

ANTIMYCOBACTERIAL AND ANTIVIRAL AGENTS

➤ CONTENTS:

- Antitubercular agents
- Antileprosy agents
- Antiviral agents

❖ ANTI TUBERCULAE AGENTS

Tuberculosis is an infection caused by mycobacterium tuberculosis which most affect lungs and which is characterized by symptoms such as acute inflammation, tissue necrosis ect.

Anti tubercular agents are agent which are used to treat tuberculosis.

➤ Classification of anti tubercular agents:

1)first line drugs :

Examples- Ethambutol, Isoniazid, Pyrazinamide, Rifampicin.

2)second line drugs :

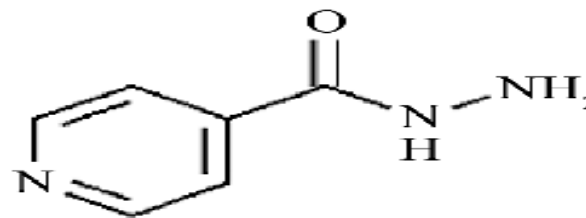
Examples- Cepreomycins, Cycloserine

3)Third line drugs:

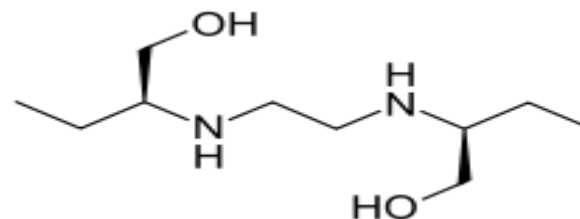
Examples –clarithromycin

➤ Structures :-

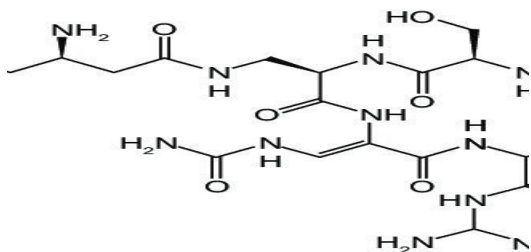
1.Isoniazid



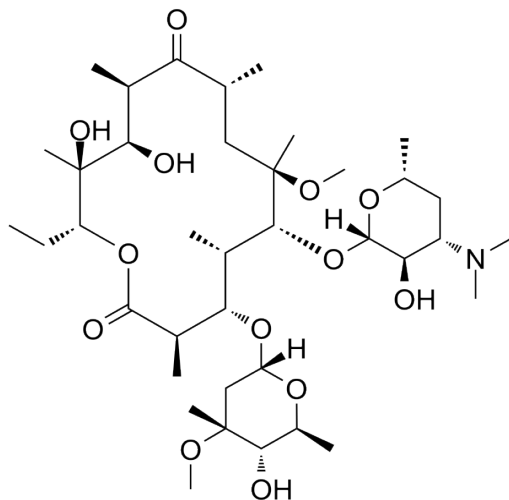
2. Ethambutol



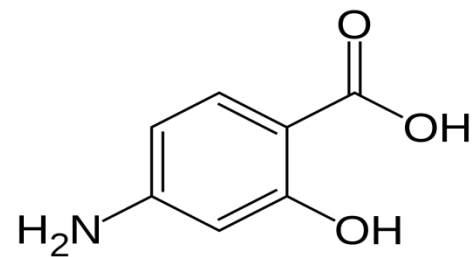
3. Cepreomycine



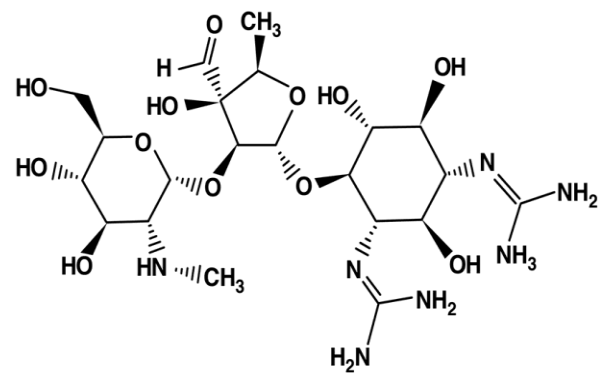
4. clarithromycine



5. para amino salicylic acids



6. streptomycins



➤ Mechanism of action :

Tuberculosis agents target various aspect of mycobacterium tuberculosis inhibiting cell wall synthesis, protein synthesis, nucleic acid synthesis.isoniazids penetrates into macrophages and is active agents.it is highly selective for mycobacterium

➤ Uses :-

- 1) Isoniazid is the most important drug for treating pulmonary and non pulmonary forms of tuberculosis.
- 2) Ethambutol is active only against the mycobacterium tuberculosis.
- 3) P- amino salicylic acid is act as bacteriostaticsthat inhibit tuberculosis.

SAR of isoniazids :

- The N -1 nitrogen of hydrazine side chain should be free from any substituents.
- N-2 nitrogen of hydrazine side chain can be substituted with alkyl group to get active drugs moecules.
- Replacement of pyridine nucleus with other aromatics ring such as benzene or thiazole or piperidine ring diminished the anti tubercular activity.
- Nuumerous derivatives have been developed none of them have exhibited activity superrior to that of parent drug.

❖ ANTI LEPROSY AGENTS:-

Drugs which are used to treat leprosy are termed antileprostatic drugs .

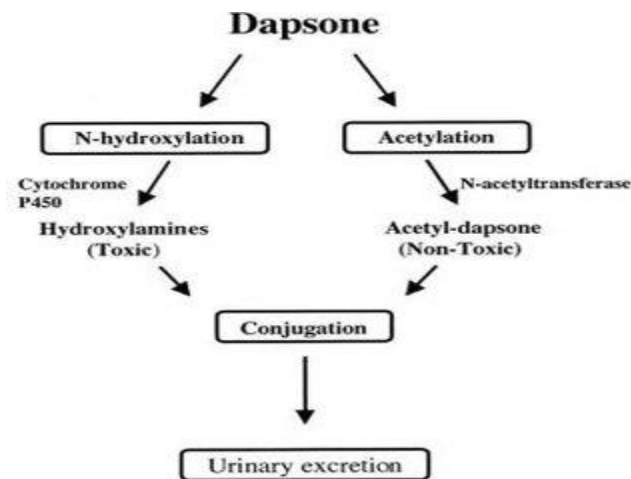
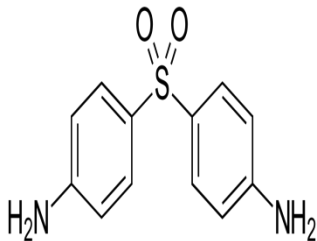
➤ Classification:

- 1) Sulfones:
Example – Dapsone
- 2) Phenazin derivatives :
Example –Clofazimine
- 3) Antitubercular agent :
Example- Rifamycine
- 4)Antibiotic:

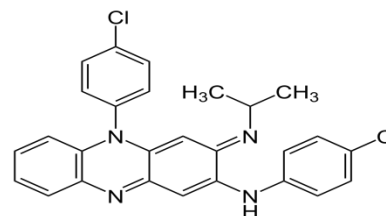
Example –Ofloxacin

➤ Structures:

1.Dapsone.



2.clofazimine



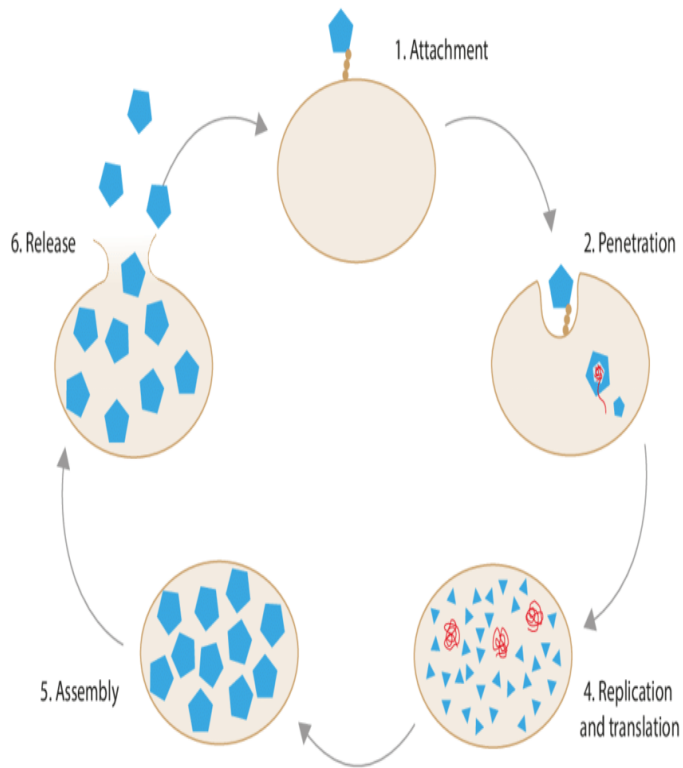
➤ Uses :

- 1)dapsone is used in the treatment of m.leprae infection.
- 2)clofazimine is given to treat sulfone resistant leprosy

❖ ANTI VIRAL AGENT:-

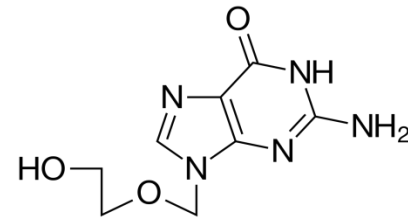
Define :Anti viral drugs are class of medication used for treating viral infection .

➤ life cycle of virus :



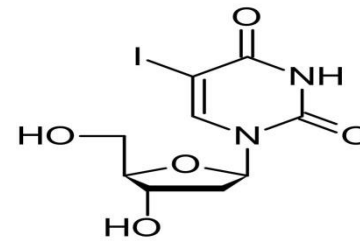
➤ Classification of anti viral agent:

1) Purine nucleosides and nucleotide
e.g Acyclovir



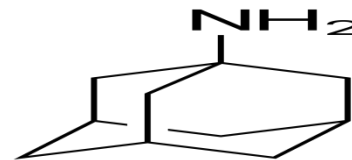
2)Pyrimidine nucleoside and nucleotide

e.g : idoxcoridne

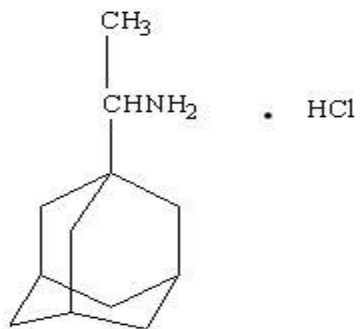


3)Admatane amines

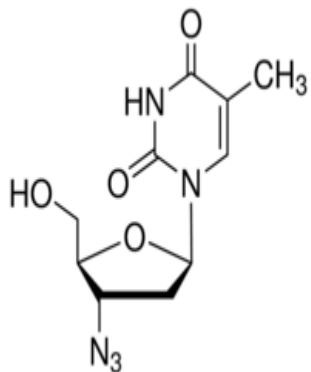
e.g : Amantadine hydrochloride



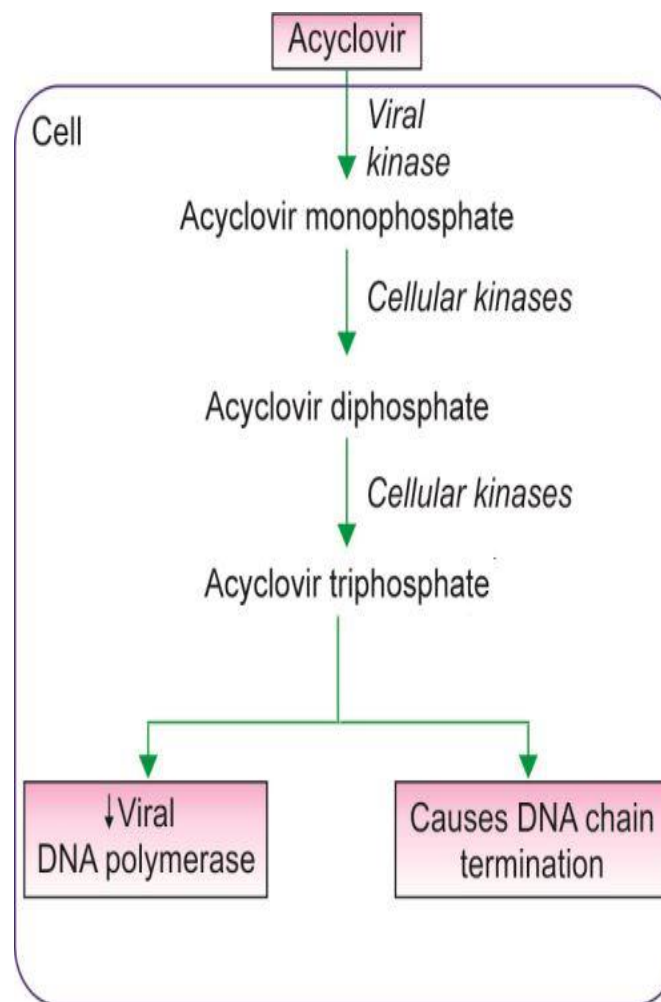
3. Rimantadine hydrochloride



4. Zidovudine



➤ Mechanism of action :



- Acyclovir gets activated after three phosphorylation steps by viral specific enzymes termed thymidine kinases ..
- Inhibition of viral synthesis of DNA
- Acyclovir molecules enter cell converted to acyclovir mono phosphate by HSV enzyme thymidine kinase .
- Acyclovir triphosphate competes with 2-Deoxyguanosine triphosphate as substrate for viral DNA polymerase , as well as acting as a cell terminator.
- these active metabolites accumulate in the infected cells and exert their action by two mechanisms

1)Competitive inhibition of herpes virus DNA polymerases.

2)Incorporation of Acyclovir into viral DNA.

➤ SAR of Acyclovir:

1. The length of acyclic side chain attached at N-9 is essential for anti viral activity .
2. When the acyclic side chain containing hydroxy methylene group was replaced by other substituents, in active analogues are obtained. This implies that $-CH_2OH$ group is essential for anti viral activity .
3. The 9-alkoxy derivatives were obtained when a slight modification was brought in the acyl side chain .
4. Several structural modifications have been brought to obtain high potent drug .

➤ Uses:

1. treatment of HSV infection of eyelid , cornea .
2. Acyclovir is used for the treatment of herpes simplex virus infection ,chickenpox.
3. Idoxuridine is mainly used for the topical
Didanosine is antiretroviral medication used to prevent and treat HIV and AIDS .
4. Ribavirin is used to treat RSV infection ,hepatitis C.

❖ REFERENCE:

- 1.Foye's principle of Medicinal Chemistry .
- 2.Principle of Medicinal Chemistry by Kadam and Mahadik

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