# SUMMARY OF PRODUCT CHARACTERISTICS

# AZISURE (AZITHROMYCIN TABLETS USP 500 MG)

**1. NAME OF THE MEDICINAL PRODUCT:**

AZISURE
AZITHROMYCIN TABLETS USP 500 mg

**COMPOSITION:**
Each film coated tablet contains:

| | |
|---|---|
| Azithromycin Dihydrate USP | |
| Eq. to Azithromycin base | 500 mg |
| Excipients | q.s. |

Colour: Titanium Dioxide B.P.

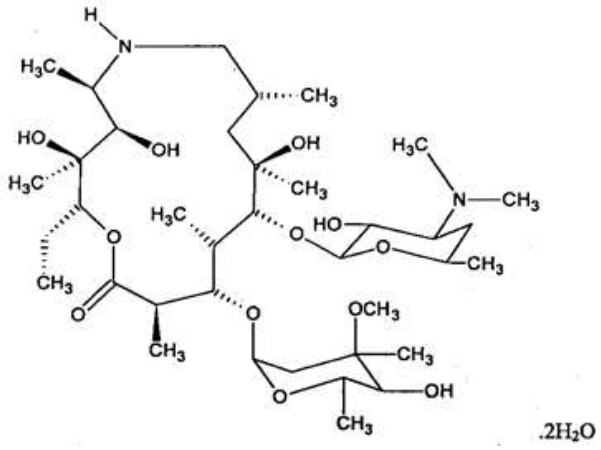
**2. QUALITATIVE AND QUANTITATIVE COMPOSITIONS:**

CHEMICAL NAME AND THE STRUCTURAL FORMULA OF EACH ACTIVE INGREDIENT:-

**AZITHROMYCIN DIHYDRATE**

**Chemical Name:**
1-cyclopropyl-6-fluoro-4-oxo-7-piperazin-1-ylquinoline-3-carboxylic acid; hydrate; Hydrochloride

**Chemical Structure:**




**Molecular Formula:** $C_{38}H_{72}N_2O_{12}.2H_2O$

**Molecular Weight:** 785.02 g/mol

**NAME AND QUANTITY OF EACH INGREDIENT:**

**UNIT DOSE**

| Ingredients | Qty./ Tab In mg | Use/Function |
|---|---|---|
| **Active Ingredient** | | |
| Azithromycin Dihydrate<br>eq to Azithromycin USP | 524.05<br>500.00 | Macrolide Antibacterial Drug |
| **In Active Ingredients** | | |
| Starch BP | 65.00 | Diluent |
| Dibasic Calcium Phosphate BP | 60.00 | Diluent |
| PVP K-30 BP | 10.00 | Binding Agent |
| Starch BP (Binding Agent) | 20.00 | Binding Agent |
| Sodium Benzoate BP | 1.00 | Preservative |
| Magnesium Stearate BP | 10.00 | Lubricant |
| Sodium Starch Glycolate BP | 7.00 | Disintegrant |
| Starch BP | 2.00 | Lubricant |
| Aerosil BP | 7.00 | Glidant |
| Talc BP | 17.00 | Glidant |
| Kyron T-314 Speci. | 2.00 | Super Disintegrant |
| Titanium Dioxide BP | 15.00 | Coloring Agent |
| Iso Propyl Alcohol BP | -- | Solvent |
| Methylene Choride BP | -- | Solvent |

**Reference:**
USP= United States Pharmacopeia
BP = British Pharmacopoeia
IHS= In- House Specification

**3. PHARMACEUTICAL FORMS:**
Oral film-coated tablets
White colored, capsule shaped film coated tablets breakline on one side and plain on other side

**CLINICAL PARTICULARS:**

**4. INDICATIONS FOR USE:**
Azithromycin is indicated for infection caused by susceptible organisms;

- In lower respiratory tract infections including bronchitis and pneumonia,
- In odontostomatological infections,
- In skin and soft tissue infections,
- In acute otitis media and in upper respiratory tract infections including sinusitis and pharyngitis.
- In sexually transmitted diseases in men and women, azithromycin is indicated in the treatment of uncomplicated genital infections due to chlamydia trachomatis. It is also indicated in the treatment of chancroid due to Haemophilus ducreyi and uncomplicated genital infection due to non-multiresistance Neisseria gonorrhea, concurrent infection with Trepnema pallidum should be excluded.
- Azithromycin is indicated, either alone or in combination with rifabutin, for prophylaxis against mycobacterium avium-intracellulare complex (MAC) infection, an opportunist infection prevalent in patients with advanced human immune deficiency virus (HIV).

Azythromycin is indicated in combination with ethambutol for the treatment of disseminated MAC (DMAC) infection in patients with advanced HIV infection.

**5. CONTRAINDICATIONS:**

The use of this product is contraindicated in patients with a history of allergic reactions to azithromycin or any of the macrolide antibiotics.

**6. WARNING AND PRECAUTIONS :**

As with erythromycin and other macrolides, rare serious allergic reactions including angioneurotic oedema and anaphylaxis (rarely fatal), have been reported.

**Pregnancy**

There are no adequate data from the use of azithromycin in pregnant women. In reproduction toxicity studies in animals azithromycin was shown to pass the placenta, but no teratogenic effects were observed. The safety of azithromycin has not been confirmed with regard to the use of the active substance during pregnancy. Therefore azithromycin should only be used during pregnancy if the benefit outweighs the risk.

**Breast-feeding**

Azithromycin has been reported to be secreted into human breast milk, but there are no adequate and well-controlled clinical studies in nursing women that have characterized the pharmacokinetics of azithromycin excretion into human breast milk.
Because it is not known whether azithromycin may have adverse effects on the breast-fed infant, nursing should be discontinued during treatment with azithromycin.

**7. SIDE EFFECTS:**

These common side effects of azithromycin happen are as below.
Nausea, vomiting, losing your appetite, headaches, feeling dizzy or tired, changes to your sense of taste.

**8. DRUG INTERACTIONS:**

Atorvastatin, Colchicine, Afatinib etc.

**9. DOSAGES AND ADMINISTRATION:**

Oral azithromycin should be administered as single daily dose. The period of dosing with regard to infection is given below.
Administration of azithromycin tablets following a substantial meal reduces bioavailability by at least 50%. Therefore, in common with many other antibiotics, each dose of the tablet should be taken at least 1 hour before or 2 hours after food.
Azithromycin tablets can be taken with or without food.

In Adults For the treatment of sexually transmitted diseases caused by Chlamydia trachomatis, Haemophilus ducreyl, or susceptible Niesseria gonorrhea, the dose is 1000 mg as a single oral dose.

For prophylaxis against MAC infections in patients infected with the human immunodeficiency Virus (HIV), the dose is 1200 mg once per week.

For the treatment of DMAC infections in patients with advanced HIV infections, the recommended dose is 600 mg once a day. Azithromycin should be administered in combination with other antimycobacterial agents that have shown in vitro activity against MAC, such as ethambutol at the approved dose.

For all other indications in which the oral formulation is administered, the total dosage of 1500 mg should be given as 500 mg daily for 3 days. As an alternative, the same total dose can be given over 5 days with 500 mg given on day 1, then 250 mg daily on days 2 to 5.

In Children:
The maximum recommended total dose for any treatment is 1500 mg for children. In general, the total dose of 30 mg/kg. Treatment for pediatric streptococci pharyngitis should be dosed at a different regimen.
The total dose of 30 mg/kg should be given as a single daily dose of 10 mg/kg daily for 3 days, or given over 5 days with a single daily dose of 10 mg/kg on day 1, then 5 mg/kg on days 2-5.
As an alternative to the above dosing, treatment for children with acute otitis media can be given as a single dose of 30 mg/kg.

For pediatric streptococcal pharyngitis, azithromycin given as a single dose of 10 mg/kg or 20 mg/kg for 3 days has been shown to be effective; however, a daily dose of 500 mg must not be exceeded. In clinical trials comparing these two dosage regimens, similar clinical efficacy was observed but greater bacteriologic eradication was evident at the 20 mg/kg per day dose.

Or As directed by Physician

**10. OVERDOSAGE:**
Adverse events experienced in higher than recommended doses were similar to those seen at normal doses. In the event of overdosage general symptomatic and general supportive measures are indicated as required.

**11. PHARMACOLOGY:**

Azithromycin is the first of a sub class of macrolide antibiotics known as azalides. The mode of action of azithromycin is inhibition of protein synthesis in bacteria by binding to the 50s ribozomal sub units and preventing translocation of peptides.
Azithromycin demonstrates activity invitro against a wide range of bacteria including;

- Gram-positive Aerobic Bacteria
- Gram-negative Aerobic Bacteria
- Anaerobic bacteria
- Organisms of Sexually transmitted Diseases
- Opportunistic Pathogens Associated with HIV infections

**12. PHARMACOKINETICS:**

**Absorption**
Following oral administration in humans, azithromycin is widely distributed throughout the body; bioavailability is approximately 37%. The time taken to peak plasma levels is 2 to 3 hours.

**Distribution**
Pharmacokinetic studies in humans have shown markedly higher azithromycin levels in tissues than in plasma (up to 50 times the maximum observed concentration in plasma), indicating that

the drug is heavily tissue bound. Concentrations in target tissues, such as lung, tonsil and prostate, exceed the $MIC_{90}$ for likely pathogens after a single dose of 500 mg.

Following oral administration of daily doses of 600 mg azithromycin, $C_{max}$ was 0.33 µg/ml and 0.55 µg/ml at Day 1 and Day 22, respectively. Mean peak concentrations observed in leukocytes, the major site of disseminated MAC infection, were 252 µg/ml (±49%) and remained above 146 µg/ml (±33%) for 24 hours at steady-state.

**Elimination**
Plasma terminal elimination half-life closely reflects the tissue depletion half-life of 2 to 4 days. Biliary excretion of azithromycin is a major route of elimination for unchanged drug following oral administration. Very high concentrations of unchanged drug have been found in human bile, together with 10 metabolites, formed by N- and O-demethylation, hydroxylation of the desosamine and aglycone rings, and cleavage of the cladinose conjugate.
Comparison of HPLC and microbiological assays in tissues suggests that metabolites play no part in the microbiological activity of azithromycin.

**13. STORAGE:**
Store in a cool & dry place below 25°C, Protected from light.
KEEP OUT OF REACH OF CHILDREN.

**14. SHELF-LIFE:**
24 MONTHS