

VEXA- lidocaine, allantoin, petrolatum patch
Pharmaceutics Corporation

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.

Vexa-D

Active Ingredients

Allantoin

Lidocaine

Petrolatum

DESCRIPTION:

Vexa-D (Allantoin 2%/Lidocaine 4%/Petrolatum 30%) is comprised of an adhesive material containing Allantoin 2%, Lidocaine 4% and petrolatum 30% which is applied to a woven polyester backing and covered with a PET film release line. The release liner is removed prior to application to the skin. The size of the patch is 12.5 cm x 8.5cm.

Allantoin is chemically designated as 1-(2,5-Dioxo-4-imidazolidinyl). It is a white powder that is stable, incompatible with strong oxidizing agents. It has a melting point of 230°C. It has the following structure:

Lidocaine is chemically designated as 2-(diethylamino)-N-(2,6-dimethylphenyl), has an octanol: water partition ration of 43 at pH 7.4, and has the following structure:

Each adhesive patch contains 34 mg of Allantoin and 68 mg of Lidocaine in a petrolatum base. It also contains the following inactive ingredients: Vitamin E and Onion Extract.

CLINICAL PHARMACOLOGY:

Pharmacodynamics

Allantoin works by slowing bacterial growth and loosening and softening scales and crust. The keratolytic effect and abrasive and astringent properties of Allantoin are used in skin softening cosmetic preparation.

Allantoin is a moisturizing, soothing, healing, anti-irritating, keratolytic and non-toxic agent. Allantoin is a cell-proliferation healing agent which stimulates healthy tissue formation. It removes necrotic and scaling tissue.

Lidocaine is an amide-type local anesthetic agent and is suggested to stabilize neuronal membranes by inhibiting the ionic fluxes required for the initiation and conduction of impulses.

The penetration of Lidocaine into intact skin after application of patch is sufficient to produce an analgesic effect, but less than the amount necessary to produce a complete sensory block.

Pharmacokinetics

Absorption

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

In a pharmacokinetic study, three lidocaine 5% patches were applied over an area of 420 cm² of intact skin on the back of normal volunteers for 12 hours. Blood samples were withdrawn for a determination of lidocaine concentration during the application and for 12 hours after removal of patches. The results are summarized in table 1.

Table 1

Absorption of Lidocaine

Normal volunteers (n=15, 12-hour wearing time)

Application Site

Area

(cm²)

Dose Absorbed (mg)

C_{max}

(µg/mL)

T_{max}

(hr)

3 Patches (2100 mg)

Back

420

64 ± 32

0.13 ± 0.06

11 hr

When lidocaine 5% patch is used according to the recommended dosing instructions, only 3 ± 2% of the dose applied is expected to be absorbed. At least 95% (665 mg) of lidocaine will remain in a used patch. Mean peak blood concentration of lidocaine is about 0.13 µg/mL (about 1/10 of the therapeutic concentration required to treat cardiac arrhythmias). Repeated application of three days, indicated that the lidocaine concentration does not increase with daily use.

Study of allantoin 1% solution absorption in oil/water cream applied to the inner forearm of volunteers (n=6) to test skin penetration was performed. Two applications were made, 1 removed after 3 hours and the other after 6 hours. The remaining allantoin in the removed portion was measured and subtracted from the original amount to determine the absorption. Allantoin penetration with the oil/water cream was 13.0% ± 1.8% and 15.4% ± 2.7%

Metabolism

It is not known if lidocaine is metabolized in the skin. Lidocaine is metabolized rapidly by the liver to a number of metabolites, including methoxyethylglycinexylidide (MEGX) and glycinexylidide (GX), both of which have pharmacologic activity similar to, but less potent than that of lidocaine. A minor metabolite, 2,6-xylidine, has unknown pharmacologic activity but is carcinogenic in rats. The blood concentration of this metabolite is negligible following application of lidocaine 5% patch. Following intravenous administration, MEGX and GX concentrations in serum range from 11 to 36% and from 5 to 11% of lidocaine concentrations, respectively.

Allantoin is not metabolized in the human body and is excreted in urine.

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 plasma following IV administration is 81 to 149 minutes (mean 107 ± 22 SD, n=15). The systemic clearance is 0.33 to 0.90 L/min (mean 0.64 ± 0.18 SD, n=15).

Allantoin is excreted by the kidneys. Majority of Allantoin is excreted unchanged.

INDICATIONS AND USAGE

Vexa-D is indicated for scar management. It is indicated for the temporary relief of pain associated with minor cuts, scrapes and minor skin irritations. Protects minor cuts, scrapes and burns.

CONTRAINDICATIONS

Vexa-D 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.

WARNINGS

Accidental Exposure in Children

Even a used Vexa-D patch contains a large amount of lidocaine. The potential exists for a small child or a pet to suffer serious adverse effects from chewing or ingesting a new or used Vexa-D patch, although the risk with this formulation has not been evaluated. It is important for patients to store and dispose of Vexa-D out of the reach of children, pets and others. (See HANDLING AND DISPOSAL)

Excessive Dosing

Excessive dosing by applying Vexa-D to larger areas for longer than the recommended wearing time could result in increased absorption of lidocaine and high blood concentrations, leading to serious adverse effects. Lidocaine toxicity could be expected at lidocaine blood concentrations above 5 µg/mL. The blood concentration of lidocaine is determined by the rate of systemic absorption and elimination.

Longer duration of application, application of more than the recommended number of patches, smaller patients, or impaired elimination may all contribute to increasing the blood concentration of lidocaine. With recommended dosing of Vexa-D, the average blood concentration is about 0.13 µg/mL, but concentration higher than 0.25 µg/mL have been observed in some patients.

PRECAUTIONS

General

Hepatic Disease

Patients with severe hepatic disease are at greater risk of developing toxic blood concentrations of lidocaine, because of their inability to metabolize lidocaine normally.

Allergic Reactions

Patients allergic to para-aminobenzoic acid derivatives (procaine, tetracaine, benzocaine, etc.) have not shown cross sensitivity to lidocaine. However, Vexa-D should be used with caution in patients with a history of drug sensitivities, especially if the etiologic agent is uncertain.

Non-intact Skin

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

Eye Exposure

The contact of Vexa-D with eyes, although not studied, should be avoided based on the findings of severe eye irritations 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.

Drug Interactions

Antiarrhythmic Drugs

Vexa-D should be used with caution in patients receiving Class 1 antiarrhythmic drugs (such as tocainide and mexiletine) since the toxic effects are additive and potentially synergistic.

Local Anesthetics

When Vexa-D is used concomitantly with other products containing local anesthetic agents the amount absorbed from all formulations must be considered.

Pregnancy

Teratogenic Effects

Pregnancy Category B

Vexa-D (Allantoin 2%/Lidocaine 4%/petrolatum30% patch) has not been studied in pregnancy. Reproduction studies with lidocaine have been performed in rats at doses up to 30 mg/kg subcutaneously and have revealed no evidence of harm to the fetus due to lidocaine. There are, however, no adequate and well-controlled studies in pregnant women. Because animal reproduction studies are not always predictive of human response, Vexa-D should be used during pregnancy only if clearly needed.

Nursing Mothers

Vexa-D has not been studied in nursing mothers. Lidocaine is excreted in human milk, and the milk: plasma ratio of lidocaine is 0.4. Caution should be exercised when Vexa-D is administered to a nursing mother.

Pediatric Use

Safety and effectiveness in pediatric patients have not been established.

ADVERSE REACTIONS

Application Site Reactions

During or immediately after treatment with Vexa-D (Allantoin 2%/Lidocaine 4%/petrolatum30% patch), 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.

Allergic Reactions

Allergic and anaphylactoid reactions associated with lidocaine, although rare, can occur. They are characterized by angioedema, bronchospasm, dermatitis, dyspnea, hypersensitivity, laryngospasm, pruritus, shock, and urticaria. If they occur, they should be managed by conventional means, the

detection of sensitivity by skin testing is of doubtful value.

OVERDOSAGE

Lidocaine overdose from cutaneous absorption is rare, but could occur. If there is any suspicion of lidocaine overdose, 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 over dosage from other sources of lidocaine or other local anesthetics.

The oral LD50 of lidocaine HCl is 459 (346-773) mg/kg (as the salt) in non-fasted female rats and 214 (154-324) mg/kg (as the salt) in fasted female rats, which are equivalent to roughly 4000 mg and 2000 mg, respectively in a 60 to 70 kg man based on the equivalent surface area dosage conversion factors between species.

DOSAGE AND ADMINISTRATION

Apply Vexa-D to intact skin to cover the most painful area. Apply up to four patches per day. Each patch should not be applied for more than 8 hours in a given 24-hour period. Patches may be cut into smaller sizes with scissors prior to removal of the release liner. Clothing may be worn over the area of application. Smaller areas of treatment are recommended in a debilitated patient, or a patient with impaired elimination.

If irritation or a burning sensation occurs during application, remove the patch and do not reapply until the irritation subsides.

When Vexa-D is used concomitantly with other products containing local anesthetic agents, the amount absorbed from all formulations must be considered.

HANDLING AND DISPOSAL

Hands should be washed after handling of Vexa-D, and eye contact with Vexa-D should be avoided. Do not store patch outside the sealed envelope. Apply immediately after removal from the protective envelope. Fold use patches so that the adhesive side sticks to itself and safely discard used patches or pieces of cut patches where children and pets cannot get to them. Vexa-D should be kept out of reach of children.

HOW SUPPLIED

Vexa-D (Allantoin 2%/Lidocaine 4%/petrolatum30% patch) is available as the following:

Box of 15 patches, packaged into 3 child-resistant envelopes (5 patches/envelope)

NDC 45861-008-15

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

Manufactured for:

Pharmaceuticals Corporation

Glendale, CA 91205

February 2015

Other Ingredients

Vitamin E, Onion Extract

Skin Protectant

Topical Anesthetic

Skin Protectant

Warnings

For external use only

Avoid contact with eyes

Stop use and ask a doctor if condition worsens, symptoms last more than 7 days or clear up and occur again within a few days

Do not use on deep puncture wounds, animal bites, serious burns

If pregnant or breast feeding, contact physician prior to use

Do not use in large quantities, particularly over raw surfaces or blistered areas

Uses

Scar management

Temporarily protects minor cuts, scrapes and burns

Temporarily relief of pain associated with minor cuts, scrapes and minor skin irritations

Directions

Clean and dry affected area

Remove mesh from backing and apply to affected area

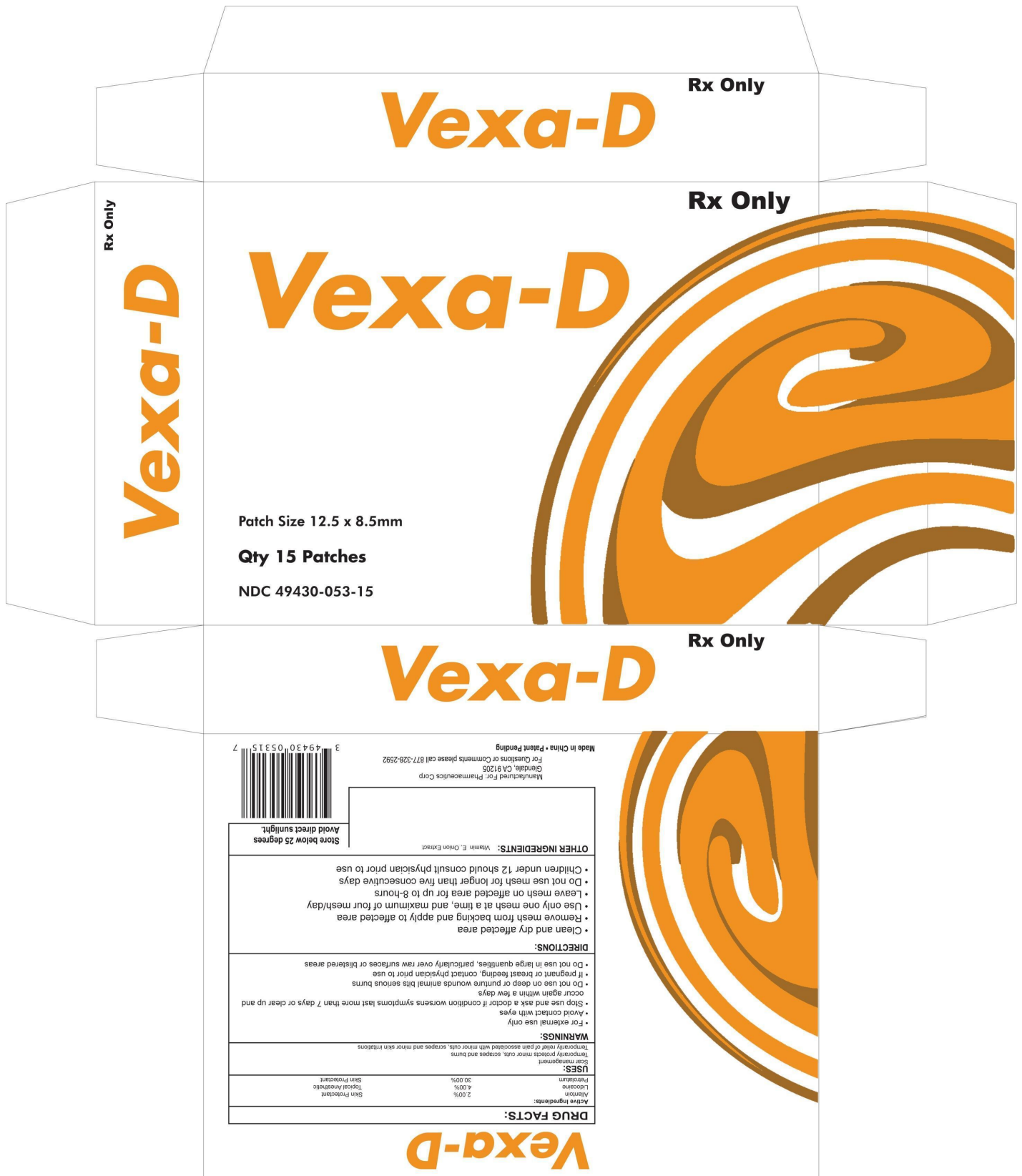
Use only one mesh at a time, and maximum of four mesh/day

Leave mesh on affected area for up to 8 hours

Do not use mesh for longer than five consecutive days

Children under 12 should consult physician prior to use

Store below 25 degrees. Avoid direct sunlight.



VEXA

lidocaine, allantoin, petrolatum patch

Product Information

Product Type

HUMAN PRESCRIPTION DRUG

Item Code (Source)

NDC:49430-053

Route of Administration TOPICAL

Active Ingredient/Active Moiety

Ingredient Name	Basis of Strength	Strength
ALLANTOIN (UNII: 344S277G0Z) (ALLANTOIN - UNII:344S277G0Z)	ALLANTOIN	2 mg
LIDOCAINE (UNII: 98PI200987) (LIDOCAINE - UNII:98PI200987)	LIDOCAINE	4 mg
PETROLATUM (UNII: 4T6H12BN9U) (PETROLATUM - UNII:4T6H12BN9U)	PETROLATUM	30 mg

Inactive Ingredients

Ingredient Name	Strength
ONION (UNII: 492225Q21H)	
.ALPHA.-TOCOPHEROL (UNII: H4N855PNZ1)	

Packaging

#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:49430-053-15	3 in 1 BOX		
1		5 in 1 POUCH		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
unapproved drug other		03/19/2015	

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