Xyloproct

Xyloproct ointment
Xyloproct suppository

Composition

1 g *rectal ointment* contains: Lidocaine 50 mg, hydrocortisone acetate 2.5 mg.
1 *suppository* contains: Lidocaine 60 mg, hydrocortisone acetate 5 mg.
For a full list of excipients, see “List of excipients”.

Pharmaceutical Form

Rectal ointment
Suppository
Rectal ointment: White to slightly yellow homogenous ointment.
Suppositories: White or slightly yellow suppositories.

Therapeutic indications

Xyloproct is intended for the treatment of pain, itching and discomfort, arising from irritated anorectal tissues e.g., haemorrhoids, pruritus ani, proctitis, milder forms of anal fissures, postoperative pain relief.

Xyloproct suppositories should be used in preference to ointment for treatment of proctitis or internal haemorrhoids.

Posology and method of administration

Rectal ointment The rectal ointment is applied in and around the rectum one or more times daily in a thin layer. Up to 6 g rectal ointment per day may be applied. The treatment period can vary between ten days and three weeks. If an extension of the treatment period is intended, a treatment-free interval is recommended.

Suppositories One suppository is inserted into the rectum morning and evening, and as required after each bowel action. Up to 5 suppositories per day may be administered.
In cases of painful bowel actions the suppository is inserted a few minutes before. It is important that the suppository is retained until it has melted. The treatment period can vary between ten days and three weeks. If an extension of the treatment period is intended, a treatment-free interval is recommended.

The treatment period should not exceed 3 weeks, see “Special warnings and special precautions for use”.

Contraindications

Hypersensitivity to active substance or other ingredients in the product.

Special warnings and special precautions for use

Before prescription the patient should be investigated to exclude a malign process.

Contact with eyes shall be avoided. The hands should be washed after usage.

Prolonged use of large doses of hydrocortisone can result in systemic effects or local effects such as skin atrophy. With recommended doses and treatment periods that do not exceed 3 weeks, systemic effects of hydrocortisone are unlikely.

In the event of infections caused by viruses, bacteria, pathogenic fungi or parasites, local glucocorticoids must not be used without concomitant causal therapy.

In the event of irritation or rectal bleeding the treatment should be discontinued and the patient investigated.

Local irritation can sometimes be due to hypersensitivity to lidocaine or hydrocortisone, and in such cases the treatment should be discontinued.

Overdosage of lidocaine or short intervals between the doses can result in high plasma levels of lidocaine and serious adverse events. The patients must be instructed to follow the recommended posology carefully.

Patients treated with class III antiarrhythmic drugs (e.g. amiodarone) should be closely observed and ECG monitoring should be considered, as the cardiac effects of lidocaine and class III antiarrhythmic drugs can be additive.

When applying Xyloproct rectal ointment to the rectum, care should be taken to avoid the introduction of an excessive amount.

With rectal administration the systemic availability is relatively high, and large doses can cause effects on the central nervous system.

Xyloproct rectal ointment contains cetyl alcohol and stearyl alcohol, which can cause local skin reactions (e.g. dermatitis).

The active substance lidocaine is probably porphyrinogenic and should only be prescribed to patients with acute porphyria when no safer alternative is available. Precautions are motivated in all patients with porphyria.

Interactions with other medicinal products and other forms of interaction
The following combinations together with Xyloproct may need dose adjustment:
Xyloproct should be used with caution together with dental injection anaesthesia, other local anaesthetics or agents structurally related to local anaesthetics of amide type, for example antiarrhythmic drugs such as mexiletine, as the toxic effects of these drugs are additive (see “Overdose”).

Patients treated with class III antiarrhythmic drugs (e.g. amiodarone) should be closely observed and ECG monitoring should be considered, as the cardiac effects of lidocaine and class III antiarrhythmic drugs can be additive.

Drugs that inhibit the metabolism of lidocaine (e.g., cimetidine or betablockers) may cause potentially toxic plasma concentrations when lidocaine is given in repeated high doses over a long time period. Such interactions are of no clinical importance following short-term treatment with lidocaine at recommended doses.

Pregnancy and lactation
Pregnancy
Adequate data from treatment of pregnant women with Xyloproct is not available.

Hydrocortisone
Even though effects on foetus and newborn have been observed after per oral long-term treatment, still the systemic exposure is judged to be so low after topical treatment on a limited area that no corticosteroid induced effects are expected.

Lidocaine
Animal studies are not complete concerning effects on pregnancy, embryonal development, delivery and development after birth (see “Preclinical safety data”). It is reasonable to presume that lidocaine has been used by a large number of pregnant women and women of child-bearing potential. No direct

disorders of the reproduction process, such as increased frequency of malformation or direct or indirect effects on foetus have been reported.

However, the risks to humans are not investigated. Therefore the lowest dose possible and the shortest possible duration of treatment should be aimed at during treatment with Xyloproct during pregnancy.

Lactation
Lidocaine and hydrocortisone acetate pass into breast milk, but the risk of effects on the child appears unlikely with therapeutic doses.

Effects on ability to drive and use machines
Depending on the amount of dose local anaesthetics may have a mild temporary effect on the ability to move and the coordination. With recommended doses of Xyloproct these effects are unlikely.

Undesirable effects

Organ system	Frequency	Adverse event
Skin and subcutaneous tissue disorders	Uncommon (≥1/1,000 to <1/100)	Contact dermatitis
Immune system disorders	Rare (≥1/10,000 to <1/1,000)	Allergic reactions, in the most severe instances anaphylactic shock

The risk of adverse events increases with longer treatment periods.

Overdose
Lidocaine can cause acute toxic effects after overdose. No toxic effects have been reported with use of recommended doses of Xyloproct.

If symptoms of systemic toxicity do occur, the signs are anticipated to be similar to those following the administration of local anaesthetics by other routes.

Concomitant use of other local anaesthetics, for example topically or by injection, the toxic effects are additive and can cause overdosage with toxic systemic reactions.

Overdosage of local anaesthetics causes symptoms in the form of effects on the central nervous system, and in severe cases also the heart and blood circulation.

Treatment:
If signs of acute systemic toxicity occur the administration of local anaesthetics must be stopped immediately. Treatment must be given to maintain good ventilation, oxygenation and circulation. Oxygen must always be given, and assisted ventilation if necessary. If convulsions do not cease spontaneously within 15-20 seconds, thiopentone sodium 1-3 mg/kg should be given intravenously to facilitate ventilation or diazepam 0.1 mg/kg intravenously (acts rather more slowly). Prolonged seizures jeopardise the patient's respiration and oxygenation. Injection of muscle relaxants (e.g. suxamethonium 1 mg/kg) creates more favourable conditions for ventilation and oxygenation of the patient, but requires experience of tracheal intubation and controlled ventilation. In cases of a fall in blood pressure / bradycardia, a vasopressor should be given (e.g. ephedrine 5-10 mg intravenously, which may be repeated after 2-3 minutes).

In the event of circulatory arrest, cardiopulmonary resuscitation should be instituted immediately. It is important to maintain good oxygenation, respiration and circulation, and to treat acidosis.

Pharmacological Particulars
Pharmacodynamic properties
Pharmacotherapeutic group: Anti-haemorrhoid preparations, agents for external use.

ATC code: C05A A01

Lidocaine has analgesic effects and acts via reversible block of the impulses from the nerve fibres.

Hydrocortisone has anti-inflammatory properties. Xyloproct also contains aluminium acetate and zinc oxide, which have astringent and disinfectant properties.

Pharmacokinetic properties
The rate of absorption and the absorbed amount of lidocaine are dose-dependent, but are also influenced by the site of application and exposure time. Lidocaine is well absorbed from the gastrointestinal tract, but on account of first-pass metabolism only a small proportion reaches the circulation in unchanged form. Lidocaine is eliminated primarily through metabolism. Dealkylation to monoethylglycine-xylidide (MEGX) is mediated mainly by cytochrome P450 3A4. MEGX is metabolised to 2,6-xylidine and glycinexylidide (GX). 2,6-xylidine is converted further by CYP2A6 to 4-hydroxy-2,6-xylidine, which constitutes the principal metabolite in the urine (80 %) and is excreted as a conjugate. MEGX has a convulsant activity equivalent to that of lidocaine, while GX is devoid of convulsant activity. MEGX appears to occur in plasma concentrations similar to that of the parent substance. The elimination half-lives of lidocaine and MEGX after an intravenous bolus dose, are approximately 1.5 – 2 and 2.5 hours respectively.

Binding to plasma protein occurs principally to alpha-1-acid glycoprotein. On account of the rapid hepatic metabolism the kinetics are sensitive to all effects on the liver. The half-life can be more than doubled in patients with impaired hepatic function. Impaired renal function does not affect the kinetics, but can increase the accumulation of metabolites.

Lidocaine crosses the blood-brain barrier and the placenta; this probably takes place through passive diffusion.

Less than 50% of hydrocortisone is absorbed following rectal application. In the circulation corticosteroids are extensively bound to plasma proteins, mainly to globulin and less to albumin. Corticosteroids are metabolised mainly in the liver, and are excreted in the urine.

Preclinical safety data
Lidocaine
Genotoxicity and Carcinogenicity
Genotoxicity tests with lidocaine were negative. The metabolite 2,6-xylidine has been shown to have carcinogenicity potential *in vitro*.
For 2,6-xylidine, tumours in nasal cavity, subcutis and liver were seen in a carcinogenicity study in rats with an exposure both in uterus and post-natal during the entire life cycle.
High doses of 2,6-xylidine were needed for inducing tumours in animal studies.
The clinical relevance for the observed tumour inducing effect of these metabolites after intermittent use of lidocaine as local anaesthesia is unknown. Frequently used high doses of lidocaine and/or prilocaine are not recommended.

Hydrocortisone
In animal studies corticosteroids have shown the potential to cause different malformations (e.g. cleft palate, skeletal malformations). After per oral long-term treatment of animals reduced weight of placenta and reduced birth weight have been observed.
Besides the above there is no more preclinical data of relevance for the safety assessment except that already mentioned in the leaflet.

Pharmaceutical Particulars

List of excipients
Rectal ointment
Zinc oxide
Aluminium acetate
Stearyl alcohol
Cetyl alcohol
Macrogol
Purified water

Suppositories
Zinc oxide
Aluminium acetate
Hard fat

Incompatibilities
Not relevant.

Shelf-life
Rectal ointment
2 years if stored in a refrigerator (2°C – 8°C).
2 months if stored not above 25°C.

Suppositories
30 months if stored in a refrigerator (2°C – 8°C). Do not freeze.
2 months if stored not above 25°C.

Special precautions for storage
For storage instructions see “Shelf-life”.

Nature and content of the pack
Rectal ointment
Tube made of aluminium, 20 g.

Suppositories
Box of 10 pieces.

Instructions for use and handling, and for disposal
No special instructions.

Version number of package insert: 04/JG/MY/PAIN.000-085-214.4.0/0426
Date of revision of package insert: 15 Apr 2026

Manufacturer
Rectal ointment
Aspen Bad Oldesloe GmbH
Bad Oldesloe, Germany

Suppositories
Meribel Pharma Karlskoga AB, Karlskoga, Sweden

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