Quinoflox is a preparation of Sparfloxacin (spar-FL ox-a-sin). It is a broad-spectrum antibacterial agent that inhibits DNA gyrase and topoisomerase IV and kills many of the types of bacteria that can infect the breathing airways and lungs and has been shown in a large number of clinical trials to be safe and effective for the treatment of bacterial infections. It is found to be more effective in vitro than other fluoroquinolones against some gram positive organisms (Streptococcus pneumoniae, Staphylococcus aureus, Mycobacteria and Chlamydia psittaci).

Pharmacokinetics

Absorption: Quinoflox is well absorbed following oral administration with an absolute oral bioavailability of 92%. The mean maximum plasma concentration 3 hours after a single oral dose was approximately 1.3 (±0.2) µg/mL. The area under the curve (mean AUC (0→∞) ) following a single 400-mg oral dose was approximately 34 (±8.4) µg·hr/mL. Steady-state plasma concentration was achieved on the first day by giving a loading dose that was double the daily dose.

Maximum plasma concentrations for a 200 mg dose were also achieved between 3 to 6 hours after administration with a mean of about 4 hours.

Oral absorption of sparfloxacin is unaffected by administration with milk or food, including high fat meals. Concurrent administration of antacids containing magnesium hydroxide and aluminium hydroxide reduces the oral bioavailability of sparfloxacin by as much as 50%.

Distribution: Upon reaching general circulation, Quinoflox, distributes well into the body, as reflected by the large mean steady-state volume of distribution (Vss ) of 3.9 (±0.8) L/kg. Sparfloxacin exhibits low plasma protein binding in serum at about 45%. Sparfloxacin penetrates well into body fluids and tissues. Results of tissue and body fluid distribution studies demonstrated that oral administration of sparfloxacin produces sustained concentrations and that sparfloxacin concentrations in lower respiratory tract tissues and fluids generally exceed the corresponding plasma concentrations.

The concentration of sparfloxacin in respiratory tissues (pulmonary parenchyma, bronchial wall, and bronchial mucosa) at 2 to 6 hours following standard oral dosing was approximately 3 to 6 times greater than the corresponding concentration in plasma. Concentrations in these respiratory tissues increase at up to 24 hours following dosing. Sparfloxacin is also highly concentrated into alveolar macrophages compared to plasma.

Mean pleural effusion to plasma concentration ratios were 0.34 and 0.69 at 4 and 20 hours postdose, respectively.

Metabolism: Quinoflox, is metabolised by the liver, primarily by phase II glucuronidation, to form a glucuronide conjugate. Its metabolism does not utilize or interfere with cytochrome-mediated oxidation, in particular cytochrome P450.

Excretion: The total body clearance and renal clearance of Quinoflox, were 11.4 (±5.3) L/h and 1.3 (±0.5) L/hr, respectively. Sparfloxacin is excreted in both the feces (90% of the dose) and urine (50%). Approximately 10% of an orally administered dose is excreted in the urine as unchanged drug in patients with normal renal function.

Suspensions in the elderly with normal renal function. The pharmacokinetics of sparfloxacin are not altered in elderly subjects with normal renal function. The pharmacokinetics of sparfloxacin in paediatric subjects have not been studied.

Gender: There are no gender differences in the pharmacokinetics of sparfloxacin.

Renal insufficiency: In patients with renal impairment (creatinine clearance <50 mL/min), the terminal elimination half-life of sparfloxacin is lengthened. Single or multiple doses of sparfloxacin in patients with varying degrees of renal impairment typically produce plasma concentrations that are twice those observed in subjects with normal renal function.

Hepatic impairment: The pharmacokinetics of sparfloxacin are not altered in patients with mild to moderate hepatic impairment without cholestasis.

Indications

Quinoflox, (sparfloxacin) is indicated for the treatment of adults (≥18 years of age) with the following infections caused by susceptible strains of the designated microorganisms:

Community-acquired pneumonia (CAP) caused by Chlamydia pneumoniae, Haemophilus influenzae, Haemophonus parainfluenzae, Moraxella catarrhalis, Mycoplasma pneumoniae, or Streptococcus pneumoniae

Acute bacterial exacerbations of chronic bronchitis (ABECB) caused by Chlamydia pneumoniae, Enterobacter cloacae, Haemophilus influenzae, Haemophilus parainfluenzae, Klebsiella pneumoniae, Moraxella catarrhalis, Staphylococcus aureus, or Streptococcus pneumoniae.

It is also indicated for:

• Chronic Obstructive Pulmonary Disease (COPD)
• Acute Maxillary Sinusitis (AMS)
• Urinary tract infections including gonocecal and nongonocecal urethritis, chancroid and other sexually transmitted diseases.
• Bacterial prostatitis

Dosage and Administration

The recommended daily dose of Quinoflox, in patients with normal renal function is two 200 mg tablets taken on the first day as a loading dose. Thereafter, one 200 mg tablet should be taken every 48 hours for a total of 10 days of therapy (11 tablets).

The recommended daily dose of Quinoflox, in patients with renal impairment (creatinine clearance <50 mL/min) is two 200 mg tablets taken on the first day as a loading dose. Thereafter, one 200 mg tablet should be taken every 44 hours for a total of 9 days of therapy (9 tablets).

Contraindications

• Sparfloxacin is contraindicated to the patients who are hypersensitive to any of its ingredient
• Pregnancy and lactation
• Glucose-6-Phosphate Dehydrogenase (G6PD) deficiency
• History of Achilles tendinitis following the use of fluoroquinolones

Special warnings

Moderate to severe phototoxic reactions have occurred in patients exposed to direct or indirect sunlight or to artificial ultraviolet light (e.g., sunlamps) during or following treatment. Patients should be advised to discontinue Sparfloxacin therapy at the first signs or symptoms of a phototoxic reaction such as:

• Sensation of skin burning
• Swelling
• Rash
• Itching
• Dermatitis

Increases in the QTc interval.

The safety and effectiveness of Quinoflox in children, adolescents (under the age of 18 years), pregnant women, and lactating women have not been established.

Precautions

• Adequate hydration of patients receiving Sparfloxacin should be maintained to prevent the formation of a highly concentrated urine.
• Avoid the concomitant prescription of medications known to prolong the QTc interval, e.g., erythromycin, terfenadine etc.
• Excessive exposure to sunlight should be avoided.

Drug interaction

Aluminum and Magnesium cations in antacids and sucralfate form chelation complexes with sparfloxacin. Concomitant use with medications known to produce an increase in the QTc interval and/or Torode pointes (e.g., terfenadine). Sparfloxacin does not interact with theophylline or caffeine, nor with warfarin or cimetidine. Probenecid does not alter the pharmacokinetics of Sparfloxacin.

Undesirable effects

Most of the side effects are mild to moderate in severity and transient in nature. The most frequently reported events with the recommended dosage were:

Photosensitivity reaction
• Diarrhea
• Nausea
• Headache
• Dyspepsia
• Dizziness
• Insomnia
• Abdominal pain
• Pruritus
• Taste perversion
• QTc interval prolongation
• Vomiting
• Flatulence
• Vasodilatation

Storage

To store this medicine it should be:

• Kept out of the reach of children.
• Stored away from heat and direct light.
• Stored below 30°C.

Presentation

Quinoflox Tablet: Box containing 1 strip of 10 tablets. Each film coated tablet contains sparfloxacin INN 200 mg.