Sulphonamides

Cotrimoxazole-Trimethoprim Combination

Bactrim, Septrin, Balakatrin

-Still used.
-Very effective fixed combination.
-No resistance.
-Very useful in UTI, RTI, Salmonella, & Pneumocystis pneumonia.

Almost obsolete nowadays because of

Bacterial resistance

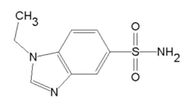
Bacteriostatic

Toxicity

1.Nausea
2.Rashes
3.Blood dyscrasia
4.Precipitation (crystallization) in urinary tract & stone formation.

Prevent normal bacterial utilization of PABA for the synthesis of folic acid

structural analogs & competitive antagonists of para-aminobenzoic acid (PABA)



Quinolones

Nalidixic Acid

urinary antiseptic

Norfloxacin

Used only for UTI
3-day course

Fluoridated 4-Quinolones

ciprofloxacin (CIPRO)

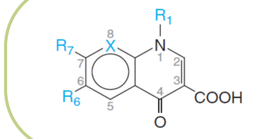
-Wide range of activity, even Botulinum.
-Expensive.
-Prophylaxis for meningitis.
-Can cause GI upset & epilepsy.

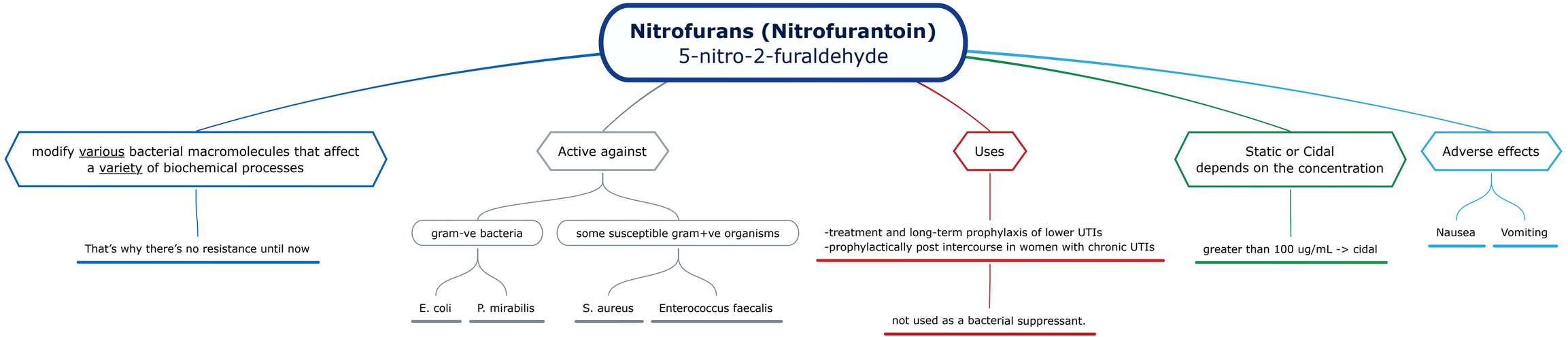
moxifloxacin (AVELOX)

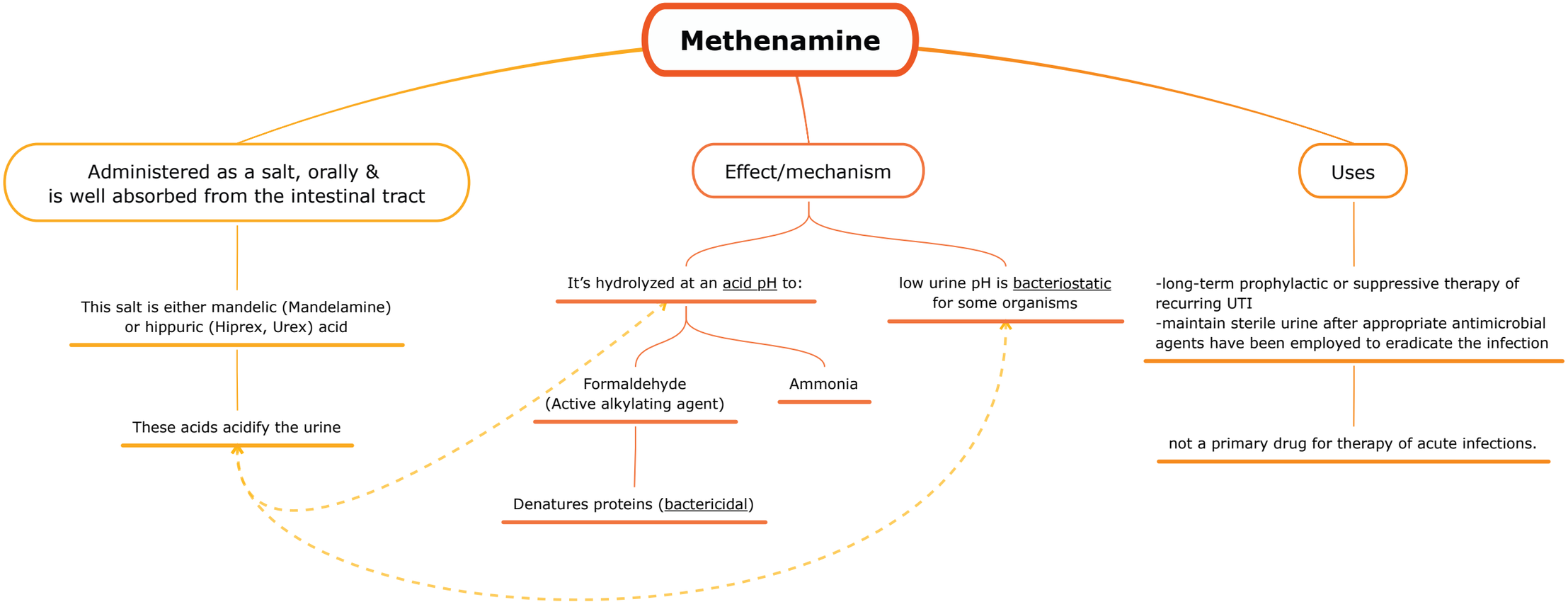
gatifloxacin (TEQUIN)

Interfere with cell division of bacteria

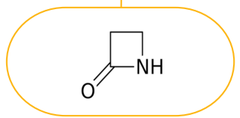
Quinolones & Fluoroquinolones target & inhibit
DNA gyrase & topoisomerase IV
(which are important in the bacterial DNA replication)

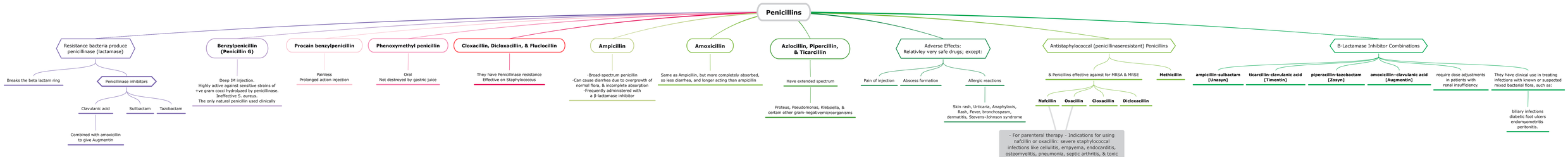



Nitrofurans (Nitrofurantoin)
5-nitro-2-furaldehyde
modify various bacterial macromolecules that affect a variety of biochemical processes
That's why there's no resistance until now
Active against
gram-ve bacteria
some susceptible gram+ve organisms
E. coli
P. mirabilis
S. aureus
Enterococcus faecalis
Uses
-treatment and long-term prophylaxis of lower UTIs
-prophylactically post intercourse in women with chronic UTIs
not used as a bacterial suppressant.
Static or Cidal
depends on the concentration
greater than 100 ug/mL -> cidal
Adverse effects
Nausea
Vomiting


Methenamine
Administered as a salt, orally & is well absorbed from the intestinal tract
This salt is either mandelic (Mandelamine) or hippuric (Hiprex, Urex) acid
These acids acidify the urine
Effect/mechanism
It's hydrolyzed at an acid pH to:
Formaldehyde (Active alkylating agent)
Ammonia
Denatures proteins (bactericidal)
low urine pH is bacteriostatic for some organisms
Uses
-long-term prophylactic or suppressive therapy of recurring UTI
-maintain sterile urine after appropriate antimicrobial agents have been employed to eradicate the infection
not a primary drug for therapy of acute infections.

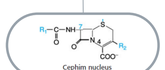
Beta Lactam Antibiotics

- Beta lactam ring
  - active functional group
    - 
- Inhibit cell wall synthesis
  - β-lactam inhibits last step in peptidoglycan synthesis
- Resistant bacteria produce Lactamase
  - Breaks the beta lactam ring
- **Penicillins**
- **Cephalosporins**
- **Monobactam**
- **Carbapenem**


Penicillins
Resistance bacteria produce penicillinase (lactamase)
Breaks the beta lactam ring
Penicillinase inhibitors
Clavulanic acid
Sulibactam
Tazobactam
Combined with amoxicillin to give Augmentin
Benzylpenicillin (Penicillin G)
Deep IM injection.
Highly active against sensitive strains of +ve gram cocci hydrolyzed by penicillinase.
Ineffective S. aureus.
The only natural penicillin used clinically
Procain benzylpenicillin
Painless
Prolonged action injection
Phenoxymethyl penicillin
Oral
Not destroyed by gastric juice
Cloxacillin, Dicloxacillin, & Fluclocillin
They have Penicillinase resistance
Effective on Staphylococcus
Ampicillin
-Broad-spectrum penicillin
-Can cause diarrhea due to overgrowth of normal flora, & incomplete absorption
-Frequently administered with a β-lactamase inhibitor
Amoxicillin
Same as Ampicillin, but more completely absorbed, so less diarrhea, and longer acting than ampicillin
Azlocillin, Pipercillin, & Ticarcillin
Have extended spectrum
Proteus, Pseudomonas, Klebsiella, & certain other gram-negativemicroorganisms
Adverse Effects:
Relativley very safe drugs; except:
Pain of injection
Abscess formation
Allergic reactions
Skin rash, Urticaria, Anaphylaxis, Rash, Fever, bronchospasm, dermatitis, Stevens-Johnson syndrome
Antistaphylococcal (penicillinaseresistant) Penicillins
& Penicillins effective against for MRSA & MRSE
Methicillin
Nafcillin
Oxacillin
Cloxacillin
Dicloxacillin
- For parenteral therapy - Indications for using nafcillin or oxacillin: severe staphylococcal infections like cellulitis, empyema, endocarditis, osteomyelitis, pneumonia, septic arthritis, & toxic shock syndrome
B-Lactamase Inhibitor Combinations
ampicillin-sulbactam [Unasyn]
ticarcillin-clavulanic acid [Timentin]
piperacillin-tazobactam [Zosyn]
amoxicillin-clavulanic acid [Augmentin]
require dose adjustments in patients with renal insufficiency.
They have clinical use in treating infections with known or suspected mixed bacterial flora, such as:
biliary infections
diabetic foot ulcers
endomyometritis
peritonitis.

Cephalosporins

- Use:
  - Mainly used for surgical prophylaxis
  - Rarely the first choice for any infection
- Expensive
  - especially the newer generations
- Same toxicity as penicillins
- Cross allergic with the penicillins
- Activity differs among the generations
  - R1 & R2 differ between generations



- **1st Generation**
  - Good activity against gram +ve bacteria
    Relatively modest activity against gram -ve microorganisms
  - **Cephalothin**
  - **Cefazolin**
- **2nd Generation**
  - increased activity against gram -ve microorganisms
  - **Cefamandole**
  - **Cefoxitine**
- **3rd Generation**
  - more active against the Entero bacteriaceae, including β-lactamase-producing strains
  - **Cefoperazone**
  - **Cefotaxime**
  - **Ceftriaxone**
- **4th Generation**
  - extended spectrum of activity & stability from hydrolysis
  - **Cefepime**

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