unknown and probably low. Sulfite sensitivity is seen more frequently in asthmatic than non-asthmatic people. Co-Phenylcaine Forte Spray must be discontinued and immediate medical attention must be given to susceptible or affected patients.

Patients on medications that may interact with lidocaine and phenylephrine must be closely observed. Dose may be adjusted or reduced when necessary. Careful monitoring of cardiovascular and respiratory vital signs should be done. Patients with epilepsy who are on phenytoin that could cause adverse cardiac effects when taken with this medicine should be carefully monitored.

Phenylephrine may interact with monoamine oxidase inhibitors (MAOIs) and can result in blood pressure elevations and hypertensive crisis. In view of this risk, phenylephrine should not be used in patients taking MAOIs or within 2-3 weeks after its discontinuation.

Genetic predisposition to malignant hyperthermia and pre-existing abnormal neurological conditions. Patients with existing neurological disorders must be carefully monitored.

Increased doses must be avoided.

In patients with cuts or sores in areas of the nose or throat, there is increased risk of absorption of active ingredients that may lead to higher drug level in the blood. Careful examination of the nose and throat areas must be done before the procedure. Precautions must be taken to reduce the risk of rapid systemic absorption such as avoiding use in the presence of severe infection or severely traumatized mucosa in the areas of application.

Phenylephrine carries the risk of inducing closed angle glaucoma. Caution should be exercised in susceptible patients.

PREGNANCY AND LACTATION:
Co-Phenylcaine Forte Spray should not be used in pregnancy.

Co-Phenylcaine Forte Spray may be used as directed in breastfeeding mothers. Phenylephrine has low oral bioavailability and it is unlikely to reach the infant in large amounts. Although oral and intravenous administration may decrease milk production, phenylephrine nasal spray is less likely to decrease lactation. Lidocaine is usually compatible with breastfeeding. No adverse effects have been reported in breastfed infants whose mothers were receiving lidocaine.

DRUG INTERACTIONS:
- Propranolol or cimetidine may reduce the clearance of lidocaine hence patients given these drugs together may show signs of lidocaine toxicity. Dose must be adjusted or reduced when necessary and careful and constant monitoring of cardiovascular and respiratory vital signs should be done.
- Lidocaine can have either additive effects or antagonistic effects on antiarrhythmic drugs.
- Lidocaine prolongs the action of suxamethonium.
- Lidocaine and phenytoin have additive cardiac depressant effects.
- Antidepressants may interact with phenylephrine. Combining phenylephrine with a tricyclic antidepressant may increase the risk for high blood pressure. Monoamine Oxidase Inhibitors (MAOIs) may increase the effect of oral phenylephrine, resulting in blood pressure elevations and hypertensive crisis. In view of this risk, phenylephrine should not be used in patients taking MAOIs or within 2 - 3 weeks after discontinuation.
- Phenothiazines and butyrophenones may reduce or reverse the pressor effect of epinephrine. Careful patient monitoring is advised in situations when concurrent therapy is necessary.
- Patients on above-mentioned medications that may interact with lidocaine and phenylephrine must be closely observed. Dose may be adjusted or reduced when necessary. Careful monitoring of cardiovascular and respiratory vital signs should be done.

ADVERSE DRUG REACTIONS:
The most commonly noted side effect is a transient bitter taste in the mouth lasting for one to two minutes. Phenylepherine may rarely cause tremors or palpitations. Nervousness, nausea, vomiting, tinnitus, dizziness, numbness or disorientation may rarely occur following rapid absorption of lidocaine.

While other side effects are not commonly experienced with this medication because it is only administered as a single dose, the following effects may occur: headache, drowsiness, lightheadedness, confusion, blurred vision, twitching, convulsions, sensations of hot or cold, slow heartbeat, fast heart rate with or

without palpitations. Other effects include hallucinations and paranoid delusions, restlessness, excitement, transient irritability and insomnia.

OVERDOSE AND TREATMENT:
Systemic toxicity is manifested by central nervous system excitation such as restlessness, excitement, blurred vision, nausea and vomiting, muscle twitching and in more severe cases, convulsions. Toxicity due to α-adrenergic over stimulation may result in tachycardia and arrhythmia.

Treatment consists of ensuring adequate ventilation and arresting convulsions with intravenous diazepam if required. Cardiac resuscitation may be required to reverse pathologic arrhythmias.

If someone takes a dose larger than the recommended, call a doctor, emergency medical services (EMS), or the nearest poison control center immediately.

DOSAGE FORM:
Pump actuated topical spray
Packing Size:
1. 50 mL Co-Phenylcaine Forte Spray in a carton without nozzle; and
2. Flexi Nozzles (sold separately) - available in two lengths - Short (100mm) and Long (200mm).

INSTRUCTION AND SPECIAL PRECAUTIONS FOR HANDLING AND DISPOSAL:
Flexi Nozzles (short and long) are available separately. A new nozzle should be used for each patient. This will remove risks of cross infection between patients.

Do not use if seals over carton ends are missing or broken.

CAUTION:
Food, Drugs, Devices and Cosmetics Act prohibits dispensing without prescription.

STORE AT TEMPERATURES NOT EXCEEDING 30^{O}C.

DR-XY46455

“For suspected adverse drug reaction, report to the FDA: www.fda.gov.ph”

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