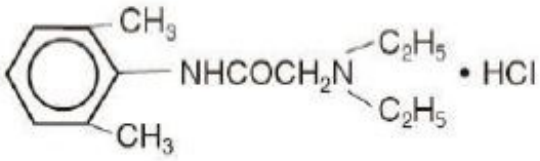
LIDOCAINE HYDROCHLORIDE- lidocaine hydrochloride jelly
Henry Schein, Inc.

LIDOCAINE HYDROCHLORIDE JELLY USP, 2% A STERILE, WATER-SOLUBLE, TOPICAL ANESTHETIC

DESCRIPTION

Lidocaine Hydrochloride Jelly USP, 2% is a sterile aqueous product that contains a local anesthetic agent and is administered topically. See INDICATIONS for specific uses.

Lidocaine Hydrochloride Jelly USP, 2% contains lidocaine hydrochloride which is chemically designated as acetamide, 2-(diethylamino)-N-(2,6-dimethylphenyl)-, monohydrochloride and has the following structural formula:



Composition of Lidocaine Hydrochloride Jelly USP, 2%: Each mL contains 20 mg of lidocaine hydrochloride, and sodium carboxymethylcellulose as a viscosity-increasing agent. Sodium hydroxide may have been added to adjust pH to meet USP limits of 6 to 7. Carboxymethylcellulose sodium adjusts the resulting mixture to a suitable consistency, to enhance contact with mucosa and provide lubrication for instrumentation. This product contains no preservative and any unused portion should be discarded after initial use.

CLINICAL PHARMACOLOGY

Mechanism of action: Lidocaine stabilized the neuronal membrane by inhibiting the ionic fluxes required for the initiation and conduction of impulses, thereby effecting local anesthetic action.

Onset of action: The onset of action is 3-5 minutes. It is ineffective when applied to intact skin.

Hemodynamics: Excessive blood levels may cause changes in cardiac output, total peripheral resistance, and mean arterial pressure. These changes may be attributable to a direct depressant effect of the local anesthetic agent on various components of the cardiovascular system.

Pharmacokinetics and metabolism: Lidocaine may be absorbed following topical administration to mucous membranes, its rate and extent of absorption varies depending 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 occurs most rapidly after intratracheal administration. Lidocaine is also well-absorbed from the gastrointestinal tract, but little

intact drug may appear in the circulation because of biotransformation in the liver.

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. N-dealkylation, a major pathway of biotransformation, yields the metabolites mono-ethylglycinexylidide and glycinexylidide. The pharmacological/toxicological actions of these metabolites are similar to, but less potent than, those of lidocaine. Approximately 90% of lidocaine administered is excreted in the form of various metabolites, and less than 10% is excreted unchanged. The primary metabolite in urine is a conjugate of 4-hydroxy-2, 6-dimethylaniline.

The plasma binding of lidocaine is dependent on drug concentration, and the fraction bound decreases with increasing concentration. At concentrations of 1 to 4 ug 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-I-acid glycoprotein.

Lidocaine crosses the blood-brain and placental barriers, presumably by passive diffusion.

Studies of lidocaine metabolism following intravenous bolus injections have shown that the elimination half-life of this agent is typically 1.5 to 2 hours. Because of the rapid rate at which lidocaine is metabolized, any condition that affects liver function may alter lidocaine kinetics. 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.

Factors such as acidosis and the use of CNS stimulants and depressants affect the CNS levels of lidocaine required to produce overt systemic effects. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels above 6 ug free base per mL. In the rhesus monkey arterial blood levels of 18-21 ug / mL have been shown to be the threshold for convulsive activity.

INDICATIONS & USAGE

Lidocaine Hydrochloride Jelly USP, 2% is indicated for prevention and control of pain in procedures involving the male and female urethra for topical treatment of painful urethritis, and as an anesthetic lubricant for endotracheal intubation (oral and nasal).

CONTRAINDICATIONS

Lidocaine is contraindicated in patients with a known history of hypersensitivity to local anesthetics of the amide type or to other components of Lidocaine Hydrochloride Jelly USP, 2%.

WARNINGS

EXCESSIVE DOSAGE, OR SHORT INTERVALS BETWEEN DOSES, CAN RESULT IN HIGH PLASMA LEVELS AND SERIOUS ADVERSE EFFECTS. PATIENTS SHOULD BE INSTRUCTED

TO STRICTLY ADHERE TO THE RECOMMENDED DOSAGE AND ADMINISTRATION GUIDELINES AS SET FORTH IN THIS PACKAGE INSERT.

THE MANAGEMENT OF SERIOUS ADVERSE REACTIONS MAY REQUIRE THE USE OF RESUSCITATIVE EQUIPMENT, OXYGEN, AND OTHER RESUSCITATIVE DRUGS.

Lidocaine Hydrochloride Jelly USP, 2% should be used with extreme caution in the presence of sepsis or severely traumatized mucosa in the area of application, since under such conditions there is the potential for rapid systemic absorption.

When used for endotracheal tube lubrication, care should be taken to avoid introducing the product into the lumen of the tube. Do not use the jelly to lubricate the endotracheal stylettes. If allowed into the inner lumen, the jelly may dry on the inner surface leaving a residue which tends to clump with flexion, narrowing the lumen. There have been rare reports in which this residue has caused the lumen to occlude. See also ADVERSE REACTIONS and DOSAGE AND ADMINISTRATION.

ADVERSE REACTIONS

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 excessive dosage or rapid absorption, or may result from a hypersensitivity, idiosyncrasy or diminished tolerance on the part of the patient. Serious adverse experiences are generally systemic in nature. The following types are those most commonly reported:

There have been rare reports of endotracheal tube occlusion associated with the presence of dried jelly residue in the inner lumen of the tube. See also WARNINGS and DOSAGE AND ADMINISTRATION.

Central nervous system: CNS manifestations are excitatory and/or depressant and may be characterized by lightheadedness, nervousness, apprehension, euphoria, confusion, dizziness, drowsiness, tinnitus, blurred or double vision, vomiting, sensations of heat, cold, or numbness; twitching, tremors, convulsions, unconsciousness, respiratory depression and arrest. The excitatory manifestations 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 blood level of the drug and may occur as a consequence of rapid absorption.

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

Allergic: Allergic reactions are characterized by cutaneous lesions, urticaria, edema or anaphylactoid reactions. Allergic reactions may occur as a result of sensitivity either to the local anesthetic agent or to other components in the formulation. Allergic reactions as a result of sensitivity to lidocaine are extremely rare and, if they occur, should be

managed by conventional means. The detection of sensitivity by skin testing is of doubtful value.

OVERDOSAGE

Acute emergencies from local anesthetics are generally related to high plasma levels encountered during therapeutic use of local anesthetics. (See ADVERSE REACTIONS, WARNINGS, and PRECAUTIONS.)

Management of local anesthetic emergencies: 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 convulsions 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. Immediately after the institution of these ventilatory measures, the adequacy of the circulation should be evaluated, keeping in mind that drugs used to treat convulsions sometimes depress the circulation when administered intravenously. Should convulsions persist despite adequate respiratory support and if the status of the circulation permits, small increments of an ultra-short acting barbiturate (such as thiopental or thiamylal) or a benzodiazepine (such as diazepam) may be administered intravenously. The clinician should be familiar, prior to use of local anesthetics, with these anticonvulsant drugs. Supportive treatment of circulatory depression may require administration of intravenous fluids and when appropriate, a vasopressor as directed by the clinical situation (e.g. ephedrine).

If not treated immediately, both convulsions and cardiovascular depression can result in hypoxia, acidosis, bradycardia, arrhythmias and cardiac arrest. If cardiac arrest should occur, standard cardiopulmonary resuscitative measures should be instituted.

Dialysis is of negligible value in the treatment of acute overdosage with lidocaine.

The oral LD50 of lidocaine hydrochloride in non-fasted female rats is 459 (346-773) mg / kg (as the salt) and 214 (159-324) mg / kg (as the salt) in fasted female rats.

DOSAGE AND ADMINISTRATION

When Lidocaine Hydrochloride Jelly USP, 2% is used concomitantly with other products containing lidocaine, the total dose contributed by all formulations must be kept in mind.

The dosage varies and depends upon the area to be anesthetized, vascularity of the tissues, individual tolerance, and the technique of anesthesia. The lowest dosage needed to provide effective anesthesia should be administered. Dosages should be reduced for children and for elderly and debilitated patients. Although the incidence of adverse effects with Lidocaine Hydrochloride Jelly USP, 2% is quite low, caution should be exercised, particularly when employing large amounts, since the incidence of adverse effects is directly proportional to the total dose of local anesthetic agent administered.

For surface anesthesia of the male adult urethra: The outer orifice is washed and disinfected. The plastic tip is introduced into the orifice, where it is firmly held in position. The jelly is instilled by an easy syringe-like action, until the patient has a feeling of tension or until about 15 mL (i.e., 300 mg of lidocaine hydrochloride) is instilled. A penile clamp is then applied for several minutes at the corona and then additional jelly (about 15 mL) is instilled. To save time, the injection is performed against the resistance of the sphincter, possibly assisted by asking the patient to strain as for defecation or to press as in voiding. The jelly will then pass into the posterior urethra. Prior to sounding or cystoscopy, a penile clamp should be applied for 5 to 10 minutes to obtain adequate anesthesia. If the instrument is introduced immediately, a lubricant is unnecessary. Otherwise some jelly can be expressed from the vial and applied to the instrument tip. About 30 mL (i.e., 600 mg) may be required to fill and dilate the male urethra. When it is desired to anesthetize only the anterior male urethra, as prior to catherization, considerably smaller volumes, such as the contents from a 5 mL (i.e., 100 mg) or 10 mL (i.e., 200 mg) size vial, are usually adequate for lubrication.

For surface anesthesia of the female adult urethra: 3 to 5 mL of the jelly is instilled slowly into the urethra by gently expressing the contents of the vial. If desired, some jelly may be deposited on a cotton swab and introduced into the urethra. In order to obtain adequate anesthesia, several minutes should be allowed prior to performing urological procedures.

Lubrication for endotracheal intubation: Apply a moderate amount of jelly to the external surface of the endotracheal tube shortly before use. Care should be taken to avoid introducing the product into the lumen of the tube. Do not use the jelly to lubricate endotracheal stylettes. See WARNINGS and ADVERSE REACTIONS concerning rare reports of inner lumen occlusion. It is also recommended that use of endotracheal tubes with dried jelly on the external surface be avoided for lack of lubricating effect.

MAXIMUM DOSAGE: No more than 600 mg of lidocaine hydrochloride should be given in any 12 hour period.

Children: It is difficult to recommend a maximum dose of any drug for children since this varies as a function of age and weight. For children less than ten years who have a normal lean body mass and a normal lean body development, the maximum dose may be determined by the application of one of the standard pediatric drug formulas (e.g., Clark's rule). For example, in a child of five years weighing 50 lbs., the dose of lidocaine hydrochloride should not exceed 75-100 mg when calculated according to Clark's rule. In any case, the maximum amount of lidocaine administered should not exceed 4.5 mg / kg (2 mg / lb) of body weight.

HOW SUPPLIED

Lidocaine Hydrochloride Jelly USP, 2%
Box of 25
In unit use packages containing one single use vial and a URO-JET vial injector.

		Stock No.
5 mL size	76329-3012-5	3012-SP
10 mL size	76329-3013-5	3013-SP
20 mL size	76329-3015-5	3015-SP

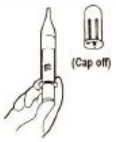
In unit use packages containing one single use vial and a URO-JET AC (Anatomically Constricted) vial injector.
Box of 25

		Stock No.
5 mL size	76329-3011-5	3011-SP

Syringe Assembly Directions
USE ASEPTIC TECHNIQUE
Do not assemble until ready to use.

1) **Open sterile pouch and drop contents directly onto sterile field. Remove protective caps.**

2) **Thread vial into injector 3 half turns, or until needle penetrates stopper.* DO NOT PUSH VIAL INTO INJECTOR; THIS MAY CAUSE MISALIGNMENT.**



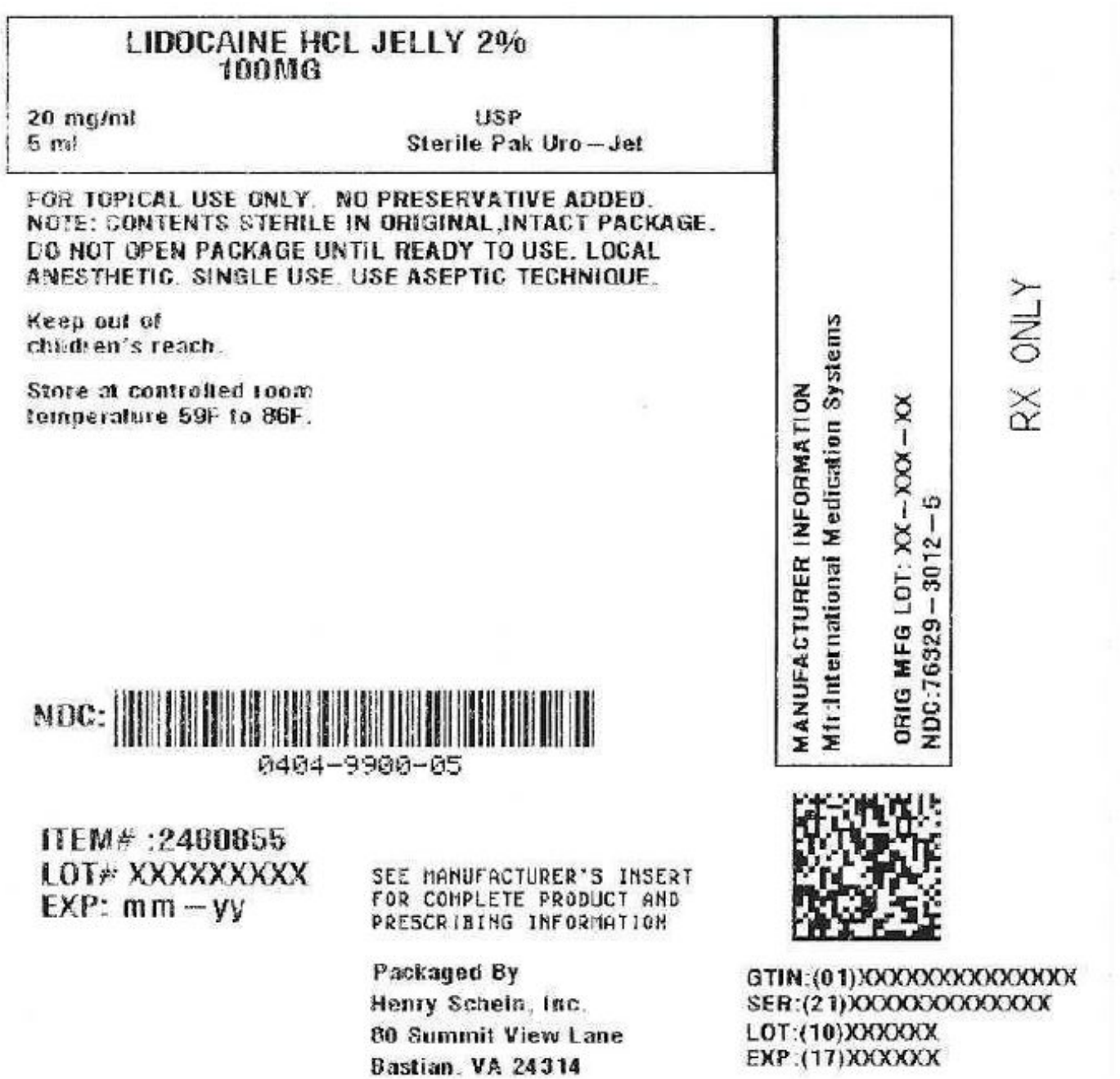
3) **Remove cap and expel air.**

In unit use packages containing one single use vial and a URO-JET AC (Anatomically Constricted) vial injector.

Box of 25

* CAUTION: IMPROPER ENGAGING MAY CAUSE GLASS BREAKAGE AND SUBSEQUENT INJURY.
Store at controlled room temperature 15° to 30°C (59° to 86°F).
Rx Only
INTERNATIONAL MEDICATION SYSTEMS, LIMITED
SOUTH EL MONTE, CA 91733, U.S.A.
An Amphastar Pharmceuticals Company
REV. 7-11

Sample Paackage Label



LIDOCAINE HYDROCHLORIDE

lidocaine hydrochloride jelly

Product Information			
Product Type	HUMAN PRESCRIPTION DRUG	**Item Code (Source)**	NDC:0404-9900(NDC:76329-3012)
Route of Administration	TOPICAL		

Active Ingredient/Active Moiety		
Ingredient Name	**Basis of Strength**	**Strength**

Lidocaine Hydrochloride (UNII: V13007Z41A) (Lidocaine - UNII:98PI200987)	LIDOCAINE HYDROCHLORIDE ANHYDROUS	20 mg in 1 mL

Packaging

#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:0404-9900-05	1 in 1 BAG	01/12/2022	
1		5 mL in 1 VIAL, SINGLE-USE; Type 0: Not a Combination Product		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
ANDA	ANDA086283	01/12/2022	

Labeler - Henry Schein, Inc. (012430880)

Revised: 1/2022 Henry Schein, Inc.