

# GENERAL ANTIMICROBIAL AGENTS

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# Key Contents

- General introduction
- Antibiotics and antibacterial agents
- Antifungal agents
- Antiviral/antiretroviral agents
- Antiprotozoal agents
- Antimalarial drugs
- Antiseptics and disinfectants.

# Antimicrobials:

1. Antibiotic/ Antibacterial : against bacteria, e.g. Drugs for bacterial pneumonia.
2. Antivirals : against viruses, e.g. Drugs for Herpes and HIV
3. Antiparasitic Agents : against parasites , e.g. drugs for malaria
4. Antifungals : against fungi , e.g. drugs for yeast infections.

# Introduction

- **Antimicrobial drugs** are the greatest contribution of the 20<sup>th</sup> century to therapeutics. Their advent changed the outlook of the physician about the power drugs can have on the diseases.
- Their importance is frequently observed in different countries where infective diseases predominate.
- Drugs in this class differ from others, designed to inhibit/kill the infecting microbes/organisms and have no/minimal effect to the patient.
- Also, referred to as chemotherapy means 'treatment of systemic infections with specific drugs that selectively suppress/kill the infecting microorganism without significantly affecting the host.'

# Antimicrobial Classification

- a. Chemical Structure
- b. Mechanism of action
- c. Organism type
- d. Spectrum of activity
- e. Static or cidal
- f. Origin of antimicrobials

# Penicillin an accidental discovery...

- Penicillin was accidentally discovered in 1928 by Scottish scientist Alexander Flemming. He made Staphylococcus culture which was mistakenly left open and got contaminated with a mould which destroyed the bacterial colonies.
- After isolating and testing the sample he found that it was the Penicillium family, as Penicillium notatum.
- Penicillin was derived from the penicillium fungi. It is a group of antibiotics that are used to treat diseases which were previously deadly (Syphilis, Staphylococci).
- Penicillin as a medicine was introduced by Howard Walter Flory, Ernest Chain, and Norman Heatley.

- **Antibiotics** are the substances produced by microorganisms, which selectively suppress the growth of or kill other microorganisms at very low concentrations.
- This definition excludes other natural substances which also inhibit microorganisms but are produced by higher forms (e.g. antibodies) or even those produced by microbes but are needed in high concentrations (ethanol, lactic acid, H<sub>2</sub>O<sub>2</sub> ).

# Classification: Mode of action

- **Cell wall synthesis inhibitors**

- Beta-lactams (penicillins, cephalosporins, aztreonam, imipenem)
- Poly-peptides (bacitracin, vancomycin)

- **Protein synthesis inhibitors**

- Aminoglycosides
- Tetracyclins
- Macrolides
- Chloramphenicol
- Clindamycin

- **Inhibitors of essential metabolites (folate)**

- Sulfonamides

- Trimethoprim

- **Injury to plasma membrane**

- polymyxin B
- nystatin
- amphotericin B
- miconazole

- **Inhibition of nucleic acid replication and transcription**

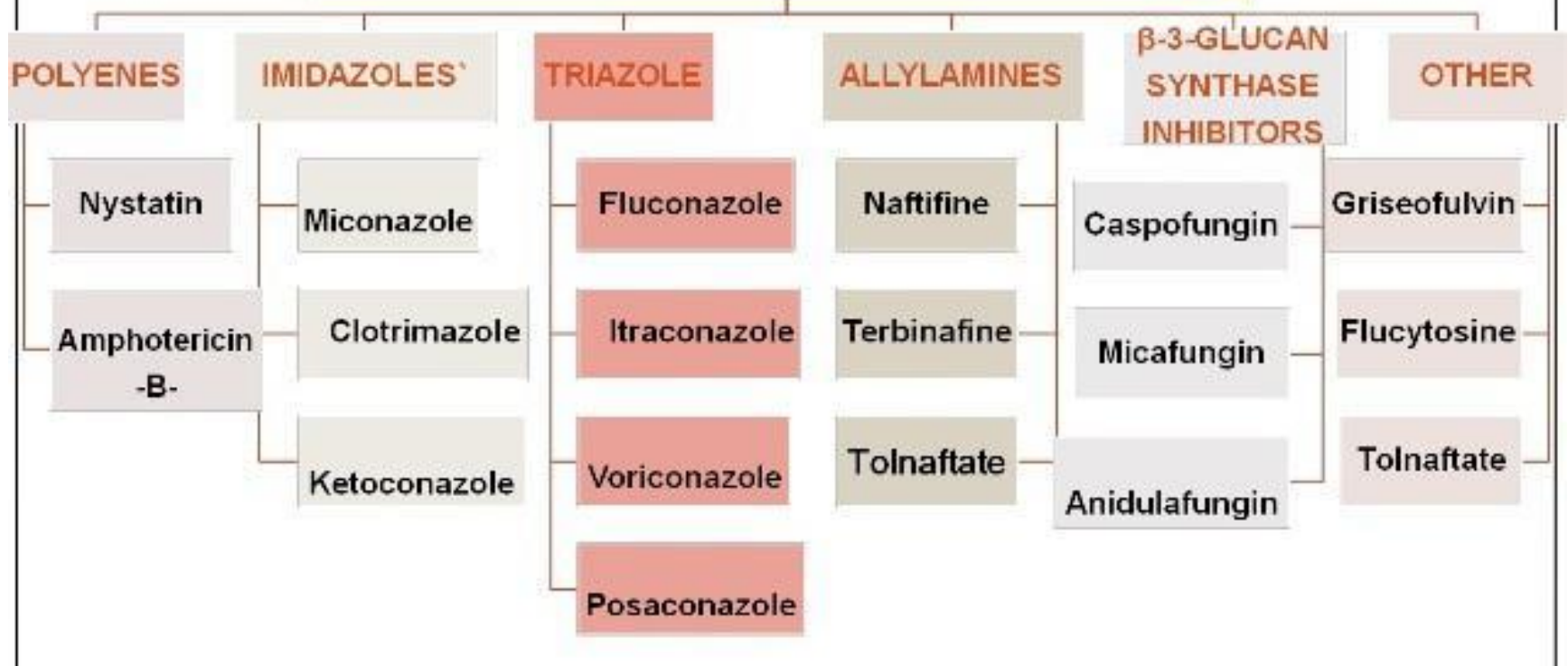
- Quinolones
- Rifampin



# Antifungal Drugs

- Fungi are the Eukaryotic cells. They possess mitochondria, nuclei and cell membranes. They have rigid cell walls containing chitin as well as polysaccharides, and a cell membrane composed of ergosterol.
- Antifungal drugs are in general more toxic than antibacterial agents. These are drugs used for superficial and deep (systemic) fungal infection.
- Fungal infections are mostly associated with the use of broad-spectrum antibiotics, corticosteroids, anticancer/immunosuppressant drugs, dentures, implants, catheters and emergence of AIDS. As a results of breakdown of host defence mechanism by above agents, Saprophytic fungi easily invade living tissue.

# ANTIFUNGALS

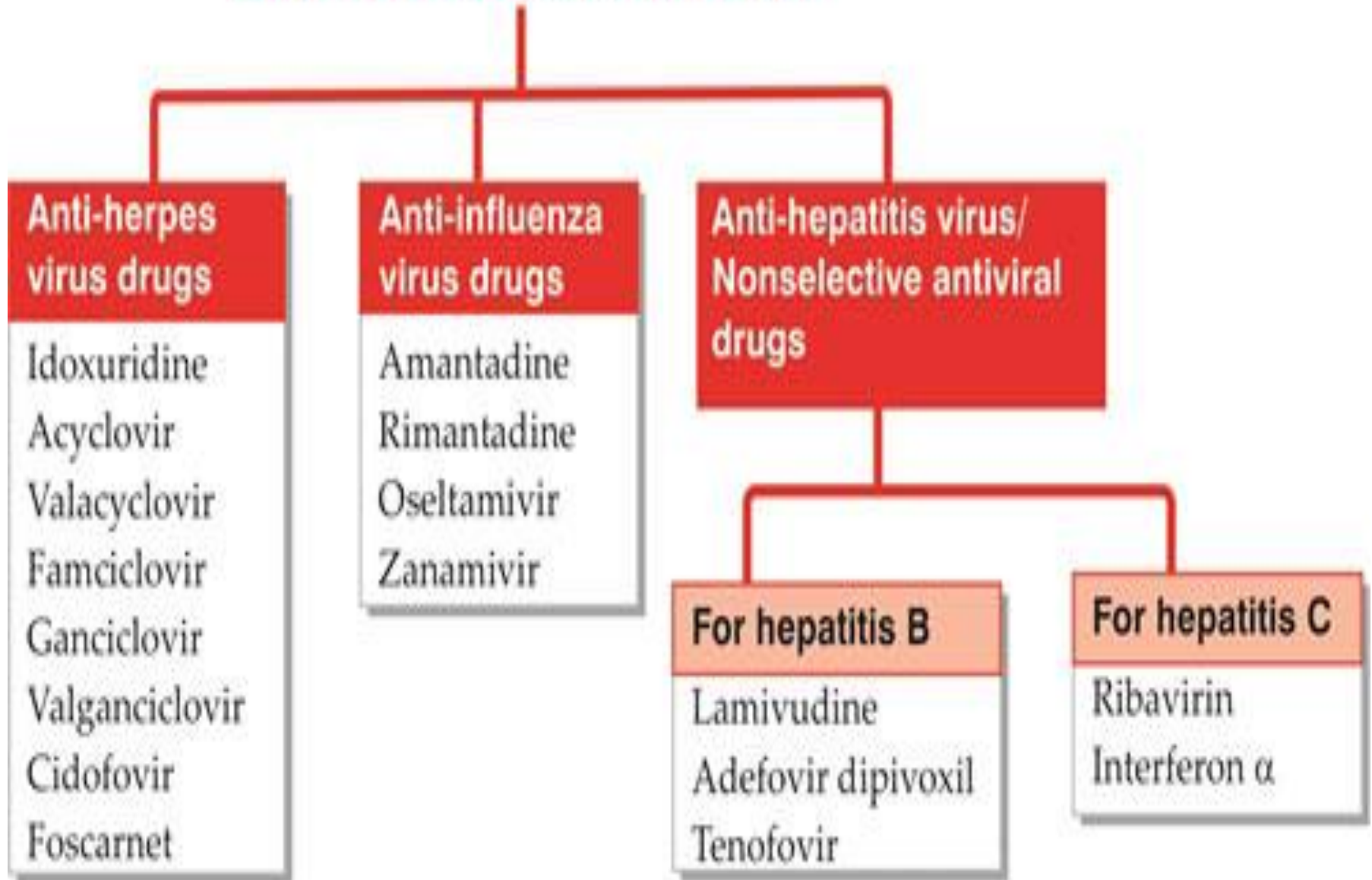


*Ref: Textbook of K D Tripathi*

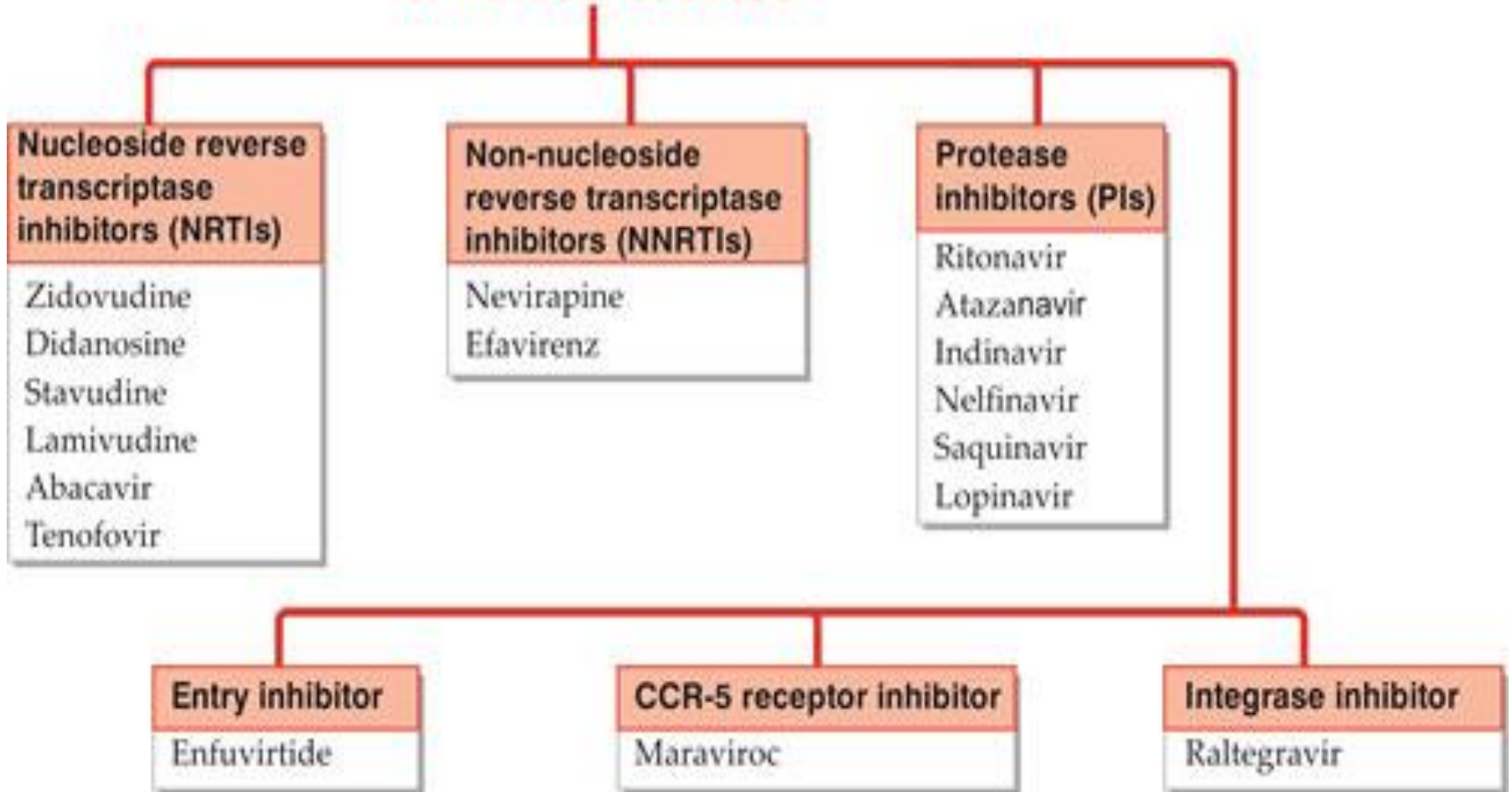
# Antiviral Drugs

- Viruses are the ultimate expression of obligate intracellular parasitism. They not only take nutrition from the host cell but also direct its metabolic machinery to synthesize new virus particles.
- Viral chemotherapy, therefore was considered impossible, as it would require interference with cellular metabolism in the host.
- In past 50 years virus directed enzymes have been identified in the infected cell and some viruses have few enzymes of their own which may have higher affinities for some antimetabolites or inhibitors than the regular cellular enzymes.
- In addition, drugs have been developed which target virus specific steps like cell penetration, uncoating, reverse transcription, virus assembly or maturation and release from host cell. As viral replication reaches in peak when symptoms appear so therapy has to be started in the incubation period for effective results.

## ANTIVIRAL DRUGS (Non-retroviral)



## ANTIRETROVIRUS DRUGS

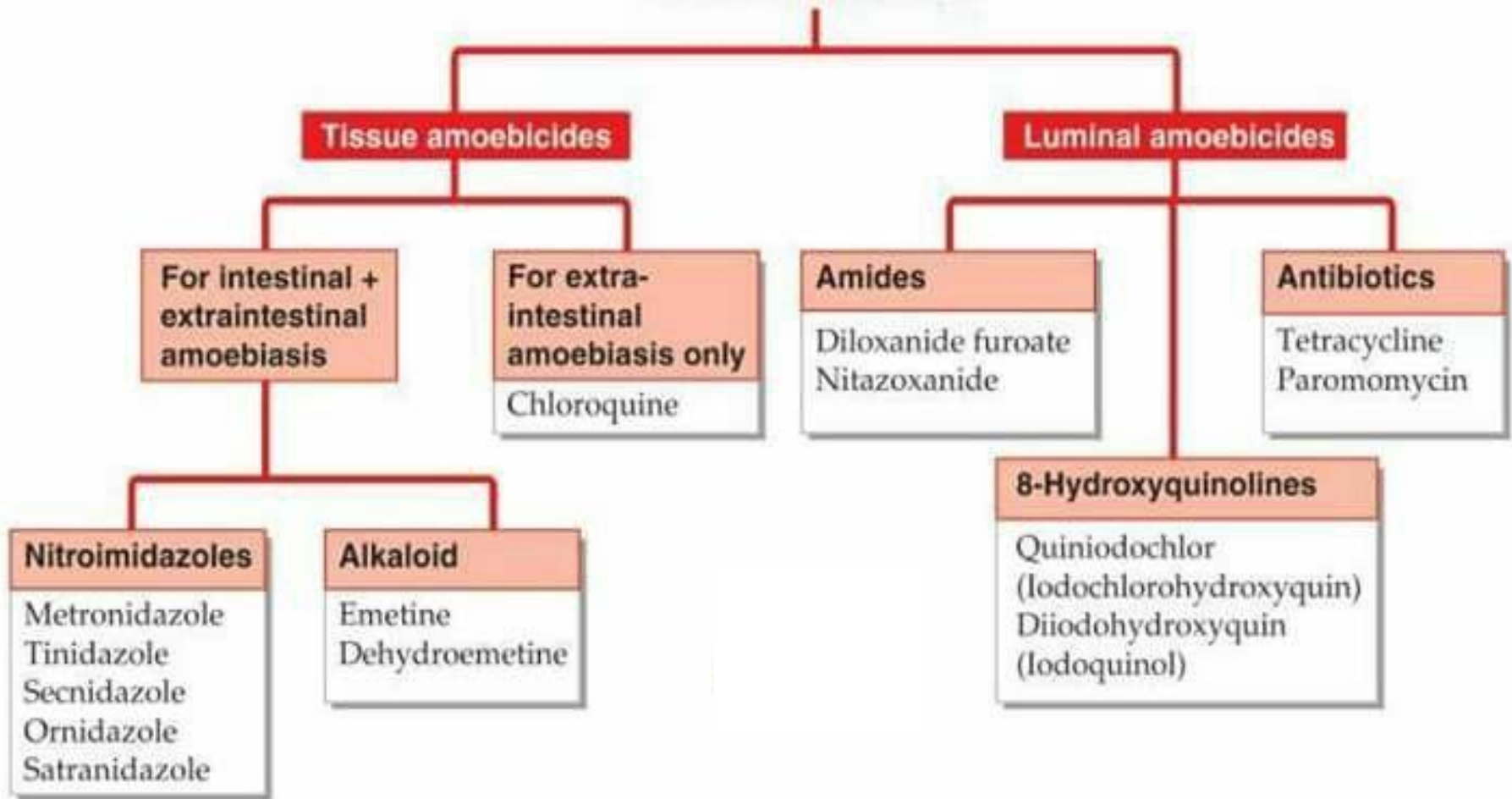


These are drugs active against HIV which is retrovirus. They are useful in prolonging and improving the quality of life and postponing complications of AIDS. Clinical efficacy of these drugs are monitored by plasma HIV-RNA assay and CD4 lymphocyte count carried out at regular intervals.

# Antiamoebic and Antiprotozoal Drugs

- These are drugs useful in infection caused by the anaerobic protozoa *Entamoeba histolytica*. It has a worldwide distribution, it is endemic in most parts of India and in other countries.
- Poor sanitation and low socio-economic status are important factors in the spread of disease. It mainly occurs by faecal contamination of food and water.
- These drugs are broad-spectrum activity against anaerobic protozoal diseases such as amoebiasis, trypanosomiasis, leishmaniasis, giardiasis, malaria.

# ANTIAMOEBIIC DRUGS



# Antiprotozoal drugs

Antimalarial  
agents

Chloroquine  
Mefloquine  
Primaquine  
Quinine  
Antifolates  
Others

Drugs for  
amebiasis

Metronidazole  
Diloxanide  
Emetine  
Iodoquinol

Drugs used  
for

Pneumocystosis  
Toxoplasmosis  
Leishmaniasis  
Trypanosomiasis



# Antimalarial Drugs

Malaria is an infection of liver and RBCs. It is one of the most serious problems.

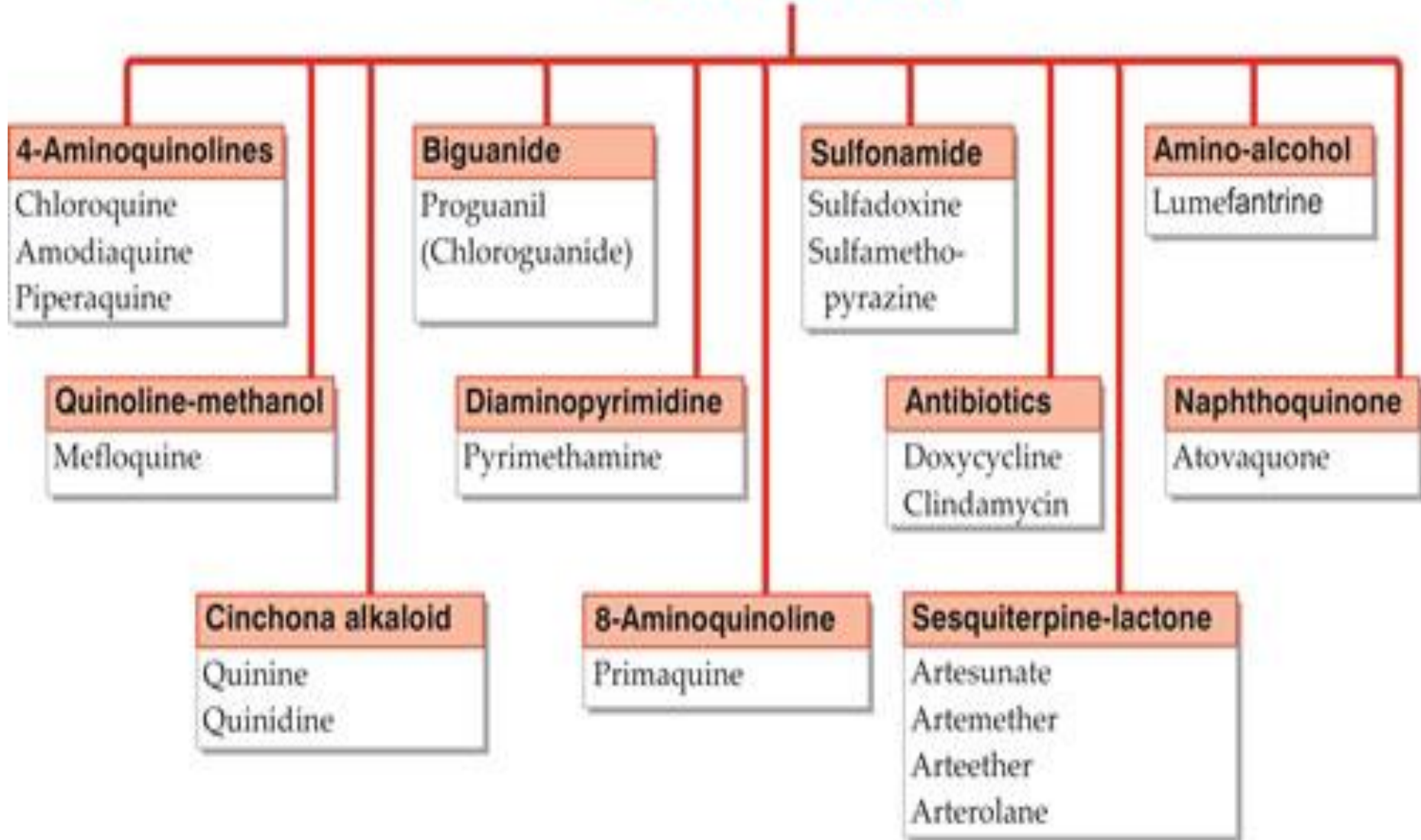
Symptoms: Fever (which may be periodic), Chills, Sweating, Haemolytic anaemia and Splenomegaly.

Diagnosis is by seeing plasmodium in a peripheral blood smear. treatment and prophylaxis depend on the species and drug sensitivity.

Antimalarial medications or simply antimalarials are a type of antiparasitic chemical agent. Often naturally derived, that can be used to treat or to prevent malaria.

Aimed at two susceptible target groups, young children and pregnant women.

## ANTIMALARIAL DRUGS



# Antiseptics and Disinfectants

- The term 'antiseptic' and 'disinfectant' connote an agent which inhibits or kills microbes on contact. The term Germicide covers both category of drugs.
- Conventionally, agents used on living surfaces (skin, mouth) are antiseptics while those used for inanimate objects (instruments, floor cleaner) are called disinfectants.
- A good germicide should be:
  - Chemically stable
  - Cheap
  - Active against all pathogens
  - Rapid in action,etc.

## Antiseptics

- Phenolic agents
- Alcohols and aldehydes
- Acids
- Iodine and iodophors
- Chlorine and chlorophors

## Disinfectants

- Mercury compounds
- Silver compounds
- Surface-active agents
- Oxidizing agents
- Chlorhexidine

*Thank You....*