

Penicillins

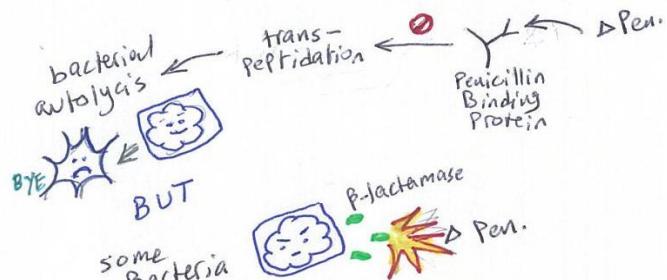
Pharmacokinetics

- Parenteral administration
- IM → very irritant **Ouch!**
- orally < 1 h. before meal
2-3 hs after meal
- Lipid soluble
 - widely distributed
 - crosses placenta
 - bone & CSF (insufficient)
- rapidly excreted by kidneys
 - 90% tubular secretion
 - 10% BF

⇒ adjusted dose in renal failure
- excreted in sputum & milk (3-15%)

Mode of action

- Bacteriocidal
- inhibit cell wall synthesis



Classification

Natural

- Benzyl-Penicillin (Penicillin G)
- Procaine Penicillin
- Benzathine Penicillin
- Phenoxy-methyl Penicillin (Penicillin V)

Semi-Synthetic

- antistaph
 - Methicillin
 - Dicloxacillin
 - Cloxacillin
 - Flucloxacillin
- Meeting is at 2 o'clock in the exam

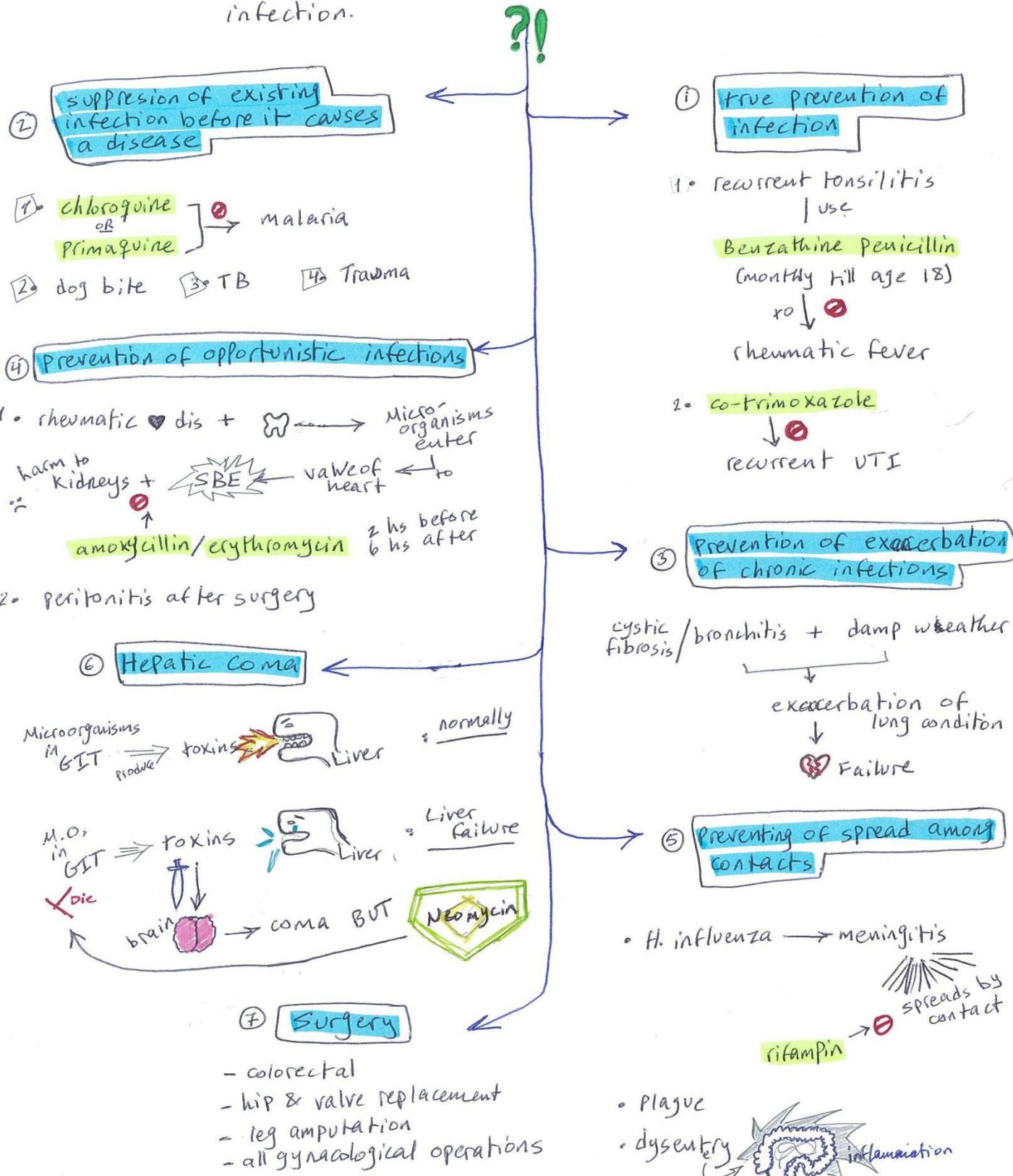
- anti-Pseudomonas

- aminoPenicillins
 - ampicillin
 - amoxicillin

Pulse
Batch
2012

Chomophylaxis

= the use of a drug in a healthy person to prevent infection.



Natural Penicillins

1) Benzylpenicillin (P.G.)

- 1st isolated
- narrow spectrum
- I.M / I.V / intrathecally
- orally ~~X~~ not gastric juice
- excreted by kidneys
- $T_{1/2} = 30\text{ mins} \rightarrow$ renal failure: 30 h
- little to bones & CNS
↑ during inflammation

Uses

Gram +ve
Strep. pneumoniae
Group A-β hemolytic strep
non-β-lactamase staph

- DOC in
- ① Meningitis
 - ② Anthrax
 - ③ Leptospirosis
 - ④ Actinomycosis
 - ⑤ gas gangren
 - ⑥ gonorrhoea
 - ⑦ tetanus
 - ⑧ syphilis
 - ⑨ diphtheria

M
A
L
g
g
T
+
S
D

cycle

Adverse effects

- allergy
- salt overload
- massive doses: convulsion
hallucination
coma

2) Procaine Penicillin

- procaine + P.G. $\rightarrow T_{1/2} \uparrow$
- I.M only
- used in outpatients
- in sexually transmitted dis.
+ prophylaxis in dentistry

3) Benzathine penicillin

- sustained release / depot preparation
- action lasts 3-4 weeks
- chemoprophylaxis \rightarrow acute streptococcal & infections

follicular tonsilitis

rheumatic dis

4) Phenoxymethyl penicillin (P.V.)

- given orally on empty stomach
 - tablets
 - suspension
- 6-hourly
- in mild infections