Antibiotics

Beta Lactam

Cell wall synthesis inhibitors

Penicillin

Aminopenicillin

DRUG NAME

MECHANISM OF ACTION

THERAPEUTIC USE

SIDE EFFECT & CONTRAINDICATIONS

Antibiotics

DRUG NAME

Class: *Broad-Spectrum Penicillins*

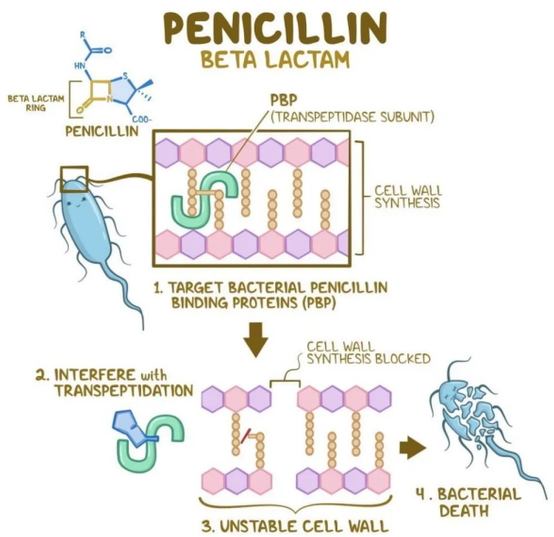
- Amoxicillin (oral)
- Ampicillin (IV, IM)

THERAPEUTIC USES

- *Amoxicillin: Otitis media, sinusitis, pneumonia (Strep. pneumoniae, H. influenzae)*
- ***Ampicillin: Listeria meningitis** and enterococcal infections*
- ***Both:** H. pylori infections (triple therapy), Lyme disease in children and pregnant women*

MECHANISM OF ACTION

- *Same as Penicillin G but with **broader spectrum** against some **Gram-negative** bacteria*
- *Amoxicillin has better oral bioavailability than Ampicillin*



β lactam antibiotics
↓
Bind PBP
↓
Inhibit cross-linking of peptidoglycan
↓
Cell-wall deficient bacteria
↓
Undergo lysis
↓
Bactericidal effect

Pharmacokinetics:

- ***Amoxicillin:** Well-absorbed orally, food does not affect absorption*
- ***Ampicillin:** Given IV due to poor oral absorption*

SIDE EFFECTS

- *Rash (esp. if given in **EBV mononucleosis**)*
- *Diarrhea*
- *Pseudomembranous colitis (Clostridium difficile superinfection)*

Good to Know

Always combined with β-lactamase inhibitors like clavulanic acid (Amoxicillin) or sulbactam (Ampicillin)

Amoxicillin is more commonly used than Ampicillin due to better absorption

CONTRAINDICATIONS

- *Penicillin allergy*
- *Avoid in mononucleosis (causes a rash, not an allergy)*

Antiviral

MEDEASE

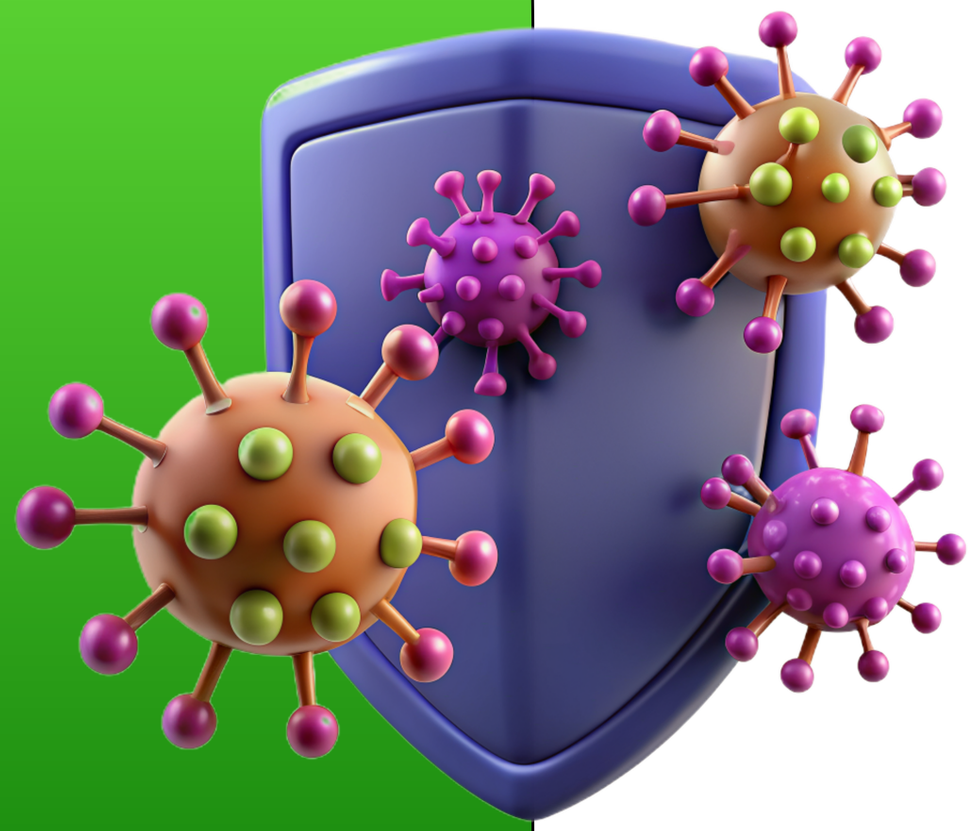
Anti-Influenza Drugs

DRUG NAME

MECHANISM OF ACTION

THERAPEUTIC USE

SIDE EFFECT & CONTRAINDICATIONS



Antiviral

DRUG NAME

Class: Anti-Influenza Drugs

Subclasses:

- ✅ **M2 Ion Channel Inhibitors**: Amantadine, Rimantadine
- ✅ **Neuraminidase Inhibitors**: Oseltamivir, Zanamivir, Peramivir
- ✅ **PA Endonuclease Inhibitor**: Baloxavir marboxil

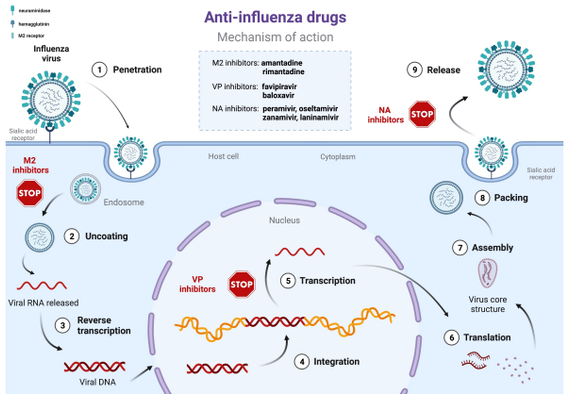
THERAPEUTIC USES

- Early treatment (within 48 hrs) of influenza
- Prophylaxis in high-risk patients

MECHANISM OF ACTION

- **M2 Inhibitors**: Block viral uncoating (Influenza A only)
- **Neuraminidase Inhibitors**: Prevent virion release (Influenza A & B)
- **Baloxavir**: Inhibits viral mRNA synthesis (cap-dependent endonuclease)

- **Spectrum**:
  - M2 inhibitors: Influenza A
  - Others: Influenza A & B



SIDE EFFECTS

- Amantadine: CNS effects, nausea
- Zanamivir: Bronchospasm
- Oseltamivir: Nausea, vomiting
- Baloxavir: Well tolerated

Pharmacokinetics:

Drug	Absorption	Distribution	Metabolism	Excretion
Oseltamivir	Well absorbed orally (prodrug)	Wide (including lungs)	Hepatic activation (esterase)	Renal (dose adjust)
Zanamivir	Inhaled (poor oral absorption)	Local (respiratory tract)	Minimal	Renal
Peramivir	IV only	Systemic	Minimal	Renal
Amantadine	Well absorbed orally	Crosses BBB	Minimal	Renal
Rimantadine	Well absorbed orally	Crosses BBB (less than Amantadine)	Hepatic	Renal & hepatic
Baloxavir	Well absorbed orally	High protein binding	Hepatic (UGT1A3 + CYP3A4)	Fecal

Good to Know

- Start early (48 hr window)
- Oseltamivir = oral, Zanamivir = inhaled, Peramivir = IV
- Baloxavir = single dose antiviral

CONTRAINDICATIONS

- Zanamivir in asthma/COPD
- Avoid M2 inhibitors due to resistance

Antiprotozoal

MEDEASE

Malaria

Class: Folate Antagonists

DRUG NAME

MECHANISM OF ACTION

THERAPEUTIC USE

SIDE EFFECT & CONTRAINDICATIONS

Antiprotozoal

Malaria

DRUG NAME

Class: Folate Antagonists

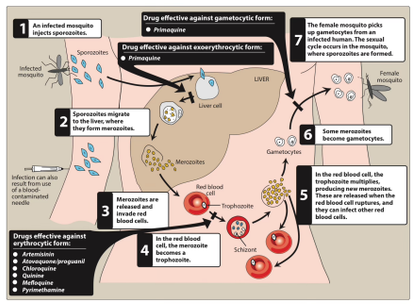
🧪 **Drug Names: Sulfadoxine + Pyrimethamine (Fansidar), Proguanil**

THERAPEUTIC USES

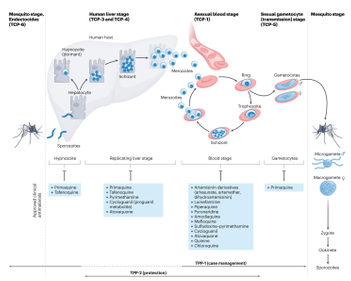
- Treatment of **uncomplicated malaria (P. falciparum)**
- **Intermittent Preventive Therapy (IPT)** in pregnancy
- **Prophylaxis**: Proguanil alone or with atovaquone

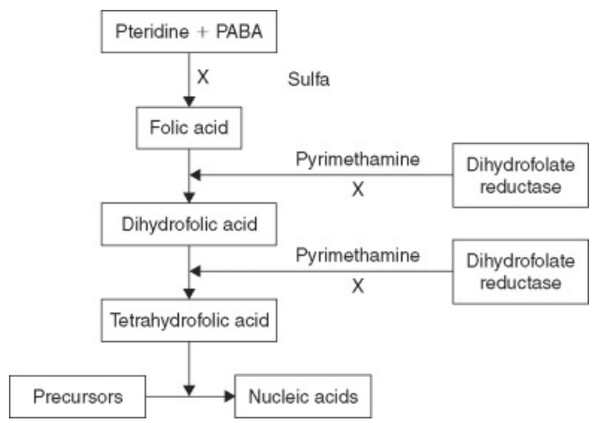
MECHANISM OF ACTION

- **Sulfadoxine**: Inhibits **dihydropteroate synthase** (blocks folate synthesis)
- **Pyrimethamine / Proguanil**: Inhibits **dihydrofolate reductase (DHFR)**
- Combination causes **synergistic blockade** of folate pathway → inhibits DNA synthesis



Life cycle of the malarial parasite, Plasmodium falciparum, showing the sites of action of antimalarial drugs.





Pharmacokinetics:

Drug	Absorption	Distribution	Metabolism	Excretion
Sulfadoxine	Well absorbed orally	Wide distribution	Minimal	Slow renal excretion
Pyrimethamine	Oral; good bioavailability	Wide (crosses placenta)	Hepatic (slow)	Renal
Proguanil	Oral; well absorbed	Crosses BBB & placenta	Liver → Cycloguanil	Renal

SIDE EFFECTS

- GI upset, rash, headache
- **Sulfadoxine**: Hypersensitivity reactions, **Stevens-Johnson syndrome (rare)**
- **Pyrimethamine**: Bone marrow suppression (high doses)

Good to Know

- **Used in combination** to delay resistance
- **Long half-life** allows single-dose therapy
- Proguanil → active metabolite **Cycloguanil**
- Resistance increasing in **Africa and Asia**

CONTRAINDICATIONS

- Allergy to sulfa drugs
- Caution in **folate deficiency or G6PD deficiency**
- Avoid in **pregnancy (1st trimester)**

Antifungal

MEDEASE

Azoles

Triazoles subclass

DRUG NAME

MECHANISM OF ACTION

THERAPEUTIC USE

SIDE EFFECT & CONTRAINDICATIONS

Antifungal

Azoles

DRUG NAME

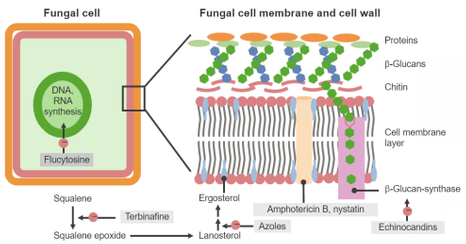
Azoles (Triazoles subclass)

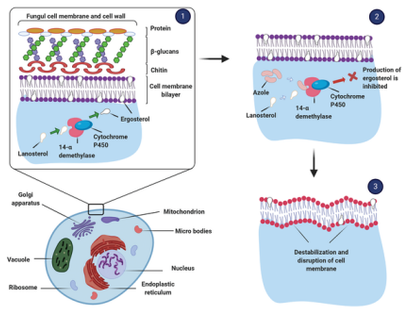
Examples:

- **Fluconazole**
- **Itraconazole**
- **Voriconazole**
- **Posaconazole**
- **Isavuconazole**

MECHANISM OF ACTION

Triazoles **inhibit the fungal cytochrome P450 enzyme (14-α-demethylase)**.
→ This enzyme is essential for converting **lanosterol to ergosterol**, a key component of the fungal cell membrane.
→ Inhibition causes **ergosterol depletion**, leading to defective membrane synthesis
→ Results in **increased membrane permeability** and **inhibition of fungal growth** (fungistatic effect).





Pharmacokinetics:

- **Fluconazole**: Excellent oral bioavailability, good CNS penetration (ideal for fungal meningitis), primarily excreted unchanged in urine.
- **Itraconazole**: Absorption improved with food and acidic pH; poor CNS penetration; metabolized by liver.
- **Voriconazole**: Good oral availability; penetrates CNS; metabolism is hepatic (CYP2C19, CYP2C9, CYP3A4).
- **Posaconazole**: Requires high-fat meals for optimal absorption; accumulates in tissues, not CNS.
- **Isavuconazole**: Prodrug (isavuconazonium); good bioavailability, long half-life; used IV or orally.

THERAPEUTIC USES

- **Fluconazole**: Vulvovaginal candidiasis, oropharyngeal candidiasis, **cryptococcal meningitis** (maintenance therapy), candidemia
- **Itraconazole**: **Histoplasmosis**, **blastomycosis**, **sporotrichosis**, onychomycosis
- **Voriconazole**: **Invasive aspergillosis**, **candidemia**, **Scedosporium infections**
- **Posaconazole**: Prophylaxis in immunocompromised patients (e.g. AML, neutropenia), mucormycosis
- **Isavuconazole**: **Mucormycosis** and **invasive aspergillosis**

SIDE EFFECTS

- **Hepatotoxicity** (all triazoles – monitor LFTs)
- **GI upset** (nausea, vomiting, diarrhea)
- **QT prolongation** (except isavuconazole, which shortens QT)
- **Visual disturbances and hallucinations** (Voriconazole)
- **Endocrine effects** (Itraconazole may cause gynecomastia due to inhibition of steroid synthesis)

Good to Know

- Fluconazole → best CNS penetration
- Voriconazole → DOC for aspergillosis
- Itraconazole → avoid with PPIs
- Isavuconazole → shortens QT (unique!)

CONTRAINDICATIONS

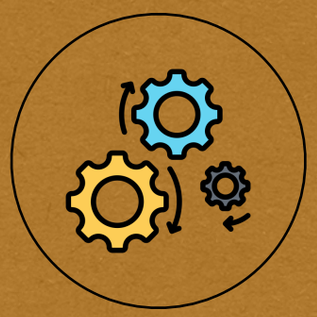
- **Avoid co-administration** with drugs that prolong **QT interval** (except isavuconazole)
- **Liver dysfunction** – dose adjustments needed; monitor liver enzymes
- **Pregnancy** – use with caution; **Fluconazole is teratogenic in high doses**
- **Proton pump inhibitors (PPIs)** may reduce absorption of **itraconazole**

Anthelmintic

MEDEASE

Drugs For Trematodes

DRUG NAME



MECHANISM OF ACTION

THERAPEUTIC USE

SIDE EFFECT & CONTRAINDICATIONS

Anthelmintic

Trematodes

DRUG NAME

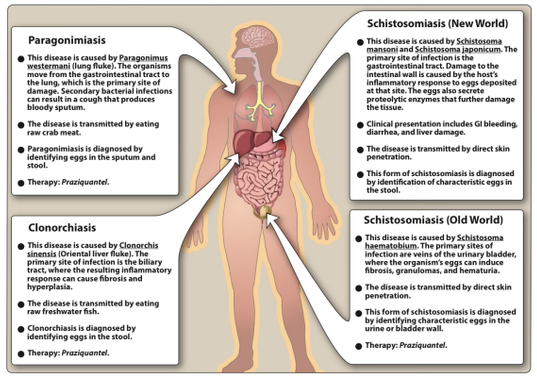
📍 **Class**: Anthelminthic Drugs – Trematodes

💊 **Drug Names:**

- **Praziquantel**
- **Triclabendazole**
- **Bithionol**
- **Oxamniquine**

MECHANISM OF ACTION

- **Praziquantel**: Increases calcium permeability → sustained muscle contraction → paralysis and death of trematodes.
- **Triclabendazole**: Inhibits microtubule function and disrupts energy metabolism in liver flukes.
- **Bithionol**: Uncouples oxidative phosphorylation in fluke mitochondria.
- **Oxamniquine**: DNA binding and inhibition of nucleic acid synthesis (specific to Schistosoma mansoni).



Pharmacokinetics:

Drug	Absorption	Distribution	Metabolism	Excretion
Praziquantel	Good oral absorption	Wide, including CNS	Hepatic (CYP450)	Urine & bile
Triclabendazole	Oral; better with food	High in liver & bile	Hepatic	Mainly bile
Bithionol	Oral	Wide	Hepatic	Feces
Oxamniquine	Oral	Concentrated in liver	Hepatic	Urine

THERAPEUTIC USES

- **Praziquantel**: Schistosomiasis, Clonorchis, Opisthorchis, Paragonimus, Fasciolopsis.
- **Triclabendazole**: Fasciola hepatica (liver fluke).
- **Bithionol**: Fascioliasis, alternative to triclabendazole.
- **Oxamniquine**: Schistosoma mansoni (alternative to praziquantel).

SIDE EFFECTS

- Headache, dizziness
- Abdominal discomfort
- Fever, urticaria (due to dying parasites)
- Liver enzyme elevation (rare)

Good to Know

- **Praziquantel**: First-line for most fluke infections
- **Triclabendazole**: WHO-approved for fascioliasis
- **Oxamniquine**: Used in areas with praziquantel resistance
- **Bithionol**: Older agent, limited availability

CONTRAINDICATIONS

- Pregnancy (especially praziquantel in 1st trimester)
- Hepatic impairment (caution)
- Ocular cysticercosis (for praziquantel)