Trachisan | 185x290mm| 4/2-farbig: yellow - Pantone 2758 C - Pantone 137 C - Pantone 485 C / Pantone 2758 C - Pantone 485 C | Laetus Code 173 | Offset weiß holzfrei | 09.12.2019 mibu

TRACHISAN®

Lozenges Lidocaine HCl 8 mg

Package Insert

Trachisan® Lozenges Lidocaine HCl 8 mg.
Each lozenge contains 8 mg of lidocaine hydrochloride monohydrate equivalent to lidocaine 6.48 mg.

Indications

Short-term local treatment of pain associated with sore throat in non-purulent infections.

Product Description

Lozenges
(White round flat tablet with bevelled edge)

Pharmacological properties

1. Pharmacodynamic properties

Pharmacotherapeutic group:
Anaesthetics, local
ATC code: R02A D02
Lidocaine hydrochloride monohydrate is a local anaesthetic of the amide type. It blocks impulse conduction along sensitive nerve fibres at a local administration site and is reversible. This results in a decreased sensitivity to pain, followed by a decreased sensibility to cold, warmth and touch.
In addition, lidocaine has a weak anti-inflammatory and parasympatholytic effect. In contrast to most other local anaesthetics lidocaine does not possess a vasodilative effect.
Lidocaine decreases membrane permeability for cations, in particular for sodium ions. Depending on the concentration, this leads to reduced excitability of the nerves since the sudden rise in sodium permeability necessary for the action potential is decreased. The membrane stabilisation is brought about by an accumulation of lipophile local anaesthetic in the cell membrane. This causes unspecific membrane expansion, whereby ion channels, in particular sodium channels, are blocked. A secondary effect is that the passage of electrolytes is affected by the hydrophilic particles of the local anaesthetic molecule which project into the water-containing pore. The effect is dependent on the pK_a value of the substance and the pH value of the environment, i.e. on the amount of unloaded base that can permeate the lipophile nerve membrane better than cations.
After topical application lidocaine diffuses rapidly to the terminal nerve branches where it is bound to the phospholipidic structures with a relatively high affinity due to its good lipid solubility.

2. Pharmacokinetic properties

Absorption
The bioavailability of lidocaine following oral intake is about 35%. After application of lidocaine lozenges maximum serum concentration is reached within 20 min. When applied every 2 hours steady state was reached within 10 hours without any accumulation measured by means of AUC.

Distribution
Lidocaine is rapidly absorbed into the tissues. The distribution half-life is 6 to 9 minutes with a distribution volume of 1.5 l/kg. In patients with cardiac insufficiency it dropped to 0.8 to 1.1 l/kg and in patients with hepatic insufficiency values it increased to roughly 2.3 l/kg. In neonates V_D was 2.7 l/kg.
Lidocaine is bound to serum albumin (60 to 80 %), mainly to alpha-1-acid glycoprotein.
Lidocaine passes through the blood/brain barrier and the placenta and is excreted into breast milk.

Metabolism
Approximately 90% of Lidocaine is metabolised in the liver. It is metabolised into less active metabolites mono-ethylglycinexylidide (MEGX) and glycinexylidide (GX). MEGX is further metabolised in the liver to GX, 2,6-xylidine and 4-hydroxy-2,6-xylidine and its glucuronide.

Elimination
Lidocaine is mainly renally eliminated as 4-hydroxy -2,6-xylidine and its glucuronide. The amount of unchanged substance is < 10%. The elimination half-life of lidocaine and MEGX is about 2 hours, whereas the one of GX is about 10 hours. Clearance is 0.95l/min. The elimination velocity is pH-dependent and is increased by acidification of urine.

Special patient groups
The pharmacokinetic properties of lidocaine in the elderly are not significantly different from those of younger patients. The elimination half life was prolonged in the elderly.
In neonates lidocaine is eliminated nearly unchanged. The elimination half life is about 3 hours.
Renal insufficiency has no significant impact on the clearance of lidocaine, but the elimination of metabolites can be decreased.
In patients with impaired liver function or cardiac insufficiency the elimination half life can be prolonged to 4.5-6 hours and 4-10 hours, respectively.

Method of administration

Trachisan® Lozenges Lidocaine HCl 8 mg are for oropharyngeal use and should be dissolved slowly in the mouth
Adults: 1 lozenge is taken every 2 hours.
A maximum daily dose of 6 lozenges should not be exceeded.
The lozenges should not be administered for a period longer than 3 days.
Children: Children younger than 12 years of age should not take this medicinal product.
Adolescents: There are insufficient efficacy and safety data to recommend the use of Trachisan® Lozenges Lidocaine HCl 8 mg in children in the age of 12 - 17 years.

Contraindications

Hypersensitivity to lidocaine hydrochloride monohydrate, to local anaesthetics of the amide type or any other of the excipients.
Patients younger than 12 years should not take Trachisan® Lozenges Lidocaine HCl 8 mg

Special warnings and precautions for use

Each Trachisan® Lozenge Lidocaine HCl 8 mg contains 0.68 g sorbitol.
Patients with rare hereditary problems of fructose intolerance should not take this medicine. Sorbitol can cause gastro-intestinal disorders and diarrhoea.
Lidocaine is predominantly metabolised in the liver,

the metabolites are predominantly eliminated by the kidneys. In patients with reduced function of the liver and / or kidneys, the plasma levels of lidocaine or its metabolites can be increased.
This effect will not be of clinical relevance when lidocaine is applied in form of a lozenge.
Local anaesthetics may interfere with swallowing and enhance the danger of aspiration, especially in young children because of their frequency of eating. Ingestion of food and drinks immediately after use of the lozenges should be avoided. Numbness of the tongue or buccal mucosa may increase the danger of biting trauma. Repeated use may lead to a numb throat, resulting in swallowing difficulties.
Trachisan® Lozenges Lidocaine HCl 8 mg should be used with caution in patients with severely traumatised and/or inflammation of oropharyngeal mucosa, in particular in patients with underlying cardiovascular or convulsive disorders.
A cross allergy to lidocaine hydrochloride monohydrate must be expected in patients with a known history of allergy to other local anaesthetics of the amide type.
In order to avoid further complications, Trachisan® Lozenges Lidocaine HCl 8 mg should not be taken for longer than two days without consulting a physician if severe throat inflammations or sore throats continue and are accompanied by fever, headaches, nausea or vomiting.

Drug Interaction with other medicinal products

Although not of clinical relevance when lidocaine is applied in form of lozenges, the following interactions of lidocaine have been described: Cimetidine may reduce the metabolism of lidocaine by inhibiting hepatic microsomal enzymes, thus increasing the lidocaine plasma concentration.
Beta-blocking therapy may decrease the hepatic blood flow which may lead to reduced lidocaine metabolism.
Simultaneous administration of propranolol may increase lidocaine plasma levels by approximately 30%. It cannot be excluded that competition for hepatic microsomal enzymes involved in the metabolism may also play a role.
Inductors of microsomal liver-enzymes, like benzodiazepines and barbiturates, can speed up the metabolism of lidocaine, which leads to lower lidocaine levels.

Pregnancy and lactation

Pregnancy

Controlled clinical trials in pregnant women are not available. Limited data on exposed pregnancies showed no evidence on congenital anomalies. Lidocaine passes the placenta after parenteral use.
In animal studies, adverse foetal effects after prenatal exposure to lidocaine were only found at high doses. Trachisan® Lozenges Lidocaine HCl 8 mg should not be used during pregnancy unless clearly necessary.

Lactation

After parenteral use, lidocaine is excreted into breast milk in only small amounts. When using Trachisan® Lozenges Lidocaine HCl 8 mg as prescribed, a safety concern for the child of breast feeding women appears very unlikely.

Effects on ability to drive and use machines

When applied as prescribed no effects are to be expected.

Undesirable effects

Very common:	>1/10
Common:	>1/100 and <1/10
Uncommon:	>1/1000 and <1/100
Rare:	>1/10 000 and <1/1000
Very rare:	<1/10 000 including isolated cases

The possible side effects after using Trachisan® Lozenges Lidocaine HCl 8 mg are similar in nature to those usually to be expected with other amide local anaesthetics. Undesired systemic effects only occur when blood plasma levels reach levels above 5-10 µg lidocaine per ml. Therefore, due to its low absorption rate, systemic adverse reactions when using Trachisan® Lozenges Lidocaine HCl 8 mg are not to be expected.

Immune system disorders
Very rare (<0.01%): hypersensitivity reactions or sensitisation in the oral region may occur.
Gastrointestinal disorders
Rare (>0.01%, <0.1%): gustatory changes or numbness of the tongue. These effects usually disappear after a short time.
Very rare (<0.01%): laxative effect due to the sorbitol contents.

Overdose

Overdose of lidocaine occurs when very large numbers of lozenges are taken. Overdose of lidocaine may result in temporary stimulation of the central nervous system with early symptoms such as yawning, restlessness, dizziness, nausea, vomiting, dysarthria, ataxia, impaired hearing and vision. Moderate intoxication may lead to muscle twitching and convulsions which might be followed by unconsciousness, respiratory depression and coma. In very serious intoxication hypotension and cardiovascular collapse up to complete heart failure and cardiac arrest may occur due to decreased myocardial contractility and decreased cardiac conduction. Treatment of overdose is symptomatic. Convulsions may be treated with diazepam. In case of respiratory and cardio-circulatory failure basic and advanced life support has to be performed.

Incompatibilities

Not applicable.

Shelf life

3 years.

Storage conditions

Do not store above 25° C.

Nature and contents of container

Primary package: PVC aluminium foil. The blisters are packed in carton boxes. Pack sizes: 20 lozenges.

Manufacturer:

Engelhard Arzneimittel GmbH & Co. KG
Herzbergstr. 3
61138 Niederdorfelden, Germany

ENGELHARD

Product Registration Holder:

DELFI MARKETING SDN BHD
Level 6, Block A, Sky Park One City,
Jalan USJ 25/1, 47650 Subang Jaya,
Selangor, Malaysia

Revised: 12/19, PB1639402