## Penicillin

| Natural | Semisy-nthetic | Ampicillin ester/prodrug | Active agansit pseudo-monas |
|---|---|---|---|
| 1. **Benzyl penicillin (penic-illin G)** | 1. **Ampicillin & Amoxyc-illin** | **Bacampicillin** | **Carbenicillin-Ticaricillin--Sulbenicillin** |
| Not suitable orally; Resistance occurs; narrow spectrum to G+ | Orally active due to EWG on acylamino side chain. | Ester from COOH prevents its ionization--->increased apsorption | Have COOH (acidic/p-olar)gp that increases G- activity. |
| Can be in salts with organic amines to have longer duration but only IM: | 2. **Methicill-in-Nafcillin-oxacillin** | Broken down into active form in bacteria by estrase. | Ester prodrugs can be formed to increase oral activity. |
| Procaine penici-llin: 12 Hr | B-lactamase resistant penicillin by R being a bulky gp that stearic hindrance preventing attachment of B-lactamase. | | |
| Benzathine penicillin: 2-3 weeks | Methicillin & Nafcillin: Orally inactive. | | |
| | Oxacillin(s): B-lactamase resistant + orally active | | |
| | Temocillin: strong B-lactamase resistance but only parentral route. | | |
| | 3. **Ampicillin & amoxicillin** | | |

## Penicillin (cont)

Broad spectrum (on G- too) because of a-amino gp as EWG and increasing hydrophilicty.

## B-lactamase inhibitors

Clauvulinic acid-sulbactam

Have a B-lactam ring but irreversibly alkylate the B-lactamase enzyme in a mechanism based inhibition.

Augmentin: Amoxicillin + Clavulinic acid

Unacyn: Ampicillin + sulbactam

## Tetracyclines

| **Sancycline** | **Democlocycline** | **Minocy-cline** | **Rolitetracycline** |
|---|---|---|---|
| Natural parent compound; no clinical benefit | Natural, stable | Semisy-nthetic | Prodrug of N-mannich base of tetracycline |
| | Starting compound for minocycline semi-synthesis | -For red-bumbs and pimples | Semisynthsis with tetracycline in a mannich reaction. |
| | | -For bacterial infections | - More soluble and less irritating than others so suitable as IV and IM |

## Glycylcyclines

New class; lack the clinical resistance issues of tetracyclines

**Tigecycline "tygacil"**: broad spectrum

used for complicated intra-abdominal infections



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## Macrolide antibiotics

Large lactone ring of 12-17 atoms (macrolide) with 2 characteristic sugars attached to a 14-membered ring.

**Erythromycin:** Given as a film coated tablet to avoid GI acid causing hemiketal formation. can also be given in iral suspension as erythromycin stearate salt.

**Clarithromycin**: more acid stability.

**Azithromycin/Azalide**: from semi-synthetic ring expansion of erythromycin in a Beckmann rearrangement.

## Cephalosporins

| Gen 1 | Gen 2 | Gen 3 | Gen 4 |
|---|---|---|---|
| **Cepalexin** | **Cefaclor** | **Ceftibuten** | **Cefpirome & Cefepime** |
| 7-ADCA deriv. | 7-ADCA deriv. | 7-ADCA | -- |
| Orally active | Orally active | Orally active | Parenteral only |
| | **Cefuroxime** | B-lactamase resistant | B-lactamse resistant |
| | 7-ACA deriv. | | Broad spectrum activity including p.aueroginosa, G+ and G-. |
| | Not orally active (parenteral) | | |
| | **Prodrug: Cefuroxime axetil** | | |
| | COOH becomes an ester with axetil gp that increases lipophilicty--> better oral bioavialability | | |

## Carbapenems

| **Thienamycin** | **Imipenem** | **Meropenem** | **Biapenem** | **Doripenem** |
|---|---|---|---|---|
| B-lactamase resistant: Alpha-hydroxyethyl side chain at position 6. | Semisynthetic; is a N-formimino thienamycin that's chemically stable . | Synthetic | 2nd gen carbapenems | Newest |
| Natural, broad spectrum, B-lactamase resistant and inhibitor | Taken with **Cilastatin**: a DHP-1 inhibitor (enzymatica stability) | No cilastatin needed with it due to side gp on position 3 and 4. | Broad spectrum; DHP-1 stable & B-lactamase resistant | Broad spectrum;- DHP-1 stable & B-lactamase resistant |
| Unstable; Susceptible to in-vivo deactivation by DHP-1 (t1/2=-30sec) | | Parenteral | Parenteral | Parenteral |
| | | For meningitis, sepsis, pneumonia, intrabdominal infection and anthrax | | Potent against pseudomonas. |

## Aminoglycosides/aminocyclitols

protein synthesis inhibitors.

Water-soluble that must be given parenteral for systemic effect but can be given orally for a local GIT anti-septic effect.

**Streptomycin**

Drug-drug interaction:

Aminoglycosides + B-lactam= inactive compound that results in hearing loss, ototoxicity & nephrotoxicity.



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