OFLOX Ointment (Ofloxacin 0.3%)

Composition

Ofloxacin USP ........0.3% w/v
Benzalkonium Chloride NF... 0.01% w/v

Dosage Form

Ophthalmic ointment

Pharmacology

Pharmacodynamics

Ofloxacin has *in vitro* activity against a broad range of gram-positive and gram-negative aerobic and anaerobic bacteria. Ofloxacin is bactericidal at concentrations equal to or slightly greater than inhibitory concentrations. Ofloxacin is thought to exert a bactericidal effect on susceptible bacterial cells by inhibiting DNA gyrase, an essential bacterial enzyme, which is a critical catalyst in the duplication, transcription and repair of bacterial DNA.

Cross-resistance has been observed between ofloxacin and other fluoroquinolones. There is generally no cross-resistance between ofloxacin and other classes of antibacterial agents such as beta-lactams or aminoglycosides.

Ofloxacin has been shown to be active against most strains of the following organisms both *in vitro* and clinically, in conjunctival and/or corneal ulcer infections.

Gram-Positive Aerobes

*Staphylococcus aureus*
*Staphylococcus epidermidis*
*Streptococcus pneumoniae*

Anaerobic Species

*Propionibacterium acnes*
Gram-Negative Aerobes

Enterobacter cloacae
Haemophilus influenzae
Proteus mirabilis
Pseudomonas aeruginosa
Serratia marcescens*

*Efficacy for this organism was studied in fewer than ten infections

The safety and effectiveness of ofloxacin ophthalmic solution in treating ophthalmologic infections due to the following organisms have not been established in adequate and well-controlled clinical trials. Ofloxacin ophthalmic solution has been shown to be active in vitro against most strains of these organisms but the clinical significance in ophthalmologic infections is unknown.

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Gram-Positive Aerobes

Enterococcus faecalis
Listeria monocytogenes
Staphylococcus capitis
Staphylococcus hominus
Staphylococcus simulans
Streptococcus pyogenes

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Gram-Negative Aerobes

Acinetobacter calcoaceticus var. anitratus
Acinetobacter calcoaceticus var. lwoffii
Citrobacter diversus
Citrobacter freundii
Enterobacter aerogenes
Enterobacter agglomerans
Escherichia coli
Haemophilus parainfluenzae
Klebsiella oxytoca
Klebsiella pneumoniae
Moraxella (Branhamella) catarrhalis
Moraxella lacunata
Morganella morganii
Neisseria gonorrhoeae
Pseudomonas acidovorans
Pseudomonas fluorescens
Shigella sonnei
Other

*Chlamydia trachomatis*

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**Pharmacokinetics**

Serum, urine and tear concentrations of ofloxacin were measured in 30 healthy women at various time points during a ten-day course of treatment with ofloxacin solution. The mean serum ofloxacin concentration ranged from 0.4ng/mL to 1.9ng/mL. Maximum ofloxacin concentration increased from 1.1ng/mL on day one to 1.9ng/mL on day 11 after QID dosing for 10 1/2 days. Maximum serum ofloxacin concentrations after ten days of topical ophthalmic dosing were more than 1000 times lower than those reported after standard oral doses of ofloxacin.

Tear ofloxacin concentrations ranged from 5.7 to 31mcg/g during the 40 minute period following the last dose on day 11. Mean tear concentration measured four hours after topical ophthalmic dosing was 9.2mcg/g.

Corneal tissue concentrations of 4.4mcg/mL were observed four hours after beginning topical ocular application of two drops of ofloxacin ophthalmic solution every 30 minutes. Ofloxacin was excreted in the urine primarily unmodified.

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**Indications**

Ofloxacin is indicated for the treatment of infections caused by susceptible strains of the following bacteria in the conditions listed below:

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**Conjunctivitis**

Gram-positive Bacteria

*Staphylococcus aureus*

*Staphylococcus epidermidis*

*Streptococcus pneumoniae*

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Gram-negative Bacteria

*Enterobacter cloacae*

*Haemophilus influenzae*

*Proteus mirabilis*

*Pseudomonas aeruginosa*

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**Corneal Ulcers**

Gram-positive Bacteria

*Staphylococcus aureus*

*Staphylococcus epidermidis*
**Streptococcus pneumoniae**

**Gram-negative Bacteria**
*Pseudomonas aeruginosa*
*Serratia marcescens*

**Anaerobic Species**
*Propionibacterium acnes*

*Efficacy for this organism was studied in fewer than ten infections

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### Dosage And Administration

In mild-to-moderate ocular infections: Apply thin strip (about 1 cm) of ointment into the affected eye(s) every 4 hours.

In severe ocular infections: Apply thin strip (about 1 cm) of ointment into the eye(s) hourly until improvement is obtained following which treatment should be reduced.

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### Contraindications

Contraindicated in patients with history of hypersensitivity to ofloxacin, to other quinolones or any of the components of the ointment.

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### Warnings And Precautions

#### General

**NOT FOR INJECTION.**

Serious and occasionally fatal hypersensitivity (anaphylactic) reactions, some following the first dose, have been reported in patients receiving systemic quinolones, including ofloxacin. Some reactions were accompanied by cardiovascular collapse, loss of consciousness, angioedema (including laryngeal, pharyngeal or facial oedema), airway obstruction, dyspnea, urticaria, and itching. A rare occurrence of Stevens-Johnson syndrome, which progressed to toxic epidermal necrolysis, has been reported in a patient who was receiving topical ophthalmic ofloxacin. If an allergic reaction to ofloxacin occurs, discontinue the drug. Serious acute hypersensitivity reactions may require immediate emergency treatment. Oxygen and airway management, including intubation should be administered as clinically indicated.

When using ofloxacin, the risk of rhinopharyngeal passage which can contribute to the occurrence and the diffusion of bacterial resistance should be considered. As with other anti-infectives, prolonged use may result in overgrowth of non-susceptible organisms, including fungi. If superinfection occurs discontinue use and institute alternative therapy.
Whenever clinical judgment dictates, the patient should be examined with the aid of magnification, such as slit lamp biomicroscopy and, where appropriate, fluorescein staining. Ofloxacin should be discontinued at the first appearance of a skin rash or any other sign of hypersensitivity reaction.

The systemic administration of quinolones, including ofloxacin, has led to lesions or erosions of the cartilage in weight-bearing joints and other signs of arthropathy in immature animals of various species. Ofloxacin, administered systemically at 10 mg/kg/day in young dogs (equivalent to 110 times the maximum recommended daily adult ophthalmic dose) has been associated with these types of effects.

Caution should be taken when using fluoroquinolones, including ofloxacin in patients with known risk factors for prolongation of the QT interval such as, for example:

- congenital long QT syndrome
- concomitant use of drugs that are known to prolong the QT interval (e.g. Class IA and III anti-arrhythmics, tricyclic antidepressants, macrolides, antipsychotics)
- uncorrected electrolyte imbalance (e.g. hypokalaemia, hypomagnesaemia)
- elderly
- cardiac disease (e.g. heart failure, myocardial infarction, bradycardia)

Use ofloxacin with caution in patients who have exhibited sensitivities to other quinolone antibacterial agents.

Data are very limited to establish efficacy and safety of ofloxacin eye drops 0.3% in the treatment of conjunctivitis in neonates.

The use of ofloxacin in neonates with ophthalmia neonatorum caused by Neisseria gonorrhoeae or Chlamydia trachomatis is not recommended as it has not been evaluated in such patients. Neonates with ophthalmia neonatorum should receive appropriate treatment for their condition, e.g. systemic treatment in cases caused by Chlamydia trachomatis or Neisseria gonorrhoeae.

Clinical and non-clinical publications have reported the occurrence of corneal perforation in patients with pre-existing corneal epithelial defect or corneal ulcer, when treated with topical fluoroquinolone antibiotics. However, significant confounding factors were involved in many of these reports, including advanced age, presence of large ulcers, concomitant ocular conditions (e.g. severe dry eye), systemic inflammatory diseases (e.g. rheumatoid arthritis), and concomitant use of ocular steroids or non-steroidal anti-inflammatory drugs. Nevertheless, it is necessary to advise caution regarding the risk of corneal perforation when using product to treat patients with corneal epithelial defects or corneal ulcers.

Corneal precipitates have been reported during treatment with topical ophthalmic ofloxacin. However, a causal relationship has not been established.

Long-term, high-dose use of other fluoroquinolones in experimental animals has caused lenticular opacities. However, this effect has not been reported in human patients, nor has it been noted following topical ophthalmic treatment with ofloxacin for up to six months in animal studies including studies in monkeys.

OFLOX ophthalmic ointment contains the preservative benzalkonium chloride which may cause ocular irritation and discoulour soft contact lenses.
Use of contact lenses is not recommended in patients receiving treatment for an eye infection.

Sun or UV-exposition should be avoided during use of ofloxacin due to the potential for photosensitivity.

## Drug Interactions

Specific drug interaction studies have not been conducted with OFLOX ophthalmic ointment. However, the systemic administration of some quinolones has been shown to elevate plasma concentrations of theophylline, interfere with the metabolism of caffeine, and enhance the effects of the oral anticoagulant warfarin and its derivatives, and has been associated with transient elevations in serum creatinine in patients receiving cyclosporine concomitantly.

Although there have been reports of an increased prevalence of CNS toxicity with systemic dosing of fluoroquinolones when used concomitantly with systemic nonsteroidal anti-inflammatory drugs (NSAIDs), this has not been reported with the concomitant systemic use of NSAIDs and ofloxacin.

Ofloxacin, like other fluoroquinolones, should be used with caution in patients receiving drugs known to prolong the QT interval (e.g. Class IA and III anti-arrhythmics, tricyclic antidepressants, macrolides, antipsychotics).

## Pregnancy

**Pregnancy Category C**

**Teratogenic Effects**

There are no adequate and well-controlled studies in pregnant women. OFLOX ophthalmic ointment should be used during pregnancy only if the potential benefit justifies the potential risk to the foetus.

## Lactation

It is not known whether ofloxacin is excreted in human milk following topical ophthalmic administration. Because of the potential for serious adverse reactions from ofloxacin in nursing infants, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the importance of the drug to the mother.

## Paediatric Use

Safety and effectiveness in infants below the age of one year have not been established.

## Geriatric Use

No overall differences in safety or effectiveness have been observed between elderly and younger patients.
### Undesirable Effects

The most frequently reported drug-related adverse reaction was transient ocular burning or discomfort. Other reported reactions include stinging, redness, itching, chemical conjunctivitis/keratitis, ocular/periocular/facial oedema, foreign body sensation, photophobia, blurred vision, tearing, dryness, and eye pain. Rare reports of dizziness and nausea have been received.

Serious reactions after use of systemic ofloxacin are rare and most symptoms are reversible. Since a small amount of ofloxacin is systemically absorbed after topical administration, side-effects reported with systemic use could possibly occur.

Frequency categories: Very common (≥1/10); Common (≥1/100 to <1/10); Uncommon (1/1,000 to <1/100); Rare (1/10,000 to <1/1000); Very rare (<1/10,000) and not known (cannot be estimated from the available data):

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#### Immune System Disorders

**Very Rare:** Hypersensitivity* (including angioedema, dyspnea, anaphylactic reaction/shock, oropharyngeal swelling and tongue swollen.

*Serious and occasionally fatal hypersensitivity (anaphylactic/anaphylactoid) reactions, some following the first dose, have been reported in patients receiving systemic quinolones, including ofloxacin.

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#### Nervous System Disorders

Not known: Dizziness

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#### Eye Disorders

Common: Eye irritation; Ocular discomfort

Not Known: Keratitis; Conjunctivitis; Vision blurred; Photophobia; Eye oedema; Foreign body sensation in eyes; Lacrimation increased; Dry eye; Eye pain; Ocular hyperaemia; Hypersensitivity (including Eye pruritus and Eyelid pruritus).

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#### Cardiac Disorders

Not Known: ventricular arrhythmia and torsades de pointes (reported predominantly in patients with risk factors for QT prolongation), ECG QT prolonged

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#### Gastrointestinal Disorders

Not Known: Nausea

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#### Skin and Subcutaneous Tissue Disorders

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Not Known: Periorbital oedema

**Overdosage**

In the event of overdose, symptomatic treatment should be implemented. ECG monitoring should be undertaken, because of the possibility of QT interval prolongation.

**Incompatibility**

None known

**Shelf-Life**

2 years

**Packaging Information**

OFLOX Eye Ointment: ............... Tube of 5 gm

**Information For Patients**

Avoid contaminating the applicator tip with material from the eye, fingers or other source.

Systemic quinolones, including ofloxacin, have been associated with hypersensitivity reactions, even following a single dose. Discontinue use immediately and contact your physician at the first sign of a rash or allergic reaction.

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