

Ibitoye Esther Olamide(C.O)

15/MHS06/030

PHA 302 Assignment.

A protein synthesis inhibitor is a substance that stops or slows the growth or proliferation of cells by disrupting the processes that lead directly to the generation of new proteins. It usually refers to substances, such as antimicrobial drugs, that act at the ribosome level. The substances take advantage of the major differences between prokaryotic and eukaryotic ribosome structures which differ in their size, sequence, structure and the ratio of protein to RNA. The differences in structure allow some antibiotics to kill bacteria by inhibiting their ribosomes while leaving human ribosomes unaffected.

The mechanism of protein synthesis inhibitor

In general, protein synthesis inhibitors work at different stages of prokaryotic mRNA translation into proteins, like initiation, elongation (including aminoacyl tRNA entry, proofreading, peptidyl transfer, and ribosomal translocation) and termination.

Examples of drugs that inhibit protein synthesis in bacteria includes:

1. Aminoglycosides e.g is gentamicin
2. Fluoroquinolones e.g is ciprofloxacin
3. Macrolides e.g is azithromycin etc.

AZITHROMYCIN

Azithromycin is used to treat certain bacterial infections, such as bronchitis; pneumonia; sexually transmitted diseases (STD); and infections of the ears, lungs, sinuses, skin, throat, and reproductive organs. Azithromycin also is 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)]. Azithromycin is in a class of medications called MACROLIDE ANTIBIOTICS. It works by stopping the growth of bacteria. Antibiotics such as azithromycin will not work for colds, flu, or other viral infections.

Mechanism of Action

Binds to 50S ribosomal subunit of susceptible microorganisms and blocks dissociation of peptidyl tRNA from ribosomes, causing RNA-dependent protein synthesis to arrest; does not affect nucleic acid synthesis

Concentrates in phagocytes and fibroblasts, as demonstrated by in vitro incubation techniques; in vivo studies suggest that concentration in phagocytes may contribute to drug distribution to inflamed tissues.

INDICATION FOR USE.

Mild-to-moderate susceptible infections including acute bacterial exacerbations of COPD, acute bacterial sinusitis, acute otitis media, community-acquired pneumonia, pharyngitis/tonsillitis, uncomplicated skin and skin structure, urethritis, cervicitis, chancroid in men. Treatment and prophylaxis of *Mycobacterium avium* complex (MAC) infection.

DOSAGE:

Adult:

Use packets only for doses equal to 1g.

1. COPD: 500mg once daily for 3 days; or 500mg once for 1 day, then 250mg once daily for 4 days.
2. Sinusitis: 500mg once daily for 3 days.
3. Community-acquired pneumonia, pharyngitis/tonsillitis, skin and skin structure: 500mg once for 1 day, then 250mg once daily for 4 days.
4. Nongonococcal urethritis, cervicitis, chancroid: 1g as a single dose.
5. Urethritis, cervicitis due to *N. gonorrhoeae*: 2g as a single dose.
6. MAC prevention (alone or combined with rifabutin): 1200mg once weekly.
7. MAC treatment (combined with ethambutol): 600mg once daily.

Children:

Use oral susp (not packets).

1. Otitis media: <6mos: not established; ≥6mos: 30mg/kg as a single dose (max 1.5g); or 10mg/kg (max 500mg) once daily for 3 days; or 10mg/kg (max 500mg) once then 5mg/kg (max 250mg) per day for 4 days.
2. Sinusitis: <6mos: not established; ≥6mos: 10mg/kg (max 500mg) once daily for 3 days.
3. Community-acquired pneumonia: <6mos: not established; ≥6mos: 10mg/kg (max 500mg) once for 1 day, then 5mg/kg (max 250mg) once daily for 4 days.

4. Pharyngitis/tonsillitis: <2yrs: not established; ≥2yrs: 12mg/kg (max 500mg) once daily for 5 days.

Adverse Effects

>10%

High single dose therapy

- Diarrhea (52.8%)
- Nausea (32.6%)
- Abdominal pain (27%)
- Loose stool (19.1%)

1-10%

Elevated ALT, AST, creatinine (4-6%)

Elevated LDH, bilirubin (1-3%)

Community-acquired pneumonia

- Pain at injection site (6.5%)
- Diarrhea (4.3%)
- Nausea (3.9%)
- Local inflammation (3.1%)
- Abdominal pain (2.7%)
- Vomiting (1.4%)

Pelvic inflammatory disease

- Diarrhea (8.5%)
- Nausea (6.6%)
- Vaginitis (2.8%)
- Abdominal pain (1.9%)
- Anorexia (1.9%)
- Rash and pruritus (1.9%)

<1%

Dyspepsia

Flatulence

Mucositis

Oral Moniliasis

Gastritis

Headache

Somnolence

Bronchospasm

Taste perversion
Leukopenia
Neutropenia
Decreased platelet count
Elevated serum alkaline phosphatase