



The Review on Azithromycin

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ABSTRACT:

Azithromycin is a broad-spectrum macrolide antibiotic with a long half-life and excellent tissue penetration. Azithromycin is an in vitro active azalide antibiotic and the major pathogen is believed to cause respiratory, skin and soft tissue infections in children. In children, azithromycin is usually given on day 1 at 10 mg/kg/day for 3 days, followed by 5 mg/kg/day for 4 additional days. Azithromycin is a subclass of macrolide antibiotics. It is derived from erythromycin with a methyl-substituted nitrogen atom incorporated into the lactone ring, making the lactone ring 15-membered. Pathogens commonly susceptible to azithromycin include *Haemophilus influenzae* (including ampicillin-resistant strains), *Moraxella catarrhalis*, *Streptococcus pneumoniae*, *Chlamydia trachomatis*, *Mycoplasma pneumoniae*, *Streptococcus pyogenes*, and *Streptococcus agalactiae*. Azithromycin is administered once daily, reaches clinically relevant concentrations at the site of infection, is slowly cleared from the body, and has few drug-drug interactions. A 5-day regimen (500 mg on day 1 and 250 mg on days 2-5) or a 3-day regimen (500 mg daily for 3 days).

Keywords: Azithromycin, *Chlamydia Pneumoniae*, *Haemophilus*, Interaction, Atoms

INTRODUCTION:

Azithromycin is an azalide, type of macrolide antibiotic. It works by decreasing the production of protein, thereby stopping bacterial growth. Azithromycin was discovered in 1980 by the Yugoslav pharmaceutical company Pлива and approved for medical use under the brand name Sumamed in 1988. [1] The World Health Organization classifies it as critical important for human medicine. It is available as a generic medication and is sold under many trade names worldwide. In 2020, it was the 68th most commonly prescribed medication in the United States with more than 10 million prescriptions. [2] Azithromycin is a broad-spectrum macrolide antibiotic with bacterostatic activity against many Gram-positive and Gram-negative bacteria including *Bordetella pertussis* and *Legionella* species. Azithromycin is an antibiotic medication used for the treatment of a number of bacterial infections. [3] This includes middle ear infection, throat infection, pneumonia, traveler's diarrhea and certain other intestinal infections, sexually transmitted disease (STD) and infection of reproductive organ. [4] Azithromycin is also used to treat or prevent disseminated *Mycobacterium avium* complex (MAC) infection. A type of lung infection that often affects people with Human immunodeficiency virus (HIV). [5] Azithromycin and levofloxacin have been shown to be efficacious in treating infections. The adverse drug events associated with azithromycin and levofloxacin were considered rare. [6] However, the USFDA released warnings regarding the possible risk of QT prolongation with azithromycin and levofloxacin. Pharyngitis or tonsillitis in children, but recurrence of infection appears to be more frequent in this indication than with phenoxymethylpenicillin, requiring a dose of 12 mg/kg/day for 5 days. Community-acquired pneumonia, bronchitis and other respiratory infections in children responded to both azithromycin and amoxicillin/

clavulanic acid, cefaclor, erythromycin, or josamycin. Azithromycin was as good as or better than ceftibutene in a mixed population of general medical patients. However, his symptoms of lower respiratory tract infections resolved faster with azithromycin than his with erythromycin, josamycin, or cefaclor. [8]

PHARMACOLOGY :

Brand Names:

Azasisite, Zithromax, Zmax, Zithromax Tri-Pak.

Type:

Small Molecule

Groups:

Approved

Weight :

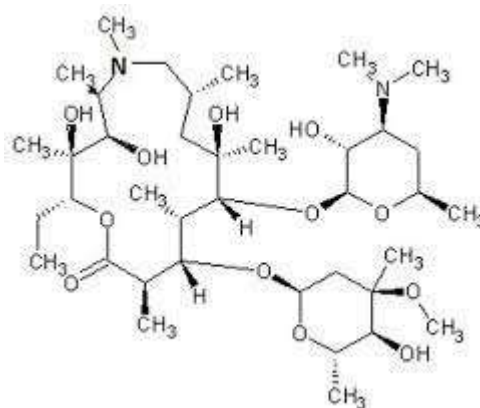
Average: 748.9845

Monoisotopic: 748.508525778

ChemicalFormula:

C₃₈H₇₂N₂O₁₂

STRUCTURE:



PHARMACOKINETIC:

Absorption :

Because azithromycin is an acid-stable antibiotic, it can be taken orally without the need for gastric acid protection. It is easily absorbed, but the rate of absorption is higher on an empty stomach. The time to reach maximum concentration (T_{max}) in adults is 2.1-3.2 hours for him in oral dosage form. The bioavailability of azithromycin is approximately 37% . Single oral 500 mg dose peak plasma concentration of about 0.35-0.45 mg/are attained within approximately 2 hours.[10]

Distribution :

After oral administration, azithromycin is widely distributed in tissues with an apparent steady-state volume of distribution of ± 31.1 L/kg. Due to its high concentration in scavenger cells, azithromycin is actively transported to the site of infection. High concentrations are released during active phagocytosis. Concentrations of azithromycin in tissue can be more than 50-fold higher than in plasma due to removal of the ion and its high lipid solubility. [citation needed] Due to the half-life of azithromycin, a single dose of large doses of can maintain bacteriostatic levels in infected tissues for several days [12]. Drug is concentrated in macrophages and polymorphonuclear cells and is effective against *Chlamydia trachomatis*.

Protein binding :

The protein binding of azithromycin declined from about 50% at 0.02 mg/l to 12% at 0.5mg/l[14]

Route Of Elimination :

Azithromycin is mainly eliminated unchanged in the feces its biliary excretion and transintestinal secretion over 1 week period Approximately 6% of the administered dose is found as unchanged drug in urine.[15] Clearance of azithromycin mean apparent plasma cl = 630 ml/min[16]

Mechanism of action :

Macrolysis inhibits bacterial protein synthesis. The macrolide's mechanism of action revolves around its ability to bind to her 50S ribosomal subunit of bacteria, thereby halting the synthesis of bacterial protein. It halts bacterial protein synthesis by inhibiting the transpeptidation/translocation step of protein synthesis and the assembly of the 50S ribosomal subunit.[18]

PHARMACODYNAMICS :

By inhibiting protein synthesis and translation, macrolides stop bacterial growth and treat bacterial infections. Influenza resistance mechanisms to macrolides include alterations in ribosomal methylases, intrinsic or acquired efflux pumps, and ribosomal proteins or RNA.

ADMINISTRATION :

Azithromycin is available in both oral and parenteral dosage forms. The usual dose of azithromycin is 250 mg or 500 mg once daily for 3 to 5 days, with higher doses prescribed for acute infections.

Oral Formulation: Is include tablets 250mg and 500mg, packets (1 gram powder is dissolved in 60ml of water. Dosing can be administered with or without food.[22]



Intravenous (IV): Is available in a 500 mg preservative free solution for reconstitution. Azithromycin is administration should not be via intramuscular injection or iv bolus [23]



Ophthalmic Solution: 1% available in 2.5 ml bottle which is used in bacterial pinkeye.[24]



Suspension: Suspension is mostly prescribed to children.



What Conditions does Azithromycin Treat?

- Prevention of Mycobacterium avium complex disease
- Traveler's diarrhea
- Mycoplasma hominis infection of the female pelvic organs
- Skin infection due to Staphylococcus aureus bacteria
- Skin infection due to Streptococcus pyogenes bacteria
- Skin infection due to Streptococcus agalactiae bacteria.[25]
- whooping cough
- Strep throat
- Strep throat and tonsillitis
- Treatment to prevent traveler's diarrhea
- Acute gonorrhea of the urethra
- Acute gonorrhea of the cervix
- Severe episode of chronic bronchitis by M. catarrhal is
- Severe episode of chronic bronchitis due to Streptococcus pneumonia
- Chancroid
- Infection of the urethra caused by Chlamydia trachomatis
- Bacterial infection of cervix due to Chlamydia trachomatis
- AIDS with toxoplasmosis
- Lyme disease
- Infection of the middle ear by H. influenzae bacteria
- Mycobacterium avium bacteria infection
- Middle ear infection caused by Moraxella catarrhalis
- Infection of the middle ear by S. pneumoniae bacteria
- A bacterial infection of the middle ear
- Treatment to prevent bacterial infection of a heart valve
- Acute sinusitis caused by Streptococcus pneumoniae
- Acute sinusitis caused by Haemophilus influenzae
- Acute sinusitis caused by Moraxella catarrhalis
- Bacterial pneumonia caused by Streptococcus pneumoniae
- Bacterial pneumonia caused by Haemophilus influenzae
- Bacterial infection with chronic bronchitis
- Pneumonia caused by Legionella pneumophila bacteria
- Pneumonia caused by the bacteria Moraxella catarrhalis
- Severe episode of chronic bronchitis due to H. flu

SIDE EFFECTS :

- Nausia

- Headache
- Gastrointestinal Upset
- Dizziness
- Changes to your sense of taste
- Feeling dizzy or tired
- Itching, swelling

Serious side effects

- Arrhythmia
- The whites of your eyes turn yellow or skin turns yellow
- You have pale poo with dark pee- these can be signs of liver or gallbladder problems
- Tinnitus (you get ringing your ears).
- Vertigo (Temporary hearing loss, or you feel unsteady on your feet.
- You have severe pain in your stomach or back –this can be sign of inflammations of the pancreas (pancreatitis)
- You have diarrhea (perhaps with muscle cramps) that contains blood or mucus.[26]
- Losing Your appetite.

CONCLUSION:

Azithromycin tablets are the safest antibiotics, are well tolerated and have special pharmacokinetic properties. In addition, it has broad antibacterial properties. It is an effective treatment for all types of infections. The drug was administered slowly at high doses to reduce gastrointestinal side effects.

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