

AXITAB-CV TAB.

COMPOSITION :

Each film coated tablet contains:

Cefuroxime Axetil I.P.

Eq. to Anhydrous 500mg.

Potassium Clavulanate Diluted I.P.

Eq. to Clavulanic Acid 125mg

DESCRIPTION :

Cefuroxime Axetil -

Cefuroxime axetil is a semisynthetic, broad-spectrum, β -lactamase-stable cephalosporin antibiotic. Cefuroxime is a second-generation cephalosporin, proven to be relatively safe and well tolerable. After oral administration, Cefuroxime Axetil is absorbed from the gastrointestinal tract and rapidly hydrolyzed by nonspecific esterase in the intestinal mucosa and blood to Cefuroxime. Therefore, Cefuroxime axetil cannot be measured in human plasma. Cefuroxime Axetil has an in vitro antibacterial spectrum against many Gram-positive and Gram-negative organisms. Its beta-lactamase (β -lactam) stability makes it useful in treating a variety of infections caused by β - lactam-producing strains of Haemophilus influenzae, Moraxella catarrhalis and Staphylococcus aureus. An advantage of Cefuroxime over other second-generation cephalosporin is that it is effective in the treatment of Neisseria gonorrhoea and H influenzae. It is characterized by being the only second-generation cephalosporin which adequately penetrates into the cerebrospinal fluid (CSF).

MECHANISM OF ACTION :

Cefuroxime axetil is a bactericidal agent that acts by inhibition of bacterial cell wall synthesis. Cefuroxime axetil has activity in the presence of some β -lactamases, both penicillinases and cephalosporinases, of gram-negative and gram-positive bacteria.

By binding to specific penicillin-binding proteins (PBPs) located inside the bacterial cell wall, it inhibits the third and last stage of bacterial cell wall synthesis. Cell lysis is then mediated by bacterial cell wall autolytic enzymes such as autolysins; it is possible that Cefuroxime interferes with an autolysin inhibitor.

PHARMACOLOGY

Pharmacodynamics -

Cefuroxime is primarily bactericidal, it also may be bacteriostatic. Activity depends on the organism, tissue penetration, dosage, and rate of organism multiplication. It acts by adhering to bacterial penicillin-binding proteins, thereby inhibiting cell wall synthesis. Cefuroxime is active against many gram-positive organisms and enteric gram-negative bacilli, including Streptococcus pneumoniae and S. pyogenes, Haemophilus influenzae, Klebsiella species, Staphylococcus aureus, Escherichia coli, Enterobacter and Neisseria

gonorrhoeae. *Bacteroides fragilis*, *Pseudomonas* and *Acinetobacter* species are resistant to cefuroxime.

Pharmacokinetics-

Absorption: Cefuroxime is absorbed from the gastrointestinal tract. Absorption is greater when taken after food and absolute bioavailability increases from 37% to 52%. Peak plasma concentration of Cefuroxime Axetil 500mg. tablet achieve in 3 hours.

Distribution: Distribute widely into most body tissues and fluids, including the gallbladder, liver, kidneys, bone, bile and pleural and synovial fluids. Cerebrospinal fluid (CSF) penetration is greater than that of most first- and second-generation cephalosporins and achieves adequate therapeutic levels in inflamed meninges. Cefuroxime crosses the placental barrier and is 33% to 50% protein-bound.

Metabolism: Cefuroxime is not metabolized. The axetil moiety is metabolized to acetaldehyde and acetic acid.

Excretion: Cefuroxime is excreted unchanged in the urine in adults by renal tubular secretion and glomerular filtration. Approximately 50% of the administered dose is recovered in the urine within 12 hours. The pharmacokinetics of Cefuroxime in the urine of pediatric patients has not been studied. Elimination half-life is 1 to 2 hours in patients with normal renal function.

Because cefuroxime is renally excreted, the serum half-life is prolonged in patients with reduced renal function. In elderly patients having a mean creatinine clearance of 34.9 ml/min, the mean serum elimination half-life was 3.5 hours. Despite the lower elimination of Cefuroxime in geriatric patients, dosage adjustment based on age is not necessary. Some drug appears in breast milk. Hemodialysis removes cefuroxime.

INDICATIONS:

Cefuroxime axetil is indicated for the treatment of patients with mild to moderate infections caused by susceptible strains to Cefuroxime Axetil. The following are the conditions infection caused by designated micro-organisms –

(i). ***Pharyngitis/Tonsillitis*** caused by *Streptococcus pyogenes* (Gram+ve).

(ii). ***Acute Bacterial Otitis Media*** caused by *Streptococcus* Pneumonia (Gram+ve), *Haemophilus influenzae* (Gram-ve) (including beta-lactamase-producing strains), *Moraxella catarrhalis* (Gram-ve) (including beta-lactamase-producing strains), or *Streptococcus pyogenes*.

(iii). ***Acute Bacterial Maxillary Sinusitis*** caused by *Streptococcus pneumoniae* or *Haemophilus influenzae* (non-beta-lactamase-producing strains only).

(iv). ***Acute Bacterial Exacerbations of Chronic Bronchitis and Secondary Bacterial Infections of Acute Bronchitis*** caused by *Streptococcus pneumoniae*, *Haemophilus influenzae* (beta-lactamase negative strains), or *Haemophilus parainfluenza* (beta-lactamase negative strains).

(v). ***Uncomplicated Skin and Skin-Structure Infections*** caused by *Staphylococcus aureus* (Gram+ve) (including beta-lactamase-producing strains) or *Streptococcus pyogenes*.

(vi). ***Uncomplicated Urinary Tract Infections*** caused by *Escherichia coli* (Gram-ve) or *Klebsiella pneumoniae* (Gram-ve).

(vii). ***Uncomplicated Gonorrhoea*** caused by penicillinase-producing and non-penicillinase-producing strains of *Neisseria gonorrhoeae* (Gram+ve).

(viii). ***Bone and joints infections*** caused by bacteria like *Staphylococcus aureus*, *Enterobacter*, and *Streptococcus*.

DOSES :

Adolescents and Adults 13 years and older –

(i). Pharyngitis and tonsillitis -250 mg. or 500mg. 12 hourly for 10 days.

(ii). Acute bacterial exacerbations of chronic bronchitis – 250mg. or 500mg. 12 hourly for 10 days.

(iii). Uncomplicated skin and skin-structure infections – 250 mg. or 500mg. 12 hourly for 10days.

(iv). Uncomplicated urinary tract infections – 250mg. or 500mg. 12 hourly for 7-10 days.

(v). Uncomplicated gonorrhoea – 1000 mg. once, single dose.

(vi). Bone and joint infections – 500 mg. 12 hourly for 10days as switch therapy

(vii). Tonsillitis/Pharyngitis /Sinusitis – 250mg. or 500 mg for 10 days.

CONTRAINDICATION AND PRECAUTIONS :

Contraindicated in patients hypersensitive to Cefuroxime or other cephalosporins. Use cautiously in breast-feeding women and in patients with impaired renal function or penicillin allergy.

INTERACTIONS:

Drug to drug-

Aminoglycosides: Produces synergistic activity against some organisms, increases risk of nephrotoxicity. Monitor patient closely.

Diuretics: Increases risk of adverse effects. Monitor patient closely.

Probenecid: Competitively inhibits renal tubular secretion of cephalosporins, resulting in higher, prolonged serum levels of these drugs. Sometimes used for this effect.

Any food: Increases absorption. Advise patient to take drug with food.

ADVERSE REACTIONS :

Patients may suffer from pseudomembranous colitis, nausea, anorexia, vomiting and diarrhea.

Transient neutropenia, eosinophilia, hemolytic anemia, thrombocytopenia, decreased hemoglobin and hematocrit.

Hypersensitivity reactions like serum sickness or anaphylaxis may experience to the patients.

OVERDOSE AND TREATMENT:

Overdose may cause neuromuscular hypersensitivity. Seizures may follow high CNS levels. Hemodialysis or peritoneal dialysis will remove Cefuroxime.

WARNING AND PRECAUTION :

Pregnancy : There are no adequate studies in pregnant women on Cefuroxime Axetil. So, it should be used during pregnancy only if clearly needed. From studies it has revealed no evidence of impaired fertility or harm to the fetus due to Cefuroxime Axetil.

Nursing Mothers : As Cefuroxime Axetil is excreted in human milk, caution should be exercised when the drug is administered to a nursing woman.

Pediatric Use : The safety and effectiveness of Cefuroxime Axetil have been established for pediatric patients aged 3 months to 12 years.

Geriatric Use : Clinical experience has not identified differences in responses between the elderly and younger adult patients, but greater sensitivity of some older individuals cannot be ruled out.

Cefuroxime is substantially excreted by the kidney, and the risk of adverse reactions may be greater in patients with impaired renal function. Because elderly patients are more likely to have decreased renal function, care should be taken in dose selection, and it may be useful to monitor renal function.

Renal Impairment: Reducing the dosage of Cefuroxime Axetil is recommended for adult patients with severe renal impairment.

STORAGE :

Protect from light and store at room temperature.

PRESENTATION :

1x6's tablets in a mono pack.

