Name: **Sulfisoxazole (Gantrisin)**

Class: Sulfonamide

Mech.: Comp. inhib. of PABA incorp. into dihydropteric acid → inhib. of folic acid. Bacteriostatic.

Absorption: Rapidly absorbed in GI tract. Parenteral.

Distribution: Widely distrib; CSF. Crosses placenta.

Metab.: Acetylated in liver

Excretion, t_: Renal filtration, secretion

Toxicity/S.E.s: Hypersensitivity—fever, rash, photosensitivity; UT disturbances—deposition of crystalline aggregates; hematopoetic disorders—dyscrasias

Utility: Uncomplicated UTIs, trachoma (contag. disease of eyelid, conjunct, cornea), nocardiosis (tuberculosis-like infect.), prophylaxis (burnt skin, suppressing recurring UTIs, rheumatic fever)

Special Features: Spectrum—gram +, gram -. Less renal toxicity than other sulfas. Protoype.

Name: **Sulfamethoxazole (Gantanol)**

Class: Sulfonamide

Mech.: Comp. inhib. of PABA incorp. into dihydropteric acid → inhib. of folic acid. Bacteriostatic.

Absorption: Rapidly absorbed in GI tract (slower than isoxazole). Parenteral.

Distribution: Widely distrib; limited CSF. Crosses placenta.

Metab.: Acetylated in liver

Excretion, t_: Renal filtration, secretion

Toxicity/S.E.s: Hypersensitivity—fever, rash, photosensitivity; UT disturbances— deposition of crystalline aggregates; hematopoetic disorders—dyscrasias

Utility: Uncomplicated UTIs, trachoma (contag. disease of eyelid, conjunct, cornea), nocardiosis (tuberculosis-like infect.), prophylaxis (burnt skin, suppressing recurring UTIs, rheumatic fever)

Special Features: Spectrum—gram +, gram -.

Name: **Sulfadiazine**

Class: Sulfonamide

Mech.: Comp. inhib. of PABA incorp. into dihydropteric acid → inhib. of folic acid. Bacteriostatic.

Absorption: Rapidly absorbed in GI tract. Parenteral.

Distribution: Widely distrib; good CSF. Crosses placenta.

Metab.: Acetylated in liver

Excretion, t_: Renal filtration, secretion

Toxicity/S.E.s: Hypersensitivity—fever, rash, photosensitivity; UT disturbances—deposition of crystalline aggregates; hematopoetic disorders—dyscrasias

Utility: Uncomplicated UTIs, trachoma (contag. disease of eyelid, conjunct, cornea), nocardiosis (tuberculosis-like infect.), prophylaxis (burnt skin, suppressing recurring UTIs, rheumatic fever)

Special Features: Spectrum—gram +, gram -.

Name: **Sulfasalazine (Azulfidine)**

Class: Sulfonamide

Mech.: Comp. inhib. of PABA incorp. into dihydropteric acid → inhib. of folic acid.

Absorption: Poorly absorbed in GI tract.

Distribution: GI tract

Metab.: Hydrolized to active form by intest. bacteria.

Excretion, t_: feces

Toxicity/S.E.s: Interferes w/normal flora → ↓ vit. K synth.

Utility: Active in bowel lumen. Used prior to surgery to reduce microbe population. Treat inflammatory bowel disease, rheumatoid arthritis

Special Features: Broken down in intestines to liberate 5-aminosalicylate (anti-inflammatory).

Name: **Silver Sulfadiazine (Silvadene)**

Class: Sulfonamide

Mech.: Releases silver → toxicity for bacteria and fungi.

Absorption:

Distribution: Topical

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Used topically to reduce infection of burns, but not to treat established infections.

Special Features:

Name: **Sulfacetamide sodium (Sulamyd Sodium)**

Class: Sulfonamide

Mech.: Comp. inhib. of PABA incorp. into dihydropteric acid → inhib. of folic acid.

Absorption:

Distribution: Ophthalmic application. Penetrates into ocular fluids at high conc.

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Ophthalmic infections

Special Features: High aqueous concentrations are not irritating.

Name: **Trimethoprim-Sulfamethoxazole (Bactrim, Septra)**

Class:

Mech.: Acts on two sequential steps in synth of folic acid. PABA competitive inhib, dihydrofolate reductase inhib. Bacteriostatic.

Absorption: Oral, IV

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: Megaloblastic anemia, leukopenia, granulocytopenia (prevented by admin. of folic acid)

Utility: Uncomp. UTIs, otitis media, acute exacerbations of chronic bronchitis, various pneumonias. DOC for Travelers' diarrhea, P. carinii pneumonia, Shigella enteritis, systemic Salmonella infects, prostatitis.

Special Features: Trimethoprim = highly selective inhib. of bacterial dihydrofolate reductase.

Name: **Chloramphenicol (Chloromycetin)**

Class:

Mech.: Bacteriostatic. Inhib protein synth by binding to 50S subunit.

Absorption: Rapid oral absorption

Distribution: Body fluids, good CSF. Crosses placenta. Milk.

Metab.: Liver (glucuronyl transferase)

Excretion, t_: Urine (filtration, secretion), 1.5-3.5 hr.

Toxicity/S.E.s: Bone marrow depression (anemia, leukopenia, thrombocytopenia, prob. due to inhib. of mitoch. protein), aplastic anemia (allergic/idiosync, rare, irreversible, often fatal), Gray Baby Syndrome (neonate overdose due to reduced ability to conjuate CA and secrete metabolites), superinfection (S. aureus, Pseudomonas, fungi, can be life threatening).

Utility: DOC for severe Bacteroides infects (esp. CNS), backup for memingitis, rickettsial infects, brucellosis.

Special Features: Never use if safer antibiotic avail. Never use for mild infects.

Name: **Tetracycline (Achromycin V)**
Class: Tetracycline
Mech.: Active uptake into bacteria →inhib protein synth by binding to 30S ribosome. Bacteriostatic
Absorption: Oral adequate, but incomplete. Impaired by divalent cations. IM painful. IV may cause thrombophlebitis. Never intrathecal.
Distribution: Good CSF. Conc. in liver → enterohepatic circ. Penetrates most tissues and fluids. Crosses placenta.
Metab.:
Excretion, t_: filtration (1°), bile
Toxicity/S.E.s: GI — burning, discomfort, nausea, vomitiing; superinfection — due to broad spectrum, candida albicans (1°), staph enterocolitis, pseudomemb. colitis; hepatotoxicity (esp. in pregnancy); renal toxicity; Fanconi synd.; perm. brown discoloration of teeth; slowing of bone growth; phototoxicity; thrombophlebitis; hematopoetic changes; rare hypersens. rxns.
Utility: gram - cocci, gram - bacilli, acid fast bacilli, chlamydiae, mycoplasma, rickettsia, spirochetes. No effect on viruses or fungi. Also used for acne, prophylaxis for Travelers' diarrhea.
Special Features: Broad spectrum. Decreased effect of oral contraceptives.

Name: **Doxycycline (Vibramycin)**
Class: Tetracycline
Mech.: Active uptake into bacteria →inhib protein synth by binding to 30S ribosome. Bacteriostatic
Absorption: Good oral absorption. Impaired by divalent cations. IM painful. IV may cause thrombophlebitis. Never intrathecal.
Distribution: Good CSF. Conc. in liver → enterohepatic circ. Penetrates most tissues and fluids. Crosses placenta.
Metab.:
Excretion, t_: bile; doesn't require renal excretion
Toxicity/S.E.s: GI — burning, discomfort, nausea, vomitiing; superinfection — due to broad spectrum, candida albicans (1°), staph enterocolitis, pseudomemb. colitis; hepatotoxicity (esp. in pregnancy); renal toxicity; Fanconi synd.; perm. brown discoloration of teeth; slowing of bone growth; phototoxicity (more than others); thrombophlebitis; hematopoetic changes; rare hypersens. rxns.
Utility: gram - cocci, gram - bacilli, acid fast bacilli, chlamydiae, mycoplasma, rickettsia, spirochetes. No effect on viruses or fungi. Also used for acne, prophylaxis for Travelers' diarrhea.
Special Features: Broad spectrum. Decreased effect of oral contraceptives.

Name: **Minocyclin (Minocin)**
Class: Tetracycline
Mech.: Active uptake into bacteria →inhib protein synth by binding to 30S ribosome. Bacteriostatic
Absorption: Good oral absorption. Impaired by divalent cations. IM painful. IV may cause thrombophlebitis. Never intrathecal.
Distribution: Good CSF. Conc. in liver → enterohepatic circ. Penetrates most tissues and fluids. Also enters tears and saliva. Crosses placenta.
Metab.: Liver, but not critical.
Excretion, t_: bile; doesn't require renal excretion
Toxicity/S.E.s: Vestibular toxicity; GI — burning, discomfort, nausea, vomitiing; superinfection — due to broad spectrum, candida albicans (1°), staph enterocolitis, pseudomemb. colitis; hepatotoxicity (esp. in pregnancy); renal toxicity; Fanconi synd.; perm. brown discoloration of teeth; slowing of bone growth; phototoxicity; thrombophlebitis; hematopoetic changes; rare hypersens. rxns.
Utility: gram - cocci, gram - bacilli, acid fast bacilli, chlamydiae, mycoplasma, rickettsia, spirochetes. No effect on viruses or fungi. Also used for acne, prophylaxis for Travelers' diarrhea.
Special Features: Broad spectrum. Decreased effect of oral contraceptives.

Name: **Penicillin G**
Class: Penicillin (Penicillin G-related)
Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.
Absorption: Erratic (30%). Usu. not used orally. I.M or I.V. Prolonged effect with repository preparations (procaine, benzathine (longest)) deep IM.
Distribution: Widely distributed, little CSF unless meninges inflamed.
Metab.:
Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.
Toxicity/S.E.s: hypersensitivity (1-10%), painful injection, epilepsy, superinfection.
Utility: Many gram +, anaerobes, and a few gram -. Most staph. and gram - resistant. Prophylactic use (rheumatic fever, strep. infections).
Special Features: Narrow spectrum.

Name: **Penicillin V**

Class: Penicillin (Penicillin G-related)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Acid stable → good oral absortption.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: : hypersensitivity (1-10%), superinfection.

Utility: Mild to moderate infections only: Many gram +, anaerobes, and a few gram -. Most staph. and gram - resistant. Same spectrum as Pen. G, but less active.

Special Features: Narrow spectrum.

Name: **Nafcillin (Nafcil)**

Class: Penicillin (Penicillinase-resistant)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Poor oral. Usu. IV for seious infections. IM.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: hypersensitivity (1-10%), superinfection.

Utility: Penicillinase-producing staph. infections. Gram +.

Special Features: Narrow spectrum. Prob. most effective penicillinase-resist.

Name: **Methicillin (Staphcillin)**

Class: Penicillin (Penicillinase-resistant)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Usu. IV for seious infections. IM.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: hypersensitivity (1-10%), superinfection.

Utility: Penicillinase-producing staph. infections. Gram +.

Special Features: Narrow spectrum.

Name: **Oxacillin (Bactocill)**

Class: Penicillin (Penicillinase-resistant)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Acid stable. Absorbed orally. IM, IV.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: hypersensitivity (1-10%), superinfection.

Utility: Penicillinase-producing staph. infections. Mild infections.

Special Features: Narrow spectrum.

Name: **Ampicillin (Omnipen, Polycillin)**

Class: Penicillin (Aminopenicillin)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Acid stable. Good oral, but food interferes. IM, IV.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: diarrhea, non-allergy skin rash, hypersensitivity (1-10%), superinfection.

Utility: More effective against gram -s (esp. Proteus, H. influenzae, E. coli, P. mirabilis). Less active than Pen. G against gram+ cocci.

Special Features: Broad spectrum.

Name: **Amoxicillin (Amoxil)**

Class: Penicillin (Aminopenicillin)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Acid stable. Good oral (better than ampicillin).

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: diarrhea (less than ampicillin), hypersensitivity (1-10%), superinfection.

Utility: More effective against gram -s (esp. Proteus, H. influenzae, E. coli, P. mirabilis). Less active than Pen. G against gram+ cocci.

Special Features: Broad spectrum.

Name: **Ticarcillin (Ticar)**

Class: Penicillin (Extended spectrum)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: IM, IV.

Distribution: Widely distributed, little CSF unless meninges inflamed.

Metab.:

Excretion, t_: Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.

Toxicity/S.E.s: hypersensitivity (1-10%), superinfection., coagulation disorders.

Utility: Gram -. Effective against Pseudomonas, Proteus mirabilus, often used w/aminoglyc.

Special Features: Narrow spectrum. Resistance develops quickly.

Name: **Clavulanic acid**

Class: β-Lactamase Inhibitor

Mech.: inhibits some β-lactamases

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Combined with amoxicillin (**Augmentin**) to increase efficacy against penicillinase-producing bacteria (i.e., staph.)

Special Features:

Name: **Sulbactam**

Class: β-Lactamase Inhibitor

Mech.: inhibits some β-lactamases

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Combined with ampicillin (**Unasyn-sulbactam**) to increase efficacy against penicillinase-producing bacteria (i.e., staph).

Special Features:

Name: **Probenecid**

Class:

Mech.: Interferes w/renal excretion of drugs that undergo tubular secretion. Inhib. glucuronide conjugation of other drugs.

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility:

Special Features: Decreases renal excretion of methotrexate — possible toxicity. Decreases renal excretion of penicillin.

Name: **Cephalothin (Keflin)**

Class: First Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: IV. IM rarely used due to pain.

Distribution: Poor CSF.

Metab.:

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Usu not DOC. Serious Klebsiella infects., strep/staph infects, some penicillinase-producing bacteria.

Special Features: Narrow spectrum. Greater gram - activity than pen. G. More gram+ activity than gram - activity. Less susceptible to β-lactamase than most penicillins.

Name: **Cephalexin (Keflex)**

Class: First Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Oral.

Distribution: Poor CSF.

Metab.:

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Usu not DOC. Serious Klebsiella infects., strep/staph infects, some penicillinase-producing bacteria.

Special Features: Narrow spectrum. Greater gram - activity than pen. G. More gram+ activity than gram - activity. Less susceptible to β-lactamase than most penicillins.

Name: **Cefoxitin (Mefoxin)**

Class: Second Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: IV. IM rare due to pain.

Distribution: Poor CSF.

Metab.:

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Mixed anaerobic infects.

Special Features: More gram- efficacy than first gen. Less gram+ cocci efficacy than first gen. ↑ β-lactamase resistance.

Name: **Cefaclor (Ceclor)**

Class: Second Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Oral.

Distribution: Poor CSF.

Metab.:

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Resp. and middle ear infections (H. influenzae, M. catarrhalis)

Special Features: More gram- efficacy than first gen. Less gram+ cocci efficacy than first gen. ↑ β-lactamase resistance. Problem w/bacterial resistance.

Name: **Cefotaxime (Claforan)**

Class: Third Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: IV

Distribution: good CSF

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Serious nosocomial gram- sepsis. Meningitis caused by gram- enteric bacteria or H. influenzae. Serious Klebsiella infects., strep/staph infects, some penicillinase-producing bacteria. Nosocomial infects. Often used in comb. w/aminoglycosides.

Special Features: Decreased efficacy against gram+ cocci. Broader gram- spectrum. More resistance against β-lactamases than second generation. Problem w/bacterial resistance.

Name: **Cefixime (Suprax)**

Class: Third Gen. Cephalosporin

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Oral

Distribution: poor CSF

Excretion, t_:

Toxicity/S.E.s: Hypersensitivity (some cross-sensitivity to pen.), superinfection, renal damage (worse if comb. w/aminoglycs.)

Utility: Serious nosocomial gram- sepsis. Serious Klebsiella infects., strep/staph infects, some penicillinase-producing bacteria. Nosocomial infects. Often used in comb. w/aminoglycosides.

Special Features: Decreased efficacy against gram+ cocci. Broader gram- spectrum. More resistance against β-lactamases than second generation. Problem w/bacterial resistance.

Name: **Imipenem**

Class: β-lactam (Carbapenem)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption: Oral, IV (painful)

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: painful injection, allergy, nausea/vomiting, superinfection (diarrhea), reversible blood disorders.

Utility: Combined w/equal amts of cilastatin to block tubular metab. and formation of nephrotoxic compounds. Treats serious nosocomial infections, infections of unknown etiology, mixed infections.

Special Features: Broadest spectrum of all β-lactams. Resistant to penicillinases and most β-lactamases. Resistance develops during treatment.

Name: **Aztreonam (Azactam)**

Class: β-lactam (Monobactam)

Mech.: Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: Gram+ superinfections.

Utility: Infections caused by susceptible orgs. resistant to other drugs.

Special Features: Not fused bicyclic. Potent gram-, but limited spectrum (only aerobics and facultative gram-). Little cross-allergenicity w/pen. or ceph. Less toxic than aminoglycs. Usu used in combinations (except for UTIs).

Name: **Methenamine**

Class: UTI Agent

Mech.: Decomposes at pH ≤5.5 to NH4+ and formaldehyde (bactericidal).

Absorption: Oral very good, but ↓ pH of stomach decomposes lots of the drug. Enteric-coated tablet solves most of problem.

Distribution: Activated 1° in urine.

Metab.: NH4+ production requires fxning liver for excretion. Kidneys only important if methenamine mandelate or hippurate is used.

Excretion, t_: Excreted in urine. NH4+ reduced requires liver for excretion.

Toxicity/S.E.s: GI distress. Long term = rash, hematuria, albuminuria, painful/frequent micturition.

Utility: Chronic suppression of UTIs.

Special Features: No resistance formation. Orgs which raise urine pH inhibit formaldehyde formation → ↓ sensitivity.

Name: **Nitrofurantoin (Furadantin)**

Class: UTI Agent

Mech.: Unclear. Baceria activate nitrofurantoin more rapidly than do mammalian cells. Bacteriostatic in low conc., cidal in high conc.

Absorption: Rapidly and completely absorbed after oral admin.

Distribution: Antibact. conc. not achieved in plasma. Active in urine.

Metab.:

Excretion, t_:

Toxicity/S.E.s: GI effects, pulm. toxicity (acute pneumoinitis, subacute interstitial pulonary fibrosis), hypersensitivity rxns. chronic hepatitis, neuro efffects. Turns urine brown. Not for preg. women or infants (hemolytic anemia). Not to be mixed w/probenecid.

Utility: Uncomplicated lower UTIs caused by E. coli, Enteroccus, prevention of recurrence of UTIs.

Special Features: Effect enhanced by urine pH≤5.5.

Name: **Cinoxacin (Cinobac)**

Class: Nonfluorinated quinolone

Mech.: Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.

Absorption: Oral

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: Usu. not severe. GI, CNS. Not for pregnant or nursing women or prepubertal children.

Utility: UTIs due to coliform bacteria

Special Features:

Name: **Ciprofloxacin (Cipro)**

Class: Fluorinated quinolone

Mech.: Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.

Absorption: Rapid absorption after oral admin.

Distribution: Good tissue penetration. Poor CSF.

Metab.: Partial hepatic metab.

Excretion, t_: Glomerular filtration, secretion. Also feces, bile, sputum. 4 hrs.

Toxicity/S.E.s: Usu. not severe. GI, CNS, arthropathy. Not for pregnant or nursing women or prepubertal children.

Utility: Upper and lower UTIs, DOC for Pseudomonas UTIs. Active against aerobic gram- bacilli, H. influenzae, Neisseria. Good alternate. for several causes of infectious diarrhea, osteomyelitis, and patients w/CF.

Special Features: Broader spectrum than nonfluorinated quinolones.

Name: **Norfloxacin (Noroxin)**

Class: Fluorinated quinolone

Mech.: Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.

Absorption: Oral admin.

Distribution: Good tissue penetration.

Metab.:

Excretion, t_:

Toxicity/S.E.s: Usu. not severe. GI, CNS. Not for pregnant or nursing women or prepubertal children.

Utility: UTIs due to Enterobacteriaceae, Enteroccus, Staph, Pseudomonas.

Special Features: Broader spectrum than nonfluorinated quinolones.

Name: **Phenazopyridine (Pyridium)**

Class: Analgesic (not an antibiotic)

Mech.: Analgesic effect on urinary tract mucosa.

Absorption:

Distribution:

Metab.:

Excretion, t_: 90% excreted in urine w/in 24 hrs.

Toxicity/S.E.s: GI, headaches. Not for use w/renal insufficiency or severe hepatitis. Hemolytic anemia. Cancer in rats. Stains urine, skin, sclera, and contact lenses red-orange.

Utility: Relief of dysuria and urethral irritation assoc. w/acute cystitis, trauma, surgery, catheterization, endoscopy.

Special Features: When used w/antibacterial agent, should be discontinued after 48 hr. Should never be used on long-term basis to mask undiagnosed urinary tract pain.

Name: **Streptomycin**

Class: Aminoglycoside

Mech.: O2 dependent uptake → inhib. of protein synth by binding to 30S rib. subunit → leaky membranes. Bactericidal.

Absorption: Poor oral absorption. Usu. IM or IV.

Distribution: Limited to extracellular space. Poor CSF, ocular. No placenta.

Excretion, t_: glomerular filtration. 2 hrs.

Toxicity/S.E.s: Ototoxicity. Vestibular toxicity. Low nephrotoxicity.

Utility: Used in comb. therapy for tuberculosis. Used alone for bubonic plague and tularemia.

Special Features: Resistance 1° due to plasmid-med. mod. of aminoglyc. Also alter. of transport system, mutation of rib. subunit.

Name: **Neomycin (Mycifradin)**

Class: Aminoglycoside

Mech.: O2 dependent uptake → inhib. of protein synth by binding to 30S rib. subunit → leaky membranes. Bactericidal.

Absorption: Very little oral absorption. Topical.

Distribution: Limited to extracellular space. Poor CSF, ocular. No placenta.

Excretion, t_:

Toxicity/S.E.s: Parenteral → severe ototoxicity and nephrotoxicity.

Utility: Topical treatment of superf. sensitive skin and eye infections. Preoperative prophylaxis for bowel surgery.

Special Features: Resistance 1° due to plasmid-med. mod. of aminoglyc. Also alter. of transport system, mutation of rib. subunit.

Name: **Gentamicin (Garamycin)**

Class: Aminoglycoside

Mech.: O2 dependent uptake → inhib. of protein synth by binding to 30S rib. subunit → leaky membranes. Bactericidal.

Absorption: Poor oral absorption. Usu. IM or IV.

Distribution: Limited to extracellular space. Poor CSF, ocular. No placenta.

Excretion, t_: glomerular filtration. 2 hrs.

Toxicity/S.E.s: Ototoxicity (aud., vest.), nephtrotoxicity.

Utility: Nosocomial aerobic gram- infects, esp. in immunocomp, neutropenics. Often used in synergistic combs to treat P. aeruginosa, enteroccus, life-threatening gram- sepsis. Used in comb. against S. aureus. Ineffective against anaerobes.

Special Features: Resistance 1° due to plasmid-med. mod. of aminoglyc. Also alter. of transport system, mutation of rib. subunit.

Name: **Tobramycin (Nebcin)**

Class: Aminoglycoside

Mech.: O2 dependent uptake → inhib. of protein synth by binding to 30S rib. subunit → leaky membranes. Bactericidal.

Absorption: Poor oral absorption. Usu. IM or IV.

Distribution: Limited to extracellular space. Poor CSF, ocular. No placenta.

Excretion, t_: glomerular filtration. 2 hrs.

Toxicity/S.E.s: Ototoxicity (aud., vest.), nephtrotoxicity (less than gentamicin).

Utility: Nosocomial aerobic gram- infects, esp. in immunocomp, neutropenics. Often used in synergistic combs to treat P. aeruginosa, enteroccus, life-threatening gram- sepsis. Used in comb. against S. aureus. Ineffective against anaerobes.

Special Features: Resistance 1° due to plasmid-med. mod. of aminoglyc. Also alter. of transport system, mutation of rib. subunit.

Name: **Amikacin (Amikin)**

Class: Aminoglycoside

Mech.: O2 dependent uptake → inhib. of protein synth by binding to 30S rib. subunit → leaky membranes. Bactericidal.

Absorption: Poor oral absorption. Usu. IM or IV.

Distribution: Limited to extracellular space. Poor CSF, ocular. No placenta.

Excretion, t_: glomerular filtration. 2 hrs.

Toxicity/S.E.s: Ototox.(aud., vest.)(>than gent or tobr), nephtrotox.(<than gent.)

Utility: Nosocomial aerobic gram- infects, esp. in immunocomp, neutropenics. Often used in synergistic combs to treat P. aeruginosa, enteroccus, life-threatening gram- sepsis. Used in comb. against S. aureus. Ineffective against anaerobes.

Special Features: Resistance 1° due to plasmid-med. mod. of aminoglyc. Also alter. of transport system, mutation of rib. subunit. Least susceptible to resistance of the aminoglycs. Also, broadest spectrum. Most expensive aminoglyc.

Name: **Azithromycin (Zithromax)**

Class: Macrolide (azalide)

Mech.: Inhib protein synth by binding to 50S rib. subunit. Bacteriostatic

Absorption: Good oral. Better than erythromycin.

Distribution: Good tissue penetration. Better than erythromycin.

Metab.: Hepatic metab.

Excretion, t_: Bile excretion. 68 hrs.

Toxicity/S.E.s: fewer than erythromycin, esp. GI. No interference w/cytochrome p450 metab.

Utility: Alternate to pen. in mild-moderate infects (esp. Strep, H. influenzae). DOC for Legionnaire's disease, Diphtheria carrier state, Mycoplasma pneumoniae infects, Whooping cough (Bordatella pertussis)

Special Features: Expanded spectrum over classic macrolides (more potent against gram- bacilli, chlamydiae).

Name: **Erythromycin**

Class: Macrolide

Mech.: Inhib protein synth by binding to 50S rib. subunit. Bacteriostatic

Absorption: Orally effective. Enteric-coated tablets.

Distribution: Good tissue penetration, but poor CSF.

Metab.: Hepatic metab.

Excretion, t_: Secreted in bile as active drug. 1.6 hr.

Toxicity/S.E.s: GI irritation.,rashes, ototoxicity (large parenteral doses), drug interactions due to inhib of hepatic metab.

Utility: Alternate to pen. in mild-moderate infects (esp. Strep, H. influenzae). DOC for Legionnaire's disease, Diphtheria carrier state, Mycoplasma pneumoniae infects, Whooping cough (Bordatella pertussis)

Special Features: Not recommended for severe staph. infections or for meningitis.

Name: **Clindamycin (Cleocin)**

Class: Lincosamide

Mech.: Inhib protein synth by binding to 50S rib. subunit. Bacteriostatic.

Absorption: Nearly complete oral absorption. IV.

Distribution: Good into most tissues, including bone. Poor CSF.

Metab.: Hepatic metab.

Excretion, t_: Renal excretion. 2.7 hr.

Toxicity/S.E.s: High incidence of diarrhea. Antibiotic-assoc. pseudomembranous colitis. Skin rashes. Local thrombophlebitis due to IV.

Utility: Alternate to pen. or eryth. in susceptible infections. One DOC for non-CNS anaerobic infections. Used topically for acne.

Special Features:

Name: **Vancomycin (Vancocin)**

Class: Polypeptide

Mech.: Blocks peptidoglycan polymerization → inhib cell wall synth. Bactericidal.

Absorption: Poorly absorbed from GI tract. Usu given IV

Distribution: Poor CNS

Metab.:

Excretion, t_: Renal excretion

Toxicity/S.E.s: Ototoxicity, nephrotoxicity, thrombophlebitis (IV). In patients w/AIDS, "red man" syndrome (diffuse flushing)

Utility: Last ditch measure against severe MRSA infects. DOC for antibiotic assoc. pseudomembranous colitis (oral).

Special Features: Narrow spectrum (gram+ cocci), spec. MRSA, C. difficile.

Name: **Metronidazole (Flagyl)**

Class: Nitroimidazole derivative

Mech.: Inhib. DNA synth, degrades DNA, e- acceptor for reduced substrates.

Absorption: Complete, quick oral absorption.

Distribution: Well distrib to all tissues and fluids (including CSF)

Metab.: Hepatic metab.

Excretion, t_:

Toxicity/S.E.s: GI, metallic taste, neurotox (vertigo), disulfiram-like effect w/alcohol, neutropenia. Not for first trimester preg (mutagenic). Not for patients w/active CNS disease or hist. of blood dyscrasias.

Utility: IV treatment of anaerobic infects. Oral for amebiasis, giardiasis, and genital infects of Trichomonas vaginalis.

Special Features: Antiparasitic and antibacterial activity. All anaerobic cocci and anaerobic gram- bacilli, including Bacteriodes. Trichomonasis, amebiasis, giardiasis.

Name: **Acyclovir (Zovirax)**

Class: Antiviral

Mech.: Inhib. DNA synthase (most selective for herpes virus). Phosphorylated by viral thymidine kinase. Then inhib. viral DNA polymerase by competing w/deoxyguanosine triphosphate.

Absorption:

Distribution:some CSF

Metab.:

Excretion, t_: Renal secretion, 2.5 hr.

Toxicity/S.E.s: Topical admin may cause loal irritation. IV may cause local phlebitis, rash. Encephalophaty in renal-impaired.

Utility: Topical, oral, IV application to treat herpes infections.

Special Features:

Name: **Ganciclovir (Cytovene)**

Class: Antiviral

Mech.: Phosph by viral thymidine kinase. Competes w/normal nucleotides. Prevents chain elongation upon incorporation. Also inhib. viral and cellular DNA polymerase.

Absorption: Poor oral. Usu. given IV.

Distribution: Good CSF

Metab.:

Excretion, t_: Renal excretion. 4 hr.

Toxicity/S.E.s: Bone marrow suppression. Teratogenic and mutagenic in experimental animals.

Utility: Cytomegalovirus

Special Features:

Name: **Foscarnet (Foscavir)**

Class: Antiviral

Mech.: Phosph by viral thymidine kinase. Competes w/normal nucleotides. Prevents chain elongation upon incorporation. Also inhib. viral and cellular DNA polymerase.

Absorption: Poor oral. Usu. given IV.

Distribution: Good CSF

Metab.:

Excretion, t_: Renal excretion. 4 hr.

Toxicity/S.E.s: Renal dysfxn #1. Nausea, vomiting, headache, fatigue, anemia.

Utility: Cytomegalovirus

Special Features: 3x more expensive than gancyclovir.

Name: **Trifluridine (Viroptic)**

Class: Antiviral

Mech.: Pyrimidine analog. Competes with TTP for incorp into viral DNA. Inhib. viral DNA synth.

Absorption:

Distribution: 1% soln applied to cornea. Not given systemically

Metab.:

Excretion, t_:

Toxicity/S.E.s: Mutagenic and teratogenic activity in experimental tests.

Utility: Topical treatment for keratoconjunctivitis.

Special Features:

Name: **Interferon alpha 2b (Intron A)**

Class: Antiviral

Mech.: Bind to cell-surface receptors and inhibit viral penetration or uncoating, synth or methylation of mRNA, translation of viral proteins, viral assembly or release, and degrade mRNA. → Inhib. viral protein synth. Also induce a protein kinase that inactivates protein eIF-2 which is necessarty for protein synth initiation.

Absorption: Low oral activity. Usu. given IM or SC

Distribution:

Metab.: Rapid degradation.

Excretion, t_: 40 min.

Toxicity/S.E.s: influenza-like illness. Bone marrow suppression w/granulocytopenia and thrombocytopenia. Antibodies develop w/continued use. Continued nasal admin → mucosal damage.

Utility: Treat hepatitis B and C

Name: **Amantadine (Symmetrel)**

Class: Antiviral/Antiparkinsonian Agent

Mech.: Blocks a late stage in assembly of influenza A virus

Absorption: Well absorbed orally.

Distribution:

Metab.:

Excretion, t_: Excreted unchanged in urine.

Toxicity/S.E.s: CNS toxicity (nervousness, confusion, hallucinations, insomnia, depression, confusion). Overdose → toxic psychosis. Freq. livedo reticularis (skin mottling). Peripheral edema, freq. nausea. C/I w/hist. of seizures or congestive heart failure. Amantadine>rimantadine

Utility: Treat influenza A. Treat Parkinson's Disease symptoms → improvement o akinesia, rigidity, tremor, gait disturbances, & total disability in ~ 50% of patients (mech. unknown). Use alone or w/L-Dopa for PD.

Features: Can be used prophylactically for influenza A. For PD, sustained improvement may last up to 30 months, but may also be short lived (1-3 months). For PD, as good as or better than anticholinergics.

Name: **Rimantadine (Flumadine)**

Class: Antiviral

Mech.: Blocks a late stage in assembly of influenza A virus

Absorption: Well absorbed orally.

Distribution:

Metab.: Hepatic metab.

Excretion, t_:

Toxicity/S.E.s: CNS toxicity (nervousness, confusion, hallucinations, insomnia) amantadine>rimantadine

Utility: Treat influenza A

Special Features: Can be used prophylactically.

Name: **Ribavirin (Virazole)**

Class: Antiviral

Mech.: Purine analog. After phosphorylation, inhibits enzymes involved in guanine nucleotide synth. After further phosph, inhib several viral-specific enzymes involved in DNA synth.

Absorption: Oral bioavail 45%. IV. Aerosol.

Distribution:

Metab.:

Excretion, t_: 40 days

Toxicity/S.E.s: Accumulates in erythrocytes → hemolytic anemia. Bone marrow suppression. GI, CNS aggravation. Teratogenic and mutagenic in animals. Toxicity avoided w/aerosol admin (for RSV). Antagonizes AZT activity.

Utility: Aerosol form used to treat respiratory syncytial virus. Most important drug for treatment of hemorrhagic fever.

Special Features:

Name: **Azidothymidine (Zidovudine, AZT)**

Class: Antiviral

Mech: Thymidine analog. After phosph, inhib. viral RNA-dependent DNA polymerase (reverse transcriptase). Causes chain termination after incorporation.

Absorption: Oral bioavail 60-65%.

Distribution: good CSF

Metab.: Metab. to glucuronide. Antag. by ribavirin

Excretion, t_: 1 hr.

Toxicity/S.E.s: Granulocytopenia (45%), anemia (transfusions necessary 30%), headache, nausea, insomnia, myalgia.

Utility: Treatment of HIV. Decreases plasma HIV RNA, increases CD4 cells, decreases # of opportunistic infects, prolongs survival. Reduces risk of transmission by pregnant women to fetuses from 28% to 8%.

Special Features:

Name: **Dideoxyinosine (Didanosine, Videx, DDI)**

Class: Antiviral

Mech.: Inhib reverse transcriptase.

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: Peripheral neuropathy, rash, stomatitis, esophageal ulceration, pancreatitis, fever.

Utility: Treat HIV. Strains resistant to AZT may be susceptible. After treatment, may become susceptible again to AZT. Alternating treatment superior to either alone.

Special Features:

Name: **Dideoxycytosine (Zalcitabine, Hivid, DDC))**

Class: Antiviral

Mech.: Inhib reverse transcriptase.

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s: Peripheral neuropathy, rash, stomatitis, esophageal ulceration, pancreatitis, fever.

Utility: Treat HIV. Strains resistant to AZT may be susceptible. After treatment, may become susceptible again to AZT. Alternating treatment superior to either alone.

Special Features:

Name: **Indinavir (Crixivan)**

Class: Antiviral

Mech.: Inhib HIV protease activity

Absorption: Oral

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Treat HIV

Special Features: Active against strains resistant to reverse transcriptase inhibitors.

Name: **Ritonavir (Norvir)**

Class: Antiviral

Mech.: Inhib HIV protease activity

Absorption: Oral

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Treat HIV

Special Features: Active against strains resistant to reverse transcriptase inhibitors.

Name: **Saquinavir (Invirase)**

Class: Antiviral

Mech.: Inhib HIV protease activity

Absorption: Oral

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: Treat HIV

Special Features: Active against strains resistant to reverse transcriptase inhibitors.

Name: **Isoniazid (INH)**

Class: Antitubercular

Mech.: Tuberculostatic to resting bacilli, tuberculocidal to rapidly dividing cells. Enters cells via active uptake. Interferes w/DNA synth, glycolyis, synth of mycolic acid (unique component of mycobacteria)

Absorption: Rapidly absorbed after oral admin.

Distribution: Distributes in total body water. Retained in infected tissue.

Metab.: Acetylated in liver.

Excretion, t_: Urine. 75-90% in urine as metabolites in 24 hrs.

Toxicity/S.E.s: Direct—inactivation/depletion of pyridoxine → peripheral neuritis (treat prophylactically w/supplemental pyridoxine). Hypersensitivity rxns. Hepatitis (can be fatal) due to toxic metabolites. Convulsions, optic neuritis, toxic encephalopathy, reversible psychotic episodes.

Utility: Most important and widely used drug for tuberculosis. Prob. w/resistance.

Special Features: Only drug approved for prophylaxis of tuberculosis.

Name: **Rifampin (Rifadin)**

Class: Antitubercular

Mech.: Inhib. of bact. DNA-dependent RNA polymerase. Resist develops rapidly.

Absorption: Orally effective

Distribution: Diffuses freely into tissues and fluids

Metab.: Liver

Excretion, t_: 30% excreted in urine (50% active). T1/2= 1.5-5 hrs. ↓ in slow acetylaters.

Toxicity/S.E.s: Low incidence. Jaundice (can be fatal). Use w/caution w/impaired liver fxn. Induces hepatic microsomal enzymes. GI distress, diarrhea, CNS complaints, hypersensitivity. Influenza-like syndrome.

Utility: Rapidly improves TB patients to non-infectious state. Always used w/other agents. Esp. useful in serious cases of TB. Asymptomatic carriers of N. meningitis, eryth-resist. Legionella pneumophila infects. DOC for prophylaxis of H. influenza meningitis.

Name: **Ethambutol (Myambutol)**

Class: Antitubercular

Mech.: Tuberculostatic, mech. unknown, may involve inhib of mycolic acid synth.

Absorption: Well absorbed orally. Not affected by food.

Distribution:

Metab.:

Excretion, t_: Renal excretion (50% unchanged and 15% inactive metab. in 24 hr)

Toxicity/S.E.s: Few. Decreased visual acuity and ability to perceive color green (usually reversible). Gout.

Utility: Treat TB. Resistance develops is used alone. Usu. used with isoniazid.

Special Features:

Name: **Pyrazinamide**

Class: Antitubercular

Mech.: Bactericidal. Unknown mech.

Absorption: Well absorbed orally. Not affected by food.

Distribution: Dist. in total body water.

Metab.: Partially metabolized — hydrolyzed, hydroxylated

Excretion, t_: Glomerular filtration. 8 hrs.

Toxicity/S.E.s: Liver necrosis, hyperuricemia, nausea, vomiting, complication of diabetes management.

Utility: Used to treat TB when there is resistance to other agents. Requires 3 additional effective agents.

Special Features:

Name: **Amphotericin B (Fungizone)**
Class: Antifungal (Polyene)
Mech.: Assoc. w/ergosterol in fungal membrane → 4-5 Å pores. Fungistatic at low conc. Fungicidal at high conc.
Absorption: Insoluble in water. Colloidal preparation injected IV. Not absorbed orally, IM, or after bladder irrigation. Used topically.
Distribution: Bound to cholesterol and lipoprotiens. Poor CSF. Intrathecal may be necessary to treat meningitis.
Excretion, t_: Excreted very slowly as inactive metabolite by kidney.
Toxicity/S.E.s: Nephrotoxicity (80%) requires hospitalization for administration. Chills (50%), fever, nausea, vomiting, diarrhea, headache, phlebitis, suppression of RBC synth. IT → headache, radiculitis, paresis, paresthesias, visual impairment.
Utility: 1° drug used against serious systemic infections. DOC for 1° amebic meningoencephalitis caused by Naegleria. Alt. for American cutaneous or mucocutaneous leishmoniasis. Weekly injections for chronic suppression of Histoplasmosis in AIDS patients.
Special Features: No problem w/resistance development. Increases fungal permeability to other agents.

Name: **Nystatin**
Class: Antifungal (Polyene)
Mech.: Assoc. w/ergosterol in fungal membrane → 4-5 Å pores. Fungistatic at low conc. Fungicidal at high conc.
Absorption: Poor oral absorption. Topical admin.
Distribution:
Metab.:
Excretion, t_:
Toxicity/S.E.s: No side effects when topically applied
Utility: Superficial candidiasis (GI, cutaneous, oropharyngeal, vulvovaginal) via topical, oral, or vaginal admin.
Special Features: Safe during pregnancy.

Name: **Flucytosine (Ancobon)**
Class: Antifungal
Mech.: Converted to 5-fluorouracil in fungi (enzyme not in humans). 5-fluorouracil → phosph deoxyribose that inhibits thymidylate synth. Fungistatic.
Absorption: Well absorbed orally.
Distribution: Passes BBB (75%) → good CSF. Aqueous humor, bronchial secretions. Poorly bound by serum proteins.
Metab.:
Excretion, t_: 1° glomerular filtration (unmetab. product). 3-4 hr → 200 hr w/renal failure. Dose must be adjusted if renal fxn compromised.
Toxicity/S.E.s: Bone marrow depression with anemia, thrombocytopenia, leukopenia. Nausea, rashes, eosinophilisa, severe diarrhea, reversible hepatic dysfxn. Confusion, hallucinations, headache, vertigo, possibly fatal enterocolitis (esp. in comb. w/Amphoter.).
Utility: DOC for Chromomycosis. Used in comb. w/Amph for systemic Candida Albicans.
Special Features: Narrower spectrum than Amphotericin B. Resistance develops rapidly, so usu. used in comb., except to treat Chromomycosis

Name: **Ketoconazole (Nizoral)**
Class: Antifungal (Imidazole)
Mech.: Interferes w/ergosterol synth → altered fungal membrane permeability.
Absorption: Absorbed well from GI if contents are sufficiently acidic.
Distribution: Poor CSF at recommended doses. Ok CSF w/high doses.
Metab.: Degraded by liver.
Excretion, t_: Excreted mostly into bile. Only 10-15% appears unchanged in urine. Excreted in milk.
Toxicity/S.E.s: Fewer than Amphoter. or flucytosine. Rare fatal hepatic necrosis. Dose-dependent decrease in testosterone. Toxicities for nursing infants. Rare anaphylactic shock. Can't be used w/astemizole, terfenadine, loratadine due to inhib. of metabolism. Coadmin. w/ketoconazole → ↑ conc. of cyclosporin. Increases anticoag. response of anticoag drugs. Coadmin w/isoniazid or rifampin → ↓ ketoconazole.
Utility: DOC for Pseudoallescheria boydii. Alternate for C. albicans, H. capsulatum, B. dermatidis, Paracoccidiodes, C. immitis.
Special Features: Very broad spectrum. Being phased out and replaced with itraconazole and fluconazole.

Name: **Itraconazole (Sporanox)**

Class: Antifungal (Triazole)

Mech.: Inhib. cytochrome P450-dependent synth of ergosterol.

Absorption: Oral absorption good. Better in presence of food, high gastric acidity.

Distribution: Neglibible CSF, saliva.

Metab.: Extensively metab. in liver.

Excretion, t_: Very little active drug remains in urine.

Toxicity/S.E.s: Nausea, vomiting, headache, rash, loss of libido, impotence, gynecomastia. Rare hepatotoxicity. Inhib. metab. of long-acting antihistamines (sim. to ketoconazole), erythromycin, triazolam, cisapride.

Utility: DOC for many superficial and systemic infections. Alternate for many superf. and systemic infections. Oral treatment of onychomycoses. Same indications as ketocon., but also active against lymphocutaneous form of sporotrichosis plus Aspergillosis. Usu. preferred to ketoconazole.

Name: **Griseofulvin (Grifulvin)**

Class: Antifungal

Mech.: Binds to microtubules, disrupts mitotic spindle, blocks mitosis. Fungistatic.

Absorption: Always given orally. Erratically absorbed. Fatty meals → ↑ absorption.

Distribution: Binds in high conc. to keratin in areas of skin, hair, nails most affected by dermatophytes.

Metab.:

Toxicity/S.E.s: Headache, rare CNS effects (memory lapse, impaired judgment, blurred vision. Candida superinfection. High doses carcinogenic, teratogenic. Disulfiram-like effect with alcohol. Reduces efficacy of some oral contraceptives.

Utility: Inhibits most dermatophytes and superfic. yeast infections. Treats most types of tinea, ringworm, athletes foot.

Special Features: Cures at the base of the problem. When the cured base grow completely out, patient is cured. Long eradication time. Poor

Name: **Fluconazole (Diflucan)**

Class: Antifungal (Triazole)

Mech.: Inhib. fungal cyt. P450 and sterol C-14 α-demethylation. Normal sterols depleted, 14-α-methyl sterols build up → fungistatic.

Absorption: Oral absorption ≅ IV absorption (rare phenom.)

Distribution: Low plasma protein binding. Vd close to total body water. Good saliva, good CSF (~80%), conc. in urine and skin.

Metab.:

Excretion, t_: 80% appears in urine unchanged. Excreted in milk. 30 hr.

Toxicity/S.E.s: Rare hepatotoxicity. Rare exfoliative skin disorders (can be fatal). GI irritation, rash. Affects rat fetal development. Increases metabolite levels of some terfenadine metabolits (not terf. itself). May slow metab. of long-acting antihistamines and cyclosporines.

Utility: Candidiasis (oropharyngeal, esophageal, UTI, vaginal, systemic), Cryptococcal meningitis in AIDS patients and otherwise healthy patients. Coccidiodal meningitis. Chronic suppresion of Crypt. meningitis in AIDS patients. Single-dose therapy for vaginal yeast infections.

Special Features: Oral absorption ≅ IV absorption. Only causes minor changes in

Name: **Miconazole (Monistat, OTC=Micatin)**

Class: Antifungal (Imidazole)

Mech.: Causes leakage of small molecules/ions across plasma membrane. Also blocks purine uptake. Fungistatic at low conc. Fungicidal at high conc.

Absorption: Good oral, but not admin due to GI irritation. Topical, IV, IT.

Distribution: Good CSF

Toxicity/S.E.s: Topical → occasional burning and irritation. IV/IT may cause cardiorespiratory failure, thrombophlebitis.

Utility: Topical against common fungal infections of skin and vagina. Better than nystatin for vaginal candidiasis. Esp. useful in mixed skin infections featuring dermatophytes and Candida. Best topical agent for dermatophytoses (even severe). Efficacy = 90%. IV/IT as alternative for systemic Pseudallescheriasis infection (only non-topical use).

Special Features: Safe during pregnancy. Broad spectrum against fungi. Also active against gram+ bacteria.

Name: **Clortrimazole (Lotrimin)**

Class: Antifungal (Imidazole) (OTC)

Mech.: Causes leakage of small molecules/ions across plasma membrane. Also blocks purine uptake. Fungistatic at low conc. Fungicidal at high conc.

Absorption: Good oral, but not admin due to GI irritation. Topical.

Distribution: Good CSF

Toxicity/S.E.s: Topical → occasional burning and irritation.

Utility: Topical against common fungal infections of skin and vagina. Better than nystatin for vaginal candidiasis. Esp. useful in mixed skin infections featuring dermatophytes and Candida. Good topical agent for dermatophytoses. Troches esp. recommended for oropharyngeal candidiasis.

Special Features: Safe during pregnancy. Broad spectrum against fungi. Also active against gram+ bacteria. At high conc, also trichomonocidal.

Name: **Ciclopirox (Loprox)**

Class: Antifungal (OTC)

Mech.:

Absorption: Penetrates dermis, hair follicles, sebaceous glands.

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: 81-94% cure rate for cutaneous candidiasis, tinea corporis, tinea pedis, versicolor. May (one report) be useful in topical treatment of onychomycosis.

Special Features:

Name: **Tolnaftate (Aftate, Tinactin)**

Class: Antifungal (OTC)

Mech.:

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: 80% efficacy against dermatophytes

Special Features:

Name: **Undecylenic Acid (Desenex)**

Class: Antifungal (OTC)

Mech.:

Absorption:

Distribution:

Metab.:

Excretion, t_:

Toxicity/S.E.s:

Utility: <50% efficacy against athlete's foot

Special Features:

Name: **Iodide**
Class: Antifungal? Halide?
Mech.:
Absorption:
Distribution:
Metab.:
Excretion, t_:
Toxicity/S.E.s:
Utility: Used as alternate treatment for superficial cutaneous Sporotrichosis.
Special Features: