ANTIBIOTIC REVIEW SHEET

Antibiotic (classification)	Mechanism	Resistance	Antibacterial Spectrum	Side Effects	Metabolism	Distribution Adm. / CNS	
Sulfonamides (inhibits metabolism)	Structural analogs of para-aminobenzoic acid (pABA) that inhibits dihydropteroate synthase (DHTS). *selectivity= bacteria synthesize folate *but humans can't!*	1. enzyme mutations of DHTS 2. Increase in pABA 3. ↓ permeability to drug 4. utilization of preformed folate	Bacteriostatic.	Hemolytic anemia (↓ G6PD) Hypersensitivity Rxn. (incl. Steven Johnson Syndrome!) Kernicterus in infants *don't use in pregnancy, lactation Crystalluria	Excreted via glomerular filtration ∴↑ [drug] in urine. Special care in kidney dx/ renal failure → ↓ dose	Well absorbed → peritoneal, pleural fluids & cross placenta.	CSF
Trimethoprim (inhibits metabolism)	Structural analog of pteridine portion of dihydrofolic acid. Competitive inhibitor of dihydrofolate reductase (DHFR). *selectivity= ↑affinity for bacterial dihydrofolate reductase (x1000).	Plasmid mediated mutations in DHFR (rare)	Bacteriostatic (when used alone) *** is bacterlocidal when used with Sulfamethoxazole (SMZ)**	Folate deficiency. Nausea & vomiting. Skin eruptions. CNS disturbances. *don't use in pregnancy!	Special care in kidney dx/ renal failure → ↓ dose	Concentrates in prostate. Urine:Blood → 100 *has higher V_D than sulfonamides ∴TMP:SMZ of 4:20 is optimal	CNS
Penicillin (inhibits cell wall synthesis)	Structural analog of D-ala D-ala w/ intact β lactam ring. 1.Prevents peptidoglycan crosslinking during cell wall phase of synthesis by inhibiting peptidoglycan transpeptidase. 2. Activates murine hydrolase. *selectivity= ϕ cell wall or transpeptidase targets in humans	1. β lactamase inactivation. 2. Alterations in Penicillin Binding Proteins (PBP) 3. ↓ permeability to Penicillin (PNC).	Bacteriocidal.	Low direct toxicity (so specific to bacteria). 1. GI effects (diarrhea) 2. Thrombophlebitis post IV injection 3. CNS effects w/ very ↑ dose. 4. Superinfection → pseudomembranous colitis. 5. Electrolyte imbalance. 6. **Hypersensitivity rxn.** (immediate, accelerated, delayed)	*only 25% of oral dose is absorbed in small intestine (remainder → feces) Rapid excretion by kidney (PT secretion). $T_{\frac{1}{2}}$ = 30m; (↑w/ renal dx & Probenecid) Special care in renal failure → ↓ dose Liver clearance ↑'s w/ renal dx	1. PNC G: Oral – administer on empty stomach. 2. PNC V: IV *PNC concentrates in kidney.	Poor CNS penetration ∴not used for CNS infections.
Cephalosporins (inhibits cell wall synthesis)	Structural analog of D-ala D-ala. Prevents peptidoglycan crosslinking during cell wall phase of synthesis by inhibiting peptidoglycan transpeptidase.	1. β lactamase inactivation. *but are not as readily inactivated as penicillin! 2. Alterations in Penicillin Binding Proteins (PBP) 3. ↓ permeability to Cephalosporin (CS).	Bacteriocidal.	**Hypersensitivity rxn.** (cross reactive to PNC & CS) *others same as for PNC -for very ill pt, often used w/ aminoglycoside → ↑ risk of nephrotoxicity.	Excretion by tubular secretion & glomerular filtration. $T_{\frac{1}{2}}$ = 30m-2 hrs. (↑w/ renal dx & Probenecid) Special care in renal failure → ↓ dose	1st & 2nd generation CS penetrate tissue well.	3rd generation CS penetrate CNS well
Vancomycin (inhibits cell wall synthesis)	Glycopeptide, not a β lactam. Prevents elongation of cell wall by binding to D-ala D-ala end of the cell wall building block ∴inhibits peptidoglycan synthetase during cell membrane phase.	Plasma mediated modification of D-ala D-ala to D-ala D-lactate, which can be used to elongate cell wall but can't be affected by vancomycin (VC).	Bacteriocidal. ***used to tx pseudomembranous colitis (metronidazole can also be used to do this)**	1. Thrombophlebitis, chills, fever. 2. **Ototoxic.** *monitor renal & auditory function during use.	90% excreted by glomerular filtration. Special care in renal failure → ↓ dose. * $T_{\frac{1}{2}}$ = 6-10 days in anephric pt.; not removed by hemodialysis.	IV If given rapidly, causes flushing (histamine release).	
Cyloserine (inhibits cell wall synthesis)	Inhibits ala Racemase (prevents L-ala → D-ala) and D-ala D-ala Synthetase (D-ala D-ala formation) during cytoplasmic synthesis phase.		Bacteriocidal. ***contraindicated in pt's with history of epilepsy or mental instability.**	1. CNS effects (confusion, coma) 2. Peripheral neuritis. 3. Liver damage. 4. Folate deficiency. 5. Malabsorption syndrome.		Oral	CNS
Bacitracin (inhibits cell wall synthesis)	Inhibits regeneration of the lipid carrier, which is responsible for transporting peptidoglycan precursors across the cell membrane..		Bacteriocidal.			Used topically b/c oral use is toxic.	
Streptomycin (inhibits protein synthesis)	Binds at/near domain of S12 protein on bacterial 30S. 1. Allows 70S initiation complex to form but inhibits it from moving along mRNA. 2. prevents peptide elongation (prevents binding of aminoacyl tRNA) 3. disrupts bacterial cell membrane *selectivity= 30s only in bacteria	1. Mutation in S12 protein in 30S subunit 2. Plasmid mediated acetylation, adenylation, & phosphorylation → inactivates the drug.	Bacteriocidal. ***has low TI ∴must monitor; toxicity↑ w/ prolonged use (+3-5 days); toxicity w/ CN VIII.** ****used rarely b/c lots of resistance to it now.**	1. **Vestibular** toxicity (dizziness, vertigo) > **ototoxicity** (deafness). 2. **Nephrotoxicity.** 3. **Myoneural blockade** *rare 4. Rash/fever post parenteral administration.	No metabolism. Excretion by glomerular filtration. $T_{\frac{1}{2}}$ = 2 hrs. (↑w/ renal dx & age) ∴adjust dose. Selective accumulation in PT of kidney, endo & perilymph of hair cells.	Limited & slow oral absorption. Must be given parenteral (IV) in ↑ [SM]. Acc. in pleural, pericardial, synovial fluids & fetus.	Little CSF penetration.