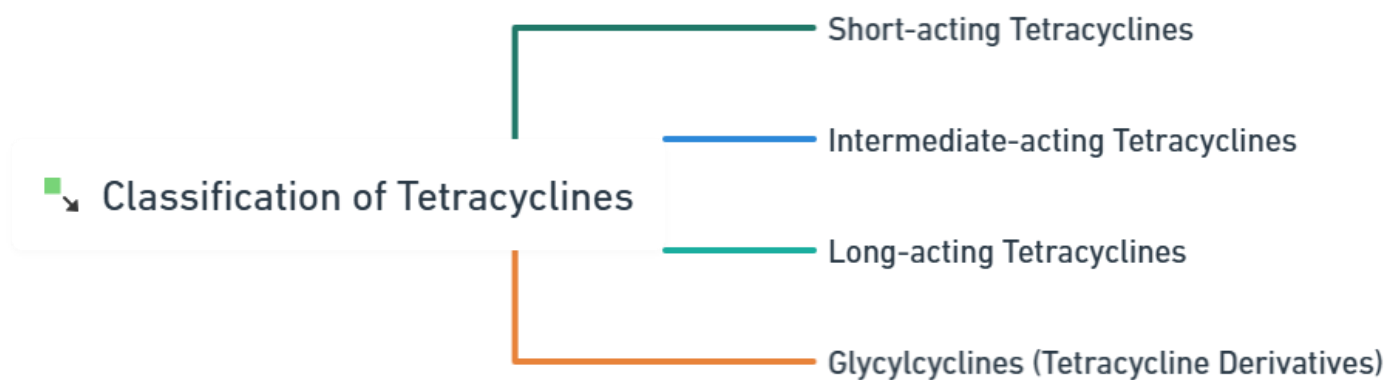


Tetracyclines

Introduction

- Tetracyclines are a group of broad-spectrum, bacteriostatic antibiotics that inhibit protein synthesis in bacteria.
- Discovered in the 1940s, they are effective against a wide variety of gram-positive, gram-negative, intracellular organisms, and atypical pathogens (e.g. *Mycoplasma*, *Chlamydia*, *Rickettsia*).
- They are named for their characteristic four-ring structure. Resistance to tetracyclines has become common, but some derivatives (like doxycycline and minocycline) remain widely used.

Classification



1. Short-acting Tetracyclines

- Tetracycline
- Oxytetracycline
- **Half-life:** 6–8 hours

2. Intermediate-acting Tetracyclines

- Demeclocycline
- **Half-life:** 12 hours

3. Long-acting Tetracyclines

- Doxycycline
- Minocycline
- **Half-life:** 16–20 hours

4. Glycylcyclines (Tetracycline Derivatives)

- Tigecycline
- Broader spectrum, effective against some resistant bacteria

Mechanism of Action

- **Tetracyclines:**
 - Bind reversibly to the 30S subunit of bacterial ribosomes
 - Inhibit the binding of aminoacyl-tRNA to the mRNA-ribosome complex
 - This prevents the addition of amino acids to the growing peptide chain
 - **Inhibits protein synthesis**
- **Bacteriostatic** effect

Pharmacokinetics (ADME)

Absorption:

- Oral absorption varies:
 - Good: **Doxycycline, Minocycline**
 - Poorer: **Tetracycline**, especially with food
- Absorption is reduced by divalent and trivalent cations (Ca^{2+} , Mg^{2+} , Fe^{3+} , Al^{3+}) found in antacids, dairy, and iron supplements

Distribution:

- Widely distributed, including lungs, prostate, intracellularly
- Cross placenta, found in teeth and bones
- Enter CNS in low concentrations (Minocycline achieves better levels)

Metabolism and Excretion:

- **Tetracycline:** Excreted renally (dose adjustment in renal failure)
- **Doxycycline and Minocycline:** Mainly excreted in bile and feces (safe in renal failure)

Pharmacodynamics

- Bacteriostatic
- Time-dependent activity
- Inhibits growth, allowing host immune system to eliminate infection
- Effective against both extracellular and intracellular pathogens

Antimicrobial Spectrum

Gram-positive bacteria

- *Streptococcus*, *Staphylococcus* (including some MRSA strains)

Gram-negative bacteria

- *Haemophilus influenzae*, *Brucella*, *Vibrio cholerae*

Atypical organisms

- *Mycoplasma pneumoniae*
- *Chlamydia trachomatis*, *C. pneumoniae*
- *Rickettsia* (typhus, Rocky Mountain spotted fever)
- *Coxiella burnetii* (Q fever)

Other organisms

- *Borrelia burgdorferi* (Lyme disease)
- *Treponema pallidum* (alternative to penicillin in syphilis)
- *Helicobacter pylori* (part of combination regimens)
- *Plasmodium* spp. (adjunct in malaria treatment)

Therapeutic Uses

1. Respiratory tract infections

- Atypical pneumonia (*Mycoplasma*, *Chlamydia*)

2. Sexually transmitted infections

- Chlamydia, syphilis (penicillin allergy), pelvic inflammatory disease

3. Rickettsial infections

- Typhus, Rocky Mountain spotted fever

4. Lyme disease – *Borrelia burgdorferi*

5. Acne vulgaris – especially long-term doxycycline or minocycline

6. Malaria prophylaxis and treatment – doxycycline

7. Periodontal infections

8. H. pylori eradication regimens

9. Plague, tularemia, and brucellosis (with other agents)

Adverse Effects

1. Gastrointestinal Distress

- Nausea, vomiting, epigastric pain
- Esophageal irritation (doxycycline) – advise taking with water and staying upright

2. Photosensitivity

- Skin rash and sunburn with sun exposure (especially doxycycline)

3. Teeth and Bone Effects

- Permanent yellow-brown discoloration of teeth
- Inhibition of bone growth in fetuses and children

- Contraindicated in children <8 years and pregnancy

4. Superinfections

- Overgrowth of non-susceptible organisms (*Candida*, *Clostridioides difficile*)

5. Hepatotoxicity

- Especially in high doses or pregnancy

6. Nephrotoxicity

- Mostly with outdated tetracycline → **Fanconi syndrome** (renal tubular dysfunction)

7. Vestibular Toxicity

- With **minocycline**: dizziness, vertigo

Contraindications

- **Pregnancy** (risk to fetus: teeth staining, bone growth suppression)
- **Children <8 years old**
- **Renal failure**: avoid tetracycline (but doxycycline is safe)
- Hypersensitivity to tetracyclines

Drug Interactions

- **Antacids, iron, dairy products**: reduce absorption (chelation)
- **Oral contraceptives**: may reduce effectiveness
- **Warfarin**: may enhance anticoagulant effect (inhibits vitamin K synthesis)
- **Retinoids** (e.g., isotretinoin): increased risk of intracranial hypertension

Dose and Route of Administration

Tetracycline

- 250–500 mg orally every 6 hours
- Taken on an **empty stomach**, 1 hour before or 2 hours after meals

Doxycycline

- 100 mg orally or IV every 12 hours
- Used widely due to once or twice daily dosing, good GI tolerance

Minocycline

- 100 mg orally every 12 hours

Note: Always advise patients to take tetracyclines with a full glass of water and remain upright for 30 minutes to prevent esophageal irritation.



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