Sitamax™ 50

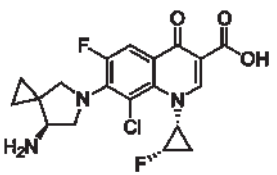
Sitafloxacin 50 mg
(as Sitafloxacin Hydrate INN)

COMPOSITION

Sitamax™ 50 Tablet: Each film coated tablet contains Sitafloxacin 50 mg as Sitafloxacin Hydrate INN.

PHARMACOLOGY

Sitafloxacin is a broad-spectrum fluoroquinolone antibiotic with potent activity against Gram-positive, Gram-negative, anaerobic, and atypical pathogens. Chemically, Sitafloxacin Hydrate is (S)-7-[(3-Aminopyrrolidin-1-yl) -6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxoquinoline-3-carboxylic acid monohydrate. Its molecular formula is C19H18F2N4O3•H2O and its structural formula is:



MECHANISM OF ACTION

Sitafloxacin exerts its bactericidal action by inhibiting bacterial DNA gyrase (topoisomerase II) and topoisomerase IV, enzymes essential for DNA replication, transcription, repair, and recombination. Inhibition of these enzymes results in the disruption of bacterial DNA processes, leading to rapid bacterial cell death. Sitafloxacin is active against a wide range of aerobic and anaerobic bacteria, including resistant strains.

PHARMACOKINETICS

Absorption

Sitafloxacin is rapidly and well absorbed from the gastrointestinal tract following oral administration. The bioavailability of sitafloxacin is approximately 100%. Peak plasma concentrations (Cmax ~0.6–0.8 µg/mL) are typically reached within 1–2 hours post-dose. Food has minimal impact on the overall bioavailability but may delay Tmax slightly.

Distribution

Sitafloxacin has a volume of distribution of approximately 1.2–1.6 L/kg, indicating good tissue penetration. It binds moderately to plasma proteins (30–40%), mainly albumin.

Metabolism

Sitafloxacin undergoes minimal hepatic metabolism. It is primarily metabolized by Phase II conjugation reactions such as glucuronidation. Cytochrome P450 enzymes are not significantly involved in its metabolism, minimizing the risk of CYP-mediated drug interactions.

Excretion

Sitafloxacin and its metabolites are mainly excreted via the kidneys. Approximately 80% of the dose is excreted unchanged in the urine within 24 hours. The terminal elimination half-life ranges from 4.5 to 7 hours, allowing for twice-daily dosing in most indications.

SPECIAL POPULATIONS

Pediatric Use:

The safety and efficacy of Sitafloxacin in pediatric patients have not been established. Its use in individuals under 18 years is generally not recommended due to the risk of arthropathy.

Geriatric Use:

No significant age-related differences in safety or efficacy were noted in clinical trials. However, renal function should be monitored in elderly patients, and dose adjustments may be required in cases of renal impairment.

Renal Impairment:

Dose adjustment is recommended in patients with moderate to severe renal dysfunction (creatinine clearance <50 mL/min), as Sitafloxacin is primarily excreted via the kidneys.

INDICATIONS

Sitafloxacin is indicated for the treatment of infections caused by susceptible organisms, including:

- Acute bacterial sinusitis
- Community-acquired pneumonia
- Chronic bronchitis (acute exacerbations)
- Uncomplicated and complicated urinary tract infections
- Prostatitis
- Pelvic inflammatory disease
- Skin and soft tissue infections

DOSAGE & ADMINISTRATION

The usual adult dosage is 50 mg of Sitafloxacin twice daily. In patients with renal impairment, the dosage should be adjusted based on creatinine clearance levels. Sitafloxacin should be taken after meals to improve gastrointestinal tolerance.

ADVERSE REACTIONS

Reported adverse reactions associated with Sitafloxacin include:
Gastrointestinal: Nausea, diarrhea, abdominal pain, dyspepsia Central Nervous System: Dizziness, headache, insomnia, anxiety
Hematologic: Eosinophilia, leukopenia, thrombocytopenia (rare)
Hepatic: Elevated transaminases, alkaline phosphatase
Hypersensitivity: Rash, pruritus, urticaria, photosensitivity reactions
Musculoskeletal: Arthralgia, tendonitis (rare but serious tendon rupture risk, particularly in elderly and corticosteroid users)

CONTRAINDICATIONS

- Hypersensitivity to Sitafloxacin or other fluoroquinolones
- History of tendon disorders related to quinolone use
- Pregnancy and lactation
- Children and adolescents under 18 years

WARNINGS & PRECAUTIONS

- Sitafloxacin should be used with caution in patients with CNS disorders (e.g., epilepsy) due to risk of seizures.
- Avoid concurrent use with other drugs that prolong the QT interval.
- Ensure adequate hydration to prevent crystalluria.
- Discontinue therapy immediately at thefirst sign of tendon pain or inflammation.

Pregnancy and Nursing Mothers:
Sitafloxacin is contraindicated during pregnancy and lactation due to the potential risk of cartilage damage in the developing fetus and neonates.

PREGNANCY & NURSING MOTHERS

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DRUG INTERACTIONS

- Concomitant use with antacids containing magnesium or aluminum, sucralfate, iron, or multivitamins can significantly reduce absorption of Sitafloxacin. These should be taken at least 2 hours apart.
- Co-administration with non-steroidal anti-inflammatory drugs (NSAIDs) may increase CNS stimulation and risk of seizures.
- Use with caution alongside drugs that prolong QT interval (e.g., antiarrhythmics, certain antidepressants, macrolides).

OVERDOSE

In case of overdose, supportive care and symptomatic treatment are recommended. Gastric lavage may be performed in recent ingestion. Adequate hydration must be maintained. Sitafloxacin is not significantly removed by dialysis.

STORAGE

Store below 30°C, away from light. Keep all medicines out of the reach of children.

HOW SUPPLIED

Sitamax™ 50 Tablet: Each box contains 10 Tablets in Alu-Alu blister pack.

Manufactured by

SQUARE
PHARMACEUTICALS PLC.
Bangladesh