D.PHARM • FIRST YEAR

Pharmaceutical Chemistry

CHAPTER 12

Antibiotics



ENGLISH CHAPTER NOTES

DIPLOMA IN PHARMACY

ANTIBIOTICS: INTRODUCTION & CLASSIFICATION

DEFINITION

Antibiotics are antibacterial medicines that kill bacteria or stop their growth. They treat bacterial infections; they do not treat viral colds or influenza.

KEY MILESTONES

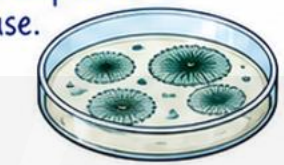
1909

1909: Paul Ehrlich introduced Salvarsan, an early synthetic antimicrobial for syphilis.



1928

1928: Alexander Fleming observed penicillin from Penicillium; Florey and Chain later developed it for clinical use.



Penicillium

1940–1960

1940–1960: streptomycin, tetracyclines, chloramphenicol and many other antibiotics became available.



Present

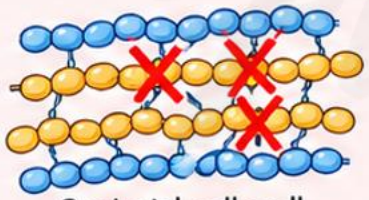
Present: resistance caused by selection pressure from misuse and overuse makes antimicrobial stewardship essential.



CLASSIFICATION BY MAIN TARGET

β-Lactams:

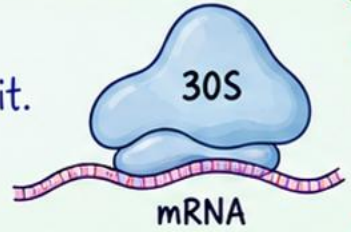
- inhibit PBPs and bacterial cell-wall cross-linking.
- **Examples:** penicillins, cephalosporins, carbapenems, monobactams.

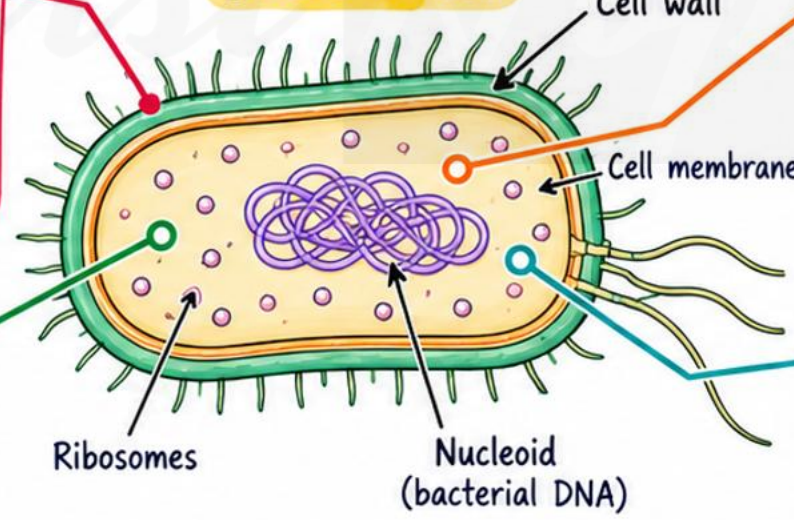


Bacterial cell wall (peptidoglycan)

Aminoglycosides:

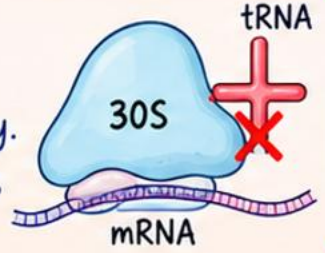
- bind 30S ribosomal subunit.
- Examples: Streptomycin, Neomycin, Kanamycin.





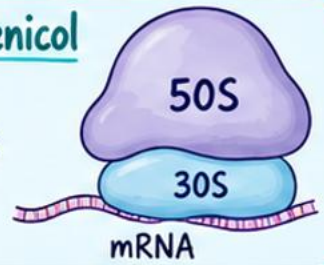
Tetracyclines:

- bind 30S and block aminoacyl-tRNA entry.
- Examples: Doxycycline, Minocycline.



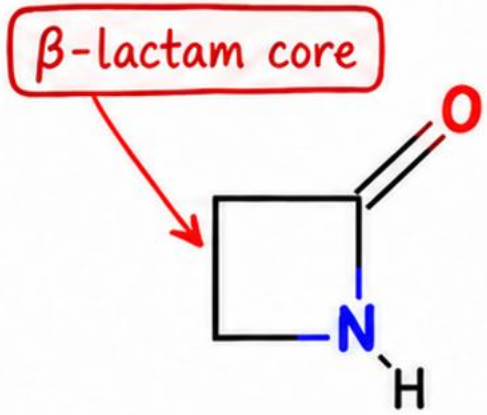
Macrolides, Chloramphenicol and Clindamycin:

- act mainly at the 50S ribosomal subunit.



Use antibiotics only when indicated and exactly as prescribed.

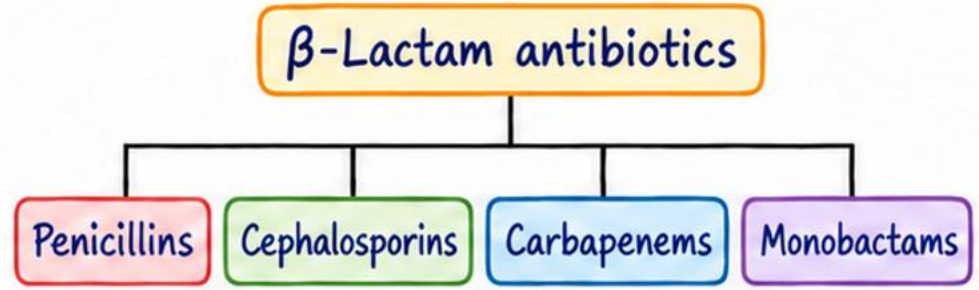
BETA-LACTAM ANTIBIOTICS: CHEMISTRY & SAR



CORE CHEMISTRY

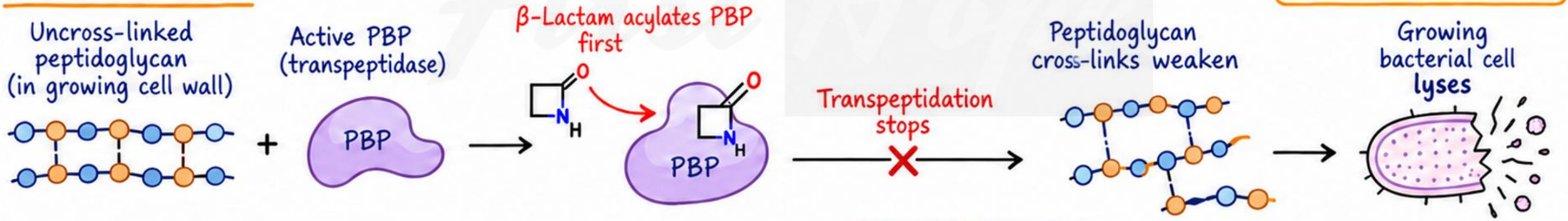
- A β-lactam is a strained four-membered cyclic amide. The intact ring is essential for antibacterial activity.
- Penicillins contain a β-lactam fused to a thiazolidine ring; cephalosporins contain a fused dihydrothiazine ring.
- Main families: Penicillins, Cephalosporins, Carbapenems and Monobactams. β-Lactamase inhibitors protect suitable partner antibiotics.

β-LACTAM ANTIBIOTIC FAMILIES



β-Lactamase inhibitors protect suitable partner antibiotics.

MECHANISM



STRUCTURE – ACTIVITY RELATIONSHIP

- Intact β-lactam ring: required for acylation of PBPs.
- R side chain: changes antibacterial spectrum, acid stability, β-lactamase resistance and pharmacokinetics.
- Fused-ring changes alter strain, stability and reactivity.

DEGRADATION

- β-Lactam + H_2O → ring-opened inactive product.

β-Lactam + H_2O → **RING-OPENED INACTIVE PRODUCT**

- Acid, alkali or β-lactamase can accelerate hydrolysis; some cephalosporins also undergo oxidation.

PENICILLIN G (BENZYLPENICILLIN)

CLASS & CHEMICAL NAME

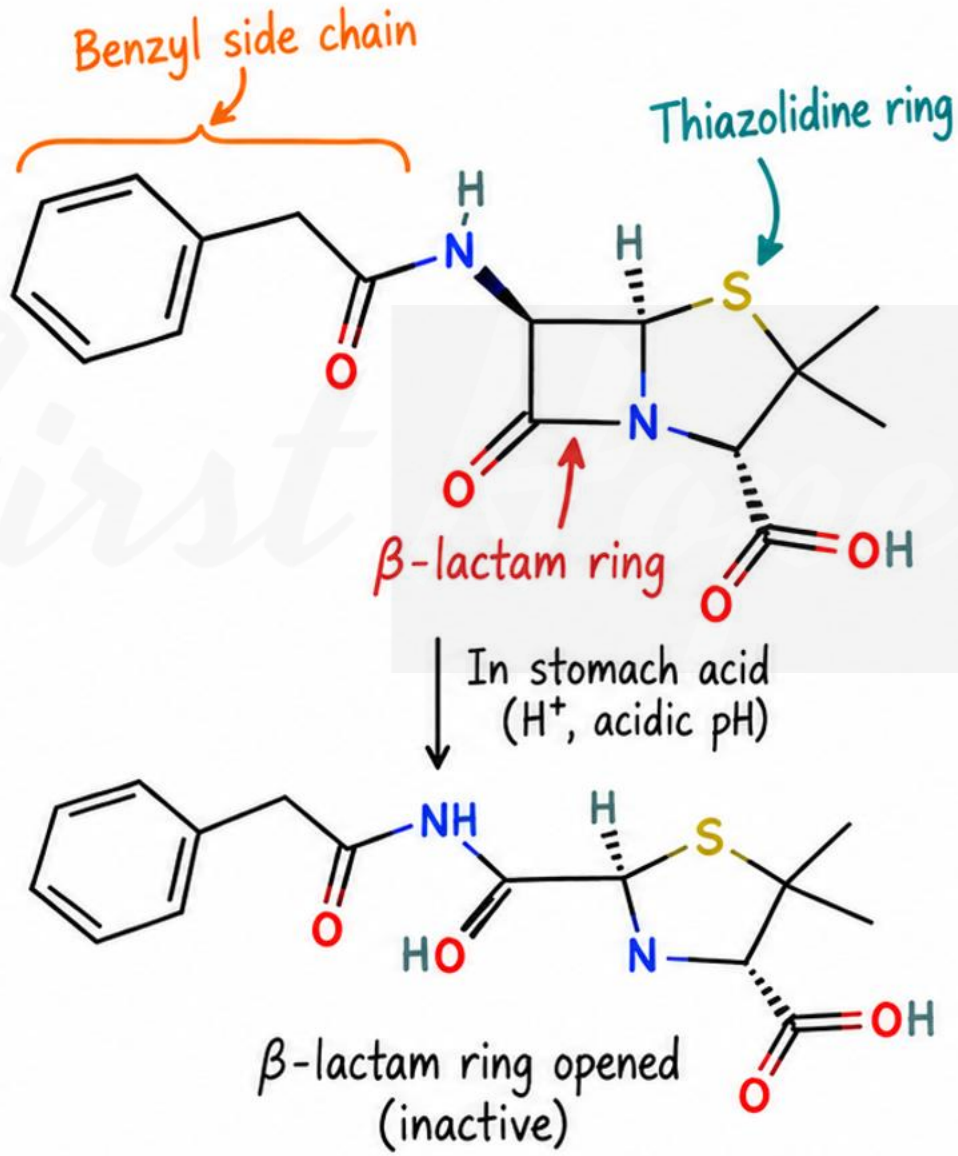
- Natural penicillin; bactericidal β-lactam antibiotic.
- (2S,5R,6R)-3,3-dimethyl-7-oxo-6-[(phenylacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid.

MECHANISM

- Binds PBPs → prevents final peptidoglycan cross-linking → cell-wall failure and lysis in actively growing susceptible bacteria.

IMPORTANT USES

- Susceptible streptococcal and pneumococcal infections; meningococcal infection; syphilis; selected diphtheria and anthrax regimens.



STABILITY & STORAGE

- Acid-labile, so ordinary Penicillin G is given parenterally.
- Keep dry powder airtight and below 25°C; protect prepared solution as the product label directs.

FORMS

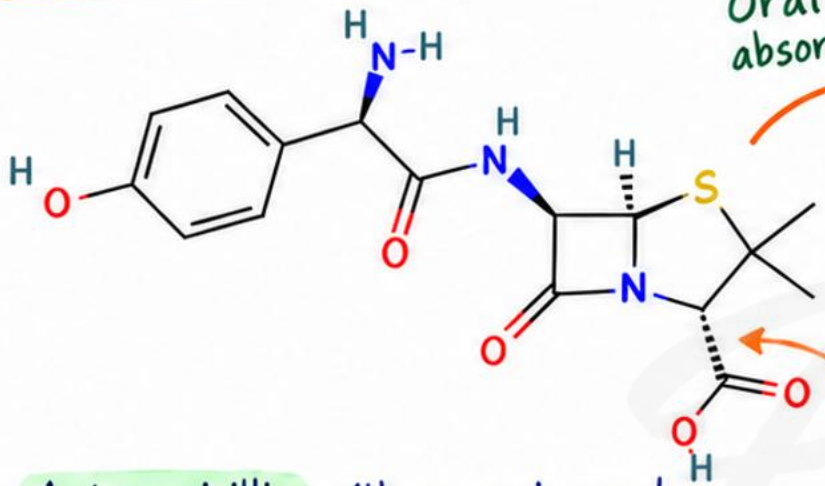
- Penicillin G sodium or potassium for IV/IM use; benzathine Penicillin G is a long-acting IM depot form.

Major caution:

- immediate or delayed hypersensitivity can occur.

AMOXICILLIN & CLOXACILLIN

AMOXICILLIN

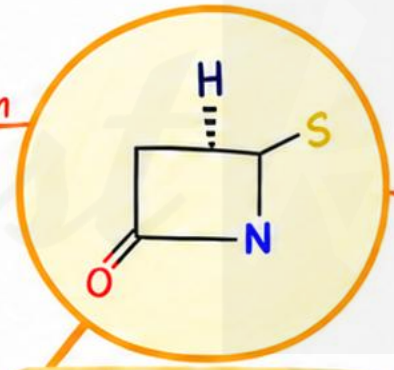


Oral absorption



Amoxicillin (oral) → well absorbed from GIT

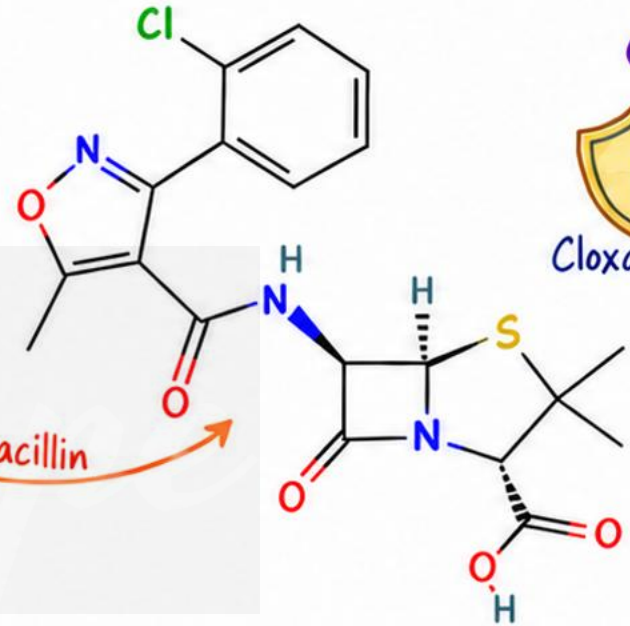
in Amoxicillin

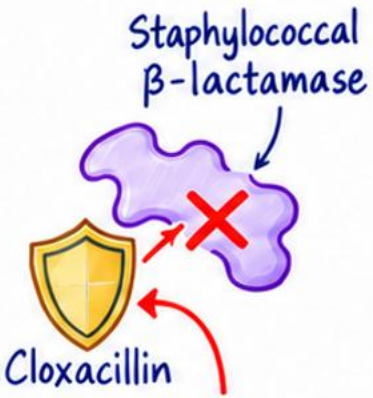


β-lactam ring (common to both)

in Cloxacillin

CLOXACILLIN





- **Aminopenicillin** with an amino and p-hydroxyphenyl side chain; acid-stable and orally active.
- **Uses:** susceptible respiratory, urinary, skin and soft-tissue infections; *H. pylori* combination therapy; selected endocarditis prophylaxis.
- **Forms:** 250 mg or 500 mg capsules/tablets; 125 mg/5 mL or 250 mg/5 mL suspension; often combined with clavulanic acid.
- **Store** solid forms dry below 25°C. Follow the label for reconstituted suspension storage and discard time.

- **Isoxazolyl penicillin.** Its bulky side chain gives resistance to many staphylococcal penicillinases; it is not active against MRSA.
- **Uses:** susceptible penicillinase-producing staphylococcal skin, soft-tissue, bone, joint and endovascular infections.
- **Forms:** 250 mg or 500 mg capsules; 125 mg/5 mL oral liquid; injectable forms where available.
- **Store** airtight below 25°C. Reconstituted liquid needs label-specific refrigeration and discard instructions.

Both inhibit PBPs; allergy and inappropriate use remain important cautions.

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