CHEMISTRY : DRUGS

By Dr.B.C.Dixit.

Que. Discuss the terms? (Define).
Drug: "It is a substance or compound which is used to prevent or cure the disease in man or other animals."
Que. What are the requirements of an ideal drug?
(i) When it is administrated to the host, its action should be localized at the site where it is desired to act.
(ii) It should act in a system with good efficiency and safety.
(iii) It should not have any toxicity.
(iv) It should have minimum side effects.
(v) It should not injure host tissues or physiological process.
(vi) The host cell should not acquire resistance to the drug after some time.
Medicinal Chemistry: It is field of science which applied the principles of chemistry and biology to the creation knowledge of therapeutic action.
Pharmacy: It is concerned with the collection, preparation and standardization of drugs. (i) It includes the cultivation of plants which serve as drugs. (ii) The synthesis of chemical compounds. (iii) The chemical analysis and testing of the agents used for medicinal purposes.
Pharmacology: It is a branch of science which deals with the detailed study of drugs in terms of beneficial and harmful action on living animals and organs. The part of medical science which cover and study of medicines and drugs, including their action, their use and their effect on the body.
Pharmacodynamics: It is related with the response of living organisms to chemical stimuli in the absence of diseases.
Pharmacophore: The physicological activity of the drugs depend upon the presence of particular functional groups or structural units. Such a parts of the drug which causes the actual physicological effect is known as pharmacophore.
Pharmacodynamic Agent: The drugs which stimulate or depress various functions of the body so as to provide relief from symptoms of discomfort are known as Pharmacodynamic Agent.
Metabolites: The substance which takes part in metabolic reaction known as metabolites. E.g. p – Amino benzoic acid (PABA).
Anti – Metabolites: A chemical substance which block metabolism is known as Anti–Metabolites i.e. Sulphonamide.
Bacteria: These are group of microorganisms which are classified in to mainly two classes (1) Gram +ve & (2) Gram –ve, depending upon their staining characteristics.

Bacteria which retain violet stain of Gram's reagent (crystal violet +iodine) are known as gram +ve bacteria on the other hand bacteria which do not retain the violet stain of gram's reagent are known as gram –ve bacteria.

Virus: These are very small microorganisms which are parasitic within living cells. These differ from bacteria in having only one kind of nucleic acid, either DNA or RNA.

Fungi: It is a low form of vegetable life including many microscopic organisms. It does not contain chlorophyll & generally grow on organic matter like leather, state food, sugar, fruit etc. It causes superficial and systemic disease in living beings.

Mutation: The sudden alteration of a gene is known as mutation. This may be spontaneous or induced and is inherited by subsequent generation and retain until further mutation. Spontaneous mutation takes place without ape sent influence from out side the cell while mutation is produced by known agent out side the cell e.g. u.v. rays, x-rays.

Que. What are drug? Classified them on the bases of their therapeutic actions or chemo therapeutic actions.

Ans. Drug may be classified in the following ways.

(I) On the bases of their therapeutic action.

(II) On the bases of their chemical structure.

(I) On the bases of their Therapeutic action:

According to the therapeutic actions the drugs are classified into two broad types.

(A) Pharmaco dynamic agents or functional agents:

These are the drugs which actions the various functions of body. These drugs are further classified as followed.

(i) Non-selective CNS: depressants e.g. alcohol and trichloroalcohol
It is drugs use to make person or animal calmer.

(ii) Selective modifiers of CNS: Tranquilizers
They are effective in reducing excitation and aggressiveness in case of mental disorder. E.g. alkaloids and indole derivatives.

(iii) Stimulate: A substance which make the mind or body more active. Antidepressants, or metrazole.

(iv) Blocking agent (Adrenergic stimulate): The blocking agent can be divided in to two groups and act directly on the cell to increase excitation or to decrease inhibition in the tissues activities.

(a) Compounds with –OH group substituted in the -3 & -4 position of aniline ring are called catechol amines.

(b) Those which do not contain –OH group are known as Non- catachol amines.

(v) Histamine and anti histamines: Histamine decreases blood pressure by dilating the capillaries and increase the heart rate.

Chemically by inactivating Histamine using certain compounds like Formaldehyde Carbondioxide, enzymehistaminase are known as antihistamine.

vi) Anaesthetic: Anaesthetic are the chemical which produce insensibility to the vital function of all types of cells. Especially those related with CNS. It produce temporary insensibility to pain or feelings in the body or particular organ. Which has under go operation. That is it causes the loss of sensation to pain. They are classified into two types.

a) Local Anaesthetic: They do not affect the whole body but make only a part of the body insensitive to pain or feelings. e.g. cocaine, procaine etc.

b) General Anaesthetic: There are the substance use to make whole body insensitive to pain or feelings. e.g. Chloroform.

vii) Cardiovascular agents: They have direct action on the heart other part of the vascular system and they are the distribution of blood supply.

viii) Diuretics: They increase the output of urine by the kidneys. They are used for the excretion of sodium and chloride. They employed for the treatment of edema, i.e. congestive heart failure edema of pregnancy e.g. caffeine, theophylline etc.

ix) Hematological agents: These are the drugs which act on the blood and blood forming organs. These are of two types (i) Coagulant and anticoagulant agents (ii) Antianemic agents. (Heparine and Worfarin).

B) Chemotherapeutic agents:

Chemotherapy is the use of chemical agent in the treatment of infectious disease. The chemical agents used are known as chemotherapeutic agents. The agents are designed to kill the invading organisms without harmful effect on host. They may be further divided into following class;

i) Organometallic Compound.
ii) Anthelmintic Agents.
iii) Antimalarial.
iv) Anti Protozoals.
v) Anti Septic.
vi) Anti Fungal.
vii) Anti Bacterial.
viii) Anti Biotic.
ix) Anti Tubercular and Antileprosy drug.
x) Anti Neoplastic drug.

Que. Discuss the terms OR Explain the following terms.

1) Sedative. 2) Anaesthetic. 3) Hypnotics. 4) Cardiovascular agent. 5) Virus. 6) Gramative and Gramative bacteria. 7) Antifungal. 8) Antibodies. 9) Pharmacy. 10) Antimetabolites. 11) Diuretics. 12) Anthelmintics. 13) Hematological agents. 14) Bacteria. 15) Vitamins. 16) Hormones.

Sedatives: Sedatives are central nervous system depressants that reduce restlessness and emotional tension without producing sleep. i.e. metharbital, ethinamate.

Hypnotics: Hypnotics are central nervous system depressants that produce sleep to reduce restlessness and emotional tension. i.e. trichloro ethanol, chloral.

Anesthetics: Those drug which produce insensibility to the vital functions of all types of cells, especially those of the nervous systems. It produces temporary insensibility to pain or feeling in the whole body or a particular organ which has to undergo operation. They may be classified into two groups.

i) General Anesthetics – Chloroform.

ii) Local Anesthetics – Cocaine, Benzocaine.

Anthelmintics: These are the drugs which are used to kill or remove the parasitic worms such as hook worms, round worms, lape worms etc.

Hematological agents: These are the drugs which act on the blood and the blood forming organs. These are of two types.

i) Anticoagulant and Coagulant drugs.

ii) Antianemic drugs.

Antifungals: The drug which are use against fungus infections are known as antifungal agent. They have been divided in to tow groups.

i) Those which are use local infections.

ii) Those which are use for systematic infection. e.g. salicylic acid, benzoic acid.

Antibiotics: It is chemical substance produce by or derived from living cells. They can capable in small concentration for inhibiting the life process of micro – organism. E.g. peneciline, steptomycine.

Antiseptic: Antiseptic is a substance which prevent the growth of micro – organism as long as it remain in contact with them. E.g. phenylsalicylate, vioform.

C) Vitamins: These are organic compounds which are required by the animals for maintenance and normal growth of the life. These are supplied by food because these cannot be synthesized by animals, except vitamin. They are divided into two classes.

i) Water Soluble: Vitamins of Group B & C.

ii) Fat Soluble: Vitamins A, D, E and K.

D) Hormones: These are chemical substances which are produced by endocrine glands. The hormones catalyze and control various metabolic processes. They are classified as;

i) Thyroid hormones and anti thyroid drugs.

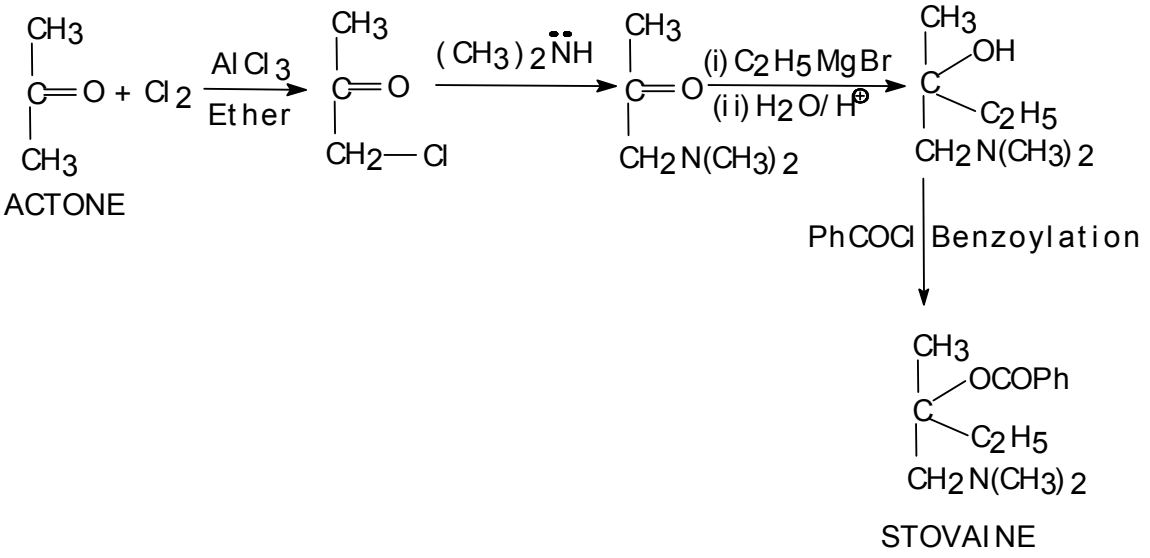
ii) Pituitary and hypothalamic hormones.

iii) Pancreases hormones.

iv) Sex hormones.

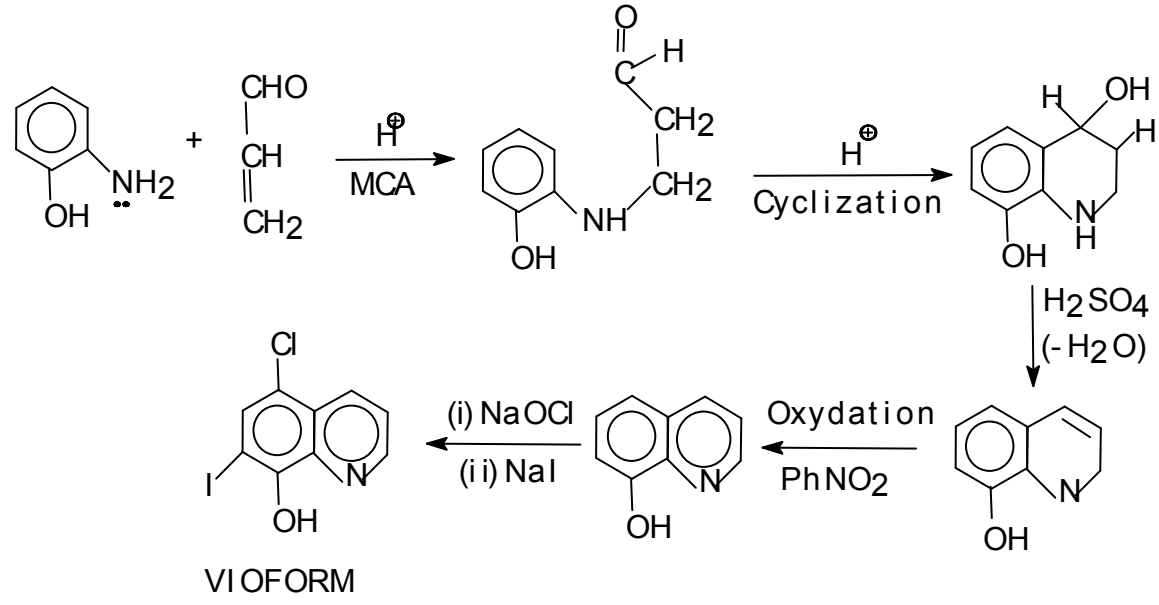
v) Adrenal cortex hormones.

1.) STOVAINE:



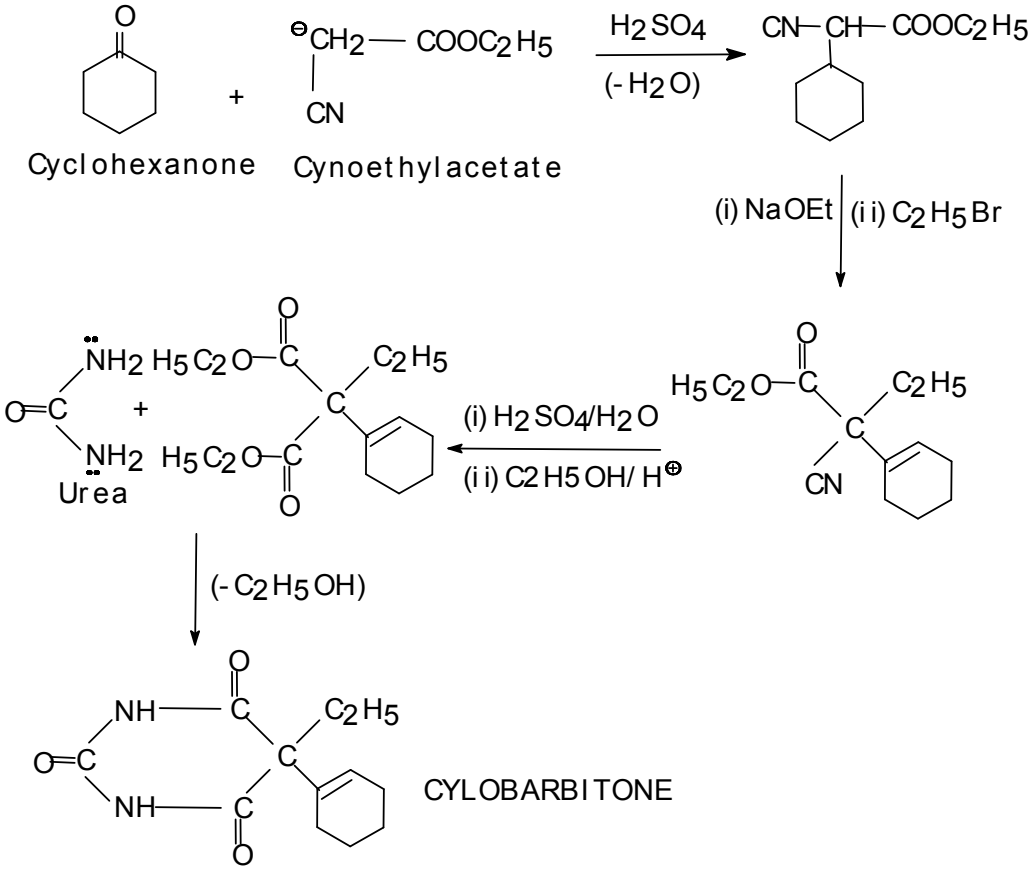
Application: It is mainly used as a Spinal Anaesthesia.

2.) VIOFORM:



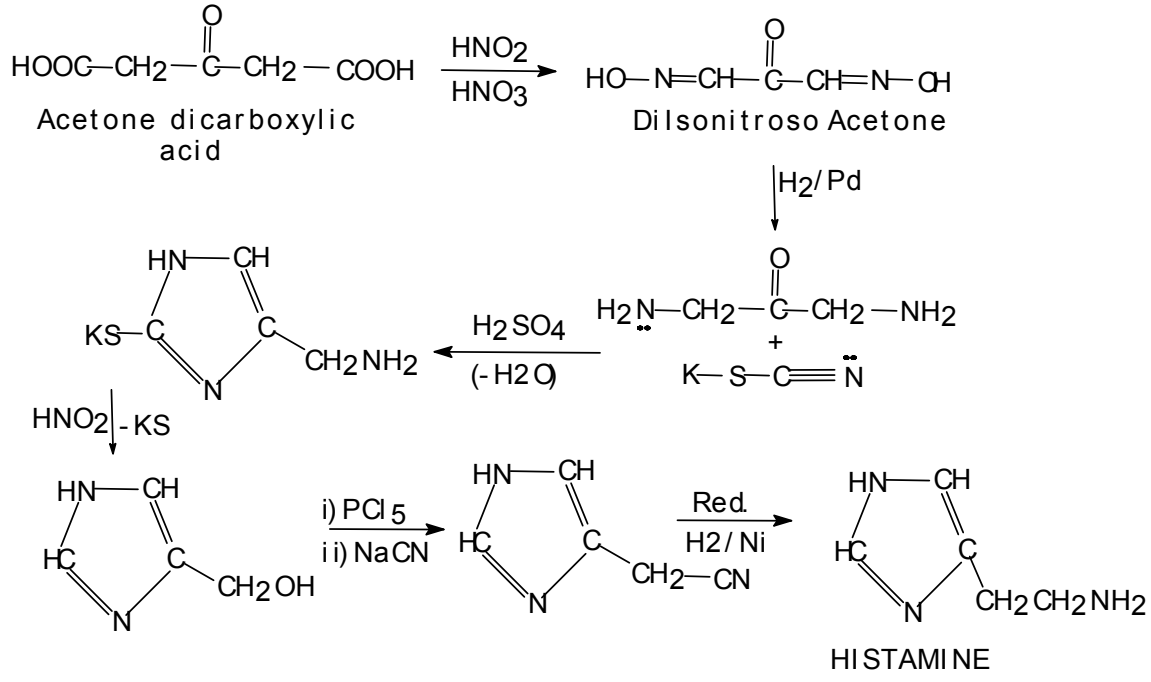
Application: (i) It is used as anti – dysentery.
(ii) It is specific against amoebic dysentery.
(iii) It is user as dusting powder for wounds and ulcers etc. and as a 2 – 3 percent Ointment.

3.) CYCLOBARBITONE:



Application: It is used as central nervous system depressant either as sedative and hypnotic.

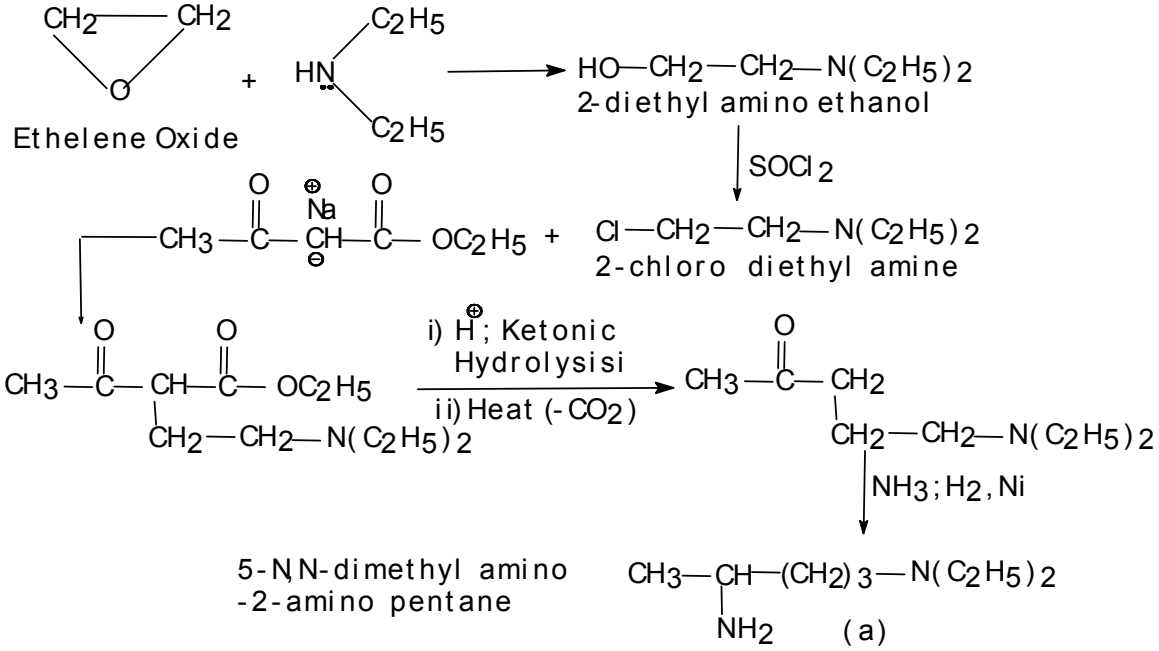
4.) HISTAMINE:



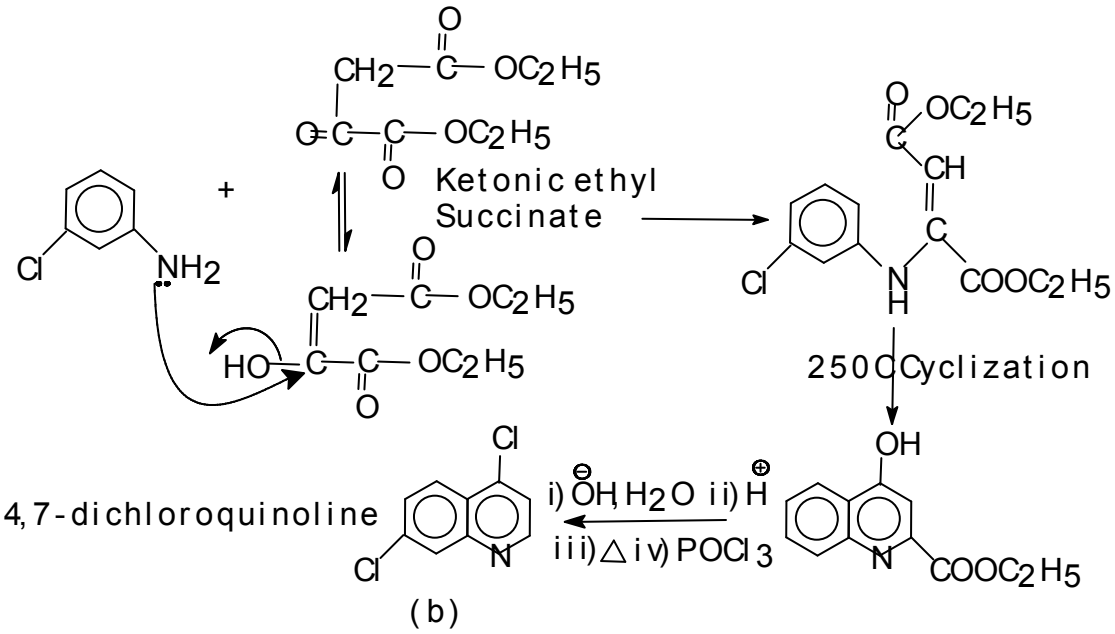
Application: (i) It is used as antiallergenic drug.
(ii) It is decrease blood pressure by dilating the capillaries and increases the heart rate.

5.) CHLOROQUINE: It's synthesis involves following three steps;

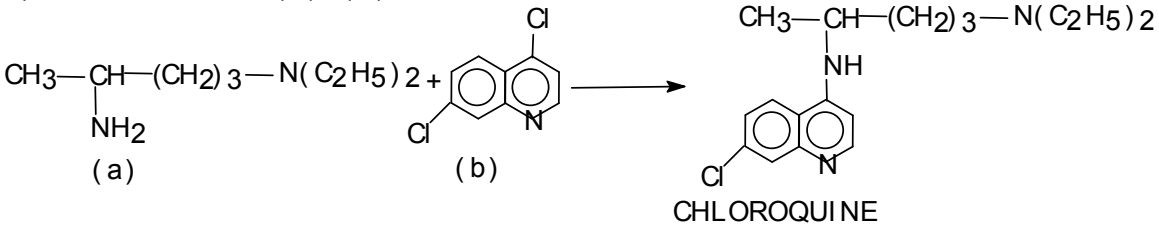
a) Synthesis of side chain.



b) Synthesisof4,7-dichloroquinoline.

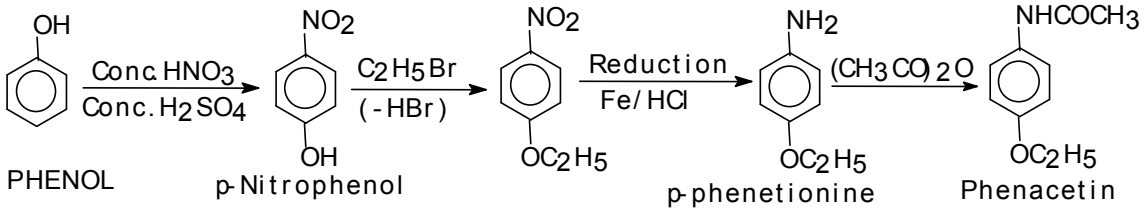


c) Condensation of (a) & (b).



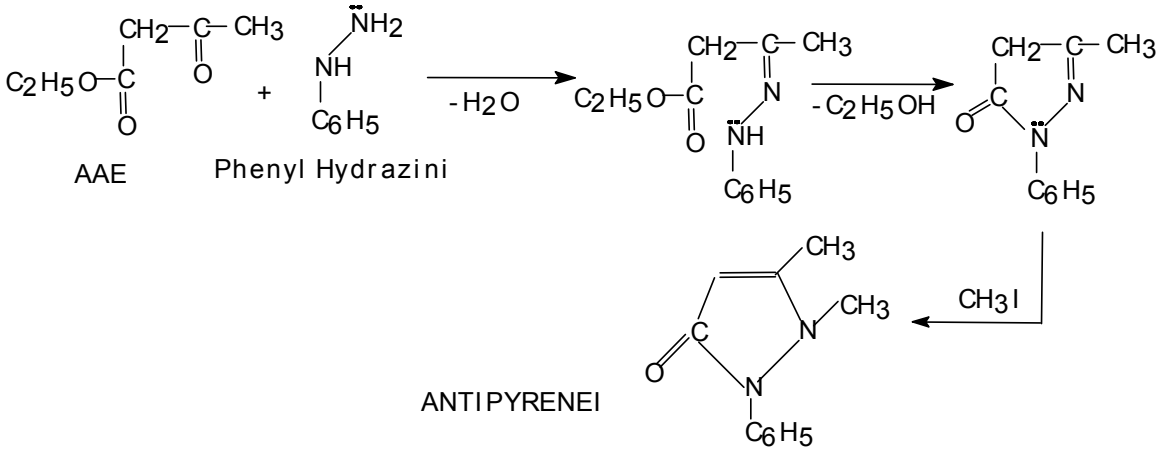
Application: (i) It's hydrochloride is used for injections. Where as it's Sulphates and Phosphates are used as tablets.
(ii) It is found active against P – vivax and P – falciparium malaria.
(P = Plasmodium).
(iii) It cause side effects of general weakness, uneasiness, vomiting, diarrhea etc. but as soon as use of drug is stopped side effect tends to disappear.

6.) PHENACETIN:



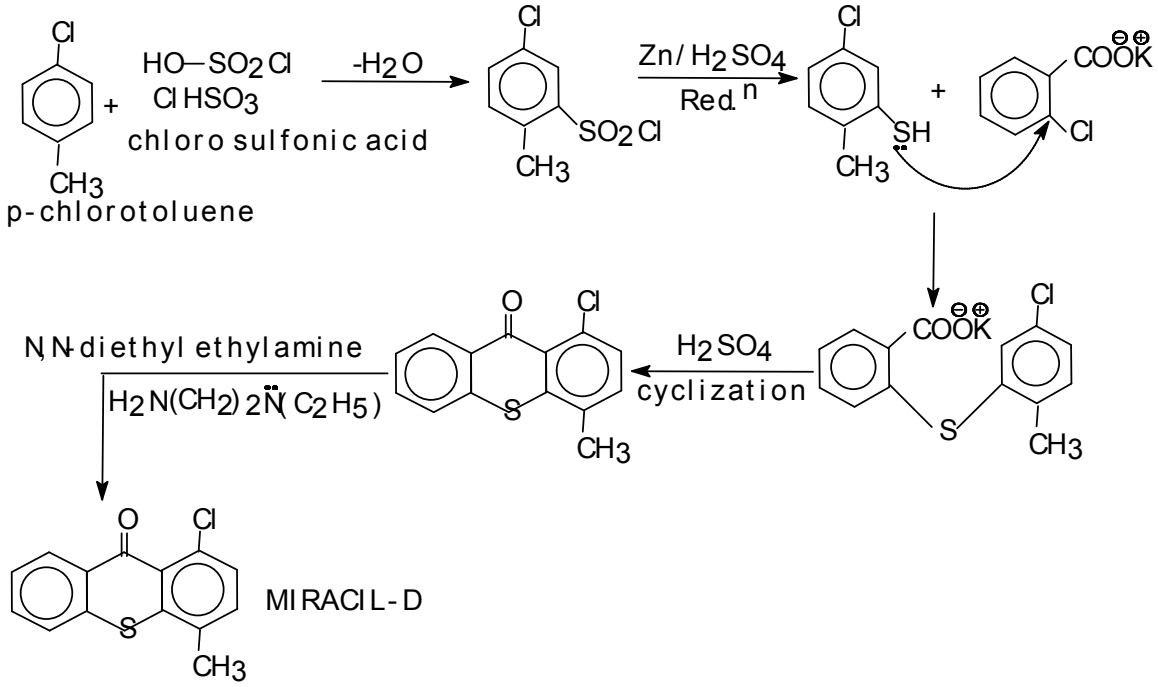
Application: It is an effective drug for the treatment of mild to moderate pain due to neuralgias.

7.) ANTIPYRINE:



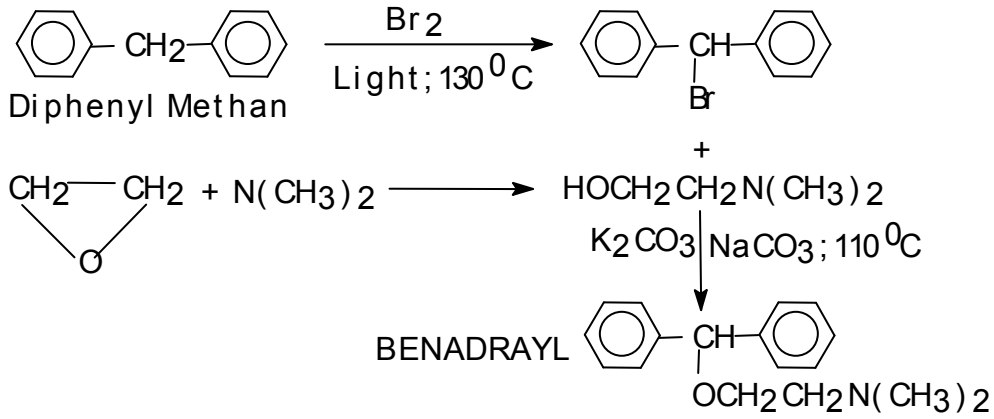
Application: It is administered orally to reduce pain and fever in neuralgia and myalgias, migraine, other headaches. Chronic rheumatism and neuritis. i.e. used as Antipyratics Analgesics.

8.) MIRACIL-D OR LUCOMTHONE (NILODIN) :



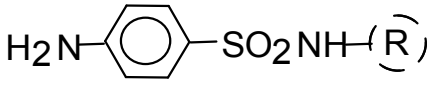
Application: It is used for the treatment of the antischistosomiasis.

9.) BENADRYL:

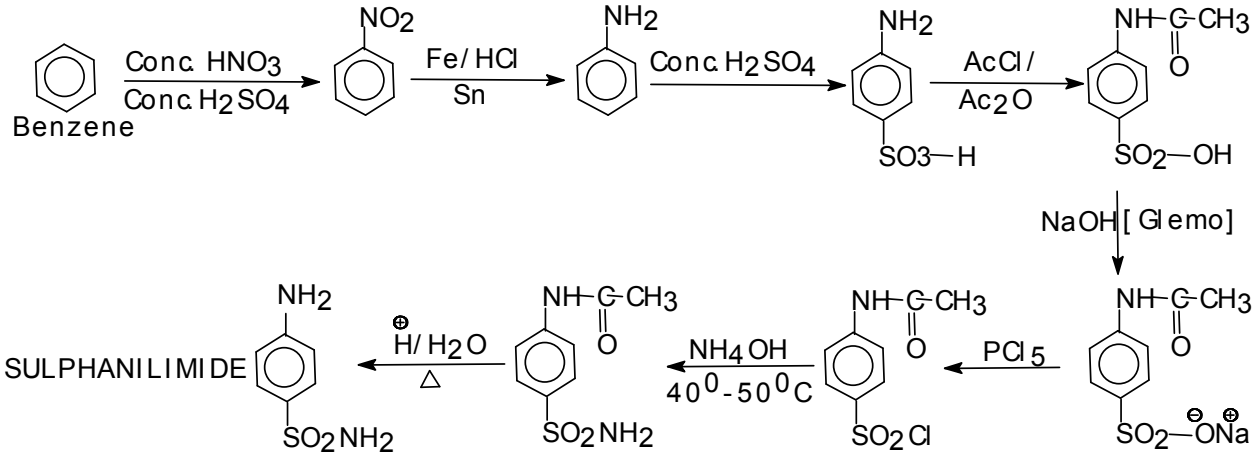


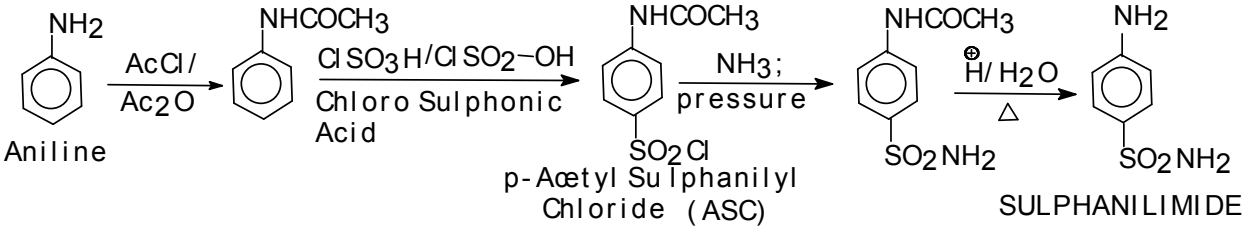
Application: (i) It is an antihistamine as well as antispasmodic drug.
(ii) It is use for the various kind of allergic conditions like for the treatment of urticaria (kind of allergy), heyfever (fever due to allergy), bronchia (asthama) etc.
(iii) It is use in some cough mixture and is given orally or Intravenously.

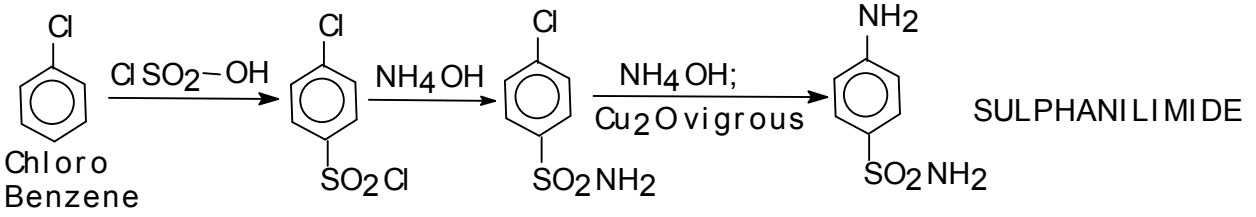
SULFA DRUGS:

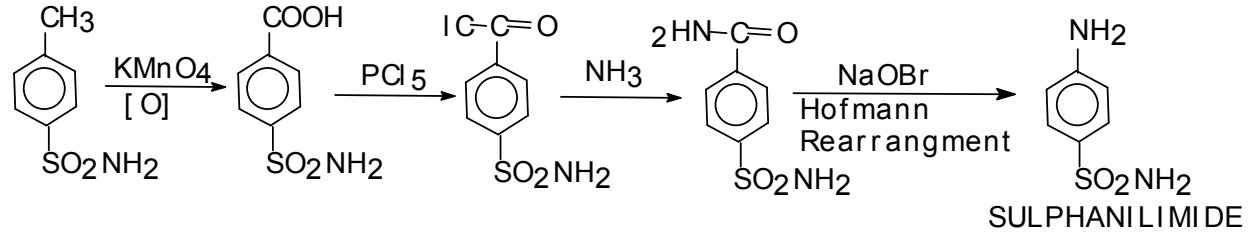
Basic Structure:  ← These group is Varible

10.) SULPHANILIMIDE:





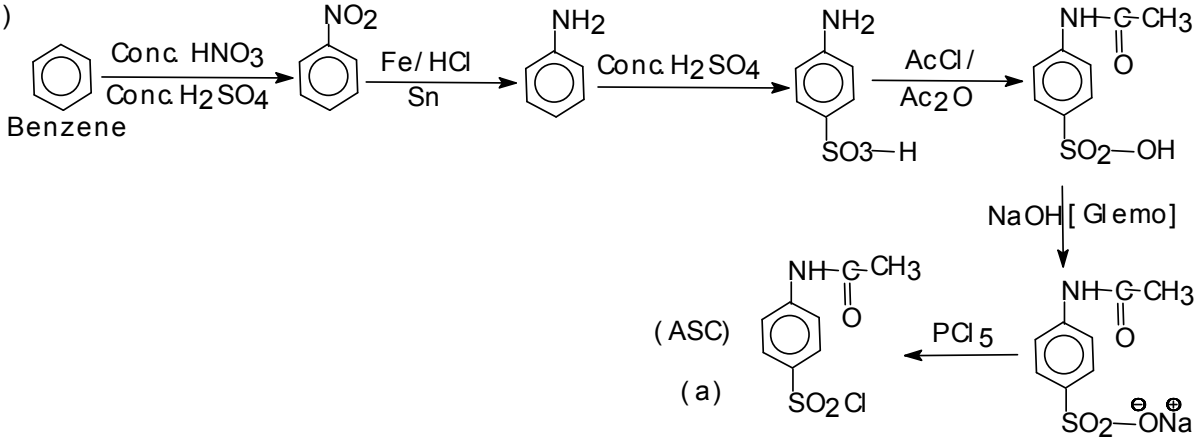




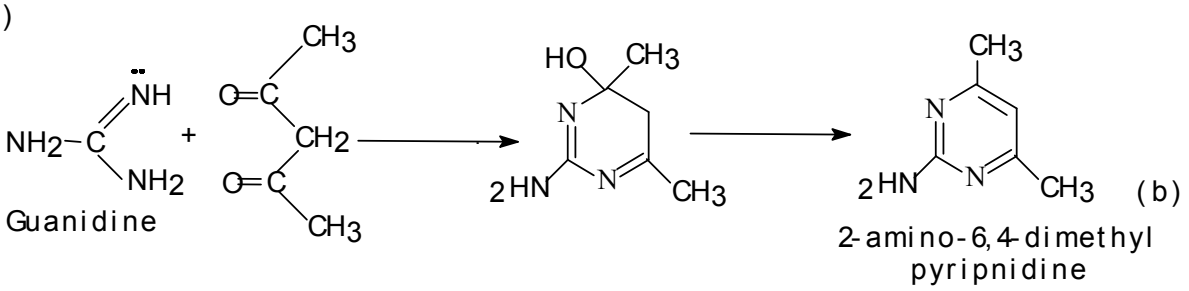
Application: It is important drug in the control of cocci infection (bacteria), such as streptococci, pneumococci, meningococci, gonococci. Now a days it is seldom use.

11.) SULPHAMETHAZINE (SULPHAMEZATHINE):

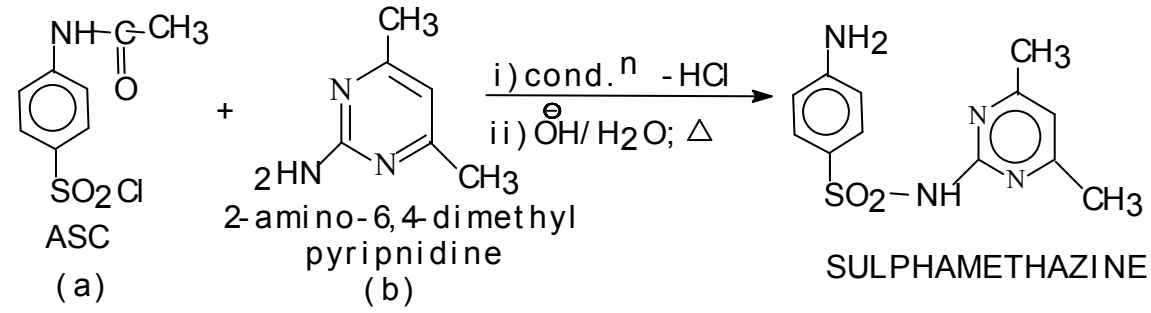
(a)



(b)

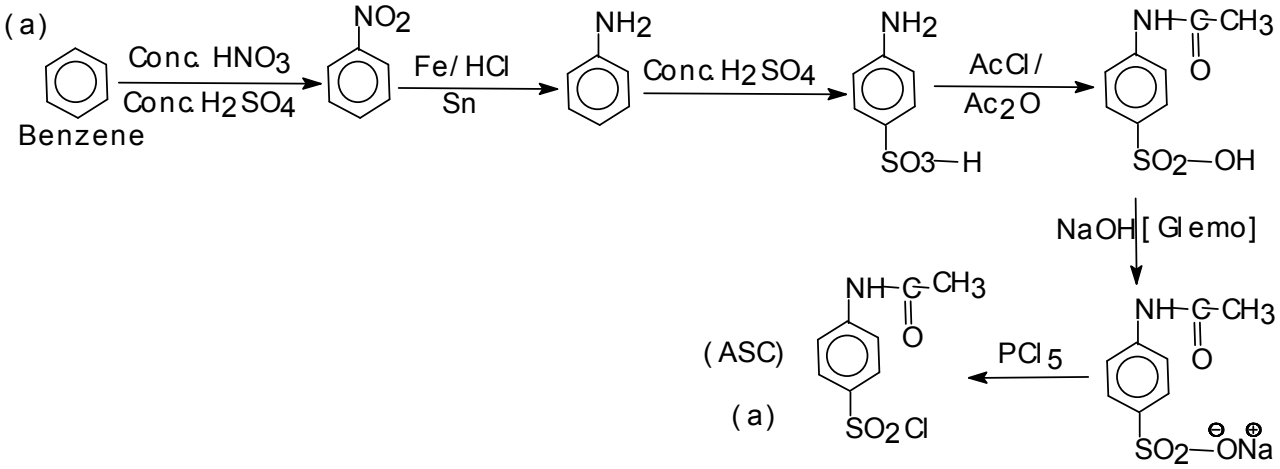


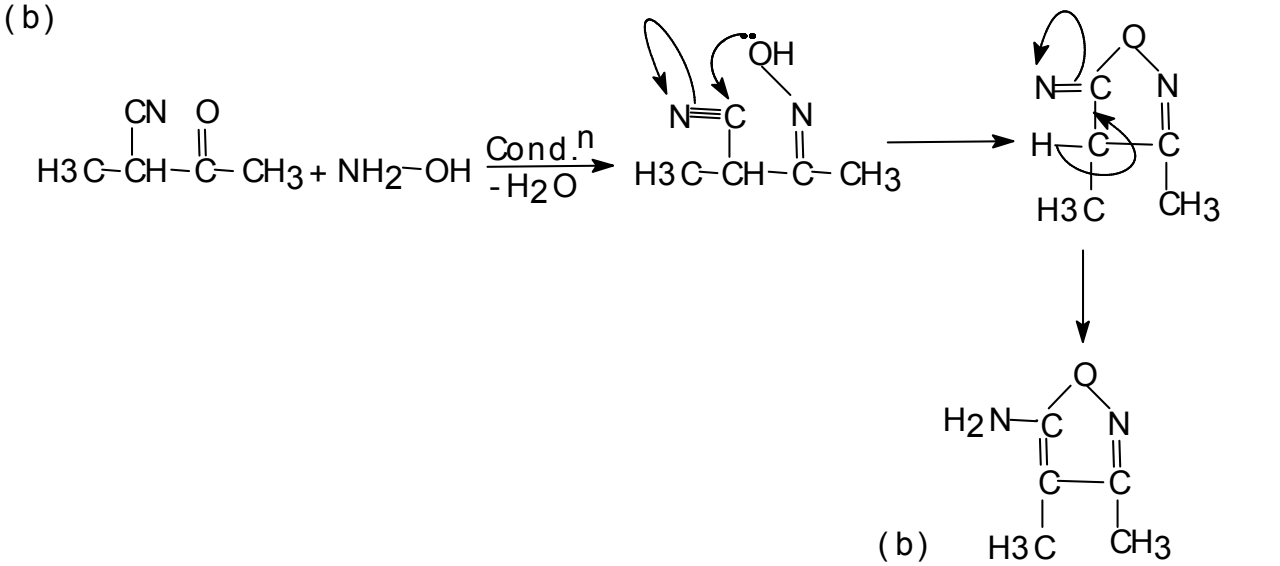
(c)

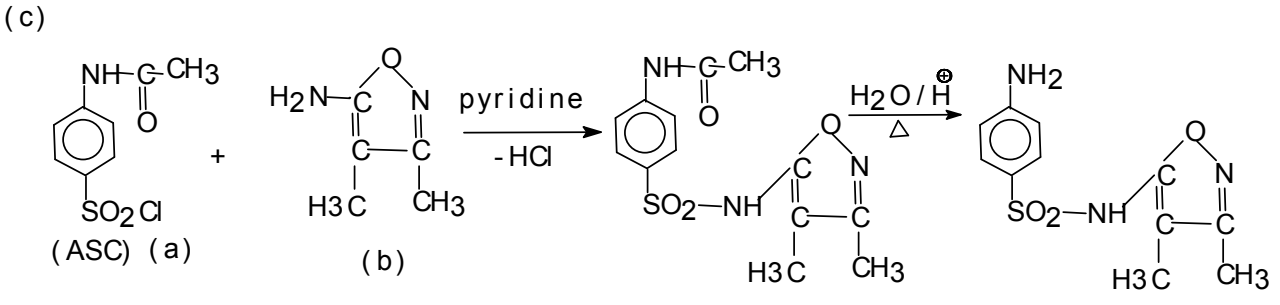


Application: (i) It is used as less potent than sulphadiazine.
(ii) It is absorb more rapidly but excreated more slowly. As it is soluble in uric acid, The possibility of kidney damage from the use of drug decreases.

12.) SULPHAFURAZOLE (SULPHISOXAZOLE) :





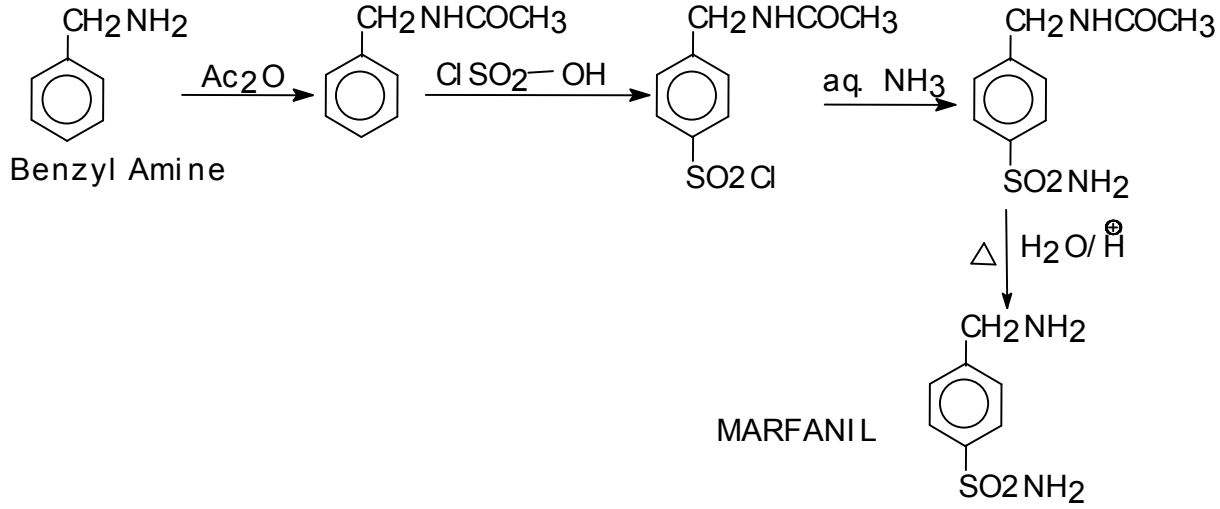


SULPHAFURAZOLE

Application: It is soluble over a wide range of pH. It is for infection involving those bacteria which are sensitive are sulpha drugs. It is found effective in the treatment of gram negative bacteria various infection. It's

acetyl derivative. It's substance orally administration (tablet) or liquid of drug (syrup).

13.) MARFANIL (SULPHAMYLON) :

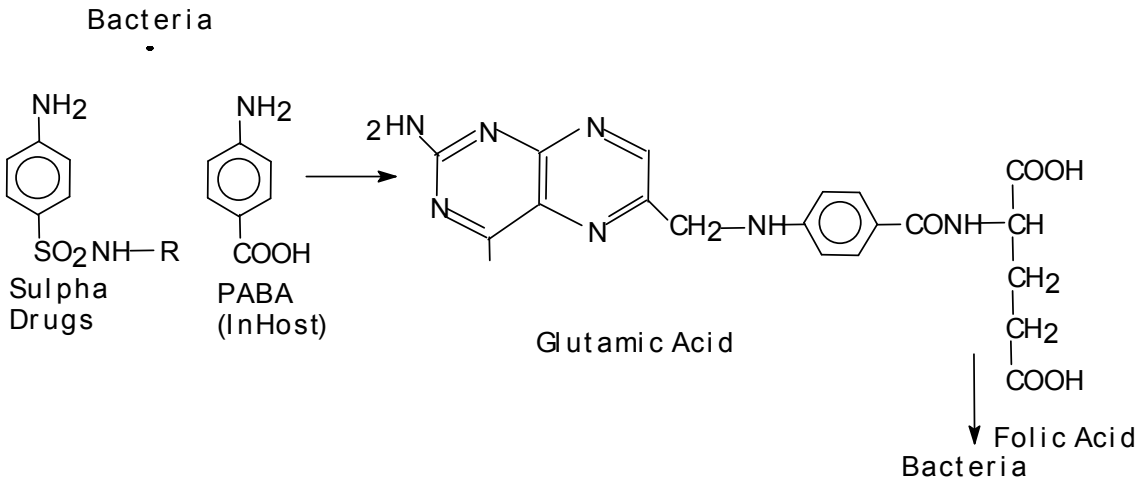


Application: It is about 500 times more bactriostatic then sulphathiozole and it active in presence of pus.For these reason it's known as german penecillian. itis not effective orally because it's water solubility and rapid execreation. It is use with strpromiccy for the treatment of slow healing of wounds.

Mechanism of Sulpha Drugs:

Sulpha Drugs are bacteriostatic but not bactericide. i.e. sulpha drugs do not kill the bacteria directly but they prevent the growth and multiplication of bacteria. The bacteriostatic properties of sulpha drug (sulphonamide) is found due to their similarity in structure with that of p – amino benzoic acid (PABA). The PABA is an important component for the normal functioning of the vital processes in bacteria. This PABA is required for the synthesis of folic acid, which is essential for the growth and multiplication of Micro – Organism.

Thus in Micro – Organism (bacteria), due to similarity in structure with that of PABA; the sulphonamides compete with (it) PABA, for the attachment to the enzyme which converts PABA in to folic acid. Thus in presence of sulpha drugs enzyme is thus blocked and the synthesis of folic acid stops in Micro – Organism. There fore due to the lack of folic acid; the Organism gets weakened and they become unable to grow and multiply; and hence the White Blood Cells (WBC) and reticnloendothelial system of the host kills the bacteria and eliminate the infection in host.


Bacteria
NH2
SO2NH—R
Sulpha
Drugs
NH2
COOH
PABA
(InHost)
2HN
N
N
N
N
CH2—NH
CONH—CH
COOH
CH2
CH2
COOH
Glutamic Acid
Folic Acid
Bacteria