

The Review on Azithromycin

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Abstract:

Azithromycin is broad spectrum macrolide antibiotic with long half life and excellent tissue penetration. Azithromycin is an azalide antimicrobial agent active in vitro major pathogens responsible for infectious of the respiratory tract, skin and soft tissue in children. In children azithromycin is usually given as either a 3- day course of 10 mg/kg/day on the first day, followed by 5 mg/kg/day for a further 4 days. Azithromycin is a subclass of microlide antibiotics. It is derived from erythromycin with a methyl-substituted nitrogen atom incorporated in lactone ring, thus making the lactone ring 15-membered. Pathogen that are generally susceptible to azithromycin include Haemophilus influenzae (including ampicillin-resistant strains), Moraxella catarrhalis, Chlamydia pneumoniae, Chlamydia trachomatis, Mycoplasma pneumoniae Streptococcus pyogens and streptococcus agalactiae. Azithromycin is administered once daily achieves clinically relevant concentration at sites of infection, is slowly eliminated from the body and has few drug interaction. A five day treatment administration (500 mg on day 1 followed by 250 mg on days 2-5) or a three day regimen (500 mg daily for three 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 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 US FDA released warnings regarding the possible risk of QT prolongation with azithromycin and levofloxacin. Azithromycin is widely used in children not only in the treatment of individual children with infectious diseases, but also as mass drug administration (MDA) within a community to eradicate or control specific tropical diseases. MDA has also been reported to have a beneficial effect on child mortality and morbidity.[7] However, concerns have been raised about the safety of azithromycin, especially in young children. The aim of this review is to systematically identify the safety of azithromycin in children of all ages. Azithromycin was also as effective as either phenoxymethylpenicillin (penicillin V), erythromycin, clarithromycin or cefaclor against streptococcal

pharyngitis or tonsillitis in children, but appears to result in more recurrence of infection than phenoxymethylpenicillin in this indication, necessitating a dosage of 12 mg/kg/day for 5 days. Community-acquired pneumonia, bronchitis and other respiratory tract infections in children responded as well to azithromycin as to amoxicillin/clavulanic acid, cefaclor, erythromycin or josamycin. Azithromycin was similar or superior to ceftibuten in mixed general practice populations of patients. However, symptoms of lower respiratory tract infections resolved more rapidly with azithromycin than with erythromycin, josamycin or cefaclor.[8]

PHARMACOLOGY :

BrandNames: Azasite, Zithromax, Zmax, Zithromax Tri-Pak.

Type:

Small Molecule

Groups:

Approved

Weight :

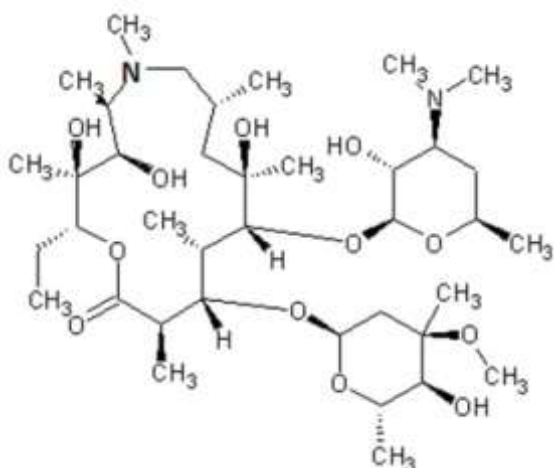
Average: 748.9845

Monoisotopic: 748.508525778

ChemicalFormula:

C₃₈H₇₂N₂O₁₂

STRUCTURE



PHARMACOKINETIC:

Absorbtion :

Azithromycin is an acid-stable antibiotic, so it can be taken orally with no need of protection from gastric acids. It is readily absorbed, but absorption is greater on an empty stomach. Time to peak concentration (T_{max}) in adults is 2.1 to 3.2 hours for oral dosage forms.[9]

The bioavailability of azithromycin is approximately 37%. Single oral 500 mg dose peak plasma concentration of about 0.35-0.45 mg/l are attained within approximately 2 hours.[10]

Distribution :

After oral administration, azithromycin is widely distributed in tissues with apparent steady-state volume of distribution of 31.1 L/kg. Due to its high concentration in phagocytes, azithromycin is actively transported to the site of infection.[11] During active phagocytosis, large concentrations are released. The concentration of azithromycin in the tissues can be over 50 times higher than in plasma due to ion trapping and its high lipid solubility.[citation needed] Azithromycin's half-life allows a large single dose to be administered and yet maintain bacteriostatic levels in the infected tissue for several days.[12] drug is concentrated within macrophages and polymorphonucleocytes, for effective active against chlamydia trachomatis.[13]

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 :

Macrolides inhibit bacterial protein synthesis. The mechanism of action of macrolides revolves around their ability to bind the bacterial 50S ribosomal subunit causing the cessation of bacterial protein synthesis.[17] It stops bacterial protein synthesis by inhibiting the transpeptidation/translocation step of protein synthesis and by inhibiting the assembly of the 50S ribosomal subunit.[18]

PHARMACODYNAMICS :

Macrolides stop bacterial growth by inhibiting protein synthesis and translation, treating bacterial infections. Azithromycin has additional immunomodulatory effects and has been used in chronic respiratory inflammatory diseases for this purpose.H.[19] influenzae mechanisms of resistance against macrolides include ribosomal methylase, intrinsic or acquired efflux pumps, and alterations in ribosomal proteins or RNA.[20]

ADMINISTRATION :

Azithromycin is available for both oral and parenteral dosage form. The usual dose of azithromycin is 250 mg or 500 mg given once daily for 3 to 5 days and in acute infection, a prescribed a higher dose is used.[21]

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 :

- Nausea
- 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 tablet is safest antibiotics, well tolerated and has special pharmacokinetic properties. Moreover it is broad spectrum antimicrobial properties. It is treatment useful as all type of infection. The drug is slowly, allowed for a high dose to be administered, reduced gastrointestinal side effects, increased the compliance of patients but did not change the pharmacokinetic properties.

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