

## **LIDOTHOL GEL- lidothol gel gel**

### **Direct\_Rx**

*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.*

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### **Lidothol Gel**

Lidothol Gel

(Lidocaine 4.5%, Menthol 5%)

Rx only

Lidothol Gel (Lidocaine 4.5%, Menthol 5%) is comprised of a gel inside of a tube containing 4.5% Lidocaine and 5% Menthol.

Inactive ingredients: Acrylates/C10-30 Alkyl Acrylate Crosspolymer, Arnica Montana Flower Extract, Boswellia Serrata Gum Extract, Butylene Glycol, Dimethyl Sulfone, Ethylhexylglycerin, Ilex Paraguariensis Leaf Extract, Magnesium Sulfate, Phenoxyethanol, Polysorbate-20, Propylene Glycol, SD Alcohol 40-B, Triethanolamine, Water

#### Pharmacodynamics

Lidocaine is an amide-type local anesthetic agent. The penetration of lidocaine into intact skin after application of Lidothol Gel is sufficient to produce analgesic effect, but less than the amount necessary to produce a complete sensory block.

Menthol is a covalent organic compound made synthetically or obtained from peppermint or other mint oils. Menthol induces a cooling sensation on the skin upon topical application by stimulating the cold-sensitive receptors expressed on the skin, without actually causing a drop in the skin temperature.

#### Pharmacokinetics

##### Absorption

The amount of lidocaine systemically absorbed from Lidothol Gel is directly related to both the duration of application and the surface area over which it is applied.

Menthol occurs in numerous over-the-counter products. Although extensively used, there have been no estimates of human exposure following administration via dermal application.

##### Distribution

At concentrations produced by application of Lidothol Gel, approximately 70% of the lidocaine dose is reported to be bound to plasma proteins, primarily alpha-1-acid glycoprotein. At higher plasma concentrations (1 to 4 mcg/mL of free base), the plasma protein binding of lidocaine is concentration dependent.

##### Metabolism

It is not known if Lidothol Gel is metabolized in the skin.

##### Excretion

Lidocaine and its metabolites are excreted by the kidneys. Less than 10% of lidocaine is excreted unchanged. The half-life of lidocaine elimination from the plasma following IV administration is 81 to 149 minutes (mean  $107 \pm 22$  SD,  $n = 15$ ). The systemic clearance is 0.33 to 0.90 L/min (mean  $0.64 \pm 2$  max max 0.18 SD,  $n = 15$ ).

Lidothol Gel is indicated for relief of pain associated with arthritis, backache, cramps, discomfort, neckache, soreness, sprains, strains. It should be applied only to intact skin.

Lidothol Gel is contraindicated in patients with a known history of sensitivity to local anesthetics of the amide type, or to any other component of the product.

Medicines intended to be applied to the skin should not be swallowed.

Lidothol Gel is flammable. Keep away from open flame.

You should never heat, microwave, or add the medicine to hot water.

### Risk of Methemoglobinemia

Cases of methemoglobinemia have been reported in association with lidocaine use. Although all patients are at risk for methemoglobinemia, patients with glucose-6-phosphate dehydrogenase deficiency, congenital or idiopathic methemoglobinemia, cardiac or pulmonary compromise, infants under 6 months of age, and concurrent exposure to oxidizing agents or their metabolites are more susceptible to developing the condition. If lidocaine must be used in these patients, close monitoring for symptoms and signs of methemoglobinemia is recommended. Signs of methemoglobinemia may occur immediately or may be delayed some hours after exposure, and are characterized by a cyanotic skin discoloration and/or abnormal coloration of the blood.

Methemoglobin levels may continue to rise; therefore, immediate treatment is required to avert more

serious central nervous system and cardiovascular adverse effects, including seizures, coma,

arrhythmias, and death.

### Excessive Dosing

Excessive dosing by applying Lidothol Gel to larger areas could result in increased absorption of lidocaine and high blood concentrations, leading to serious adverse effects (see ADVERSE REACTIONS, Systemic Reactions). Lidocaine toxicity could be expected at lidocaine blood concentrations above 5 mcg/mL. The blood concentration of lidocaine is determined by the rate of systemic absorption and elimination. Longer duration of application of more than the recommended number of doses, smaller patients, or impaired elimination may all contribute to increased blood concentration of lidocaine.

### General

#### Hepatic Disease

Patients with severe hepatic disease are at greater risk of developing toxic blood concentrations of

Lidocaine and menthol, because of their inability to metabolize lidocaine and menthol normally.

#### Allergic Reactions

Patients allergic to para-aminobenzoic acid derivatives (procaine, tetracaine, benzocaine, etc.) have not

shown cross sensitivity to lidocaine. However, Lidothol Gel should be used with caution in patients

with a history of drug sensitivities, especially if the etiologic agent is uncertain.

Although rare, get emergency medical help if you have signs of an allergic reaction from oral or topical application of menthol: hives, difficulty breathing, or swelling of your face, lips, tongue, or throat.

#### Non-intact Skin

Application to broken or inflamed skin, although not tested, may result in higher blood concentrations of lidocaine and menthol from increased absorption. Lidothol Gel is only recommended for use on intact skin.

#### External Heat Sources

Placement of external heat sources, such as heating pads or electric blankets, over Lidothol Gel

is not recommended as this has not been evaluated and may increase plasma lidocaine levels.

#### Eye Exposure

The contact of Lidothol Gel with eyes, although not studied, should be avoided based on the findings of

severe eye irritation with the use of similar products in animals. If eye contact occurs, immediately

wash out the eye with water or saline and protect the eye until sensation returns.

#### Information for Patients

##### Methemoglobinemia

Inform patients that use of local anesthetics may cause methemoglobinemia, a serious condition that must be treated promptly. Advise patients or caregivers to stop use and seek immediate medical attention if they or someone in their care experience the following signs or symptoms: pale, gray, or blue colored skin (cyanosis); headache; rapid heart rate; shortness of breath; lightheadedness; or fatigue.

#### Drug Interactions

##### Antiarrhythmic Drugs

Lidothol Gel should be used with caution in patients receiving Class I antiarrhythmic drugs (such as

tocainide and mexiletine) since the toxic effects are additive and potentially synergistic.

##### Local Anesthetics

When Lidothol Gel is used concomitantly with other products containing local anesthetic agents, the

amount absorbed from all formulations must be considered.

## Drugs That May Cause Methemoglobinemia When Used with Lidothol Gel

Patients who are administered local anesthetics are at increased risk of developing methemoglobinemia

when concurrently exposed to the following drugs, which could include other local anesthetics:

Examples of Drugs Associated with Methemoglobinemia:

### Class Examples

Nitrates/Nitrites nitric oxide, nitroglycerin, nitroprusside, nitrous oxide

### Local anesthetics

articaine, benzocaine, bupivacaine, lidocaine, mepivacaine, prilocaine, procaine, ropivacaine, tetracaine

Antineoplastic agents cyclophosphamide, flutamide, hydroxyurea, ifosfamide, rasburicase, Antibiotics dapsone, nitrofurantoin, para-aminosalicylic acid, sulfonamides, Antimalarials chloroquine, primaquine Anticonvulsants Phenobarbital, phenytoin, sodium valproate, Other drugs acetaminophen, metoclopramide, quinine, sulfasalazine  
Carcinogenesis, Mutagenesis

### Impairment of Fertility

The effect of Lidothol Gel on fertility has not been studied.

### Pregnancy

#### Teratogenic Effects

Pregnancy Category B.

Lidothol Gel has not been studied in pregnancy.

### Labor and Delivery

Lidothol Gel has not been studied in labor and delivery.

### Nursing Mothers

Lidothol Gel has not been studied in nursing mothers.

### Pediatric Use

Safety and effectiveness in pediatric patients have not been established.

### Application Site Reactions

During or immediately after treatment with Lidothol Gel, the skin at the site of application may develop blisters, bruising, burning sensation, depigmentation, dermatitis, discoloration, edema, erythema, exfoliation, irritation, papules, petechia, pruritus, vesicles, or may be the locus of abnormal sensation. These reactions are generally mild and transient, resolving spontaneously within a few minutes to hours.

### Other Adverse Events

Due to the nature and limitation of spontaneous reports in post marketing surveillance,

causality has not

been established for additional reported adverse events including:

Asthenia, confusion, disorientation, dizziness, headache, hyperesthesia, hypoesthesia, lightheadedness,

metallic taste, nausea, nervousness, pain exacerbated, paresthesia, somnolence, taste alteration,

vomiting, visual disturbances such as blurred vision, flushing, tinnitus, and tremor.

#### Systemic (Dose-Related) Reactions

Systemic adverse reactions following appropriate use of Lidothol Gel are unlikely, due to the small

dose absorbed (see CLINICAL PHARMACOLOGY, Pharmacokinetics). Systemic adverse effects of

lidocaine is similar in nature to those observed with other amide local anesthetic agents, including

CNS excitation and/or depression (light headedness, 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).  
Excitatory CNS

reactions may be brief or not occur at all, in which case the first manifestation may be drowsiness

merging into unconsciousness. Cardiovascular manifestations may include bradycardia, hypotension and

cardiovascular collapse leading to arrest.

Sensitivity reactions associated with the use of mentholated products have been reported. Use of mentholated nasal drops in infants has evidently caused isolated cases of spasm of the larynx, and a few cases of nervous or digestive system disturbance have been associated with excessive inhalation or oral exposure to menthol

Lidocaine overdose from cutaneous absorption is rare but could occur. If there is any suspicion of

lidocaine overdose (see ADVERSE REACTIONS, Systemic Reactions), drug blood concentration

should be checked. The management of overdose includes close monitoring, supportive care, and

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

In the absence of massive topical overdose or oral ingestion, evaluation of symptoms of toxicity should

include consideration of other etiologies for the clinical effects, or overdosage from other sources of

lidocaine or other local anesthetics.

Apply Lidothol Gel to intact skin to cover the most painful area. Clean and dry the affected area. Apply product directly to your skin, up to 4 times daily. Clothing may be worn over the area of application.

If irritation or a burning sensation occurs during application, wash the product off your skin and do not reapply until the irritation subsides.

When Lidothol Gel is used concomitantly with other products containing local anesthetic agents, the

amount absorbed from all formulations must be considered.

Hands should be washed after the handling of Lidothol Gel, and eye contact with Lidothol Gel should

be avoided. Store in a cool, dry place with lid tightly closed.

Lidothol Gel should be kept out of the reach of children.

Lidothol Gel is available as the following:

1 tube, 3.5oz

NDC 53225-1026-1

Store at 25°C (77°F); excursions permitted to 15°-30°C (59°-86°F). [See USP Controlled Room Temperature].

For more information, contact Terrain Pharmaceuticals. [info@terrainrx.com](mailto:info@terrainrx.com)

Manufactured for:

Terrain Pharmaceuticals

Reno, NV 89501

Printed in the U.S.A.



## LIDOTHOL GEL

lidothol gel gel

**Product Information**

<b>Product Type</b>	HUMAN PRESCRIPTION DRUG	<b>Item Code (Source)</b>	NDC:72189-086(NDC:53225-1026)
<b>Route of Administration</b>	TOPICAL		

**Active Ingredient/Active Moiety**

<b>Ingredient Name</b>	<b>Basis of Strength</b>	<b>Strength</b>
<b>MENTHOL</b> (UNII: L7T10EIP3A) (MENTHOL - UNII:L7T10EIP3A)	MENTHOL	5 g in 100 g
<b>LIDOCAINE HYDROCHLORIDE</b> (UNII: V13007Z41A) (LIDOCAINE - UNII:98PI200987)	ABT-925 ANHYDROUS	4.5 g in 100 g

**Inactive Ingredients**

<b>Ingredient Name</b>	<b>Strength</b>
<b>WATER</b> (UNII: 059QF0KO0R)	
<b>ALCOHOL</b> (UNII: 3K9958V90M)	
<b>ETHYLHEXYLGLYCERIN</b> (UNII: 147D247K3P)	
<b>TROLAMINE</b> (UNII: 9O3K93S3TK)	
<b>BUTYLENE GLYCOL</b> (UNII: 3XUS85K0RA)	
<b>DIMETHYL SULFONE</b> (UNII: 9H4PO4Z4FT)	
<b>MAGNESIUM SULFATE, UNSPECIFIED FORM</b> (UNII: DE08037SAB)	
<b>ILEX PARAGUARIENSIS LEAF</b> (UNII: 1Q953B4O4F)	
<b>ARNICA MONTANA FLOWER</b> (UNII: OZ0E5Y15PZ)	
<b>PHENOXYETHANOL</b> (UNII: HIE492ZZ3T)	
<b>CARBOXPOLYMETHYLENE</b> (UNII: 0A5MM307FC)	
<b>BOSWELLIA SERRATA RESIN OIL</b> (UNII: 5T1XCE6K8K)	
<b>POLYSORBATE 20</b> (UNII: 7T1F30V5YH)	

**Packaging**

<b>#</b>	<b>Item Code</b>	<b>Package Description</b>	<b>Marketing Start Date</b>	<b>Marketing End Date</b>
1	NDC:72189-086-35	35 g in 1 BOX; Type 0: Not a Combination Product	09/27/2022	

**Marketing Information**

<b>Marketing Category</b>	<b>Application Number or Monograph Citation</b>	<b>Marketing Start Date</b>	<b>Marketing End Date</b>
unapproved drug other		09/27/2022	

**Labeler** - Direct\_Rx (079254320)**Registrant** - Direct\_Rx (079254320)

## Establishment

Name	Address	ID/FEI	Business Operations
Direct_Rx		079254320	relabel(72189-086)

Revised: 9/2022

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