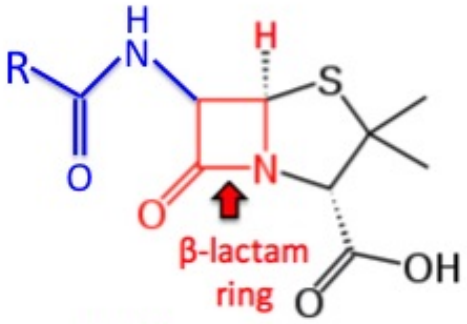
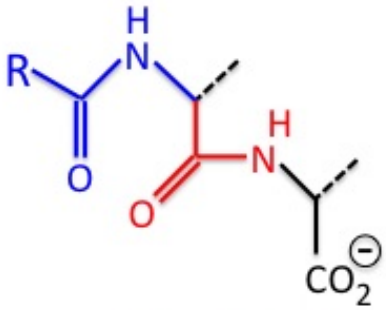
Drugs Inhibiting Cell Wall Synthesis - 1

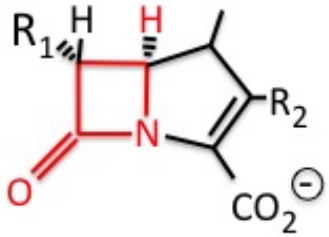
- All cell wall synthesis inhibitors are Bactericidal.
- They are divided into β-lactams & non-β lactam drugs.
- β lactam antibiotics are those drugs that contain β-lactam ring, include:
  - (a) Penicillins
  - (b) Cephalosporins
  - (c) Monobactams
  - (d) Carbapenems.



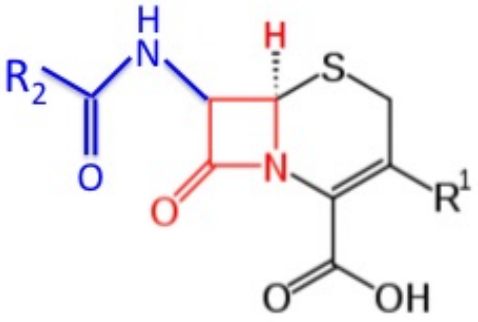
penicillins



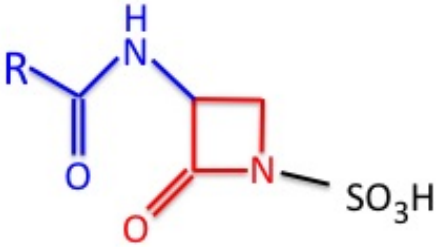
acyl-D-Ala-D-Ala (cell wall precursor)



carbapenems



cephalosporins



monobactams

MedNotes

Penicillins

- **Mechanism of Action** - Penicillins interact with cytoplasmic membrane-binding proteins (PBPs) to inhibit transpeptidation reactions involved in cross-linking, the final step in Cell-wall synthesis.

- **Mechanism of Resistance :**

  (a) Penicillinases (β-lactamases) break lactam ring. (As in Staphylococci.)

  (b) Structural changes in PBPs of Bacteria. (As: methicillin-resistant Staphylococcus aureus [MRSA], penicillin-resistant pneumococci.)

  (c) Changes in Porin structure. (As: Pseudomonas)

- **Overcoming Resistances / shortcomings :**

  (a) Activity of Penicillin enhanced if used in combination with β-lactamase inhibitors. (clavulanic acid, sulbactam)

  (b) Acid Resistant Penicillins: [VODKA]

  V - Penicillin V
  O - Oxacillin
  D - Dicloxacillin
  K - Cloxacillin
  A - Amoxycillin

(c) Penicillanse Resistant Penicillins :

C - Cloxacillin
O - Oxacillin
N - Nafcillin
D - Dicloxacillin
M - Methicillin

[CONDOM]



(d) Anti-Pseudomonal Penicillins :

C - Carbenicillin
T - Ticarcillin
M - Mezlocillin
A - Azlocillin
P - Piperacillin

[CT MAP]

(e) Penicillin G is short acting thus, benzathine and procaine group can be added to make it long acting.

As: Benzathine penicillin G - longest Acting Penicillin.

- **Pharmacokinetics :**

  - One gram of Penicillin is equivalent to 1.6 million units.
  - Most are eliminated via active tubular secretion.

- Nafcillin and Oxacillin eliminated largely in Bile.
- Ampicillin undergoes Enterohepatic cycling, but excreted by Kidney.
- Benzathine penicillin G - with $t_{1/2}$ - 2 weeks.

. **Side effects :** (a) Hypersensitivity (in 5-7%)



↓

From Urticarial skin Rash to Anaphylaxis are possible.

(b) GI distress, esp. Ampicillin

(c) Jarisch-Herxheimer Reaction in treatment of Syphilis.

. **Clinical Uses :**

Subclass	Activity Spectrum & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Penicillins			
Narrow spectrum			
Penase-susceptible Penicillin G Penicillin V	Streptococcal and meningococcal infections • syphilis	Rapid renal elimination; short half-lives necessitate frequent dosing • some biliary clearance of nafcillin and oxacillin	Hypersensitivity reactions (~5–6% incidence) • assume complete cross-reactivity; GI distress and maculopapular rash (ampicillin)
Penase-resistant Nafcillin Oxacillin	Staphylococcal infections		
Wider spectrum (+/–) penicillinase inhibitor	Greater activity vs gram-negative bacteria		
Ampicillin Amoxicillin Piperacillin Ticarcillin	All penicillins (and cephalosporins) are bactericidal		

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