

Instillagel[®], gel, 2g/0.25g

Lidocaine HCL Monohydrate/Chlorhexidine Digluconate solution

Qualitative and Quantitative Composition

Each 6ml gel contains:

Lidocaine Hydrochloride Monohydrate 125.4mg

Chlorhexidine Digluconate solution 16.72mg

Each 11ml gel contains:

Lidocaine Hydrochloride Monohydrate 230.0mg

Chlorhexidine Digluconate solution 30.62mg

PHARMACEUTICAL FORM

Nearly colorless, clear, viscous liquid

Clinical Particulars

Therapeutic Indications

Contact local anesthesia prior to urological exploration (urethroscopy, cystoscopy, urethral dilatation, catheterization).

INSTILLAGEL is indicated for adults only.

Posology and Method of Administration

Unless otherwise prescribed by a doctor:

a) For urethral probe examination and catheterization:

Instil 6 or 11 ml. After the normal cleaning of the glans and the external urethral orifice, instil Instillagel slowly into the urethra, keeping the glans compressed, until the local anaesthetic and disinfectant action has set in.

b) For cystoscopy:

Instil 11 ml, and possibly an additional 6 or 11 ml. The entire urethral including the external sphincter must be covered with the lubricating film and anaesthetized for germ-free and painless introduction of instruments. A penis clamp is attached in the region of the sulcus coronarius.

Full local anaesthetic and disinfectant action develops in 5 - 10 min.

Method of administration

After disinfecting the urinary meatus with a mild cationic antiseptic (Incompatibility), instill the contents of the syringe into the urethra, then apply soft forceps to the balanopreputial fold for a few minutes. Anesthesia is achieved after about 5 minutes.

In humans, the unit dose may prove insufficient and should be topped up to 20 ml of gel if necessary.

It is recommended to use this anesthetic from the very first maneuver, to avoid excessive blood flow of lidocaine through a mucosa scarred by unsuccessful attempts.

Contra-indications

Hypersensitivity to the active substances (including amide-type anaesthetics, chlorhexidine or alkyl hydroxybenzoates) or to any of the excipients

The gel should not be used in children

Special Warnings and Precautions for Use

Since the absorption of Lidocaine is greater if the mucous membrane has been damaged, Instillagel should be used with caution in patients with bleeding wounds or damaged mucous membranes.

Chlorhexidine should be only used in intact skin.

Products containing local anesthetics should also be used with caution in patients with impaired cardiac conditions, in epileptics and in those with liver problems (hepatic insufficiency, liver failure, cirrhosis).

The decrease in appreciation of sensation consequent to use of this product may lead to inadequate reflex protective mechanisms.

In the presence of severe damage to the urethra, an excessive hypotensive response may occur.

Parahydroxybenzoates and their esters

Instillagel contains Methyl Para-hydroxybenzoate (E218) and Propyl Para-hydroxybenzoate, (E216) which may cause allergic reactions (possible delayed).

Propylene Glycol

This medicine contains 3.14 g or 5.75 g propylene glycol in each syringe of 6 ml or 11 ml, respectively This is equivalent to 522.5 mg/ml.

Propylene Glycol may cause skin irritation.

While propylene glycol has not been shown to cause reproductive or developmental toxicity in animals or humans, it may reach the foetus and was found in milk. As a consequence, administration of propylene glycol to pregnant or lactating patients should be considered on a case by case basis.

Medical monitoring is required in patients with impaired renal or hepatic functions because various adverse events attributed to propylene glycol have been reported such as renal dysfunction (acute tubular necrosis), acute renal failure and liver dysfunction.

Interactions with other Medicaments and other forms of Interaction

Instillagel should be used with caution in patients receiving antiarrhythmic drugs.

Due to possible additive effects on the heart, lidocaine should be used with caution in patients taking antiarrhythmic drugs at the same time.

Fertility, pregnancy and lactation**Pregnancy**

During the first 3 months of pregnancy Lidocaine should be used only if absolutely necessary.

Breast-feeding

Since it is not known whether Lidocaine passes into milk, breastfeeding should be suspended for 12 hours after administration.

Fertility

There is no data available on the effects of Instillagel on fertility in humans.

Administration of propylene glycol to pregnant or lactating patients should be considered on a case by case basis.

Effects on Ability to Drive and Use Machines

The ability to drive and to operate machinery can be impaired after the use of Instillagel.

Undesirable Effects

a) Summary of the safety profile

In very rare cases, hypersensitivity to amide-type local anaesthetic preparations and/or one of the other active substances has been reported. The most important serious adverse reaction is anaphylaxis.

In cases of mucosal damage, hypotensive response may occur.

Treatment must be stopped immediately if symptoms of intolerance occur.

b) Tabulated summary of adverse reactions

Adverse drug reactions derived from the literature, post-marketing surveillance and spontaneous reporting with Instillagel sorted by categories of frequency are listed below.

Undesirable effects are listed below by frequency convention and system organ class database.

Frequencies are defined as:

- Very common
- Common
- Uncommon
- Rare
- Very rare
- not known

Possible undesirable effects:

Immune system disorders Very rare	Hypersensitivity (in severe cases anaphylaxis) caused by lidocaine or other amide-type local anaesthetics and / or by chlorhexidine containing medicinal products.
Not known	Hypersensitivity caused by methyl hydroxybenzoate and/or propyl hydroxybenzoate (possibly delayed).
Injury, poisoning and procedural complications Not known	Systemic absorption may occur in cases of severe injury to the mucosa. Possible systemic undesirable effects are e.g. decrease in blood pressure,

	bradycardia or seizures.
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c) Description of selected adverse reactions

The very rare cases of hypersensitivity reactions are mostly attributed to chlorhexidine. However, related adverse reactions with the combination of chlorhexidine and lidocaine as well as with lidocaine alone were also reported.

Most reported hypersensitivity reactions to chlorhexidine are immediate type but also delayed hypersensitivity reactions are possible. Onset of symptoms of immediate type hypersensitivity reactions might be delayed, as time is required for topically administered chlorhexidine to pass the mucous membrane and reach the vascular system. In general, symptoms related to chlorhexidine allergy may be presented up to 40 minutes or more after exposure. Symptoms of type IV (delayed) hypersensitivity reactions may manifest up to seven days after exposure.

Type I hypersensitivity reactions to lidocaine are uncommon, and type IV hypersensitivity reactions are reported even less frequently.

In very rare cases with deep wounds, undesirable effects may occur, due to potential lidocaine absorption. The necessary therapeutic measures should be decided upon by a physician.

Overdose

Treatment of a patient suffering from systemic toxicity consists of arresting the convulsions and ensuring adequate ventilation with oxygen, if necessary, by assisted or controlled ventilation (respiration). If convulsions occur, they must be treated promptly by intravenous injection of thiopentone (100 or 200 mg) or diazepam (5 to 10 mg). Alternatively, succinylcholine (50 to 100 mg i.v.) may be used, providing the clinician is capable of performing endotracheal intubation and managing a fully paralysed patient. If ventricular fibrillation or cardiac arrest occurs, effective cardiovascular resuscitation must be instituted. Epinephrine in repeated doses and sodium bicarbonate should be given as rapidly as possible.

Pharmacological Properties

Pharmacodynamic Properties

Pharmacotherapeutic group:

Anaesthetics, local-Amides-lidocaine combinations

Antiseptics and disinfectants, Biguanides and amidines, chlorhexidine, combinations.

ATC-Code: N01BB52, D08AC52.

The anaesthetic ingredient of Instillagel is lidocaine, which stabilizes neuronal membranes and prevents the initiation and conduction of nerve impulses, thus effecting local anaesthetic action.

The antiseptic action of chlorhexidine gluconate is so potentiated by the combination with Methyl Para-hydroxybenzoate and Propyl Para-hydroxybenzoate that the microbial organisms normally present in the distal region of the urethra are killed within 5-to 10 minutes. Ascent of microbial microorganisms following urological interventions, or their upward displacement, is thereby largely prevented.

Chlorhexidine and methyl and propyl hydroxybenzoates have antiseptic properties

Pharmacokinetic Properties

After the product's application to mucous membranes Lidocaine is absorbed, but its blood concentrations after the instillation of doses of up to 800 mg into the urethra remain in the low range, below toxic levels. The metabolism of Lidocaine takes place in the liver and unchanged drug is excreted renally.

Pharmaceutical Particulars

Incompatibilities

Chlorhexidine is a cationic antibacterial. It is incompatible with all anionic derivatives (soaps).

Special Precautions for Storage

The product should be stored below 30°C.

Nature and Contents of Container

Disposable 6 ml or 11 ml syringes made of polypropylene with butyl rubber stopper, in pack sizes of 10 syringes.

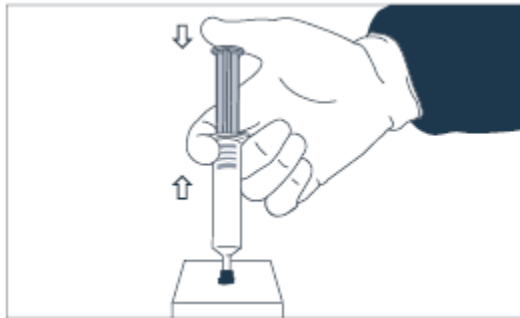
Instruction for Use/Handling

The product is for single use only. The syringe and any unused gel must be discarded.

Practical tip:

Before removing the sealing cap, press in the plunger to release the pressure point.

This ensures that the syringe will empty easily and uniformly.



A doctor or nurse will apply the necessary amount of Instillagel where it is needed.

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b) For cystoscopy:

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The anaesthetic takes about 5 to 10 minutes to work after the gel has been applied.

If more Instillagel is used than it should

In the unlikely event you are given more Instillagel than you should, you may experience the following:

- fits (convulsions)
- difficulty breathing
- your heart stopping beating (cardiac arrest)

Inform your doctor immediately if you notice any of these symptoms.

Manufacturer

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Motzener Strasse 41,
12277 Berlin, Germany.

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June 2025