LIDOCAINE HYDROCHLORIDE- lidocaine gel
Aidarex Pharmaceuticals LLC

Disclaimer: This drug has not been found by FDA to be safe and effective, and this labeling has not been approved by FDA. For further information about unapproved drugs, click here.

LidoRx (Lidocaine HCl USP) 3%

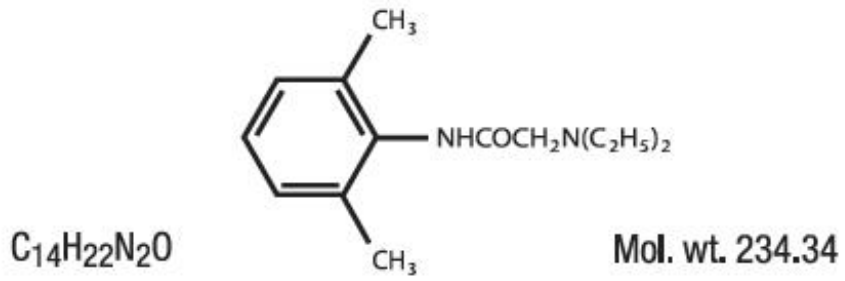
Rx only

DO NOT USE IN THE EYES.

DESCRIPTION

Lidocaine HCL USP, 3% contains a local anesthetic agent and is administered topically. See **INDICATIONS AND USAGE** for specific uses.

Lidocaine HCL USP, 3% contains lidocaine, which is chemically designated as acetamide, 2-(diethylamino)-*N*-(2,6-dimethylphenyl)-, and has the following structural formula:



Composition of Lidocaine HCL USP, 3%: Each gram contains lidocaine hydrocloride USP, 3% in a water soluble base containing AQUA (DEIONIZED WATER), CARBOMER, ISOPROPYL ALCOHOL, PETROLATUM, POLYSORBATE-20, TRIETHANOLAMINE.

CLINICAL PHARMACOLOGY

MECHANISM OF ACTION

LidoRx 3% releases Lidocaine Hydrochloride USP from a mild acidic vehicle to stabilize the neuronal membrane by inhibiting the ionic fluxes required for initiation and conduction of impulses, thereby effecting local anesthetic action. A mild acidic vehicle lowers pH to increase protection against alkaline irritations and to provide a favorable environment for healing.

Onset of anesthesia

LidoRx 3% effects local, topical anesthesia. The onset of action is 3-5 minutes.

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 Hydrochloride USP may be absorbed following topical administration to mucous membranes or open wounds, its rate and extent of absorption depending upon the specific site of application, duration of exposure, concentration, and total dosage. In general, the rate of absorption of local anesthetic agents following topical application occurs most rapidly after intratracheal administration. Lidocaine Hydrochloride USP is also well-absorbed from the gastrointestinal tract, but little intact drug appears in the circulation because of biotransformation in the liver.

Lidocaine Hydrochloride USP 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 monoethylglycinexylidide and glycinexylidide. The pharmacological/toxicological actions of these metabolites are similar to, but less potent than, those of Lidocaine Hydrochloride USP. Approximately 90% of Lidocaine Hydrochloride USP 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 Hydrochloride USP 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 Hydrochloride USP is protein bound. Binding is also dependent on the plasma concentration of the alpha-l-acid glycoprotein.

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

Studies of Lidocaine Hydrochloride USP metabolism following intravenous bolus injections have shown that the elimination half-life of this agent is typically 1.5 to 2.0 hours. Because of the rapid rate at which Lidocaine Hydrochloride USP is metabolized, any condition that affects liver function may alter Lidocaine Hydrochloride USP kinetics.

The half-life may be prolonged two-fold or more in patients with liver dysfunction. Renal dysfunction does not affect Lidocaine Hydrochloride USP 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 Hydrochloride USP required to produce overt systemic effects. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels above 6.0 µg free base per mL. In the rhesus monkey arterial blood levels of 18-21 µg/mL have been shown to be threshold for convulsive activity.

INDICATIONS AND USAGE

Anesthetic for relief of pruritus, pruritic eczemas, abrasions, minor burns, insect bites, pain, soreness and discomfort due to pruritus ani, pruritus vulvae,hemorrhoids, anal fissures, and similar conditions of the skin and mucous membranes.

CONTRAINDICATIONS

Lidocaine Hydrochloride USP is contraindicated in patients with a known history of hypersensitivity to local anesthetics of the amide type or to other components of LidoRx 3%.

Do not use LidoRx 3% on traumatized mucosa or in the presence of secondary bacterial infection of the area of proposed application.

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.

LidoRx 3% 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.

For external use only. Not for ophthalmic use.
Keep out of reach of children.

PRECAUTIONS

If irritation or sensitivity occurs or infection appears, discontinue use and institute appropriate therapy. LidoRx 3% Gel should be used with caution in ill, elderly, debilitated patients and children who may be more sensitive to the systemic effects of Lidocaine Hydrochloride USP. In case of accidental ingestion get medical help or contact poison control center right away.

CARCINOGENESIS, MUTAGENESIS, AND IMPAIRMENT OF FERTILITY

Studies of lidocaine in animals to evaluate the carcinogenic potential of the effect on fertility have not been conducted.

USE IN PREGNANCY

Teratogenic Effects:

Teratogenic Effects. Pregnancy Category B. Reproduction studies have been performed in rats at doses up to 6.6 times the human dose and have revealed no evidence of harm to the fetus caused by Lidocaine Hydrochloride USP. There are, however, no adequate and well-controlled studies in pregnant women. Animal reproduction studies are not always predictive of human response. General consideration should be given to this fact before administering Lidocaine Hydrochloride USP to women of childbearing potential, especially during early pregnancy when maximum organogenesis takes place.

NURSING MOTHERS:

It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when Lidocaine Hydrochloride USP. is administered to a nursing woman.

PEDIATRIC USE:

Dosage in children should be reduced, commensurate with age, body weight and physical condition. Caution must be taken to avoid over dosage when applying LidoRx 3% to large areas of injured or abraded skin, since the systemic absorption of Lidocaine Hydrochloride USP may be increased under such conditions.

ADVERSE REACTIONS:

Adverse experiences following the administration of Lidocaine Hydrochloride USP 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:

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.

DOSAGE AND ADMINISTRATION:

Each pump of the LidoRx 3% bottle in 30mL Airless Pumps (NDC:35781-0300-3) will deliver 0.25 mL of LidoRx 3% enough to cover a 2 x 2 inch area of skin. A single application should not exceed 10 g of LidoRx 3%, containing 300 mg of Lidocaine Hydrochloride USP; This would equal 40 pumps of the 30mL Airless bottle. In a 70 kg adult this dose equals 4.3 mg/kg (1.9 mg/lb) Lidocaine Hydrochloride USP. No more than one 30mL Airless Pump bottle, approximately 30g of LidoRx 3% or 900 mg Lidocaine Hydrochloride USP, should be administered in any one day.
Although the incidence of adverse effects with LidoRx 3% 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.

Dosage for 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 a child of five years weighing 50 lbs., the dose of lidocaine should not exceed 75-100 mg when calculated according to Clark's rule. In any case, the maximum amount of Lidocaine Hydrochloride USP administered should not exceed 4.3 mg/kg (2.0 mg/lb) of body weight.

Administration

Apply a thin film to the affected area two or three times daily not to exceed 12 pumps in twenty four hours (24Hrs). One pump covers an area of 2 x2 inches. Larger areas will require additional applications. Or use as directed by a physician.

STORAGE AND HANDLING

All prescriptions using this product shall be pursuant to state statutes as applicable. This product may be

administered only under a physician's supervision. There are no implied or explicit claims on the therapeutic equivalence.
Store at 25ºC (77ºF); excursions permitted to 15º-30ºC (59º-86º F). See USP Controlled Room Temperature. Protect from freezing.

HOW SUPPLIED

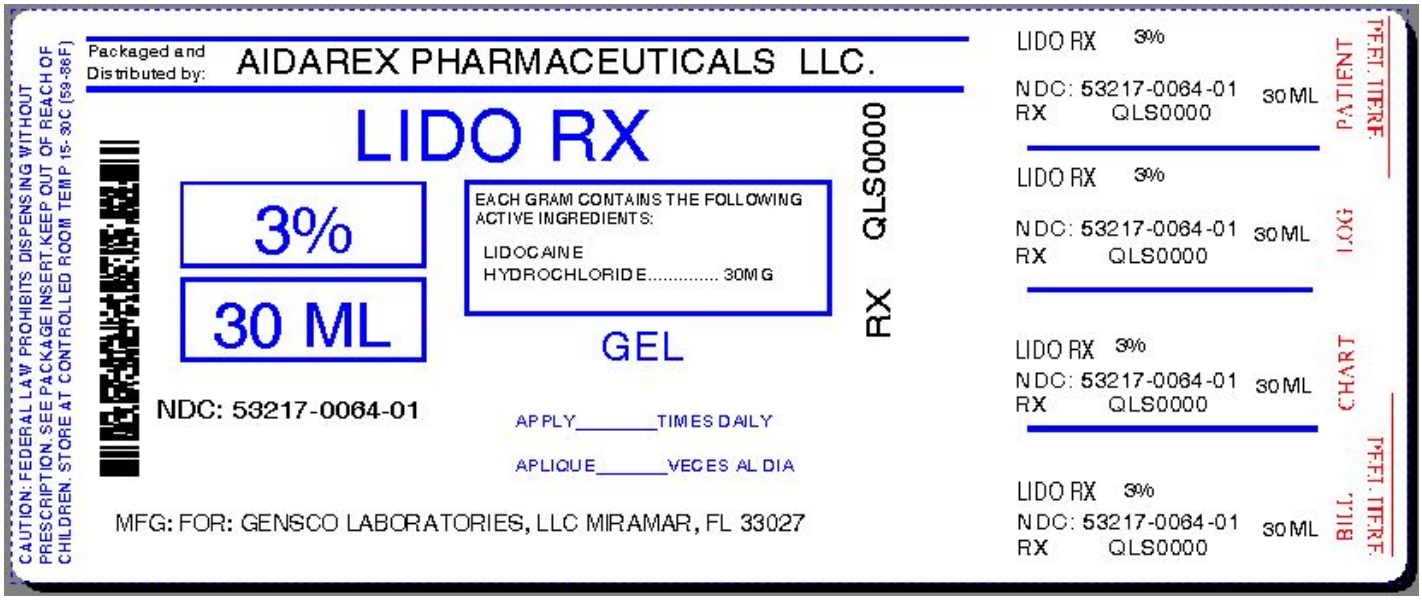
Lido Rx 3%(Lidocaine HCl USP 3%)

1.01 oz (28.5g) 30mL Airless Pump - NDC 53217-0064-01

Gensco Labratories, LLC
12741 Miramar Parkway
Miramar, FL 33027

Repackaged By :
Aidarex Pharmaceuticals LLC,
Corona, CA 92880

LIDOCAINE HCL (LIDOCAINE HCL) GEL



LIDOCAINE HYDROCHLORIDE

lidocaine gel

Product Information			
Product Type	HUMAN PRESCRIPTION DRUG	**Item Code (Source)**	NDC:53217-064(NDC:35781-0300)
Route of Administration	TOPICAL		

Active Ingredient/Active Moiety		
Ingredient Name	**Basis of Strength**	**Strength**
LIDOCAINE (UNII: 98PI200987) (LIDOCAINE - UNII:98PI200987)	LIDOCAINE	30 mg in 1 g

Inactive Ingredients	
Ingredient Name	Strength
WATER (UNII: 059QF0KO0R)	
CARBOMER COPOLYMER TYPE B (ALLYL PENTAERYTHRITOL CROSSLINKED) (UNII: 809Y72KV36)	
ISOPROPYL ALCOHOL (UNII: ND2M416302)	
PETROLATUM (UNII: 4T6H12BN9U)	
POLYSORBATE 20 (UNII: 7T1F30V5YH)	
TROLAMINE (UNII: 9O3K93S3TK)	

Packaging				
#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:53217-064-01	1 in 1 CARTON		
1		28.5 g in 1 TUBE		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
UNAPPROVED DRUG OTHER		03/01/2013	

Labeler - Aidarex Pharmaceuticals LLC (801503249)

Revised: 1/2014 Aidarex Pharmaceuticals LLC