# PHARMACOLOGY-III

## UNIT 3 NOTES

### CHEMOTHERAPY

- ANTITUBERCULAR AGENTS
- ANTILEPROTIC AGENTS
- ANTIVIRAL AGENTS
- ANTIFUNGAL AGENTS
- ANTHELMINTICS
- ANTIMALARIALS
- ANTIAMOEBIC AGENTS

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# CHEMOTHERAPY

## ANTITUBERCULAR DRUGS

- They are class of drugs that treat Tuberculosis (T.B.), a bacterial infection caused by Mycobacterium Tuberculosis.
- T.B. primarily affects the lungs but can also involve other parts of the body including the brain, spine & kidneys.
- Treatment of TB involves a combination of drugs to ensure bacterial prevention and to prevent the development of drug resistance.

## CLASSIFICATION

- First line drugs - Isoniazid, Rifampin, Pyrazinamide, Ethambutol, Streptomycin
- Second line drugs-
  - Fluoroquinolones - Ofloxacin, Levofloxacin, Moxifloxacin, Ciprofloxacin
  - Injectible drugs- Streptomycin, kanamycin, Amikacyin, Capreomycin
  - Other oral drugs- Ethionamide, Prothionamide, Rifabutin etc.
- Other drugs - Bedaquine, Clarithromycin, Clofazimine, Linezolid etc.



## MECHANISM OF ACTION

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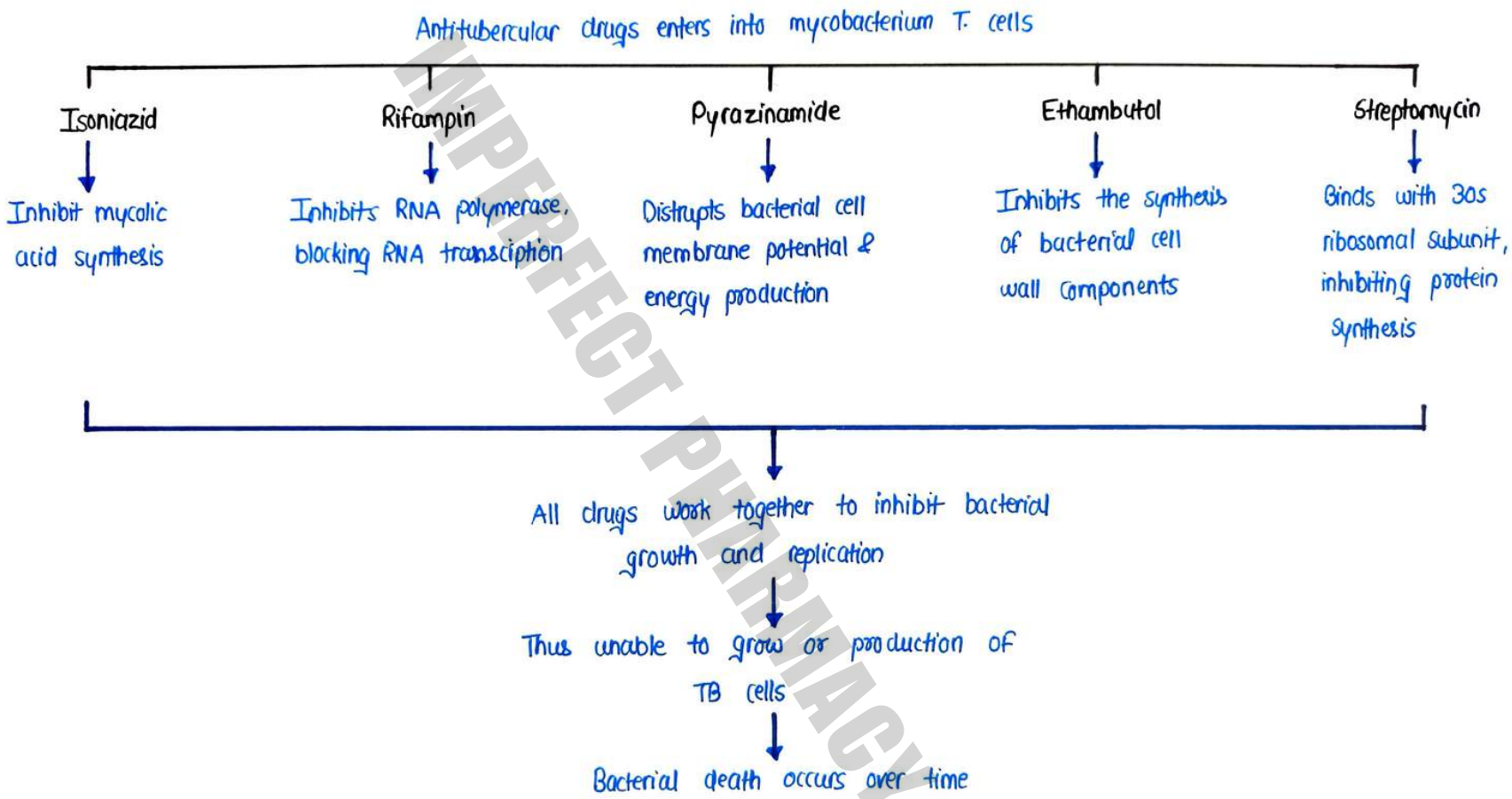

## PHARMACOKINETICS

- Absorption- Many drugs are Rapidly absorbed from GI tract (Streptomycin gives parenterally).
- Distribution- Widely distributed in tissues and crosses placenta & blood- brain barrier and enters macrophages.
- Metabolism- Primarily metabolized in liver (isoniazid, rifampin, pyrazinamide).
- Excretion- Renal, hepatic and fecal excretion.
- Half time - 1-4 hours (isoniazid), 3-5 hours (rifampin), 9-10 hours (pyrazinamide), 15-20 hours (ethambutol).

## ADVERSE EFFECTS

- Hepatotoxicity
- Neurotoxicity
- Rash
- Vomitting & Nausea
- Anemia
- Arthralgia etc.

## THERAPEUTIC USES

- Tuberculosis
- Meningitis
- Osteomylitis
- UTIs
- HIV - associated etc.

# Antileprotic Drugs

- They are medications specifically used to treat leprosy, a chronic infectious disease caused by Mycobacterium Laprae.
- Leprosy is characterized by the formulation of skin lesions, nerve damage and muscle weakness.
- The treatment of leprosy generally involves a combination of drugs to effectively end the bacteria, prevent disease progress and minimize the complications.

## Classification

- Sulfone - Dapsone
- Phenazine derivatives - Clofazimine
- Antitubercular drugs - Rifampin Ethionamide
- Other antibiotics - Ofloxacin, Moxifloxacin, Minocycline, Clarithromycin

## Mechanism Of Action

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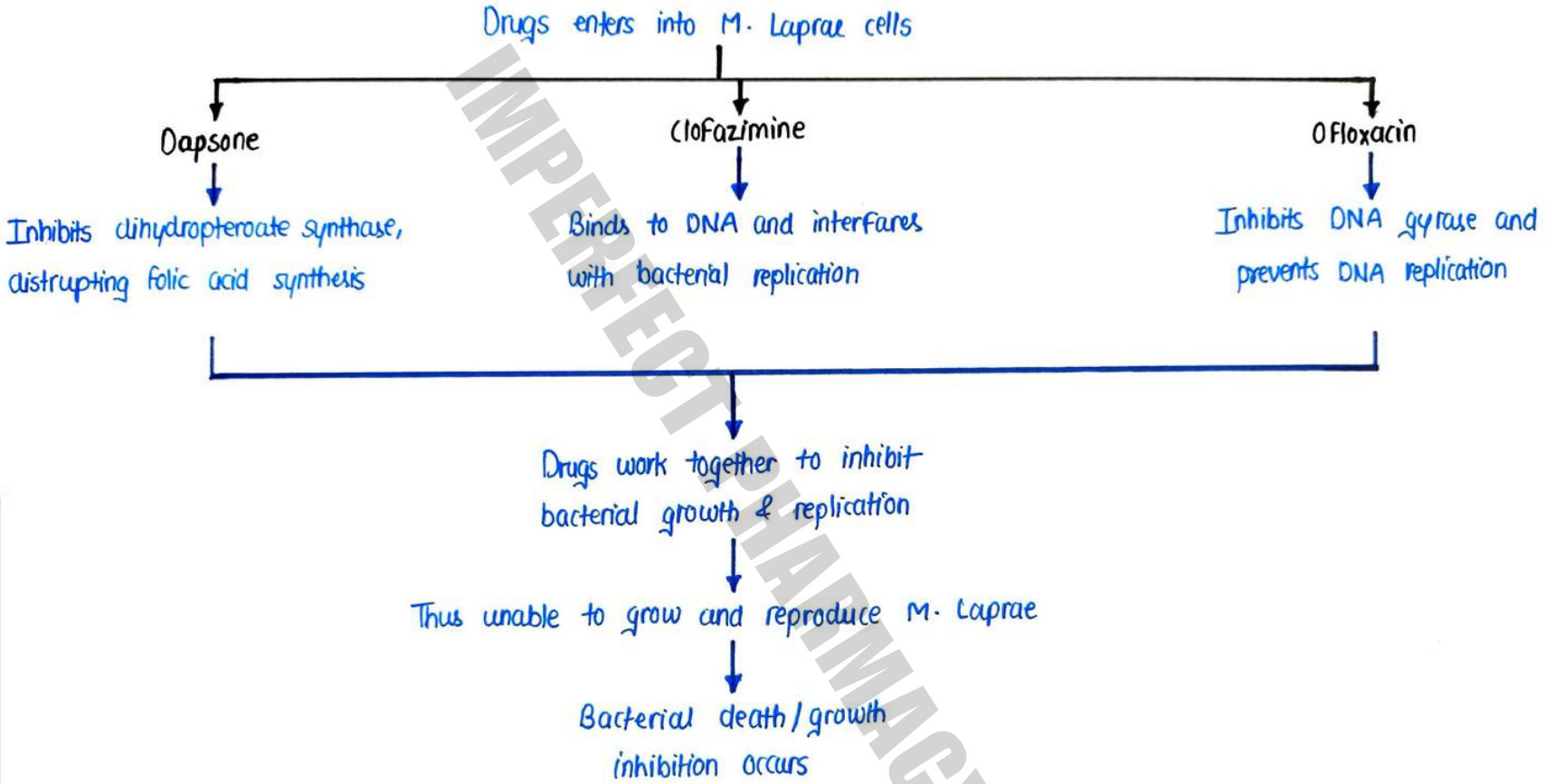

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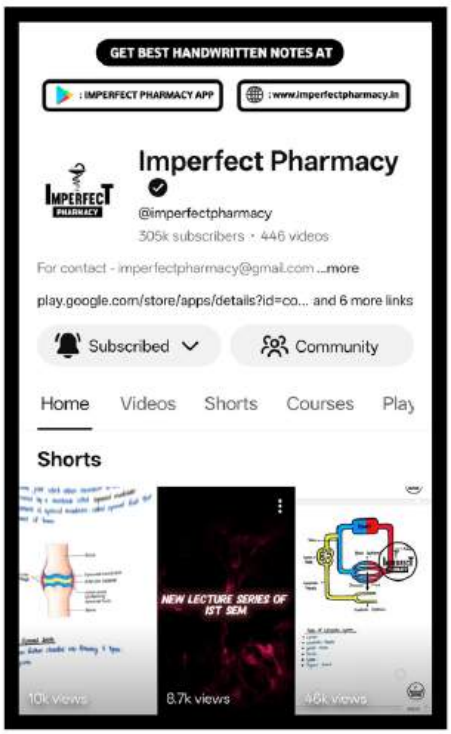


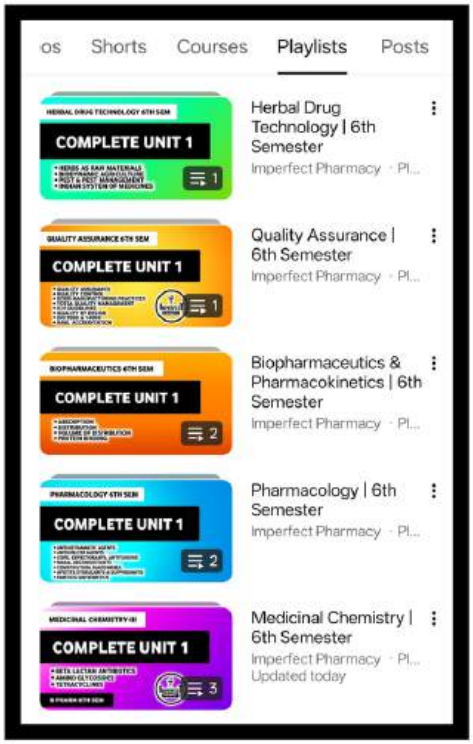


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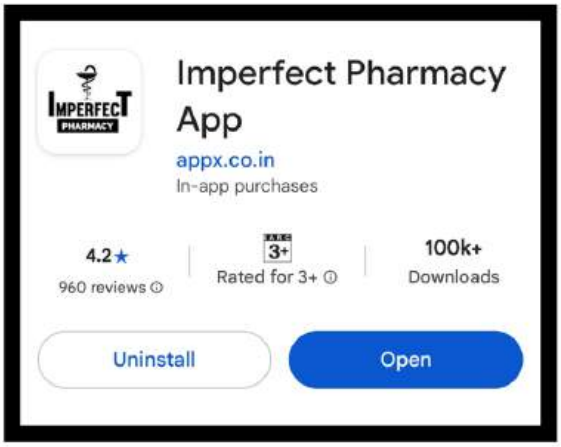

## PHARMACOKINETICS

- Absorption - Well absorbed from GI Tract
- Distribution - Widely distributed in tissues and crosses placenta & enters macrophages.
- Metabolism - Primarily metabolized in liver.
- Excretion - Renal and billiary excretion.
- Half time - 10-20 hours (dapsone), 70-120 days (clofazimine), 2-3 hours (rifampin).

## ADVERSE EFFECTS

- Neurotoxicity
- Hepatotoxicity
- Anemia
- Rash
- Depigmentation
- Fever etc.

## THERAPEUTIC USES

- Leprosy
- Neuropathy
- HIV
- Multibacillary
- Lepromatous etc.

# ANTIFUNGAL AGENTS

- They are medications used to treat fungal infections caused by variety of fungi, including yeasts, molds and dermatophytes.
- This infections can affect various parts of the body, including the skin, nails and internal organs.
- They works by targetting the cell membrane or cell wall of fungi, distrupting their growth and reproduction.

## CLASSIFICATION

① Antibiotics
- Polyenes - Amphotericin B, Nystatin, Hamycin, Natamycin (Pimaricin)
- Heterocyclic benzofuran - Griseofulvin

② Antimetabolite - Flucytosine

③ Azoles
- Imidazoles
  - Topical - Clotrimazole, Econazole, Miconazole, Oxiconazole
  - Systemic - ketoconazole
- Triazoles - Fluconazole, Itraconazole, Voriconazole

④ Allylamine - Terbinafine

⑤ Other topical agents - Tolnaftate, Benzoic Acid, Butenafine, Sodium thiosulfate etc.

## MECHANISM OF ACTION

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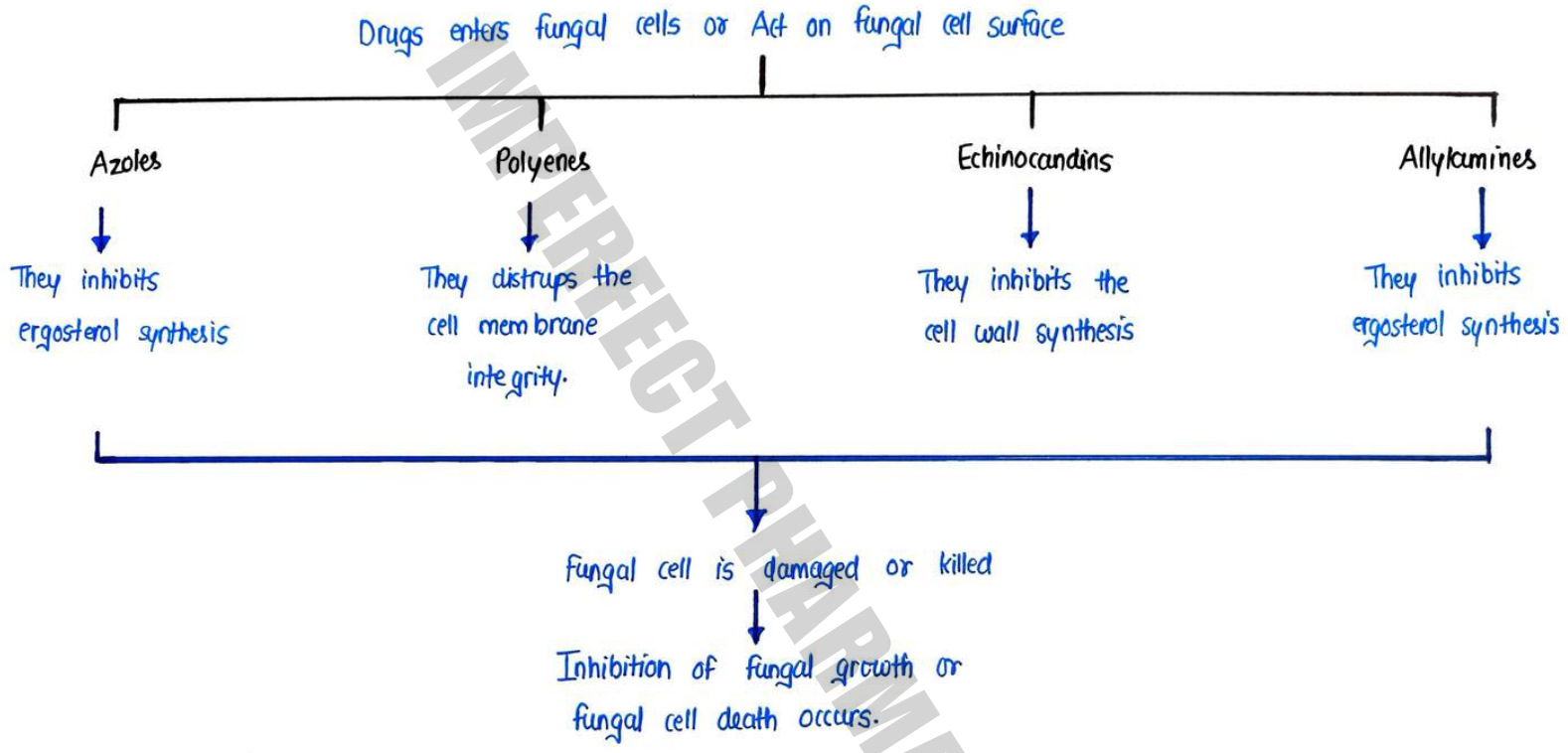


## PHARMACOKINETICS

- Absorption - Some drugs like Amphotericin B poorly absorbed orally, others like fluconazole well absorbed orally.
- Distribution - Widely distributed but crosses blood - brain barrier (especially voriconazole, fluconazole).
- Metabolism - Mainly metabolized in liver.
- Excretion - Renal and fecal excretion.
- Half time - fluconazole - 30 hours, Amphotericin B IV - 15 days, etc.

## ADVERSE EFFECTS

- Hepatotoxicity
- Nephrotoxicity
- Rash
- Fever
- Headache
- Anèmia
- Neurotoxicity etc.

## THERAPEUTIC USES

- Fungal meningitis
- Candidiasis
- Aspergillosis
- Dermatophytosis
- Histoplasmosis
- Mucomycosis
- Onychomycosis etc.

# ANTIVIRAL DRUGS

- They are medications used to treat viral infections by inhibiting the replication of viruses or preventing viral infections.
- Viruses are not living organisms and requires a host cell to replicate.
- Antiviral drugs work by inhibiting / targeting specific stages of the viral life cycle, and inhibiting the replication and spread of virus within the host.
- These drugs are classified into two categories :

i) Non- Retroviral Drugs

ii) Retroviral Drugs



## ① NON - RETROVIRAL ANTIVIRAL DRUGS

- They are drugs that are used to treat viral infections caused by non - retroviruses.

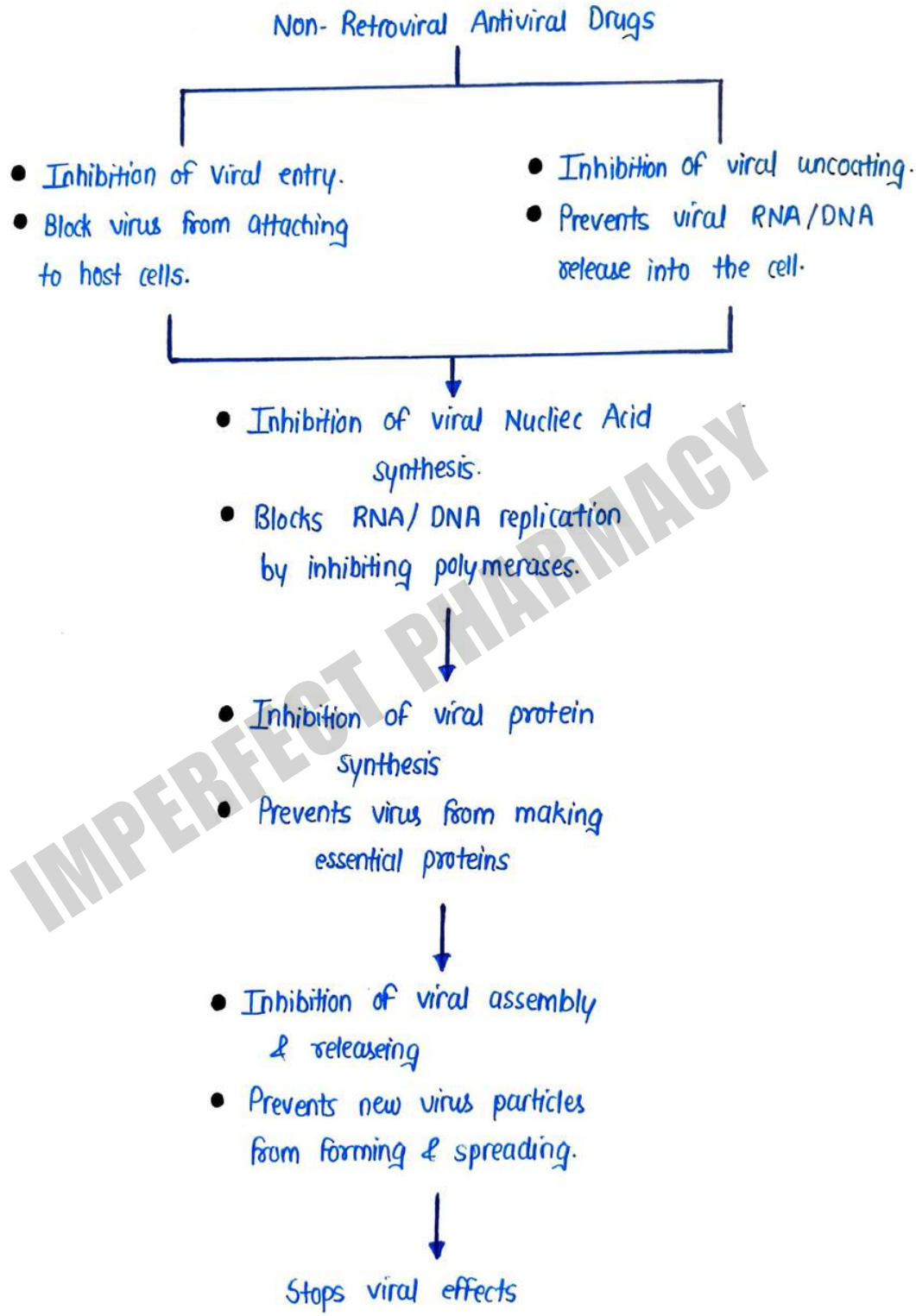
### CLASSIFICATION

① Anti Herpes virus drugs - Idoxuridine, Trifluridine , Acyclovir, Valacyclovir, Famciclovir, Ganciclovir, Valganciclovir etc.

② Anti-Influenza virus drugs- Amantadine, Rimantadine, Oseltamivir, Zanamivir, Peramivir etc.

③ Anti - Hepatitis virus drugs
- For Hepatitis B - Lamivudine, Entecavir, Tenofovir, Telbivudine etc.
- For Hepatitis C - Ribavirin, Interferon α, Sofosbuvir, Simeprevir, Ledipasvir, Velpatasvir etc.

# Mechanism Of Action



## Pharmacokinetics

- Absorption - Some drugs have poor oral bioavailibility (like acyclovir, Ganciclovir) and other ( like valacyclovir) well absorbed orally.
- Distribution - Widely distributed and crosses placenta & blood-brain barrier (especially for herpes drugs).
- Metabolism - Primarily metabolized in kidney (urine).
- Excretion - Mainly excreted in urine.
- Half life - Acyclovir (2-3 hours), Oseltamivir (6-10 hours), etc.

## Adverse Effects

- Nausea
- Vomitting
- Rash
- Nephrotoxicity
- Dizziness
- Fatigue etc.



## Therapeutic Uses

- Herpes
- Influenza
- Hepatitis B and C
- Cold sores
- Measles
- Shingles etc.

## ② Retroviral Antiviral Drugs

- They are also known as Antiretroviral Drugs, are medications to used to treat infections caused by retroviruses, mainly Human Immunodeficiency virus (HIV).
- These drugs works by targeting different stages of the retroviral life-cycle, preventing the virus from replicating and damaging the immune system.

### Classification

① Nucleoside reverse transcriptase inhibitors (NRTIs) - Zidovudine, Didanosine, Stavudine, Lamivudine, Abacavir, Tenofovir etc.

② Non - nucleoside reverse transcriptase inhibitors (NNRTIs) - Nevirapine, Efavirenz, Delavirdine, Etravirine etc.

③ Protease inhibitors (PIs) - Ritonavir, Atazanavir, Indinavir, Nelfinavir etc.

④ Entry Inhibitors - Enfuvirtide

⑤ CCR-5 receptor Inhibitors - Maraviroc

⑥ Integrase inhibitors - Raltegravir, Dolutegravir etc.



### Mechanism Of Action

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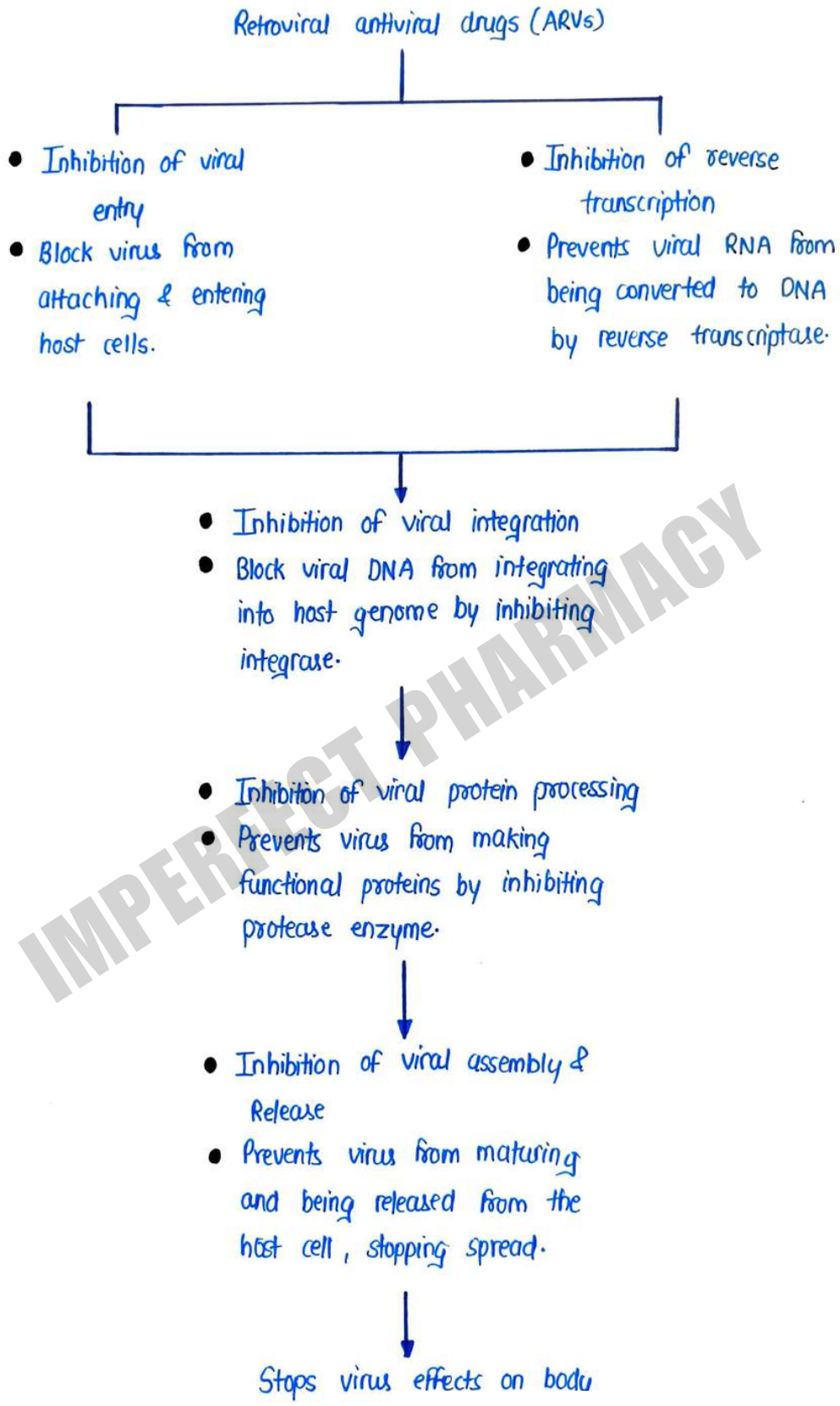

## PHARMACOKINETICS

- Absorption - Oral bioavailibility varies on types of drugs and food can affect absorption.
- Distribution- Widely distributed, crosses placenta and blood- brain barrier.
- Metabolism - Primarily metabolized in liver (hepatic metabolism).
- Excretion- Renal and hepatic routes.
- Half time- varies by drugs, range from hours to days, affecting dosing frequency.

## ADVERSE EFFECTS

- Lactic acidosis
- Rash
- Hyperlipidemia
- Insomnia
- Diarrhoea etc.

## THERAPEUTIC USES

- HIV
- AIDS
- Infection
- Prophylaxis etc.

# ANTHELMINTICS

- They are drugs used to treat infections caused by parasatic worms.
- They work by killing or paralyzing the worms, preventing them from growing, reproducing or attacking to the host.
- They are killed roundworms, tapeworms, flukes and hookworms etc.

Example- Albendazole, Mebendazole, Ivermectin etc.

## CLASSIFICATION

① For Roudworm, Hookworm, Pinworm - Albendazole, Mebendazole, Piperazine, Pyrantel pamoate, Levamisole

② For whipworm, Trichinella spiralis - Albendazole, Mebendazole etc.

③ For Threadworm - Ivermectin, Albendazole

④ For filariasis - Diethylcarbamazine, Ivermectin, Albendazole

⑤ For Tapeworms - Praziquantel, Niclosamide, Albendazole

⑥ For Hydatid disease - Albendazole, Mebendazole etc.

## MECHANISM OF ACTION

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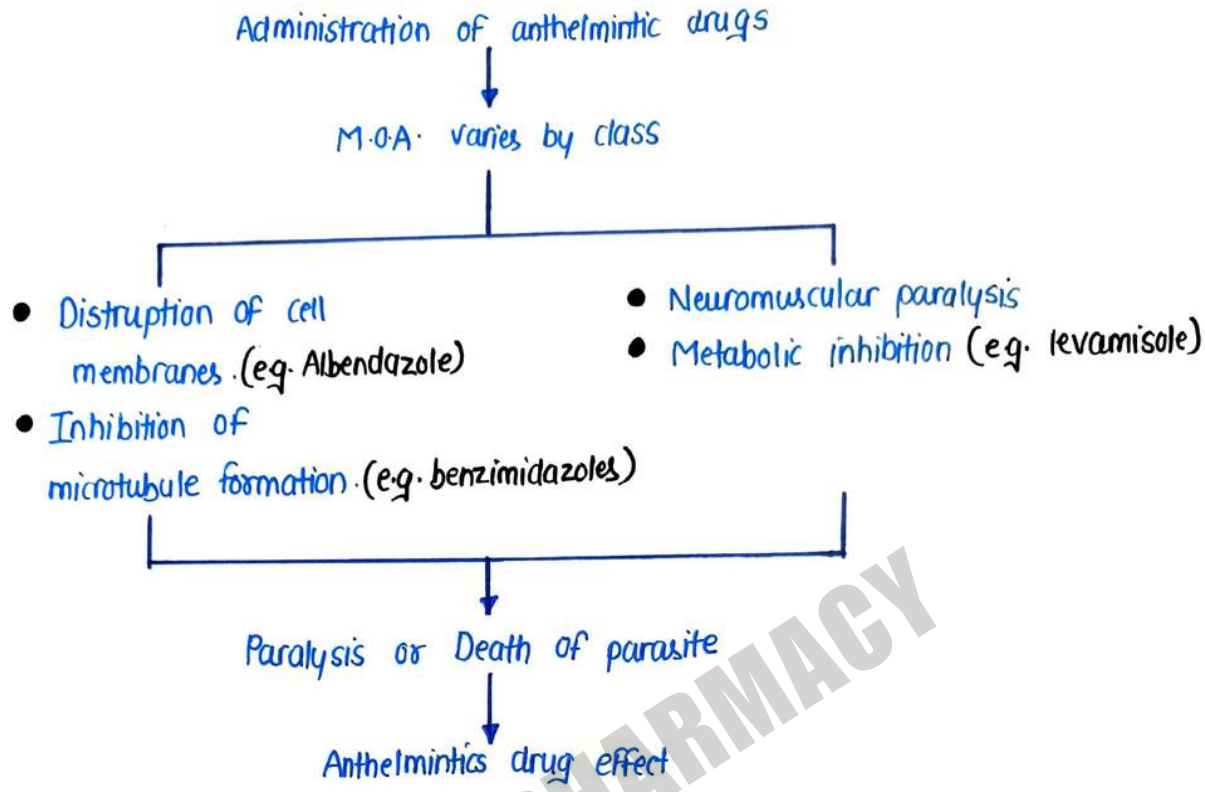





## PHARMACOKINETICS

- Absorption - Some are well- absorbed (e.g Albendazole), other poorly (e.g. Mebendazole).
- Distribution - Widely distributed, with high affinity for fat tissues.
- Metabolism - Primarily metabolized in liver (hepatic metabolism) and some are prodrugs (e.g. Albendazole sulfoxide).
- Excetion - Mainly renal and billiary excretion.
- Half time - Ranges from hours - days (like pyrantel - ivermectin).

## Adverse Effects

- Nausea
- Vomitting
- Rash
- Diarrhoea
- Dizziness
- Hepatotoxicity etc.

## Therapeutic Uses

- Paralysis
- Metabolism Distruption
- Glucose Distruption
- Immune Activation
- Tissue Damage etc.

# ANTIMALARIAL DRUGS

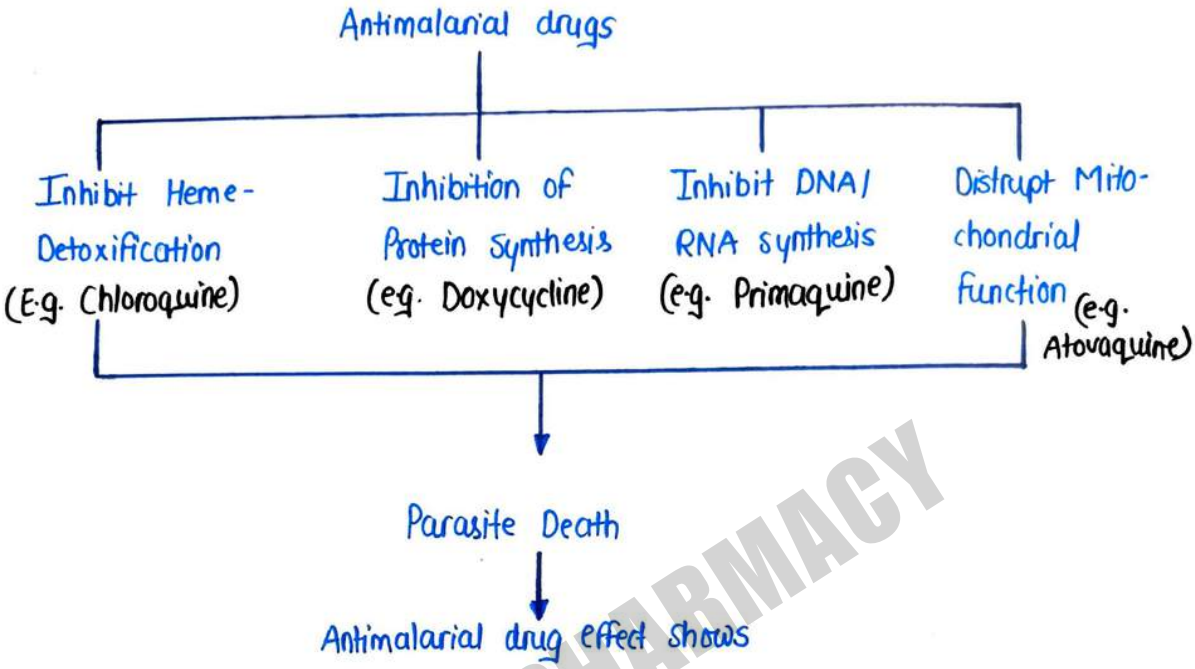
- They are the class of drugs specifically designed to prevent or treat malaria, a life-threatening disease caused by Plasmodium parasites transmitted to human through bites of infected female Anopheles Mosquito.
- Malaria remains a mayor public health concern in the world.
- Antimalarial drugs are crucial for managing the disease, reducing its transmission and preventing its spread in endemic areas.
- Malaria is caused by four plasmodium species :

i) Plasmodium Falciporum
ii) Plasmodium vivax
iii) Plasmodium ovale
iv) Plasmodium malarae

## CLASSIFICATION

I) 4- aminoquinolines – Chloroquine, Amodiaquine, Piperaquine
II) Quinoline - methanol – Mefloquine
III) Cinchona Alkaloid – Quinine, Quinidine
IV) Biguanide – Proguanil ( Chlorogaunide)
V) Diaminopyrimidine – Pyramethamine
VI) 8- aminoquinolines – Primaquine, Tafenoquine
VII) Sulfonamide / Sulfone – Sulfadoxine, Dapsone, Sulfamethopyrazine
VIII) Antibiotics – Doxycycline, Clindamycin
IX) Sesquiterpine - lactones – Artesunate, Artemether, Arteether, Dihydroartemisinin etc.
X) Amino - alcohols – Halofantrine, Lumefantrine
XI) Napthoquinone – Atovaquone
XII) Naphthyridine – Pyronaridine

## Mechanism Of Action (General M.O.A.)






## Pharmacokinetics

- Absorption - Well absorbed through GI Tract, with varying bioavailibility.
- Distribution - Distributed widely in body, including to erythrocytes and tissues like the liver.
- Metabolism - Mainly metabolized in liver, with some having active metabolites.
- Excretion - Excreted mainly through urine or feces.
- Half life - Range from hours (e.g. artemisinin) to weeks (e.g. mefloquine).

## Adverse Effects

- Retinopathy
- Tinnitus
- Nausea
- Diarrhea
- Photosensitivity
- Hemolysis etc.

## Therapeutic Uses

- Malaria
- COVID - 19
- Rheumatoid arthritis
- Autoimmune diseases
- Filariasis
- Dermatomyositis etc.

# ANTIAMOEBIC AGENTS

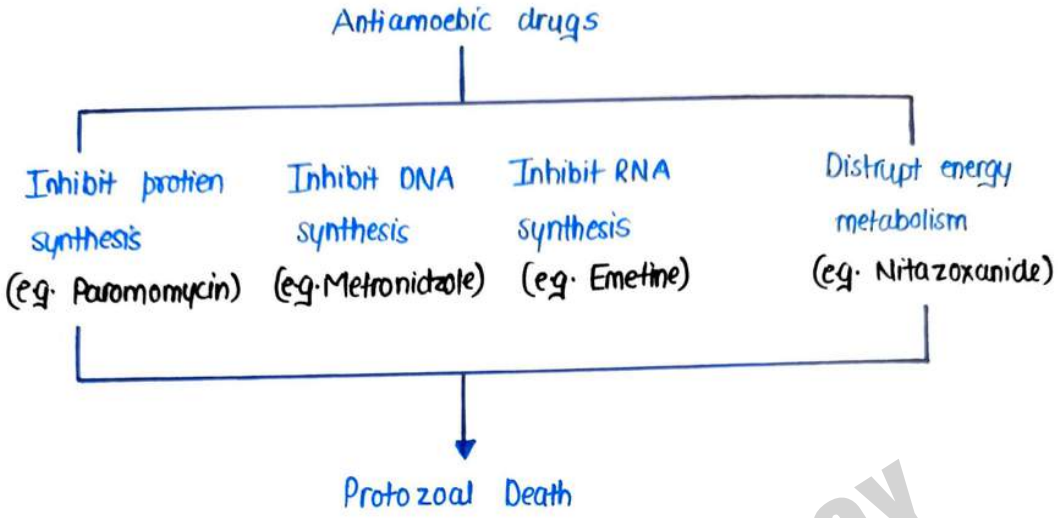
- They are medications specifically designed to target and eliminate Entamoeba Histolytica, the protozoan parasite responsible for amoebasis.
- It can cause conditions like intestinal amoebasis, amoebic dysentry and amoebic liver abcess.
- Amoebic infections typically occur through the ingestion of cysts in contamination food, water or through poor - sanitation practices.
- They work by targetting and eliminating their parasites from the body.

## CLASSIFICATION

I) Tissue Amoebicides
- For intestinal + extraintestinal amoebiasis-
  - Nitroimidazoles - Metronidazole, Tinidazole, Ornidazole, Secnidazole etc.
  - Alkaloids - Emetine, Dehydroemetine
- For extraintestinal amoebiasis only - Chloroquine

II) Luminal amoebicides
- Amides - Diloxanide furate, Nitazoxamide
- 8- Hydroxyquinolines - Quiniodochlor, Diiodohydroxyquin
- Antibiotics - Tetracycline, Paromomycin

## Mechanism Of Action




## Pharmacokinetics

- Absorption - Mainy drugs are absorbed from GIT (like metronidazole).
- Distribution - Distributed widely in body fluid and tissues, including liver, GIT, cerebrospinal fluid.
- Metabolism - Primarily metabolized in liver by liver enzymes.
- Excretion - Excreted mainly in urine (eg. metronidazole) or feces (eg. paromomycin).
- Half time - Varies depending on drugs, from hours to days.



## Adverse Effects

- Dairrhea
- Flatulence
- Headache
- Lever toxicity
- Anorexia
- Dizziness etc.

## THERAPEUTIC USES

- Amoebiasis
- Giardiasis
- Liver abcess
- Dysentry
- Redicals
- Trichomoniasis etc.

# THANK YOU

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