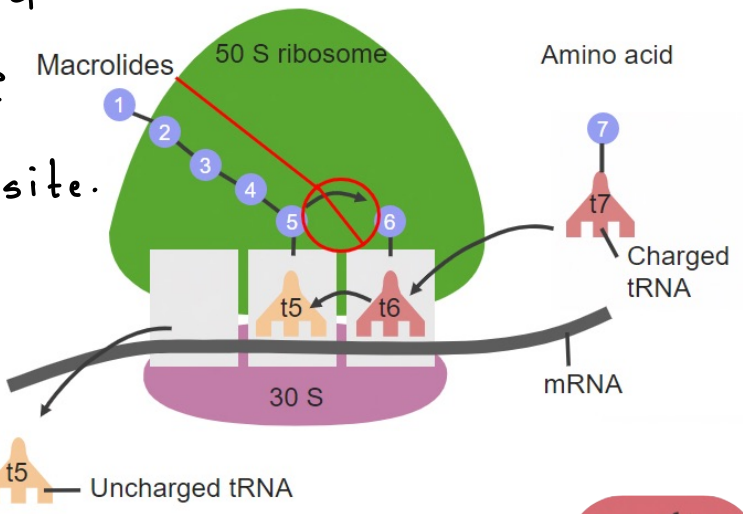
Drugs Inhibiting Protein Synthesis - 4

Macrolides

- Antibiotics having large cyclic lactone ring structure with attached sugars.
- Bind to 50s ribosome and block the translocation of peptide chain from A to P site.



- Drugs: Erythromycin, Azithromycin, Clarithromycin.

MedNotes

Clinical Use:

- Wide spectrum antibiotics
- Macrolides are Drug of Choice for (CLAW):
  - (i) Chancroid by Haemophilus ducreyi
  - (ii) Corynebacterium (diptheria)
  - (iii) Campylobacter
  - (iv) Legionella infections
  - (v) Atypical pneumonia
  - (vi) Whooping cough by Bordetella pertussis.

(vii) H. Pylori

(viii) Mycobacterium avium-intracellulare (MAC)

- Macrolides have anti-inflammatory action due to their effect on neutrophils and inflammatory cytokines.
- Spiramycin is DOC for Toxoplasmosis in pregnancy.

Pharmacokinetics:

- Well absorbed orally.
- Inhibit Cytochrome P450s.
- Erythromycin is excreted by Biliary route

  Clarithromycin is excreted by both Renal & Biliary Routes

  Azithromycin is excreted by Urine mainly.
- Erythromycin is administered 4 times a day

  Azithromycin is administered as Single daily dose.

Side Effects:

(i) GI distress due to stimulation of Motilin Receptors.

(ii) Reversible deafness at high dose

(iii) Increased QT interval.

(iv) Erythromycin estolate is implicated to cause Acute Cholestatic hepatitis esp. in Pregnant females.

(v) Intravenous Erythromycin → Reversible Ototoxicity.

Clindamycin

- Not a macrolide, but has same mechanisms of Action and Resistance.
- Narrow Spectrum : Gram +ve Cocci & Anaerobes.
- Concentration in bone has clinical value in osteomyelitis due to gram +ve cocci
- Side effect : Pseudomembranous colitis.