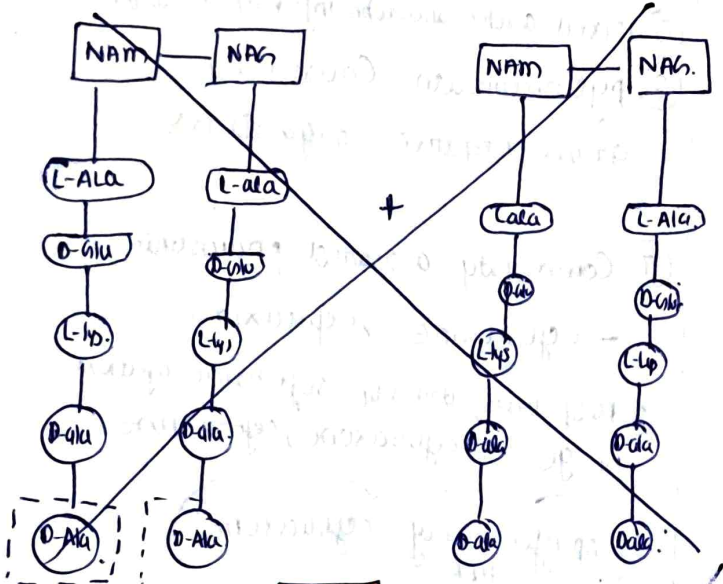


Cephalosporins.

- β lactam antibiotics.
- Semisynthetic derivative of Cephalosporium acremonium fungus.

MOA



MOA

Cephalosporins bind to β_1 . Inhibit.

PBP's { transpeptidase } enzymes.

Inhibit cross linking between D-ala - L-lys. { transpeptidation }.

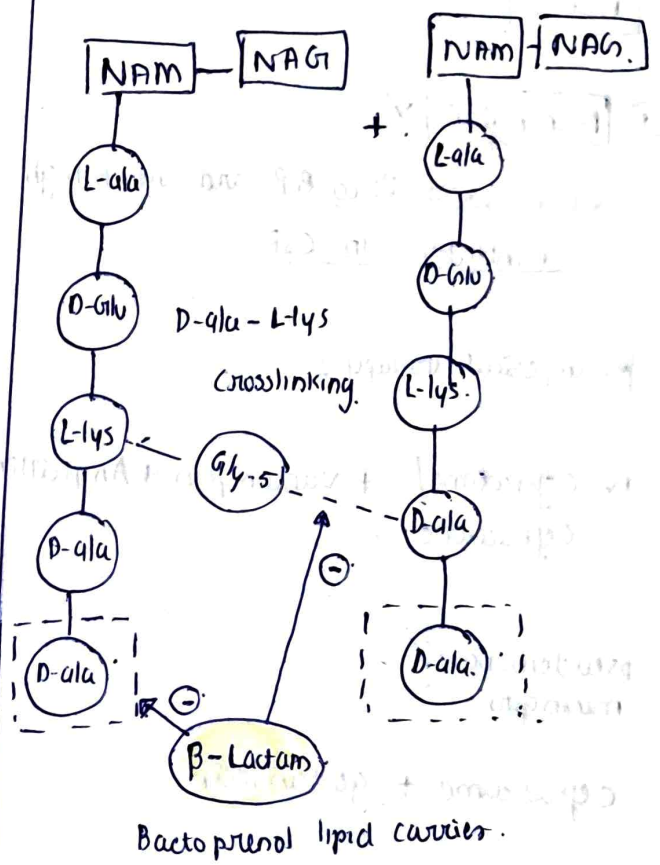
Inhibit cleavage of terminal D-ala.

Inhibit cell wall synthesis.

Cell wall deficient forms.

Bacterial lysis. Bactericidal effect.

Figure 1 S.C



β -lactams are structural analogues of D-alanine.

1/11/20

USES and ADR

Table

Third generation { ceftriaxone }

USES

① Meningitis ✓

• Can cross Blood BB and reach high concentrations in CSF.

for empirical therapy:

IV Cefotaxime / Ceftriaxone + Vancomycin + Ampicillin.
{ listeria }

pseudomonas meningitis:

ceftazidime + gentamicin.

② Gonorrhoea

Ceftriaxone is the drug of choice.

(250 mg IM)

③ Typhoid fever - multidrug resistant typhoid fever.

IV ceftriaxone & cefoperazone.

→ it's ~~the~~ most reliable in enteric fever.

→ preferred over FQ for empirical therapy { ~~antibiotic resistance~~ }.

③ prophylaxis and treatment of infections in neutropenic patients - Cefazidime or other 3rd gen.

④ septicemias caused by gram-negative organisms.

⑤ alternative drug in syphilis - ceftriaxone.

⑤ mixed aerobic-anaerobic infections in cancers, colorectal cancer

⑥ pyelonephritis caused by gram negative organisms.

⑦ Community acquired pneumonia - ceftriaxone, cefotaxime.

⑧ Resp tract, urinary, soft tissue infections by G⁻ → ceftriaxone, cefotaxime.

specific use of ceftriaxone ADR

USES

- CAP
- bacterial meningitis
- gonorrhoea. DOC, chancroid.
- multi drug resistant typhoid.
- abdominal sepsis, septicemias.
- syphilis - alternative drug.
- resp tract, UT, skin & soft tissue by G⁻

C
M
G
S
T
R

Specific ADR

- Hypoprotrombinemia.
- bleeding.
- Hemolysis.
- disulfiram-like reaction
↓
cefoperazone.

Important features of 3rd generation

- all are highly resistant to β -lactamase from gram negative bacteria.
- augmented activity against gram negative.

pseudomonas inhibition by \rightarrow Cefoperazone, ceftazidime.

✓ salmonella \rightarrow cefoperazone, ceftriaxone.

- less active on g^+ cocci & anaerobes.
- cross BBB - reach high con on CNS.

Second generation cephalosporins & uses.

write classification.

features - Gram positive ++ ^{ except enterococci } MRSA

- more active against g^- than g^+ Gram.

(E. coli, Klebsiella, H influenzae, etc.)

- Some are effective against anaerobes including Bacteroides fragilis. { cefoxitin }

- Not effective against - pseudomonas, Salmonella.

- Cefuroxime - cross BBB.

- ~~cross BBB to staphylococci & pneumococci~~

MOA \rightarrow Same.

uses

~~uses~~

uses

① Respiratory tract infections.

by g^- organisms.

\downarrow
(Otitis media, sinusitis)

\downarrow
oral cefuroxime axetil can be used.

② Mixed aerobic-anaerobic infections in cancer patients, colorectal surgery, obstetric complications.

\downarrow
cefuroxime, cefaclor.
{ or one of 3rd gen }

ADR - harmful to all generations

① Hypersensitivity - m/c adrs.

Skin rashes, urticaria.

② GI disturbances - mainly diarrhoea.

③ Superinfection.

FOURTH GENERATION

- cefepime. ^{parenteral.}
- ceftiofur.

MOA ✓

Features

• resistance to β lactamases produced by g^- — (Max) *

- high potency against enterobacteriaceae.
- effective against pseudomonas ✓.

✓ cross BBB.

- spectrum of action similar to 3rd generation.

USES

- reserve drugs for hospital acquired resistant infections. —
sepsis, HA pneumonia etc. VAP HAP.
- Cefepime is more potent than 3rd gen against β lactamase producing enterobacteriaceae.
- febrile neutropenia. {cefepime}.
- Hospital acquired infections, especially respiratory & other intensive care units, 4th gen / 3rd gen.
+
Vancomycin.

ADR

- HSR ^{General.}
- GI upset.
- superinfection
- thrombophlebitis in IV.
- pain after IM injections.
- * Neurotoxicity in renal patients.
- * ~~positive coombs test (rare)~~

FIRST GENERATION

- high activity against g^+
- weak g^- activity.
- pseudo, salmon X.
- quite susceptible to Staphylococcal β lactamase.

USES

- ① Surgical prophylaxis.
Just gen are popular drugs ✓
cefazolin -iv/im
- ② as alternative to penicillin
in ENT, upper resp, cutaneous infections, — one of the first gen is used.

ADR

- HSR
- GI - oral
- Superinfection.
- Thrombophlebitis m IV
- pain - IM.

4th Generation - MRSA-only group.

- Ceftriaxone fosamil
- Cefotaxime medocarel.
- active against MRSA ✓ {only this group}
- p/oxo

USES

- CAP due to penicillin resistant Strep. pneumoniae → ceftriaxone fosamil
- HAP → cefotaxime medocarel.

★ complicated skin & soft tissue infections due to MRSA
 ★ penicillinase producing staph. aureus infection
 ↓
 Ceftriaxone fosamil.

ADR

- HSR
- GI - oral X
- superinfection.
- IV thrompho
- pain IM.

ADR of cephalosporins

- ① Hypersensitivity : most common ADR reactions
 ↓
 skin rash, urticaria.
 Shows cross-reactivity with penicillins
 m/c - cefalexine, cefixime.
- ② GI upset diarrhoea - oral drugs.
 paracetamol cefoperazone {Bile excretion}
- ③ Pain at site of IM injection
- ④ Thrombophlebitis - IV.
- ⑤ disulfiram like reaction in cefoperazone.
- ⑥ Neutropenia & thrombocytopenia. (rare) → ceftazidime.
- ⑦ hypoprothrombinemia.
 ↓ causes bleeding.