



Mexlo[®] Eye Drops

Lomefloxacin
Topical Antibiotic

COMPOSITION

Mexlo[®] 0.3% Eye Drops : Each ml of Mexlo[®] 0.3% Eye Drops contains Lomefloxacin INN 3 mg (as hydrochloride 3.31 mg).

PHARMACOLOGY

Lomefloxacin, a difluorinated quinolone derivative, is a bacterial gyrase inhibitor, effective against gram positive and gram negative bacteria. The acute toxicity of Lomefloxacin following systemic and topical ophthalmic application is low. Lomefloxacin interferes with bacterial DNA related processes like initiation, elongation, and termination phases of replication, transcription, DNA repairing, recombination, transposition, supercoiling and relaxation of DNA. The target molecule for quinolones is the A-subunit of bacterial enzyme gyrase (topoisomerase II). The forming of a stable complex between the quinolone and the whole gyrase tetramer A₂B₂ leads to impaired enzyme functions, resulting in a rapid killing of sensitive bacteria.

Cross-resistance has only been reported with other quinolones, but not with any other group of antibiotics. No clinical studies are available about the efficacy in cases of infections with chlamydia.

Antimicrobial spectrum

The antimicrobial spectrum includes gram-positive and gram-negative bacteria, as reported from various in-vitro studies.

A meta-analysis based on phase-II and phase-III clinical studies revealed the following sensitive germs :

Sensitive germs: (MIC₉₀ < 4 µg/ml)

Gram-positive: *Staphylococcus epidermidis*, *S. aureus*, *Bacillus*, *Corynebacterium*.

Gram-negative: *Branhamella catarrhalis*, *Neisseria spp.*, *Acinetobacter spp.*, *Alcaligenes faecalis*, *Enterobacter spp.*, *Flavobacterium spp.*, *Haemophilus influenzae*, *Klebsiella*, *Moraxella*, *Proteus*, *Pseudomonas aeruginosa*, *Pseudomonas spp.*, *Serratia spp.*

Anaerobic germs: *Propionibacterium acnes*.

Intermedium sensitive germs: (MIC₉₀ = 4-16 µg/ml)

Gram-positive : *Streptococcus pneumoniae*, *Streptococcus spp.*, *Micrococcus*, *Enterococcus faecalis*.

Resistant germs: (MIC₉₀ > 16 µg/ml)

Clostridium difficile, *Mycobacterium*, *fungi*.

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In rabbits, topical application of 50 µl of a solution containing 0.3% ¹⁴C-labelled Lomefloxacin revealed the following results:

	C_{max} (µg-Eq/g)	T_{max} (minutes)
Cornea	55.68	15
Conjunctiva	11.39	15
Aqueous humour	7.58	60

In animal studies, tear levels after two applications of 50 ml 0.3% Lomefloxacin were at 40-200 µg/ml at 2 hours and still 7-27 µg/ml at 6 hours. Even at 24 hours more than 3 mg/ml were observed. Although these results can not directly be transferred to the human eye since physiology of the cornea and penetration through the cornea vary considerably between rabbits and humans, penetration of Lomefloxacin through the human cornea has been confirmed. In humans, concentrations of 1.3-2.7 µg/ml in the anterior chamber have been found 90-180 min after topical application. This concentration, however, may not be high enough for the treatment of endophthalmitis.

Systemic absorption following topical ophthalmic application of 0.3% Lomefloxacin eye drops is very low. After application of four times daily 2 drops during two weeks, blood levels were below the detection limit of 0.005 µg/ml.

INDICATION

Bacterial infections, including conjunctivitis, blepharitis, blepharoconjunctivitis which are due to Lomefloxacin susceptible germs and *Staphylococcus aureus* - induced corneal ulcers.

DOSAGE AND ADMINISTRATION

Adults and children (above 1 year of age): Insert 2-3 times daily 1 drop into the lower conjunctival sac. At the beginning of the treatment applications should be more frequent, apply 5 drops within 20 minutes or 1 drop every hour during 6-10 hours.

Duration of the treatment: 7 to 9 days.

CONTRAINDICATION AND PRECAUTION

Hypersensitivity to the active ingredient, to excipients, or to quinolones.

Long term treatment with antibiotics may enhance development of secondary fungal infections or may support growth of non susceptible bacteria.

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Some isolated cases of phototoxicity have been reported after systemic but not after topical ophthalmic use of Lomefloxacin. Nevertheless, during treatment with Lomefloxacin intensive exposure to sunlight or UV-radiation should be avoided.

SIDE EFFECT

Slight and transient burning immediately after instillation of the eye drops has been reported in 4.7% of users. Although phototoxicity has not been reported after ophthalmic use, photosensitization is possible. Since the following allergic reactions have been reported after systemic use of Lomefloxacin, they can not be excluded after topical ophthalmic use: allergic reactions, asthma, dyspnoea, urticaria, erythema, pruritus, and hypersensitization.

DRUG INTERACTION

In order to avoid reduction of efficacy, no ophthalmic preparations containing heavy metals, such as zinc, should be used during 15 minutes preceding and following application of Lomefloxacin.

Bacteriostatic ophthalmic antibiotics should not be used concomitantly with Lomefloxacin eye drops.

USE IN PREGNANCY AND LACTATION

Animal studies revealed that after systemic use of 20 mg/kg, Lomefloxacin passes the placenta barrier and is excreted into the maternal milk. Clinical studies on the use of Lomefloxacin eye drops during human pregnancy or lactation are not available. Therefore, the drug should only be used when the benefit outweighs the potential risk for the foetus or the infant.

OVERDOSE

Practically there is no risk of adverse effects due to accidental oral ingestion, since a bottle of 5 ml eye drop solution contains only 15 mg Lomefloxacin. This corresponds to 3.75% of the recommended oral daily dose for adults of 400 mg Lomefloxacin.

HOW SUPPLIED

Mexlo® 0.3% Eye Drops : Dropper bottle containing 5 ml of sterile solution.

