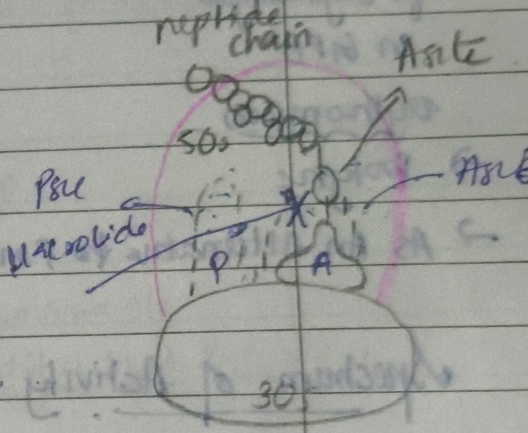
MACROLIDE AND OTHER ANTIBIOTICS: DRUGS FOR UTI & STD.

→ Erythromycin — Natural
→ Roxithromycin } semi synthetic
→ Clarithromycin }
→ Azithromycin.

Structure
- Macrolytic lactone Ring ≥1 Deoxy sugars
- Dimethyl group in sugar

ERYTHROMYCIN: — Streptomyces erythreus:

MOA → * Bacteriostatic at low/high concentration.
* Bacteriostdal at high concentration



- Narrow spectrum.
- Inhibit bac protein synthesis.
- Bind Reversibly to the subunit 50s of the bac Ribosome
- At or near chloramphenicol Binding site.
- Inhibit the Translocation of elongated peptide chain from Acceptor site (A) to peptidyl site (P site)

↓

Premature termination of protein synthesis.

NOTE: (VIVA)

The 1° target of Action of macrolides is the Nascent peptide exit tunnel on 50s Rib

↓

The macrolide Ring of the Antibiotics enters the NPET occluding it Partly but selectively, so translocation is blocked.

↓

protein synthesis is halted Prematurely.

RESISTANCE:

- enzyme
  - Methylase enzyme → by Alteration in RBS for erythromycin in GPB
  - Allocci by capacity to pumpit out
- Chromosomal mutation
  - Enterobacteriace by producing an erythromycin esterase enzyme.

erythromycin
→ Protyne
→ As An Alternative to Penicillin in → Penicillin Allergy
→ Penicillin Resistance.

Spectrum of Activity

GIBOrganism
- → Streptococcus pneumoniae
- → Streptococcus pyogenes
- → corynebacterium diphtheriae
- → listeria monocytogenes.
- → Bacillus Anthracis

Target the Respiratory of Intracellular Pathogens.

GINO
- → Bordetella Pertusis
- → Nisseria gonorrhea
- → meningitidis
- → Haemophilus influenzae
- → Helicobacter pylori.

Septrum of Activity of Erythromycin (contd.)-

ATYPICAL BACTERIA:

→ Mycoplasma pneumoniae
→ Chlamydia trachomatis
→ Rickettsiae
→ Chlamydia pneumoniae

USES:

1st choice Drug is
- → Atypical Pneumonia : due to Mycoplasma
- → Whooping cough.
  - → To eradicate B. pertussis from URTract
  - → 1-2 week course.
- → chancroid
  - - 2g/day for 7 days.

→ Streptococcal infection → Pharyngitis, tonsillitis, Pneumonia etc.
→ Prophylaxis of Rheumatic fever, sub Acute Bac endocarditis in Penicillin Allergy
→ Diphtheria.
→ Tetanus
→ leptospirosis

2nd choice of Drug:
- → Campylobacter enteritis
- → (legionnaire's Pneumonia)
- → Chlamydia, trachomatis inf.

ADR:

GIT → Epigastric distress, nausea, Anorexia.
NOTE → Erythromycin stimulate motilin Receptor in the GIT → Induce gastric contraction, hasten, gastric emptying & promote intestinal motility.
Can improve gastric emptying in case of diabetic gastroparesis
- - hepatotoxic for pregnant.

→ Reversible Hearing impairment
→ Hypersensitivity

Dis → Short t½
→ low oral Bio
→ Gastric Intolerance
→ Gastric Acid labiluty.

NEWER MACROLIDES: → Clarithromycin
→ Roxithromycin
→ Azithromycin.

Ad → Gastric Acid stability
→ long t½
→ less GI ADRs
→ Immunodulatory effects

CLARITHROMYCIN:

→ more Active than erythromycin
→ Additional Activity Against → Atypical Mycobacterium
Mycobacterium leprae
Plasmodium species.

Uses:

- Upper & lower Respiratory infections
→ Atypical Pneumonia.
→ whooping cough.

#6 TRIPLE DRUG THERAPY FOR H. PYLORI

Eradicate H. Pylori in 1-2 weeks.
To prevent Recurrent of peptic ulcer.

FDA Regimen → Clarithromycin 500mg + Lansoprazole 30mg + Amoxicillin 1g 2 daily for 2 weeks
1250
1250

1st line of drug in MAC infection (Mycobacterium Avium complex) of AIDS Patients.

2nd line of drug in leprosy.

ADR

→ Similar to erythromycin
→ less hepatotoxic
- QT Prolongation → C/I in Liver diseases, Meds, Diabetes.