

PENGGOLONGAN ANTI-INFESI

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ANTIBIOTIKA

INHIBITORS OF CELL WALL SYNTHESIS

β -LACTAMASE INHIBITORS

β -LACTAM ANTIBIOTICS

OTHER ANTIBIOTICS

- Clavulanic acid*
- Sulbactam*
- Tazobactam*

- Vancomycin*
- Bacitracin*

PENICILLINS

- Penicillin G*
- Penicillin V*
- Methicillin*
- Nafcillin*
- Oxacillin*
- Cloxacillin*
- Dicloxacillin*
- Ampicillin*
- Amoxicillin*
- Carbenicillin*
- Ticarcillin*
- Piperacillin*
- Mezlocillin*
- Azlocillin*

CEPHALOSPORINS

1st GENERATION

- Cefazolin*
- Cefadroxil*
- Cephalexin*
- Cephalothin*
- Cephapirin*
- Cephradine*

2nd GENERATION

- Cefaclor*
- Cefamandole*
- Cefonicid*
- Cefmetazole*
- Cefotetan*
- Cefoxitin*
- Cefuroxime*

3rd GENERATION

- Cefdinir*
- Cefixime*
- Cefoperazone*
- Cefotaxime*
- Ceftazidime*
- Ceftibuten*
- Ceftizoxime*
- Ceftriaxone*
- Moxalactam*

4th GENERATION

- Cefepime*

CARBAPENEMS

- Imipenem/Cilastatin**

MONOBACTAMS

- Aztreonam*

β-lactam Antibiotics

Penicillins

- PenicillinG
- PenicillinV
- Methicillin
- Nafcillin
- Oxacillin
- Cloxacillin
- Dicloxacillin
- Amoxicillin
- Carbenicillin
- Ticarcillin
- Piperacillin
- Mezlocillin
- Cefoxitin
- Aziocillin

Cephalosporins

1st Generation

- Ampicillin
- Cefadroxil
- Cephalexin
- Cephalothin
- Cephapirin
- Cephradine

2nd Generation

- Cefazolin
- Cefamandole
- Cefonicid
- Cefmetazole
- Cefotetan
- Cefuroxime

3rd Generation

- Cefaclor
- Cefoperazone
- Ceftizoxime
- Ceftazidime
- Ceftriaxone
- Cefixime
- Moxalactam

4th&5thGeneration

- Cefepime
- Cefozopran
- Cefpirome
- Cefquinome
- Ceftobiprole
- Ceftaroline
- Fosamil

Carbapenems

- Biapenem
- Ertapenem
- Doripenem
- Imipenem
- Panipenem

Monobactams

- Aztreonam
- Tigemonam
- Carumonam
- Nocardicin A

PENISILIN

- ✓ FD : hambat cross-linked peptidoglycan
- ✓ mempunyai ring β laktam, yg dpt dirusak oleh β laktamase yg diproduksi o/ kuman Gr (-/+) \rightarrow obat inaktif. Resistensi ring β laktam thd β laktamase bervariasi
- ✓ Clavulanic acid / Sulbactam dpt menginaktifkan β laktamase \rightarrow sering dikombinasi
- ✓ Spektrum antimikroba bervariasi
- ✓ Stabilitas pada suasana asam bervariasi
- ✓ ES : hipersensitivitas (reaksi alergi silang), diare, neurotoksik, platelet disfunction

Stable to acid permitting oral administration

Natural penicillins

- > *Penicillin G**
- *Penicillin V*

Antistaphylococcal

- **Cloxacillin**
- **Dicloxacillin**
- **Methicillin**
- **Nafcillin**
- **Oxacillin**

Extended spectrum

- *Ampicillin*
- *Amoxicillin*
- **Amoxicillin + clavulanic acid**
- **Ampicillin + sulbactam**

Antipseudomonal

- Azlocillin*
- Carbenicillin*
- Mezlocillin*
- Piperacillin*
- Ticarcillin*

Ticarcillin + clavulanic acid

Piperacillin + tazobactam

Stable to penicillinase

Stability of the penicillins to acid or the action of penicillinase
*[Note: *Penicillin G* is largely inactivated by stomach acid, but doses can be adjusted so that adequate serum levels are achieved.]

Penisilin G dan penisilin V	Penisilin G dan penisilin V	Sangat aktif terhadap kokus Gram-positif, tetapi cepat dihidrolisis oleh penisilinase atau beta-laktamase, sehingga tidak efektif terhadap <i>S. aureus</i> .
Penisilin yang resisten terhadap beta-laktamase/ penisilinase	metisilin, nafsilin, oksasilin, kloksasilin, dan dikloksasilin	Merupakan obat pilihan utama untuk terapi <i>S. aureus</i> yang memproduksi penisilinase. Aktivitas antibiotik kurang poten terhadap mikroorganisme yang sensitif terhadap penisilin G.
Aminopenisilin	ampisilin, amoksisilin	Selain mempunyai aktivitas terhadap bakteri Gram-positif, juga mencakup mikroorganisme Gram-negatif, seperti <i>Haemophilus influenzae</i> , <i>Escherichia coli</i> , dan <i>Proteus mirabilis</i> . Obat-obat ini sering diberikan bersama inhibitor beta-laktamase (asam klavulanat, sulbaktam, tazobaktam) untuk mencegah hidrolisis oleh beta-laktamase yang semakin banyak ditemukan pada bakteri Gram-negatif ini.
Karboksipenisilin	karbenisilin, tikarsilin	Antibiotik untuk <i>Pseudomonas</i> , <i>Enterobacter</i> , dan <i>Proteus</i> . Aktivitas antibiotik lebih rendah dibanding ampisilin terhadap kokus Gram-positif, dan kurang aktif dibanding piperasilin dalam melawan <i>Pseudomonas</i> . Golongan ini dirusak oleh beta-laktamase.
Ureidopenisilin	mezlosilin, azlosilin, dan piperasilin	Aktivitas antibiotik terhadap <i>Pseudomonas</i> , <i>Klebsiella</i> , dan Gram-negatif lainnya. Golongan ini dirusak oleh beta-laktamase.

SEFALOSPORIN

- ring β laktam lebih resisten thd β laktamase dp Penisilin
- 4 generasi, spektrum bervariasi
- Gen I : gram (+), Gen II dan III : gram (-), Gen IV : gram (+/-)
- ES : alergi, *Disulfiram like reaction*, bleeding (cefamandole, cefoperazone anti vit K),

Therapeutic disadvantages of selected cephalosporins

Therapeutic advantages of selected cephalosporins

First Generation

Cefazolin
Cefadroxil
Cephalexin
Cephalothin
Cephapirin
Cephradine

This first-generation parenteral cephalosporin has a longer duration of action and a similar spectrum of action compared to other first-generation drugs. Good penetration into bone.

Prototype of first-generation oral cephalosporins. Oral administration twice daily is effective against pharyngitis.

Second Generation

Associated with serum sickness.

Cefactor
Cefamandole
Cefonicid
Cefmetazole
Cefotetan
Cefoxitin
Cefuroxime
Cefuroxime axetil

Shows good activity against anaerobes, particularly *Bacteroides fragilis*. Useful in patients with intraabdominal sepsis, and against gynecologic sepsis including pelvic inflammatory disease.

This prototype second-generation parenteral cephalosporin has a longer half-life than similar agents. It crosses the blood-brain barrier and can be used for community-acquired bronchitis or pneumonia in the elderly and for patients who are immunocompromised.

Oral administration twice daily. Well absorbed. Active against β -lactamase-producing organisms.

These cephalosporins contain the methylthiotetrazole side chain and can cause hypoprotrombinemia and bleeding problems as well as a disulfiram effect, that is, an intolerance to ingested ethanol.

Third Generation

Cefdinir
Cefixime
Cefoperazone
Cefotaxime
Ceftazidime
Ceftibuten
Ceftizoxime
Ceftriaxone

Oral dosing once daily.

Good penetration into CSF.

Active against *Pseudomonas aeruginosa*.

Longest half-life of any cephalosporin (6-8 hrs) permits once a day dosing. High levels of drug can be achieved in blood and CSF. Effective against genital, anal and pharyngeal penicillin-resistant *Neisseria gonorrhoeae*. Drug excreted in bile and may be used in patients with renal insufficiency. Good penetration into bone.

Fourth Generation

Cefepime

MONOBACTAM

Contoh: aztreonam.

Aktivitas: resisten terhadap beta-laktamase yang dibawa oleh bakteri Gram- negatif. Aktif terutama terhadap bakteri Gram-negatif. Aktivitasnya sangat baik terhadap Enterobacteriaceae, *P. aeruginosa*, *H. influenzae* dan gonokokus.

Pemberian: parenteral, terdistribusi baik ke seluruh tubuh, termasuk cairan serebrospinal.

Waktu paruh: 1,7 jam.

Ekskresi: sebagian besar obat diekskresi utuh melalui urin.

KARBAPENEM

Karbapenem merupakan antibiotik lini ketiga yang mempunyai aktivitas antibiotik yang lebih luas daripada sebagian besar beta-laktam lainnya. Yang termasuk karbapenem adalah imipenem, meropenem dan doripenem.

Spektrum aktivitas: Menghambat sebagian besar Gram-positif, Gram-negatif, dan anaerob. Ketiganya sangat tahan terhadap beta-laktamase.

Efek samping: paling sering adalah mual dan muntah, dan kejang pada dosis tinggi yang diberi pada pasien dengan lesi SSP atau dengan insufisiensi ginjal. Meropenem dan doripenem mempunyai efikasi serupa imipenem, tetapi lebih jarang menyebabkan kejang.

INHIBITOR BETA LAKTAMASE

Inhibitor beta-laktamase melindungi antibiotik beta-laktam dengan cara menginaktivasi beta-laktamase. Yang termasuk ke dalam golongan ini adalah asam klavulanat, sulbaktam, dan tazobaktam. Asam klavulanat merupakan *suicide inhibitor* yang mengikat beta-laktamase dari bakteri Gram-positif dan Gram-negatif secara ireversibel. Obat ini dikombinasi dengan amoksisilin untuk pemberian oral dan dengan tikarsilin untuk pemberian parenteral. Sulbaktam dikombinasi dengan ampicilin untuk penggunaan parenteral, dan kombinasi ini aktif terhadap kokus Gram-positif, termasuk *S. aureus* penghasil beta-laktamase, aerob Gram-negatif (tapi tidak terhadap *Pseudomonas*) dan bakteri anaerob. Sulbaktam kurang poten dibanding klavulanat sebagai inhibitor beta-laktamase. Tazobaktam dikombinasi dengan piperasilin untuk penggunaan parenteral. Waktu paruhnya memanjang dengan kombinasi ini, dan ekskresinya melalui ginjal.

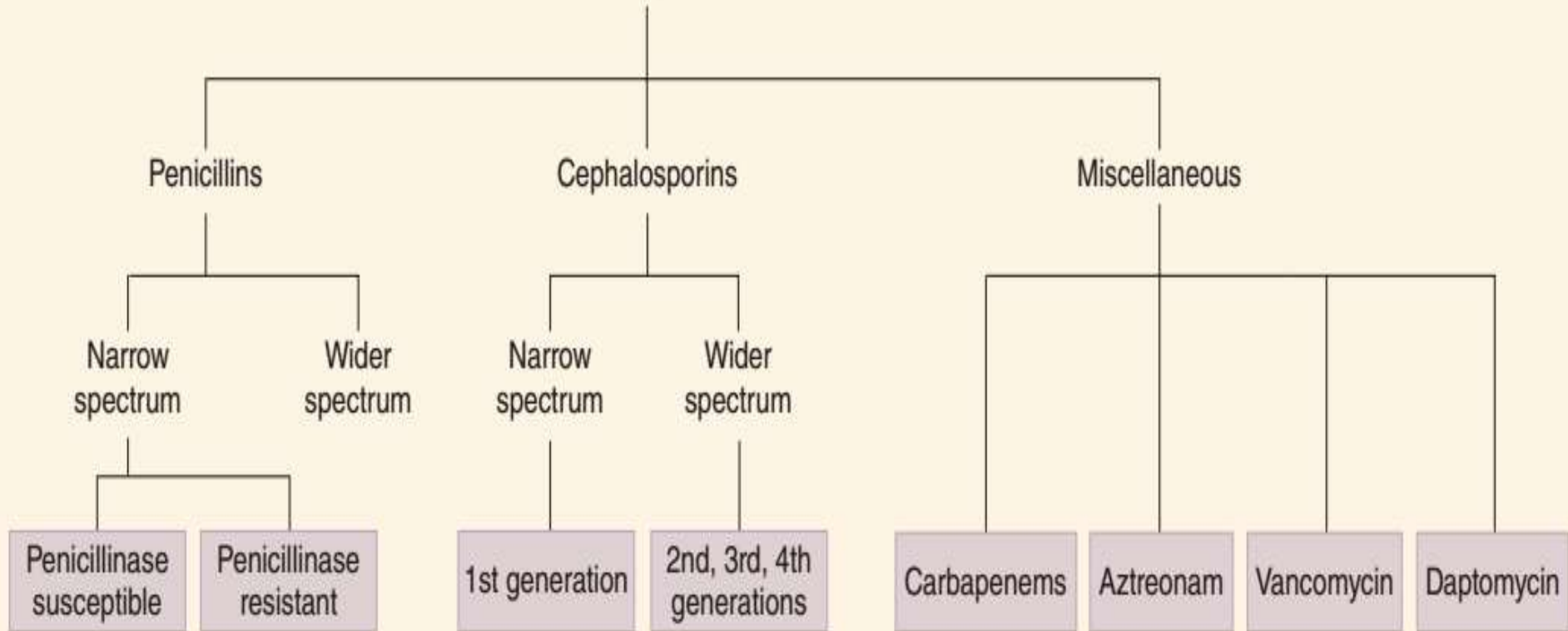
VANKOMISIN

Vankomisin merupakan antibiotik lini ketiga yang terutama aktif terhadap bakteri Gram-positif. Vankomisin hanya diindikasikan untuk infeksi yang disebabkan oleh *S. aureus* yang resisten terhadap metisilin (MRSA). Semua basil Gram-negatif dan mikobakteria resisten terhadap vankomisin. Vankomisin diberikan secara intravena, dengan waktu paruh sekitar 6 jam. Efek sampingnya adalah reaksi hipersensitivitas, demam, *flushing* dan hipotensi (pada infus cepat), serta gangguan pendengaran dan nefrotoksisitas pada dosis tinggi.

BASITRASIN

Basitrasin adalah kelompok yang terdiri dari antibiotik polipeptida, yang utama adalah basitrasin A. Berbagai kokus dan basil Gram-positif, *Neisseria*, *H. influenzae*, dan *Treponema pallidum* sensitif terhadap obat ini. Basitrasin tersedia dalam bentuk salep mata dan kulit, serta bedak untuk topikal. Basitrasin jarang menyebabkan hipersensitivitas. Pada beberapa sediaan, sering dikombinasi dengan neomisin dan/atau polimiksin. Basitrasin bersifat nefrotoksik bila memasuki sirkulasi sistemik.

Bacterial cell wall synthesis inhibitors



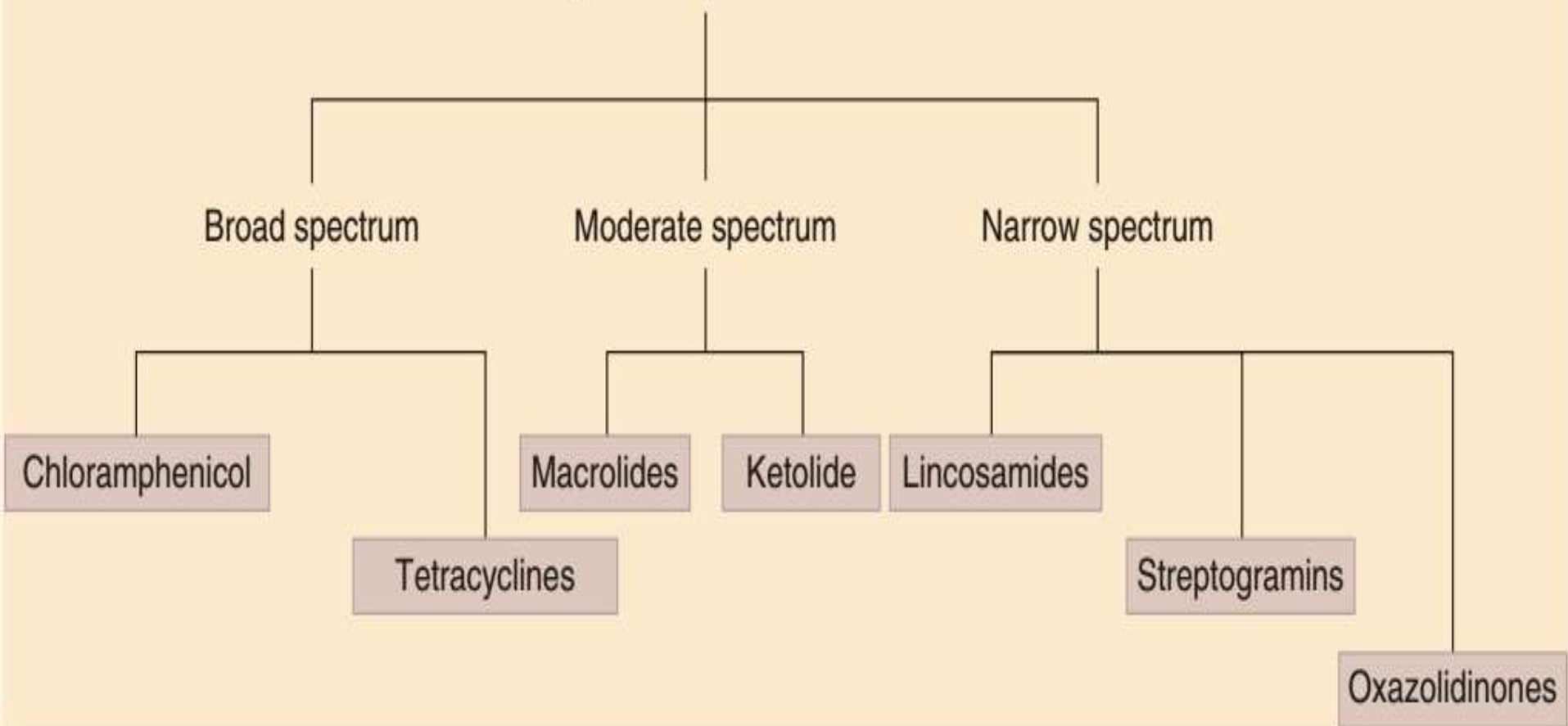
Golongan Penghambat Sintesis Dinding Sel

Subclass	Activity Spectrum & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Penicillins	Inhibit transpeptidation		
<i>Narrow spectrum</i>			
<i>Penase-susceptible</i> Penicillin G Penicillin V	Streptococcal and meningococcal infections • DOC for syphilis	Rapid renal elimination; short half-lives necessitate frequent dosing • some biliary clearance of nafcillin and oxacillin	Hypersensitivity reactions (~5–6% incidence) • assume complete cross-reactivity; GI distress and maculopapular rash (ampicillin)
<i>Penase-resistant</i> Nafcillin Oxacillin	Staphylococcal infections		
<i>Wider spectrum (+/-) penicillinase inhibitor</i>	Greater activity vs Gram-negative bacteria		
Ampicillin Amoxicillin Piperacillin Ticarcillin	All penicillins (and cephalosporins) are bactericidal	Use with clavulanic acid/tazobactam	

Subclass	Activity Spectrum & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Cephalosporins First generation Cephalexin, others	Inhibit transpeptidation Skin, soft tissue UT infections	Oral use for older drugs Mostly IV for newer drugs • renal elimination	Hypersensitivity reactions (~2% incidence) • assume complete cross-reactivity between cephalosporins • partial with penicillins • GI distress
Second generation Cefaclor Cefotetan Cefprozil Cefoxitin Cefuroxime	More active vs <i>S pneumoniae</i> and <i>H influenzae</i> ; <i>B fragilis</i> (cefotetan)	Short half-lives	
Third generation Ceftriaxone Cefotaxime Ceftazidime Cefixime Cefpodoxime proxetil Cefdinir Cefditoren pivoxil Ceftibuten	Many uses including pneumonia, meningitis, and gonorrhea	Third-generation drugs enter CNS	
Fourth generation Cefepime	Broad activity, beta-lactamase-stable		

Subclass	Activity Spectrum & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Carbapenems Imipenem-cilastatin Doripenem Meropenem Ertapenem	Inhibit transpeptidation Broad spectrum includes some PRSP strains (not MRSA), Gram-negative rods, and <i>Pseudomonas</i> spp	Parenteral; cilastatin inhibits renal metabolism of imipenem • renal elimination	Partial cross-reactivity with penicillins • CNS effects include confusion and seizures
Monobactams Aztreonam	Inhibit transpeptidation Active only vs Gram-negative bacteria: <i>Klebsiella</i> , <i>Pseudomonas</i> , and <i>Serratia</i> spp	Parenteral use • renal elimination	GI upsets, headache, vertigo • no cross-allergenicity with beta-lactams
Glycopeptides Vancomycin Teicoplanin Dalbavancin Oritavancin Telavancin	Inhibit transglycosylation Gram-positive activity includes MRSA and PRSP strains MOA as vancomycin	Parenteral (oral for <i>C difficile</i> colitis) • renal elimination IV only, long half-life Teicoplanin long half-life (45–70 h) permits once-daily dosing Telavancin: Intravenous, once-daily dosing Dalbavancin: IV very long half-life (> 10 days) permits once-weekly dosing Oritavancin: IV, very long half-life (> 10 days) permits once-weekly dosing	“Red man” syndrome, rare nephrotoxicity
Lipopeptide Daptomycin	Destabilizes membrane Gram-positive activity; used in endocarditis and sepsis	Renal elimination	Myopathy • monitor CPK weekly

Bacterial protein synthesis inhibitors



PROTEIN SYNTHESIS INHIBITORS

TETRACYCLINES

Demeclocycline
Doxycycline
Minocycline
Tetracycline

AMINOGLYCOSIDES

Amikacin
Gentamicin
Neomycin
Netilmicin
Streptomycin
Tobramycin

MACROLIDES

Azithromycin
Clarithromycin
Erythromycin

CHLORAMPHENICOL

CLINDAMYCIN

TETRASIKLIN

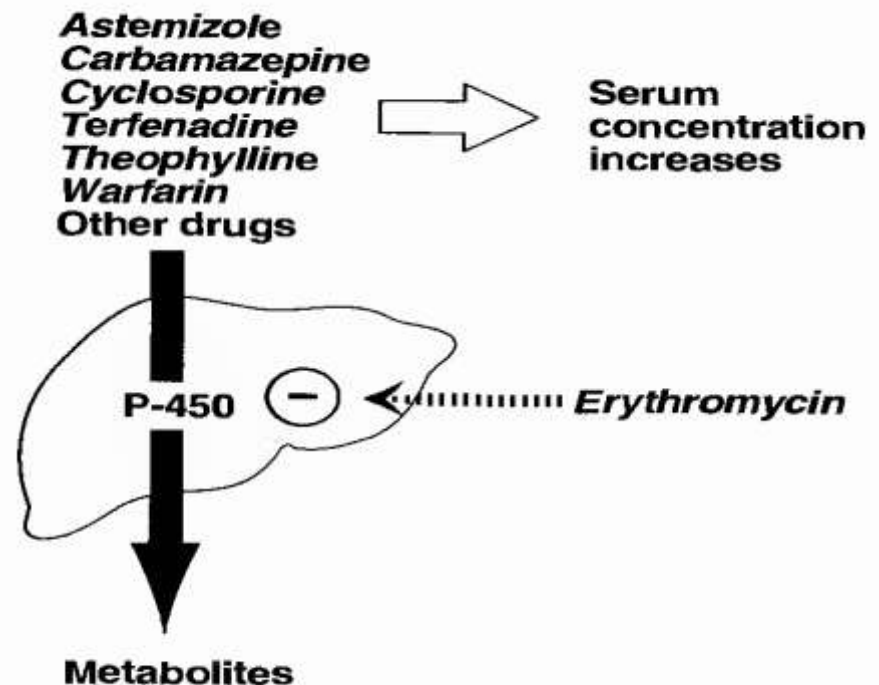
- Bakteriostatik
- Broad spectrum
- Absorpsi terganggu oleh susu, Ca^{2+} , Fe^{2+} , Mg^{2+} (membentuk kompleks)
- ES : iritasi lambung, deposisi di tulang dan gigi, fetal hepatotoksik, fototoksik, vestibular problem (dizziness, nausea, vomit), superinfeksi candida
- KI : gangg ginjal (kecuali doxycyclin)

AMINOGLIKOSIDA

- Bakterisid
- Sgt polar → sulit diabs GIT (<1%) → parenteral.
- Pemberian p.o → u/ mdpt kan efek lokal dlm GIT (Neomisin) → prabedah usus
- Es : Nefrotoksik, Ototoksik (vestibular & cochlear) ok kerusakan sel rambut, hebat & irreversibel. Teratogen
- Therapeutik window sempit (gentamisin, tobramisin, amikasin)

MACROLID

- Hamb enz sitokrom P450
- ES : epigastric distress, skin rash, Akut kolestatik hepatitis, ototoksik (transient)



CHLORAMPHENICOL

- ES : Myelosuppression(dose-dependent anemi, dose-independent aplastic anemi), Grey baby syndr(BBL kekurangan enz UDP glukoronyl transferase →metab kloramp↓→muntah, flaccid, gray skin, syok)
- Hamb enz sitokrom P 450

CLINDAMYCIN

- Indikasi : infeksi sal. Cerna anaerob.
- ES : Mual, diare, skin rash, gangg faal hepar, pseudomembr colitis (overgrowth C difficile) , nyeri abdomen, , ruam, eritema eksudatif (*steven johnson synd*), granulositopenia, peningkatan SGOT n SGPT yg reversibel, trombositopenia, rx anafilaksis.

DRUG SUMMARY TABLE: Tetracyclines, Macrolides, & Other Protein Synthesis Inhibitors

Subclass	Mechanism of Action	Activity & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Tetracyclines				
Tetracycline Doxycycline Minocycline Tigecycline	Bind to 30S ribosomal subunit • bacteriostatic; tigecycline has broadest spectrum (grm(+ve, grm(-)ve, & anaerobes) and resistance is less common (too large for efflux pump)	Infections due to chlamydiae, mycoplasma, rickettsiae, spirochetes, and <i>H pylori</i> ; treatment of acne (low dose)	Oral, IV • renal and biliary clearance • Doxycycline mainly gastrointestinal (GI) elimination and long half-life	GI upsets, deposition in developing bones and teeth, photosensitivity, superinfection
Macrolides				
Erythromycin Azithromycin Clarithromycin Telithromycin	Bind to 50S ribosomal subunit • bacteriostatic • least resistance to telithromycin (too large for efflux pump)	Community-acquired pneumonia, pertussis, corynebacteria, and chlamydial infections	Oral • IV for erythromycin, azithromycin • Hepatic clearance, azithromycin long half-life (>40 h)	GI upsets, hepatic dysfunction • QT prolongation • CYP450 inhibition (not azithromycin)
Lincosamide				
Clindamycin	Bind to 50S ribosomal subunit • bacteriostatic	Skin, soft tissue, and anaerobic infections	Oral, IV • hepatic clearance	GI upsets • <i>C difficile</i> colitis

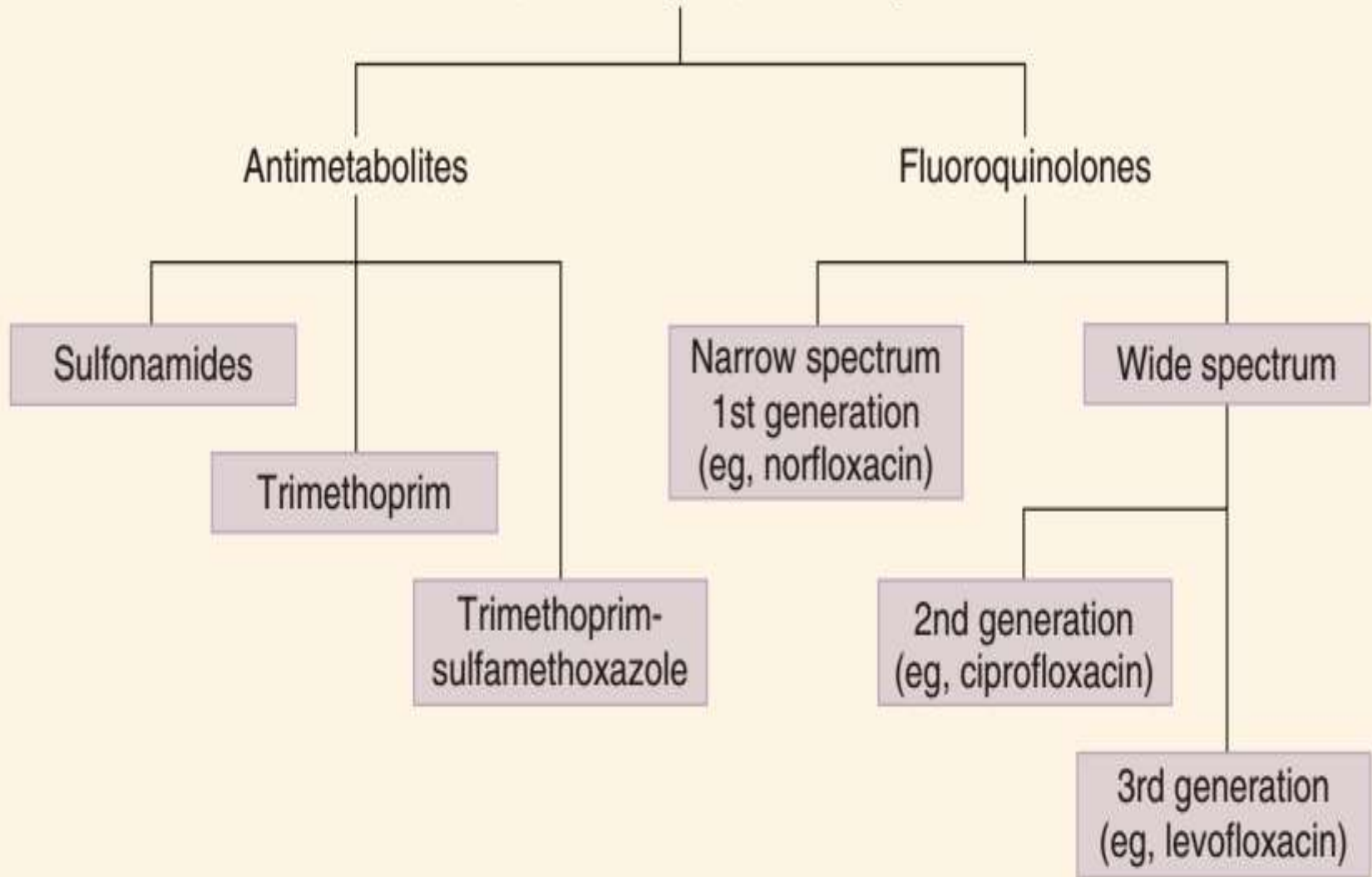
Subclass	Mechanism of Action	Activity & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Streptogramins				
Quinupristin-dalfopristin	Binds to 50S ribosomal subunit • bactericidal	Staphylococcal infections, vancomycin-resistant <i>E faecium</i>	IV • renal clearance	Infusion-related arthralgia and myalgia • CYP450 inhibition
Chloramphenicol	Binds to 50S ribosomal subunit • bacteriostatic	Wide spectrum, but mainly backup	Oral, IV; hepatic clearance, short half-life	Dose-related anemia • gray baby syndrome
Oxazolidinones				
Linezolid	Binds to 23S RNA of 50S subunit • bacteriostatic	Activity includes MRSA, PRSP, and VRE strains	Oral, IV; hepatic clearance	Dose-related anemia, neuropathy, optic neuritis • serotonin syndrome with SSRIs
Tedizolid		MRSA (skin & soft tissue infections)	Oral, IV (long half-life; once daily dosing)	

MRSA, methicillin-resistant staphylococci; PRSP, penicillin-resistant *Streptococcus pneumoniae*; SSRIs, selective serotonin reuptake inhibitors; VRE, vancomycin-resistant enterococci.

DRUG SUMMARY TABLE: Aminoglycosides & Spectinomycin

Drugs	Mechanism of Action	Activity & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Gentamicin Tobramycin Amikacin Streptomycin Neomycin Spectinomycin Kanamycin Sisomicin Netilmicin	Bactericidal • inhibit protein synthesis via binding to 30S ribosomal subunit • amikacin least resistance • concentration-dependent action; also exert postantibiotic effects	Aerobic Gram-negative bacteria, <i>H influenzae</i> , <i>M catarrhalis</i> , and <i>Shigella</i> species • often used in combinations with beta-lactams • Gonorrhea, (spectinomycin, IM) • Tuberculosis, (streptomycin, IM)	IV • renal clearance with half-lives 2–4 h • once-daily dosing effective with less toxicity • oral and topical (neomycin, gentamicin)	Nephrotoxicity (reversible), ototoxicity (irreversible), neuromuscular blockade (at high doses) • ototoxicity in newborn after fetal exposure

Sulfonamides, trimethoprim, & fluoroquinolones



QUINOLONES AND URINARY TRACT ANTISEPTICS

FLUOROQUINOLONES

Ciprofloxacin

Enoxacin

Lomefloxacin

Norfloxacin

Ofloxacin

*Trovafloxacin*¹

QUINOLONES

Nalidixic acid

URINARY TRACT ANTISEPTICS

Methenamine

Nitrofurantoin

QUINOLON

- BAKTERISID, gram (-)
- ES : CNS problem (headache, nausea, dizziness), nefrotoksik (kristaluria), fototoksik
- KI ; ibu hamil, menyusui, anak < 18 th (erosi artikular kartilago/arthropathy)

SULFONAMID

- ES : Anemia G6PDase, trombositopenia, kristaluri-nefrotoksik (minum>>, Alergi
- Sulfa dpt me[↑] efek obat lain dg cara hamb metabolisme atau pergeseran ikatan dg albumin

MUPIROSIN

- Merupakan obat topikal yang menghambat bakteri Gram (+) & bbrp Gram (-).
- Tersedia dalam bentuk krim atau salep 2% untuk penggunaan di kulit (lesi kulit traumatik, impetigo yang terinfeksi sekunder oleh *S.aureus* atau *S.pyogenes*) dan salep 2% untuk intranasal.
- ES: iritasi kulit dan mukosa serta sensitisasi.

DRUG SUMMARY TABLE: Sulfonamides, Trimethoprim, & Fluoroquinolones

Subclass	Mechanism of Action	Activity & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Trimethoprim-sulfamethoxazole	Synergistic inhibition of folic acid synthesis • the combination is bactericidal by sequential blockade	Urinary tract, respiratory, ear, and sinus infections • <i>P jirovecii</i> pneumonia • toxoplasmosis • nocardiosis	Oral, IV • renal clearance, half-life ~10 h	Rash, fever, bone marrow suppression, hyperkalemia • high incidence of adverse effects in AIDS patients
Other folate antagonists				
Sulfisoxazole Sulfadiazine (+/- pyrimethamine) Trimethoprim Pyrimethamine (+/- sulfadoxine)	Sulfonamides inhibit dihydropteroate synthase Trimethoprim and pyrimethamine inhibit dihydrofolate reductase	Simple urinary tract infections (oral) and topical in burn or eye infections (sulfonamides) • toxoplasmosis (sulfadiazine + pyrimethamine) • malaria (sulfadoxine + pyrimethamine)	Hepatic and renal clearance and extensive plasma protein binding of sulfonamides (displace bilirubin, methotrexate, and warfarin)	Oral sulfonamides cause GI upsets, acute hemolysis in G6PDH deficiency, possible crystalluria and rash (assume cross-hypersensitivity)

DRUG SUMMARY TABLE: Sulfonamides, Trimethoprim, & Fluoroquinolones (Continued)

Subclass	Mechanism of Action	Activity & Clinical Uses	Pharmacokinetics & Interactions	Toxicities
Ciprofloxacin	Inhibits DNA replication via binding to DNA gyrase (Gram-negative organisms) and topoisomerase IV (Gram-positive organisms) • bactericidal • Resistance: see below	Effective in urogenital, GI tract, and some respiratory infections • activity versus gonococci rapidly declining • limited use in tuberculosis	Oral, IV • mostly renal clearance, half-life 4 h Oral absorption impaired by cations	GI upsets, CNS effects (dizziness, headache) • tendinitis due to effects on cartilage (avoid in young children and pregnancy) • neurotoxicity

Other fluoroquinolones

Norfloxacin Ofloxacin Levofloxacin Moxifloxacin Gemifloxacin	Mechanism identical to that of ciprofloxacin; bactericidal • Resistance via changes in target enzymes (eg, DNA gyrase) and possibly formation of inactivating enzymes	Norfloxacin and ofloxacin used mainly for urinary tract infections • levofloxacin and moxifloxacin are used for respiratory infections with enhanced activity against Gram-positive cocci and atypicals (chlamydia, mycoplasma)	Oral and IV forms of levofloxacin and moxifloxacin • mostly renal clearance (moxifloxacin—hepatic) • Long half-lives of gemifloxacin and moxifloxacin permit once-daily dosing • Oral absorption impaired by cations	Like ciprofloxacin (see above) • QTc prolongation (levofloxacin, gemifloxacin, and moxifloxacin) • Caution with use of group 1A and 3 antiarrhythmics
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CONTOH TERAPI PILIHAN AB bds JENIS MIKROBA

Pathogen	Drug(s) of First Choice	Alternative Drugs
<i>Enterococcus spp</i>	Ampicillin +/- gentamicin	Vancomycin +/- gentamicin
<i>S aureus or epidermidis</i>		
Methicillin-susceptible	Nafcillin	Cephalosporin, clindamycin, fluoroquinolone, imipenem
Methicillin-resistant	Vancomycin +/- gentamicin +/- rifampin	Daptomycin, minocycline, linezolid, streptogramins, tigecycline
<i>S pneumoniae</i>		
Penicillin-susceptible	Pen G, amoxicillin	Cephalosporin, clindamycin, fluoroquinolone, macrolide, TMP-SMZ
Penicillin-resistant	Vancomycin + ceftriaxone or cefotaxime +/- rifampin	Linezolid, streptogramins, third-generation fluoroquinolone
<i>N gonorrhoeae</i>	Ceftriaxone, cefixime	Spectinomycin, azithromycin
<i>N meningitidis</i>	Penicillin G	Third-generation cephalosporin, chloramphenicol
<i>M catarrhalis</i>	Cefuroxime, TMP-SMZ	Amoxicillin-clavulanate, third-generation fluoroquinolone, macrolide
<i>C difficile</i>	Metronidazole	Vancomycin, bacitracin, fidaxomicin
<i>C trachomatis</i>	Azithromycin or tetracycline	Clindamycin, ofloxacin
<i>C pneumoniae</i>	Erythromycin or tetracycline	Clarithromycin, azithromycin
<i>T pallidum</i>	Penicillin G	Doxycycline, ceftriaxone, azithromycin

HIPERSENSITIFITAS AKIBAT AB

- ***Immediate hypersensitivity***
 - Inj Penicillin → bbrp menit : sesak napas ok spasme laring & bronkus, urtikaria, angioedema, hipotensi & hilang kesadaran
- ***Antibody Mediated Type II Hypersensitivity*** (reaksi sitotoksik = kel darah spt An hemolitik, trombositopenia, eosinofilia, granulositopenia)
 - Kloramp → granulositopeni
 - beta-laktam → anemia hemolitik autoimun,
 - Penicillin → ggn agregasi tromb

- ***Immune Hypersensitivity-complex Mediated(Tipe III)*** :Timbul 1-3 minggu stl pemberian obat berupa eritema, urtikaria , angioedema. demam, artralgia & adenopati, SLE, neuritis optik, glomerulonefritis, & vaskulitis
- ***Delayed Type Hypersensitivity.***
 - Terjadi pd pemakaian Ox topikal jangka lama, spt sulfa /penisilin →kontak dermatitis.
 - nitrofurantoin→Reaksi paru spt sesak, batuk & efusi.
 - INH→Hepatitis,
 - beta-laktam →nefritis interstisial
 - klaritromisin→ ensefalopati

AB KI UNTUK ANAK

Nama Obat	Kelompok Usia	Alasan
Siprofloksasin	Kurang dari 12 tahun	Merusak tulang rawan (<i>cartilage disgenesis</i>)
Norfloksasin	Kurang dari 12 tahun	Merusak tulang rawan (<i>cartilage disgenesis</i>)
Tetrasiklin	Kurang dari 4 tahun atau pada dosis tinggi	diskolorisasi gigi, gangguan pertumbuhan tulang
Kotrimoksazol	Kurang dari 2 bulan	Tidak ada data efektivitas dan keamanan
Kloramfenikol	Neonatus	Menyebabkan <i>Grey baby syndrome</i>
Tiamfenikol	Neonatus	Menyebabkan <i>Grey baby syndrome</i>
Linkomisin HCl	Neonatus	<i>Fatal toxic syndrome</i>
Piperasilin-Tazobaktam	Neonatus	Tidak ada data efektivitas dan keamanan
Azitromisin	Neonatus	Tidak ada data keamanan
Tigesiklin	Anak kurang dari 18 tahun	Tidak ada data keamanan
Spiramisin	Neonatus dan bayi	Tidak ada data keamanan

KATEGORI KEAMANAN OBAT IBU HAMIL (FDA)

A	Data klinik dipercaya obat tidak ada resiko
B	B1 : pemakaian terbatas, pd hewan aman B2: penelitian hewan blm memadai, tp aman B3: pd hewan ada resiko, pd manusia tidak
C	Ada resiko (reversibel), tapi bukan malformasi
D	Resiko pada malformasi janin (irreversibel)
X	Terbukti malformasi, Kontraindikasi pada kehamilan

AB AMAN UNTUK IBU HAMIL (FDA-USA)

KATEGORI				
A	B	C	D	X
(Hanya vitamin)	Amphoterasin B Azitromisin	Basitrasin Kuinolon Klaritromisin	Aminoglikosida Doksisiklin Minosiklin	Metronidazol (trimester I)
	Astreonam Beta laktam Klindamisin Karbapenem Eritromisin Fosfomisin Metronidazol	Kotrimoksazol Imipenem Isoniazid Linezolid Paramomisin Pirazinamid Spiramisin Sulfa Rifampisin Vankomisin	Tetrasiklin Tigesiklin	

AB yg Dihindari Pada Wanita Menyusui

Nama Antibiotik	Pengaruh terhadap ASI dan bayi	Anjuran
Kloramfenikol	Toksisitas sumsum tulang pada bayi	Hentikan selama menyusui
Klindamisin	Pendarahan gastrointestinal	Hentikan selama menyusui
Kloksasilin	Diare	Awasi terjadinya diare
Metronidazol	Data pre klinik menunjukkan karsinogenik	Hentikan selama menyusui
Pentoksifilin	Ekskresi dalam ASI	Hindari selama menyusui
Siprofloksasin	Ekskresi dalam ASI	Hindari selama menyusui
Kotrimoksazol	Hiperbilirubinemia atau defisiensi G6PD	Hindari pada bayi sakit, stres, prematur, hiperbilirubinemia, dan defisiensi G6PD

AB KI UNTUK IBU MENYUSUI

Antibiotik	Catatan
Kloramfenikol	Berpotensi menyebabkan supresi sumsum tulang idiosinkratik
Siprofloksasin, norfloksasin (kinolon)	Siprofloksasin tidak disetujui secara langsung untuk anak-anak. Lesi kartilago dan artropati ditemukan pada binatang yang belum dewasa.
Klofazimin	Klofazimin diekskresi melalui air susu dan dapat menyebabkan pigmentasi kulit pada bayi menyusui
Furazolidon	Hindari pada bayi berumur < 1 bulan karena risiko potensial anemia hemolitik
Metronidazol	Risiko mutagenisitas dan karsinogenisitas. <i>American Academy of Pediatrics</i> merekomendasikan untuk menghentikan pemberian air susu ibu selama 12-24 jam selama periode eksresi obat
Vaksin	Vaksin dapat diberikan pada ibu menyusui, termasuk vaksin hidup seperti <i>measles-mumps-rubella</i> (MMR) dan <i>oral polio vaccine</i> (OPV). Ada perpindahan vaksin hidup pada bayi menyusui namun tidak ada catatan efek samping
Vankomisin	Vankomisin digunakan untuk mengobati MRSA . Efek samping bisa cukup parah pada nilai darah, tes fungsi ginjal dan hati harus dilakukan selama pemberian. Saat ini informasi tentang efek samping masih jarang sehingga dianjurkan menggunakan metode alternatif pemberian asupan pada bayi
Nitrofurantoin	Sejumlah kecil nitrofurantoin yang diekskresikan melalui air susu dapat menyebabkan hemolisis defisiensi G6PD pada bayi (defisiensi enzim yang jarang). Obat ini juga dapat menyebabkan warna air susu menjadi kuning.

AB pd Lansia yg eliminasi utama di ginjal → penyesuaian dosis

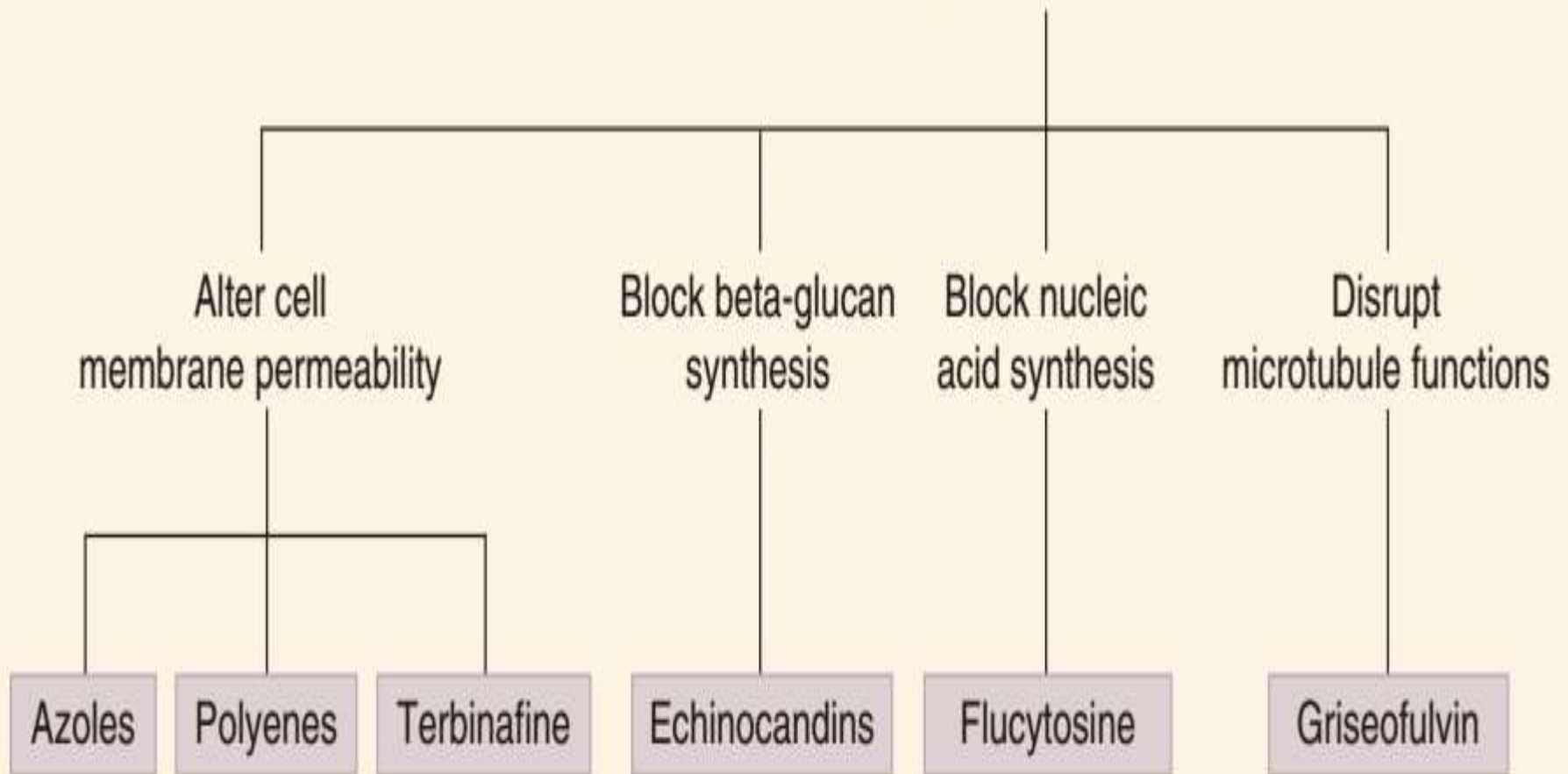
Sebagian besar b-laktam	Nitrofurantoin
Aminoglikosida	Fosfomisin
TMP – SMX	Tetrasiklin
Monobaktam	Daptomisin
Ciprofloksasin	Karbapenem
Levofloksasin	Polimiksin B
Gatifloksasin	Colistin
Gemifloksasin	Flusitosin
Vankomisin	

AB pd Lansia yg eliminasi utama di hepar → penyesuaian dosis

Kloramfenikol	Nafsilin
Cefoperazon	Linezolid
Doksisiklin	Isoniazid / Etambutol / Rifampisin
Minosiklin	Pirazinamid
Telitromisin	Klindamisin
Moksifloksasin	Metronidazol
Makrolida	Tigesiklin

ANTI JAMUR

Drugs acting on fungi



ANTIFUNGAL DRUGS

DRUGS FOR SUBCUTANEOUS AND SYSTEMIC MYCOSES

Amphotericin B

Fluconazole

Flucytosine

Itraconazole

Ketoconazole

DRUGS FOR SUPERFICIAL MYCOSES

Clotrimazole

Econazole

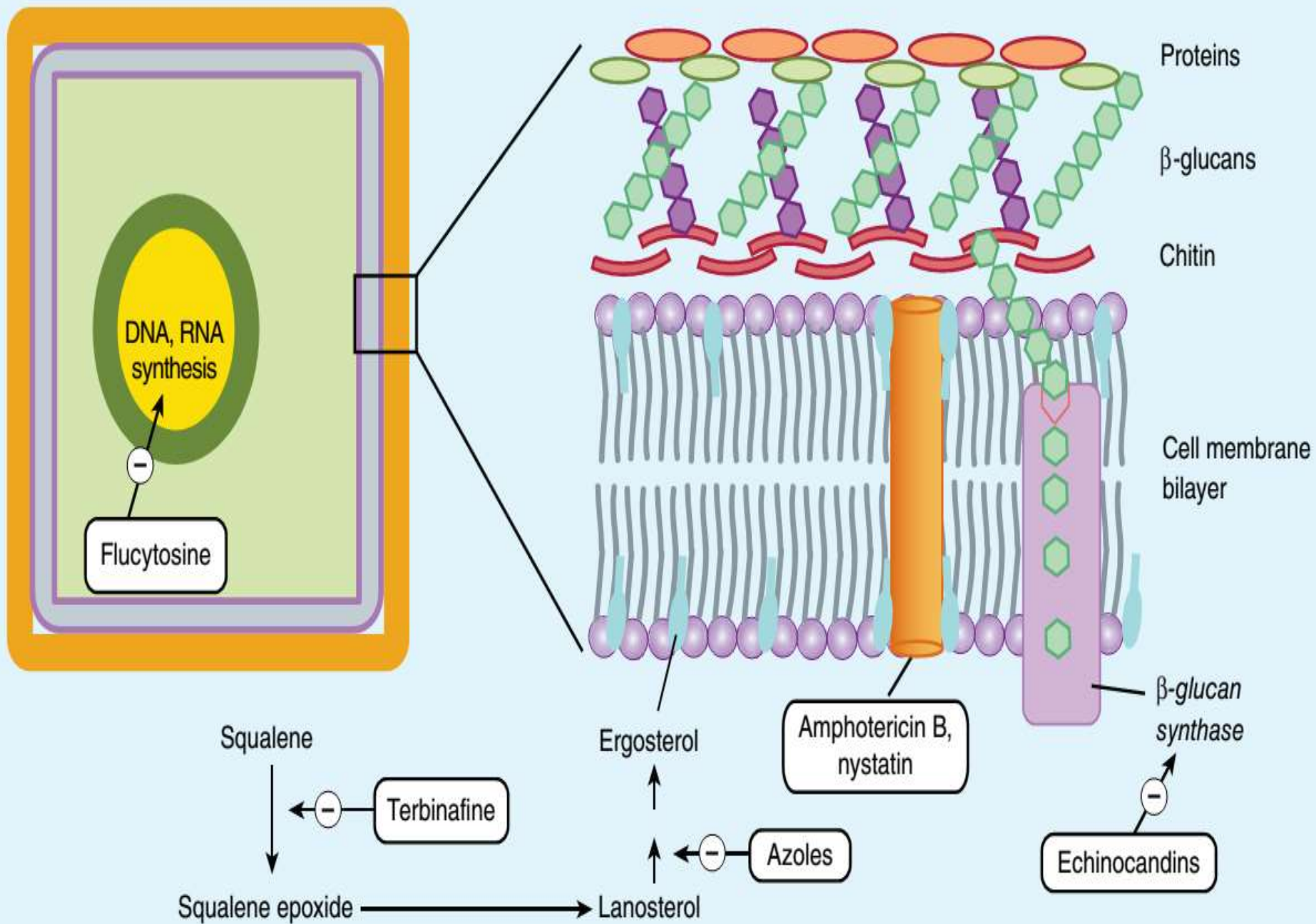
Griseofulvin

Miconazole

Nystatin

Fungal cell

Fungal cell membrane and cell wall



Antifungals

amphotericin B
nystatin



ergosterol

14- α -demethylase

lanosterol



ergosterol

azoles

fluconazole

itraconazole

ketoconazole

miconazole

griseofulvin



mitotic
spindles

flucytosine



5-FU



Antifungal Drugs

Antifungal drugs are medicines deployed in the treatment of **mycoses**. Fungal infections of the skin are, as of 2010, the fourth most common disease in the world – afflicting up to **1 billion people**.

Imidazoles (COMET-K)

Clotrimazole
Oxiconazole
Miconazole
Econazole
Tioconazole
Ketoconazole

Triazoles (FIT VIP)

Fluconazole
Itraconazole
Terconazole
Voriconazole
Isavuconazole
Posaconazole

Azole antifungals

Inhibit lanosterol-14 α -demethylase, the enzyme required to convert lanosterol into ergosterol.

Griseofulvin



Inhibits mitosis in dermatophytes. It is ineffective when applied topically.

Flucytosine



Pyrimidine analogue; converted into 5-fluorouracil by the fungal enzyme, cytosine deaminase. Active against yeast infections.

Polyenes (NAN)



Natamycin
Amphotericin B
Nystatin

Echinocandins (CAM)

Caspofungin
Anidulafungin
Micafungin



Inhibit cell wall synthesis by targeting glucans (1,3- β -glucan synthase).

Allylamines (ANT)

Amorolfin
Naftifine
Terbinafine



Inhibit squalene epoxidase

	<i>Ketoconazole</i>	<i>Fluconazole</i>	<i>Itraconazole</i>
Spectrum	Narrow	Expanded	Expanded
Route(s) of administration	Oral	Oral, IV	Oral
t_{1/2} (hours)	6-9	30	30-40
CSF penetration	No	Yes	No
Renal excretion	No	Yes	No
Interaction with other drugs	Frequent	Occasional	Occasional
Inhibition of mammalian sterol synthesis	Dose-dependent inhibitory effect	No inhibition	No inhibition

DRUG SUMMARY TABLE: Antifungal Drugs

Drug/Drug Class	Mechanism of Action	Clinical Applications	Pharmacokinetics & Interactions	Toxicities
Amphotericin B	Binds to ergosterol in fungal cell membranes, forming leaky pores	Candidemia and infections caused by <i>Aspergillus</i> , <i>Blastomyces</i> , <i>Cryptococcus</i> , <i>Histoplasma</i> , <i>Mucor</i> , etc	Multiple forms, IV for systemic infections (liposomal forms less nephrotoxic) • topical for ocular/bladder infections	Nephrotoxicity is dose-limiting, additive with other nephrotoxic drugs • infusion reactions (chills, fever, muscle spasms, hypotension)
Azoles Ketoconazole Fluconazole Itraconazole Posaconazole Voriconazole Isavuconazole	Inhibit fungal P450-dependent enzymes blocking ergosterol synthesis • resistance can occur with long-term use	Aspergillosis (voriconazole) • blastomycosis (itraconazole, fluconazole) • mucormycosis (posaconazole) • alternative drugs in candidemia and infections caused by <i>Aspergillus</i> , <i>Blastomyces</i> , <i>Cryptococcus</i> , and <i>Histoplasma</i>	Various topical and oral forms for dermatophytoses Oral, parenteral forms for mycoses (fluconazole, itraconazole, posaconazole, voriconazole) Most azoles undergo hepatic metabolism • fluconazole eliminated in urine unchanged • fluconazole has excellent CNS penetration (fungal meningitis)	Ketoconazole is rarely used in systemic fungal infections owing to its inhibition of hepatic and adrenal P450s • other azoles are less toxic, but may cause GI upsets and rash • voriconazole causes visual disturbances and is class D risk in pregnancy

Drug/Drug Class	Mechanism of Action	Clinical Applications	Pharmacokinetics & Interactions	Toxicities
Echinocandins Caspofungin Micafungin Anidulafungin	Inhibit β -glucan synthase decreasing fungal cell wall synthesis	Treatment of candidemia • caspofungin is also used as salvage therapy in aspergillosis	IV forms • micafungin increases levels of nifedipine and cyclosporine • anidulafungin: no drug-drug interactions	Gastrointestinal distress, flushing from histamine release
Flucytosine	Inhibits DNA and RNA polymerases	Synergistic with amphotericin B in candidemia and cryptococcal infections	Oral; enters cerebrospinal fluid • renal elimination	Bone marrow suppression
Terbinafine Naftifine	Inhibits epoxidation of squalene • squalene accumulation is toxic to fungi	Mucocutaneous fungal infections • accumulates in keratin	Oral • long duration of action (weeks)	GI upsets, headache

ANTIPROTOZOAL DRUGS

CHEMOTHERAPY OF AMEBIASIS

- *Chloroquine*
- *Dehydroemetine*
- *Diloxanide furoate*
- *Emetine*
- *Metronidazole*
- *Paramomycin*

CHEMOTHERAPY OF MALARIA

- *Chloroquine*
- *Mefloquine*
- *Primaquine*
- *Pyrimethamine*
- *Quinine/Quinidine*

CHEMOTHERAPY OF TRYPANOSOMIASIS

- *Melarsoprol*
- *Nifurtimox*
- *Pentamidine*
- *Suramin*

CHEMOTHERAPY OF LEISHMANIASIS

- *Sodium stibogluconate*

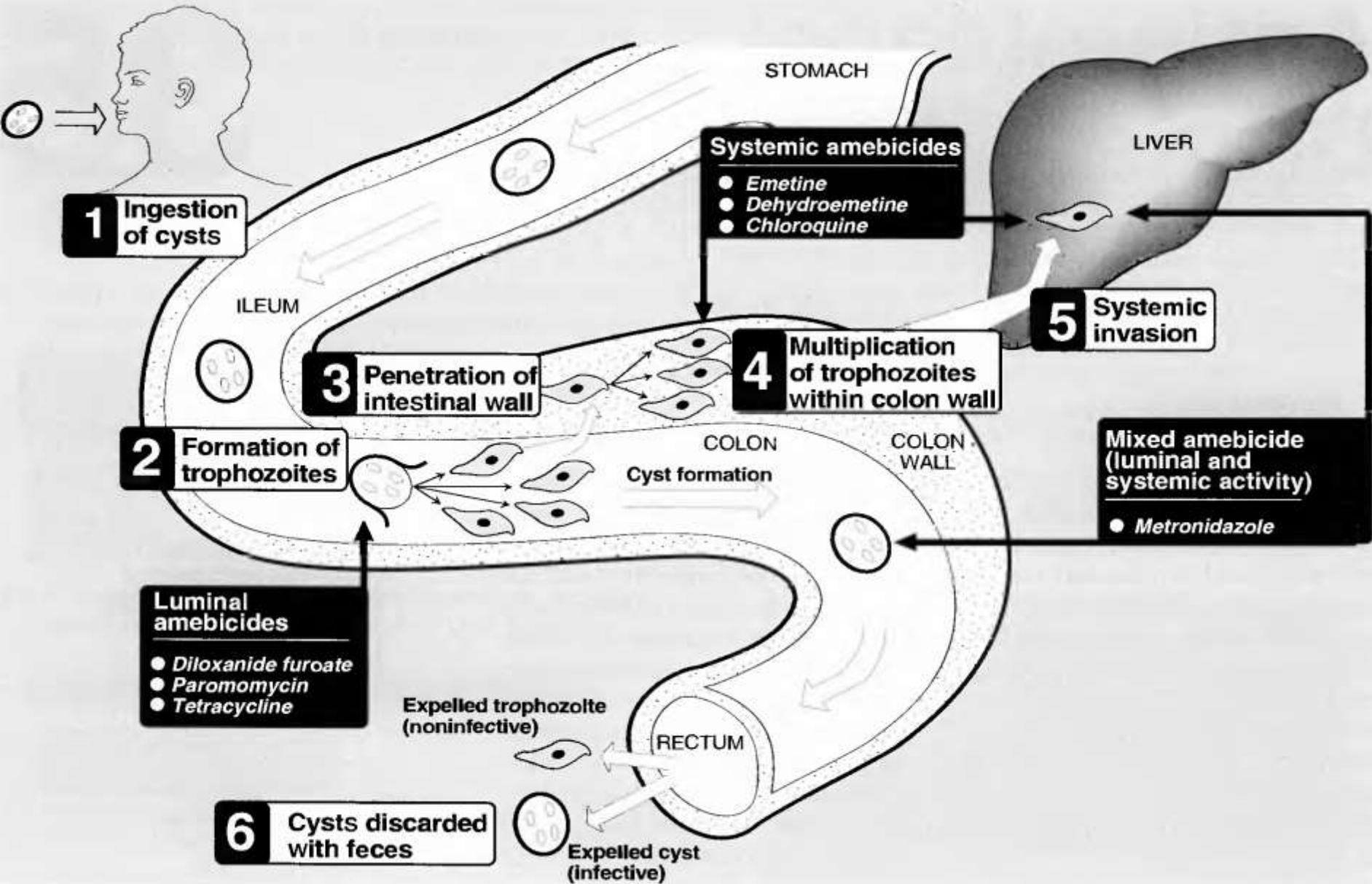
CHEMOTHERAPY OF TOXOPLASMOSIS

- *Pyrimethamine*

CHEMOTHERAPY OF GIARDIASIS

- *Quinacrine*

ANTI-AMOEBA



Disease Form**Drug(s) of Choice****Alternative Drug(s)**

Asymptomatic
intestinal infection

Diloxanide furoate

Iodoquinol, paromomycin

Mild to moderate
intestinal infection

Metronidazole *plus* luminal agent (see above)

Tinidazole, or tetracycline, or erythromycin *plus* luminal agent

Severe intestinal
infection

Metronidazole or tinidazole *plus* luminal agent

Tetracycline or emetine or dehydroemetine *plus* luminal agent

Hepatic abscess and
other extraintestinal
disease

Metronidazole or tinidazole *plus* luminal agent

Emetine or dehydroemetine *plus* chloroquine (for liver abscess) *plus* luminal agent

Subclass	Mechanism of Action	Effects	Clinical Applications & Pharmacokinetics	Toxicities
<i>Antiamebic agents</i>				
Metronidazole, tinidazole	Reactive metabolic products in organisms	Luminal and extraintestinal amebiasis, giardiasis, trichomoniasis	Oral • rapid diffusion into all tissues	Nausea, headache, paresthesias, disulfiram effect; tinidazole less toxic
Diloxanide	Unknown	Luminal amebiasis	Oral • short duration	Mild GI upset; avoid in pregnancy
Iodoquinol	Unknown	Luminal amebiasis	Oral	GI upset, rash, headache, iodine toxicity
Paromomycin	Aminoglycoside	Luminal amebiasis • leishmaniasis	Oral for amebiasis, parenteral for leishmaniasis	Minimal with oral use: mild GI upset

Antihelminthic drugs

Drugs active
against
nematodes

Albendazole
Diethylcarbamazine
Ivermectin
Mebendazole
Pyrantel pamoate

Drugs active
against
trematodes

Bithionol
Metrifonate
Oxamniquine
Praziquantel

Drugs active
against
cestodes

Albendazole
Mebendazole
Niclosamide
Praziquantel

Infecting Organism	Drugs of Choice	Alternative Drugs
Nematodes		
<i>Ascaris lumbricoides</i> (roundworm)	Albendazole or mebendazole or pyrantel pamoate	Ivermectin, piperazine
<i>Necator americanus</i> and <i>Ancylostoma duodenale</i> (hookworm)	Pyrantel pamoate or albendazole or mebendazole	
<i>Trichuris trichiura</i> (whipworm)	Albendazole or mebendazole	Ivermectin
<i>Strongyloides stercoralis</i> (threadworm)	Ivermectin	Albendazole, thiabendazole
<i>Enterobius vermicularis</i> (pinworm)	Mebendazole or pyrantel pamoate	Albendazole
<i>Trichinella spiralis</i> (trichinosis)	Mebendazole (+/- corticosteroids)	Albendazole
Cutaneous larva migrans	Albendazole or ivermectin	Thiabendazole
<i>Wuchereria bancrofti</i> and <i>Brugia malayi</i> (filariasis)	Diethylcarbamazine	Ivermectin
<i>Onchocerca volvulus</i> (onchocerciasis)	Ivermectin	
Trematodes (flukes)		
<i>Schistosoma haematobium</i>	Praziquantel	Metrifonate
<i>Schistosoma mansoni</i>	Praziquantel	Oxamniquine
<i>Schistosoma japonicum</i>	Praziquantel	
<i>Paragonimus westermani</i>	Praziquantel	Bithionol
<i>Fasciola hepatica</i> (sheep liver fluke)	Bithional or triclabendazole	
<i>Fasciolopsis buski</i> (large intestinal fluke)	Praziquantel or niclosamide	
Cestodes (tapeworms)		
<i>Taenia saginata</i> (beef tapeworm)	Praziquantel or niclosamide	Mebendazole
<i>Taenia solium</i> (pork tapeworm)	Praziquantel or niclosamide	
Cysticercosis (pork tapeworm larval stage)	Albendazole	Praziquantel
<i>Diphyllobothrium latum</i> (fish tapeworm)	Praziquantel or niclosamide	
<i>Echinococcus granulosus</i> (hydatid disease)	Albendazole	

Worms (helminths)	Drug of choice
Tapeworms (cestodes)	Niclosamide or Praziquantel or Albendazole
Roundworms (nematodes) <ul style="list-style-type: none"> • Enterobius vermicularis (pinworm) • Ascaris lumbricoides • Trichuris trichiura (whipworm) • Trichinella spiralis (trichinellosis) 	Mebendazole or Pyrantel Mebendazole or Pyrantel Mebendazole or Albendazole Mebendazole and Thiabendazole
<ul style="list-style-type: none"> • Strongyloides stercoralis • Necator americanus (hookworm) • Ancylostoma duodenale 	Thiabendazole Mebendazole or Pyrantel Mebendazole, Pyrantel, or Albendazole
<ul style="list-style-type: none"> • Onchocerca volvulus (Onchocercosis) • Wuchereria bancrofti (Elephantiasis) 	Ivermectin Diethylcarbamazine
Flukes (trematodes) <ul style="list-style-type: none"> • Schistosoma (Schistozomes) 	Praziquantel

Anthelmintic Drugs

Worm	Drug of Choice	Alternative Drugs
Roundworms: <i>Ascaris lumbricoides</i>	Mebendazole, Albendazole, Pyrantel.	Piperazine, Levamisole, Ivermectin.
Hookworm: <i>Ancylostoma duodenale</i>	Pyrantel, Mebendazole, Albendazole.	Levamisole.
Hookworm: <i>Necator americanus</i>	Mebendazole, Albendazole.	Pyrantel.
Pinworm: <i>Enterobius vermicularis</i>	Pyrantel, Mebendazole, Albendazole.	Piperazine
Threadworm: <i>Strongyloides stercoralis</i>	Ivermectin	Albendazole
Whipworm: <i>Trichuris trichiura</i>	Mebendazole	Albendazole
Whipworm: <i>Trichinella spiralis</i>	Albendazole	Mebendazole
Filaria <i>Wuchereria bancrofti</i> , <i>Brugia malayi</i>	Diethyl carbamazine, Ivermectin	Albendazole
Guinea worm <i>Dracunculus medinensis</i>	Metronidazole	Mebendazole
Tapeworms <i>Taenia saginala</i> <i>Taenia solium</i> <i>Hymenolepis nana</i> <i>Neurocy sticercosis</i>	Praziquantel, Niclosamide Praziquantel Praziquantel Albendazole	Albendazole Niclosamide, Albendazole Niclosamide, Nitazoxanide Praziquantel
Hydatid Disease Echinococcus granulosus E. multilocularis	Albendazole Albendazole	Mebendazole

ONCHOCERCIASIS (RIVER BLINDNESS)

- Causative agent: Onchocerca volvulus.
- Common in areas of Mexico, South America and tropical Africa.
- Characterized by subcutaneous nodules, a pruritic skin rash and ocular lesions often resulting in blindness.
- Therapy: *Ivermectin*.

ENTEROBIASIS (PINWORM DISEASE)

- Causative agent: Enterobius vermicularis.
- Most common helminthic infection in the United States.
- Pruritus and occurs with white worms visible in stools or perianal region.
- Therapy: *Mebendazole* or *pyrantel pamoate*.

ASCARIASIS (ROUNDWORM DISEASE)

- Causative agent: Ascaris lumbricoides.
- Second only to pinworms as most prevalent multicellular parasite in the United States; approximately one third of world population is infected with this worm.
- Ingested larvae grow in the intestine causing abdominal symptoms, including intestinal obstruction; roundworms may pass to blood and infect the lungs.
- Therapy: *Pyrantel pamoate* or *mebendazole*.

FILARIASIS

- Causative agents: Wucheria bancrofti, Brugia malayi.
- Worms cause blockage of lymph flow; ultimately local inflammation and fibrosis of lymphatics occurs.
- After years of infestation, the arms, legs, and scrotum fill with fluid causing elephantiasis.
- Therapy: *Diethylcarbamazine*.

TRICHURIASIS (WHIPWORM DISEASE)

- Causative agent: Trichuris trichiura.
- Infection is usually asymptomatic; however abdominal pain, diarrhea, and flatulence can occur.
- Therapy: *Mebendazole*.

HOOKWORM DISEASE

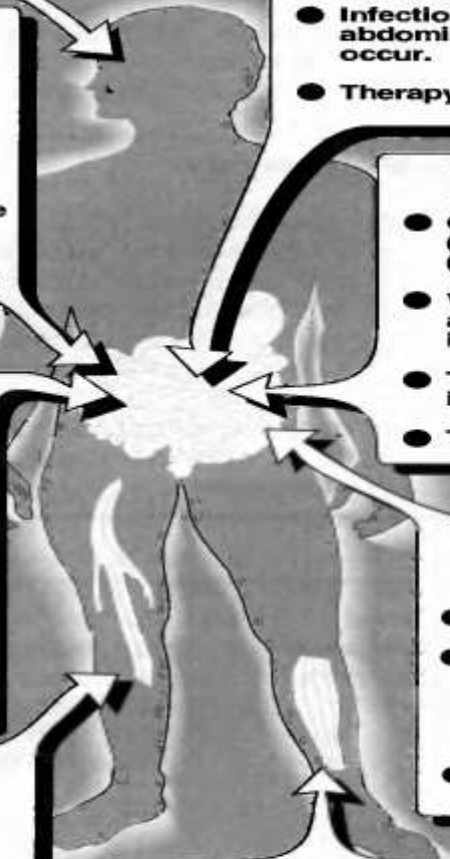
- Causative agents: Ancylostoma duodenale (Old World hookworm), Necator americanus (New World hookworm).
- Worm attaches to intestinal mucosa causing anorexia, ulcerlike symptoms and chronic intestinal blood loss that leads to anemia.
- Treatment unnecessary in asymptomatic individuals who are not anemic.
- Therapy: *Pyrantel pamoate* or *mebendazole*.

STRONGYLOIDIASIS (THREADWORM DISEASE)

- Causative agent: Strongyloides stercoralis.
- Relatively uncommon compared with other intestinal nematodes; a relatively benign disease in normal individuals; can progress to fatal outcome in immunocompromised patients.
- Therapy: *Thiabendazole*.

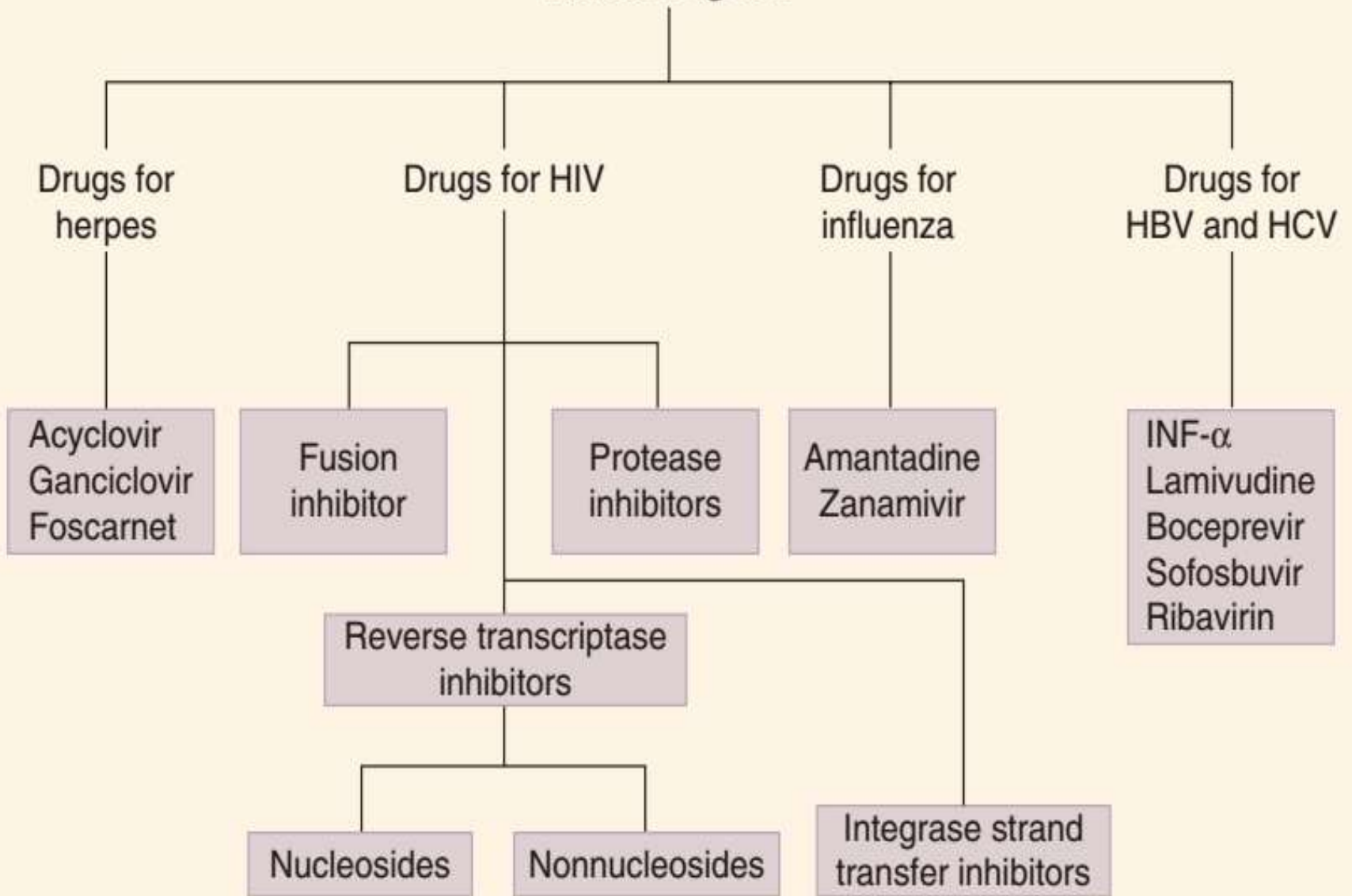
TRICHINOSIS

- Causative agent: Trichinella spiralis.
- Usually caused by consumption of insufficiently cooked meat, especially pork.
- Therapy: *Thiabendazole* (only in early stages of disease).



ANTI VIRAL

Antiviral agents



ANTIVIRAL DRUGS

FOR RESPIRATORY VIRUS INFECTIONS

- *Amantadine*
- *Ribavirin*
- *Rimantadine*

FOR HERPES AND CYTOMEGALOVIRUS INFECTIONS

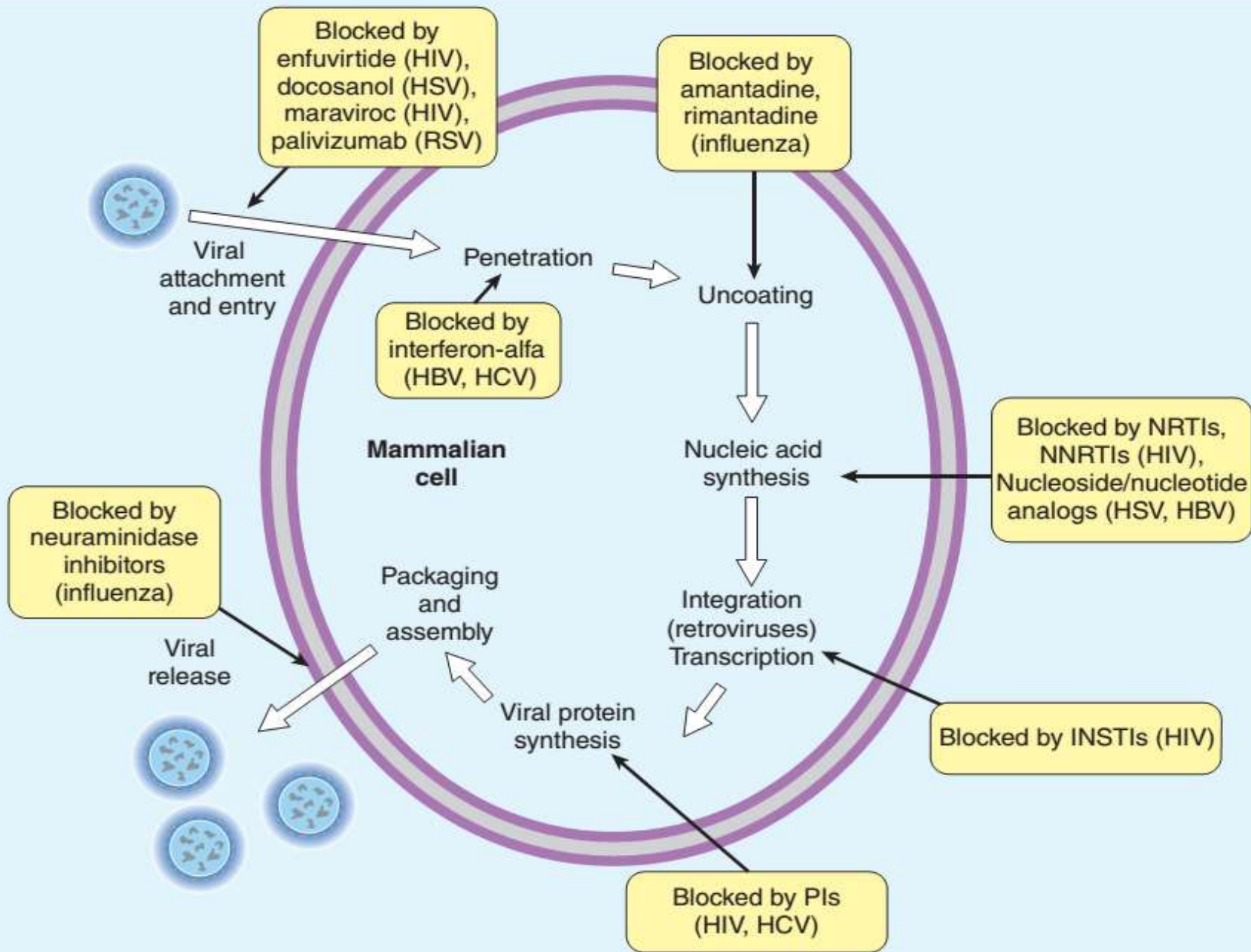
- *Acyclovir*
- *Cidofovir¹*
- *Famciclovir*
- *Foscarnet*
- *Ganciclovir*
- *Penciclovir¹*
- *Trifluridine*
- *Vidarabine*

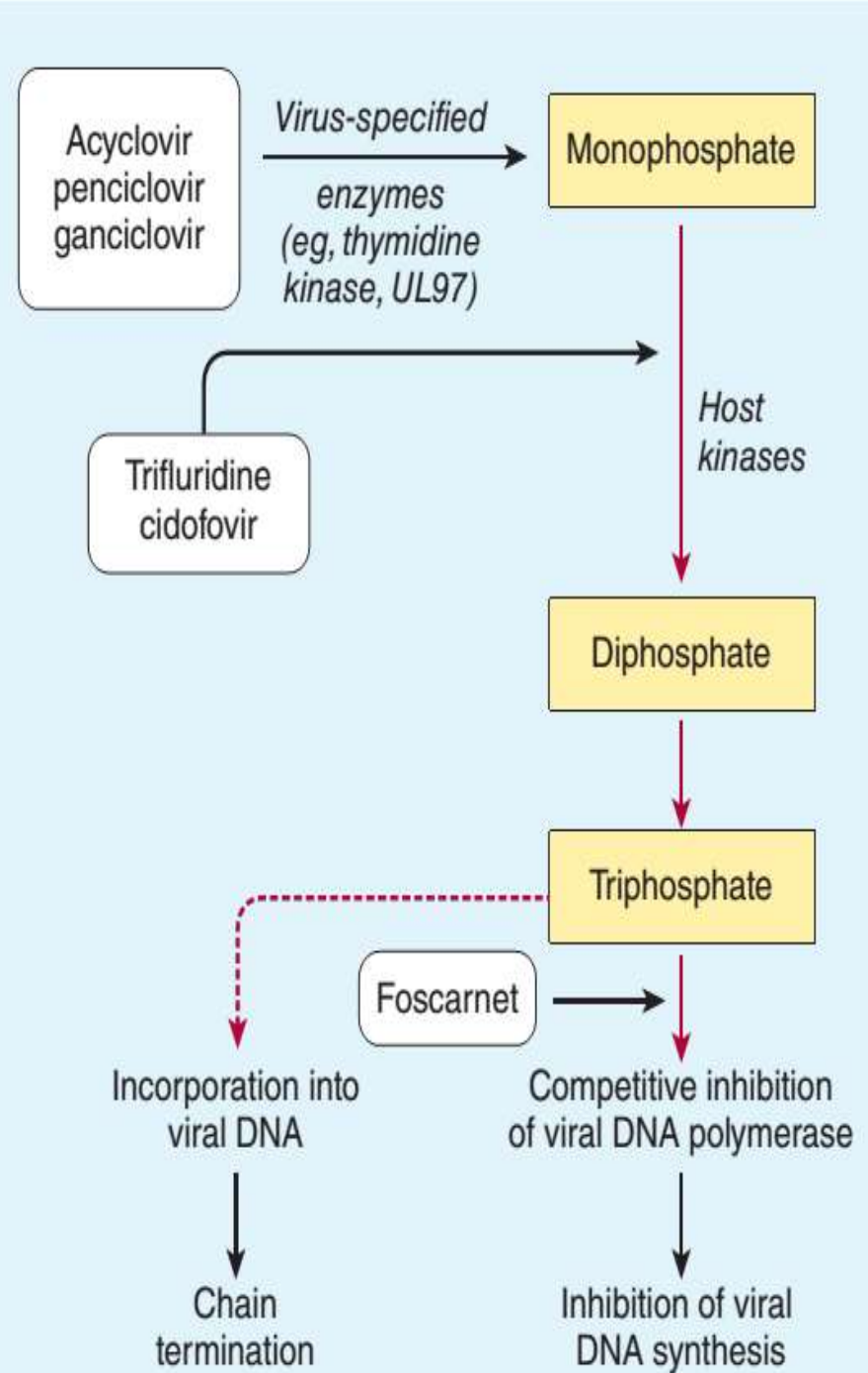
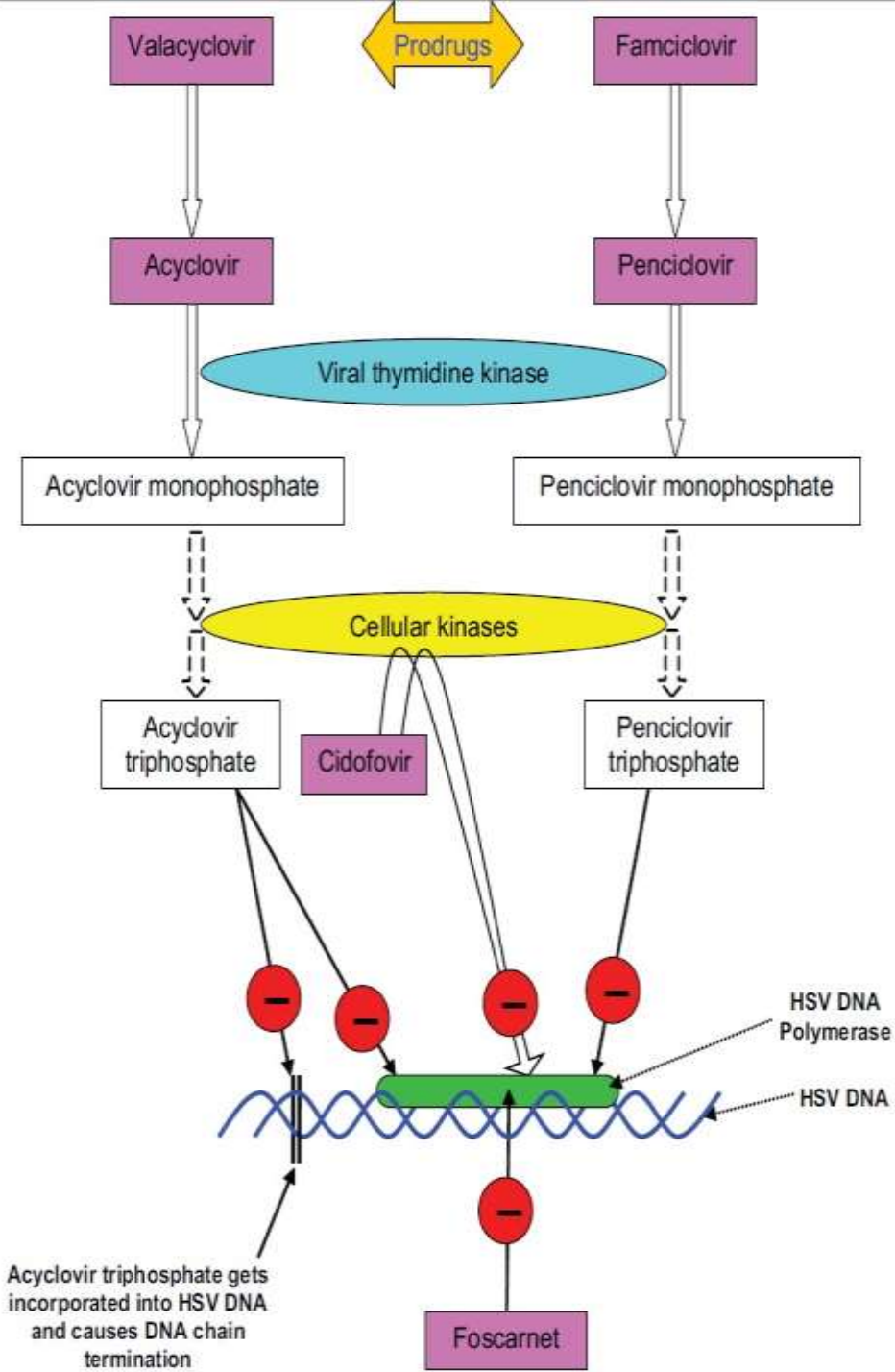
FOR HUMAN IMMUNODEFICIENCY VIRUS (HIV) INFECTIONS

- *Abacavir¹*
- *Adefovir¹*
- *Amprenavir¹*
- *Delavirdine¹*
- *Didanosine (ddI)*
- *Efavirenz¹*
- *Indinavir¹*
- *Nelfinavir¹*
- *Nevirapine¹*
- *Ritonavir¹*
- *Saquinavir¹*
- *Stavudine (d4T)*
- *Zalcitabine (ddC)*
- *Zidovudine (AZT)*

FOR HEPATITIS, LEUKEMIA AND KAPOSI'S SARCOMA

- *Interferon*





ANTI NON RETRO VIRUS

Virus	Primary Drugs	Alternative or Adjunctive Drugs
CMV	Ganciclovir, valganciclovir	Cidofovir, foscarnet, fomivirsen
HSV, VZV	Acyclovir ^a	Cidofovir, foscarnet, vidarabine
HBV	IFN- α , lamivudine	Adefovir dipivoxil, entecavir, lamivudine, telbivudine
HCV	IFN- α , sofosbuvir	Ledipasvir, grazoprevir, ribavirin
Influenza A	Oseltamivir	Amantadine, rimantadine, zanamivir
Influenza B	Oseltamivir	Zanamivir

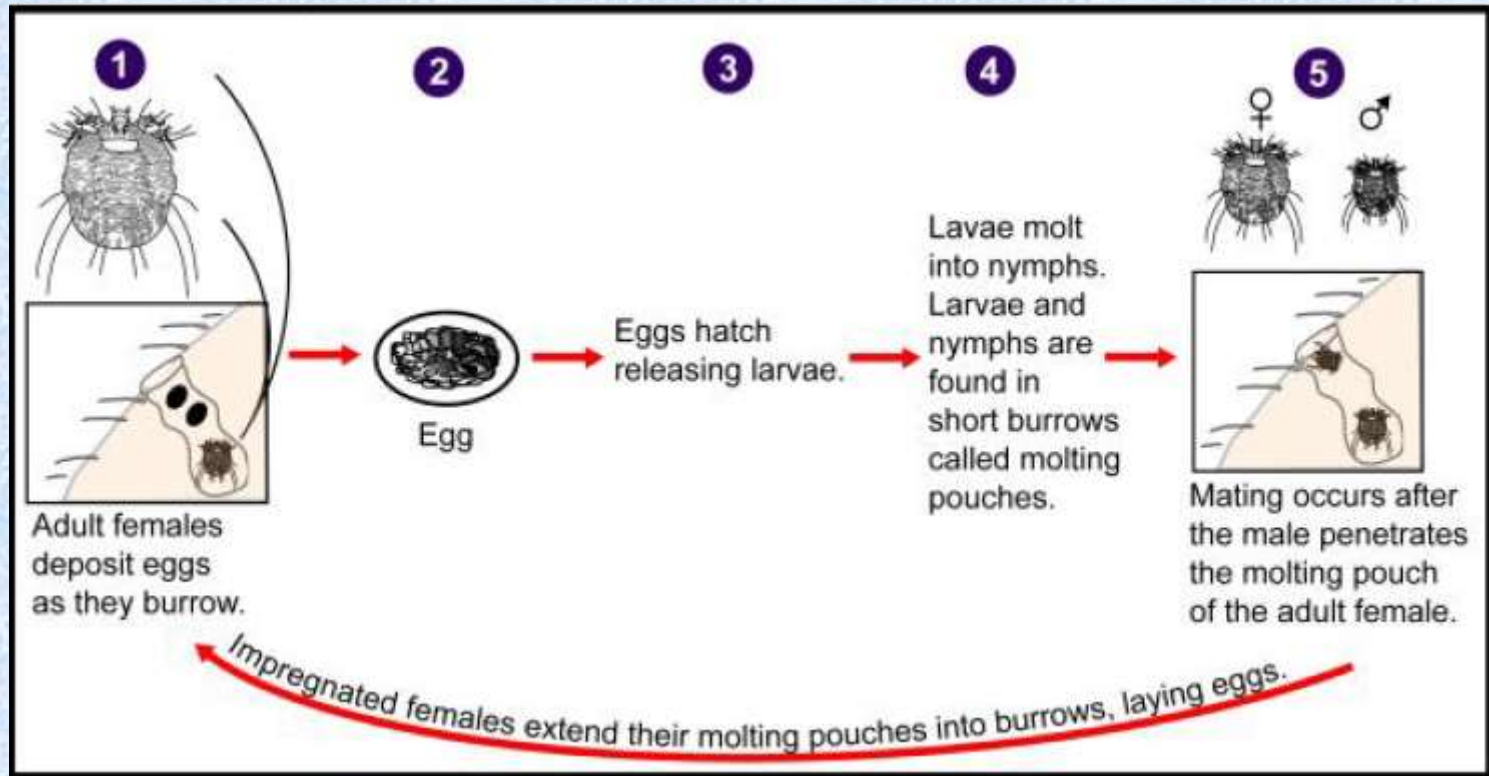
^aAnti-HSV drugs similar to acyclovir include famciclovir, penciclovir, and valacyclovir; IFN- α , interferon- α

ANTI RETROVIRUS

Subclass	Prototype	Other Significant Agents
Nucleoside reverse transcriptase inhibitors	Zidovudine	Abacavir, didanosine, emtricitabine, lamivudine, stavudine, zalcitabine
Nonnucleoside reverse transcriptase inhibitors	Delavirdine	Efavirenz, etravirine, nevirapine, rilpivirine, tenofovir
Protease inhibitors	Indinavir	Amprenavir, atazanavir, darunavir, lopinavir, nelfinavir, ritonavir, saquinavir, tipranavir
CCR-5 antagonist	Maraviroc	
Fusion inhibitor	Enfuvirtide	

Drug Class	Mechanism of Action	Clinical Applications	Pharmacokinetics & Interactions	Toxicity
ANTIVIRAL DRUGS				
<i>Antiherpes drugs</i>				
Acyclovir Valacyclovir (prodrug) Penciclovir Famciclovir (prodrug)	Activated by viral thymidine kinase (TK) to forms that inhibit viral DNA polymerase	Treatment and prophylaxis for HSV-1, HSV-2, and VZV None of these drugs is active against TK ⁻ strains	Acyclovir: Topical, oral, and IV • Penciclovir: Topical • Famciclovir and valacyclovir: Oral	Oral form diarrhea, • IV acyclo and CNS
<i>Drugs for cytomegalovirus</i>				
Ganciclovir Valganciclovir Cidofovir Foscarnet	Viral activation of ganciclovir to form inhibiting DNA polymerase; no viral bioactivation of cidofovir and foscarnet	Treatment of CMV infections in immunosuppression (eg, AIDS) and organ transplantation	Ganciclovir: Oral, IV, intraocular forms • Valganciclovir: Oral • Cidofovir, foscarnet: IV	Ganciclov suppress neurolog • Cidofov Nephrot CNS effect imbalance
<i>Antihepatitis drugs</i>				
Interferon- α (IFN- α) Adefovir-dipivoxil Entecavir Lamivudine Telbivudine Ribavirin	Degrades viral RNA via activation of host cell RNase (IFN- α) • inhibition of HBV polymerase (others) • multiple antiviral actions (ribavirin)	Suppressive treatment of HBV (all drugs except ribavirin) • treatment of HCV (sofosbuvir, ribavirin +/- IFN- α)	IFN- α : Parenteral • Adefovir, entecavir, lamivudine, sofosbuvir, and ribavirin: Oral • Ribavirin: Inhalational	IFN- α : Al depressio Adefovir: and hepa Ribavirin:

SCABIES



ANTISCABIES

Patient Being Treated	Treatment Options	Dose	How To Treat	How Long is Treatment	Who Can Be Treated?
Typical Scabies	<u>Treatment A</u> 5% permethrin cream (<i>Elimite, Acticin</i>)	Adult dose – 30 grams 60 gram tube can treat two adults	Massage cream into skin from under chin to soles of feet Attention to hairline, neck, temple in geriatric patients	One treatment usually sufficient May repeat if needed 7 days after 1 st treatment	Cases > 2 months, healthy adults Used for prophylaxis of asymptomatic contacts
	<u>Treatment B</u> Ivermectin (<i>Mectizan or Stromectol</i>) oral antiparasitic Used for patients who have failed treatment with or cannot tolerate topical treatment	200 mcg/kg	Given orally to treat suspect/confirmed cases of scabies	Single dose; 2 nd dose may be necessary to eliminate infection	Cases > 12 years
Atypical Scabies	<u>Treatment A</u> 5% permethrin cream (<i>Elimite, Acticin</i>)	Adult dose – 30 grams	Massage cream into skin from under chin to soles of feet	Apply once, 2 nd application 12 hrs later May repeat if needed 7 days after 1 st round of treatment	Cases > 2 months, healthy adults
	<u>Treatment B</u> 5% permethrin cream (<i>Elimite, Acticin</i>) 10% crotamiton lotion (<i>Eurax</i>)	Adult dose – 30 grams Enough lotion to cover skin chin to feet	Apply permethrin once as above and again 12 hrs later on day 1 and day 7 Apply crotamiton as above on days 2-6	One week long treatment sufficient; reassess 7 days after treatment completed	Cases > 2 months, healthy adults
	<u>Treatment C</u> 5% permethrin cream (<i>Elimite, Acticin</i>) Ivermectin (<i>Mectizan or Stromectol</i>) oral antiparasitic	Adult dose – 30 grams 200 mcg/kg	Apply permethrin once as above and again 12 hrs later Single oral dose	One treatment; reassess 14 days after treatment	Cases > 12 years