SUMMARY OF PRODUCT CHARACTERISTICS

1. NAME OF THE MEDICINAL PRODUCT

Lidoposterin 50 mg/g rectal ointment

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

1 g ointment contains 50 mg lidocaine.

Excipient with known effect: cetyl alcohol (see section 4.4).
For the full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM

Rectal ointment
White, homogeneous ointment.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Symptomatic treatment in adults of itching and pain in the anal area caused by, for example, haemorrhoids.

4.2 Posology and method of administration

Posology

Initially the ointment is applied 2–3 times daily, then twice daily. The amount of ointment depends on the size of the areas of skin and mucosa to be treated. The maximum dose of 2.5 g ointment (125 mg lidocaine) per application should not be exceeded. This corresponds to 9 cm of ointment, or an amount the size of a grape.

A physician should be contacted after 7 days if the symptoms have not alleviated.
Paediatric population
The safety and efficacy of Lidoposterin in children under 18 years have not yet been established. No data are available.

Special population
Elderly patients
There are limited data on efficacy and safety of Lidoposterin in patients from 65 years old. Dosage adjustments in older patients are not necessary.

Patients with impaired kidney function
There have been no clinical trials in patients with impaired renal function carried out.
However, due to the route of application and the very low systemic concentration no dose adjustment required.
Caution is required in patients with severe impairment of the kidneys (see section 4.4).

Patients with impaired liver function
There have been no clinical trials in patients with liver impairment carried out. However, due to the route of the application and the very low systemic concentration no dose adjustment required.

Caution is required in patients with severe impairment of the liver (see section 4.4).

Method of administration

The ointment is applied in the morning and evening, particularly before (about 30 minutes) and following a bowel movement, to the areas of skin and mucosa requiring treatment. It is thoroughly rubbed in using a finger. The anal area must be cleaned carefully before use.

The pack contains an applicator with side openings for application in the anal canal. The ointment can be applied directly to the affected area where the symptoms are occurring using the applicator.
To avoid potential contamination of the ointment when the applicator is used in the anal canal, the applicator must be cleaned after use by squeezing the ointment out through the side openings and wiping the surface dry using a piece of absorbent paper.
If the ointment is not used for a lengthy period of time, the applicator should be unscrewed and cleaned using warm water.

4.3 Contraindications

Hypersensitivity to the active substance or to any of the excipients listed in section 6.1.

4.4 Special warnings and precautions for use

At the recommended doses and treatment intervals, systemic effects from lidocaine are considered unlikely. However, as the systemic availability with rectal application is relatively high, an overdose of <invented name> or short intervals between doses may result in high plasma levels of lidocaine and serious undesirable effects such as effects on the central nervous system (see section 4.9). Patients must be instructed to follow the recommended dosage carefully.
Lidocaine must be used with caution in patients receiving other local anaesthetic agents as the toxic effects are additive.

The medicine must not be used in the event of rectal bleeding.
Treatment must be discontinued and a doctor contacted if bleeding occurs during treatment.

In case of an anorectal infection, lidocaine should only be used after initiation of relevant treatment in order not to mask the symptoms.

Patients with heart, severe liver or kidney dysfunction should carefully use Lidoposterin and should consult a physician regarding signs of possible toxic effects.

Patients who are being treated with class III anti-arrhythmics (e.g. amiodarone) should be monitored carefully, and ECG monitoring should be considered, as the effects on the heart can be additive.

Local irritation can sometimes be attributed to hypersensitivity to lidocaine and treatment should then be discontinued.

One of the metabolites of lidocaine, 2,6-xylidine, has been shown to be genotoxic and carcinogenic in rats (see section 5.3). Secondary metabolites have been shown to be mutagenic. The clinical significance of these findings is unknown.
Therefore, long-term treatment with <invented name> can only be justified if there are therapeutic benefits to the patient (see section 4.2).

Cetyl alcohol may cause local skin reactions (e.g. contact dermatitis).

4.5 Interaction with other medicinal products and other forms of interaction

Lidocaine must be used with caution concomitantly with dental injection anaesthesia and other local anaesthetic agents and substances that are structurally related to local amide-type anaesthetics, e.g. class IB anti-arrhythmics, as the toxic effects are additive.
No specific interaction studies have been carried out involving local anaesthetic agents and class III anti-arrhythmics, but caution should be exercised (see section 4.4).
Medicines that inhibit the metabolism of lidocaine (e.g. cimetidine and beta-blockers) may cause potentially toxic plasma concentrations when lidocaine is administered repeatedly at high doses over a long period of time. Such interactions are not of clinical relevance following short-term treatment with lidocaine at recommended doses.

4.6 Fertility, pregnancy and lactation

Pregnancy

There is no or limited amount of data on the treatment of pregnant women with <invented name>.
Animal studies have not revealed any teratogenic potential of lidocaine (see section 5.3). Lidocaine crosses the placenta.
The risk to humans is not known. <Invented name> must therefore only be used during pregnancy if absolutely necessary.

Lactation

Lidocaine is excreted in breast milk. However, there are no studies on the use of <invented name> in breastfeeding women. As the metabolism of lidocaine is relatively rapid and more or less complete in the liver, it is expected that only very low levels of lidocaine would be excreted in human milk.
When <Invented name> is used as directed, no effects are to be expected on breastfed newborn/infants.
<Invented name> can be used during breastfeeding.

Fertility

No clinical fertility data regarding lidocain is available. Animal studies have not shown any effects on female fertility (see section 5.3).

4.7 Effects on ability to drive and use machines

Lidoposterin has no or negligible influence on the ability to drive and use machines.

4.8 Undesirable effects

System organ class	**Very common** (≥ 1/10)	**Common** (≥ 1/100 to < 1/10)	**Uncommon** (≥ 1/1,000 to <1/100)	**Not known** (cannot be estimated from the available data)
Immune system disorders				allergic reactions, in the most serious cases anaphylactic shock
Gastrointestinal disorders		diarrhoea		

General disorders and administration site conditions	local hypersensitivity reactions (e.g. itching, burning sensation)		Anorectal discomfort (e.g. mild pain), redness (perianal erythema)	

Reporting of suspected adverse reactions
Reporting suspected adverse reactions after authorisation of the medicinal product is important. It allows continued monitoring of the benefit/risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions via the national reporting system listed in Appendix V.

4.9 Overdose

Undesirable effects of the same type that occur in the event of an absolute overdose of parenterally admnistered lidocaine may occur in the event of an overdose of <invented name>. At recommended doses, however, systemic toxicity is very unlikely. In the case of any toxicity, the symptomatology is expected to be the same as that seen following other local anaesthetic treatment, i.e. excitatory CNS symptoms and, in serious cases, CNS depression and myocardial depression.

Unintentional overdoses where small children have taken the ointment orally by mistake may cause gastrointestinal toxicity (abdominal pain, nausea), in which case monitoring for signs of systemic toxicity should be performed.

Systemic symptoms of overdose of lidocaine
Blurred vision, dizziness, nausea, tremor, bradycardia and hypotension, and in the event of severe overdose asystole, apnoea, seizures, coma, cardiac arrest, respiratory arrest and even death.

Treatment of toxicity
Treatment for an overdose includes careful monitoring of vital functions, supportive therapy including oxygen administration, and symptomatic treatment of central and cardiovascular symptoms with, for example, short-acting barbiturates, beta sympathomimetics, atropine. In the event of circulatory arrest, cardiopulmonary resuscitation must be initiated immediately. It is important to maintain good oxygen administration, breathing and circulation, and to treat acidosis.

There is no specific antidote to lidocaine.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: Agents for treatment of haemorrhoids and anal fissures for topical use, local anaesthetics, ATC code: C05AD01

Mechanism of action
Lidocaine is an amide-type local anaesthetic and prevents the occurrence and transmission of nerve impulses. The underlying mechanism of action is the reversible blockade of the sodium channels in the peripheral nerve cells during impulse transmission.

Pharmacodynamic effects
Onset of action is within a few minutes and lasts for several hours. The length of time of contact determines the duration of the effect of the medicine.

By inhibiting the excitability of the pain-receptive and sensitive nerve endings, lidocaine limits sensitivity to pain locally and reduces it reversibly. This also applies to cold, heat, touch and pressure

sensitivity, although the individual degree can vary greatly. This is the reason for its topical use for wound pain and itching.

5.2 Pharmacokinetic properties

Absorption
The bioavailability of lidocaine is approx. 50–70 per cent following rectal administration. Systemically effective blood levels are rarely reached following rectal administration.

Following repeated administration (three times daily for three days) of <invented name> in the anal area, maximum plasma concentrations of approximately 150 ng/ml were achieved, which are below systemically effective levels. Cmax was achieved after approximately 2 hours.

Distribution
Lidocaine binds up to approx. 70 per cent to plasma proteins, including alpha-1-acid glycoprotein (AAG). Lidocaine passes through placenta and the blood-brain barrier.

Biotransformation
Lidocaine undergoes extensive metabolism in the liver. Dealkylation to monoethylglycinexylidide (MEGX) is mediated by both CYP1A2 and CYP3A4. MEGX is metabolised to 2,6-dimethylaniline and glycinexylidide (GX). MEGX has a convulsive activity corresponding to that of lidocaine, while GX lacks any convulsive activity. MEGX appears to occur in similar plasma concentrations to the mother substance.

Elimination
Lidocaine is principally excreted as metabolites in the urine. Approx. 10 per cent is excreted unchanged in urine. Terminal half-life following rectal administration is approx. 2–3 hours. Reduced clearance of lidocaine has been demonstrated in patients with heart failure, alcohol-induced liver disease and chronic or viral hepatitis.

5.3 Preclinical safety data

Testing of the local toxicity of lidocaine in various animal species has not shown evidence of irreversible tissue damage.

No teratogenic effects were observed in studies of embryo/foetus development in rats and rabbits given doses of lidocaine during organ development. Embryotoxicity was seen in rabbits at doses that were toxic to the mother.
Studies in rats showed no effects of lidocaine in respect of fertility of females.
Animal studies are incomplete with regard to fertility in males, parturition and development after parturition.

Genotoxicity studies for lidocaine were negative. The lidocaine metabolite, 2,6-xylidine, has genotoxic potential *in vitro*.

No carcinogenicity studies have been performed on lidocaine. Studies conducted on the metabolite 2,6-xylidine mixed with the food of male and female rats resulted in treatment-related cytotoxicity and hyperplasia of the olfactory epithelium of the nose, and carcinoma and adenoma of the nasal cavity were observed. Tumourigenic changes were also found in the liver and subcutis. As the risk to humans in unclear, long-term treatment with high doses of lidocaine should be avoided.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Cetyl alcohol
Macrogol
Purified water

6.2 Incompatibilities

Not applicable.

6.3 Shelf life

3 years
After first opening: 6 months

6.4 Special precautions for storage

Do not store above 30°C.

To ensure ease of application, store the ointment at room temperature (18°C up to a maximum of 25°C).

6.5 Nature and contents of container

Aluminium tube with screw cap (polyethylene) and applicator (polyethylene).
25 g ointment

6.6 Special precautions for disposal

Any unused medicinal product or waste material should be disposed of in accordance with local requirements.

7. MARKETING AUTHORISATION HOLDER

[To be completed nationally]

8. MARKETING AUTHORISATION NUMBER

[To be completed nationally]

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

[To be completed nationally]

10. DATE OF REVISION OF THE TEXT

2024-10-25