

I. DRUGS AND THEIR CLASSIFICATION

- Drugs are the chemicals of low molecular mass 100-500 u that interact with macro molecular targets and produce biological response
- Drugs that are used in diagnosis, prevention and treatment of diseases are called medicines
- Use of chemicals for therapeutic effect is called chemotherapy
- Class of drugs based on Pharmacological effect, is useful for doctors.

Ex: Analgesics - Pain Killers

Antipyretics - Control the body Temperature

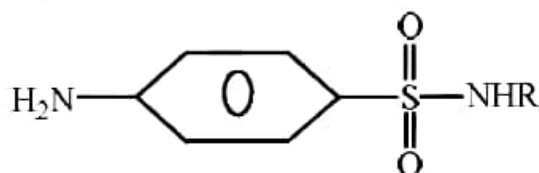
Antiseptics - Kill / Prevent the growth of micro organisms

- **Based on drug action**

Antihistamines – Inhibit the action of histamine which causes inflammation

- **Based on chemical structure**

Sulphonamides – Antibiotic / Antibacterial drugs



- Classification based on molecular targets useful for medicinal chemists
- Molecular targets are carbohydrates, lipids, proteins and nucleic acids

II. DRUGS TARGET AND INTERACTION

- Proteins which perform the role of biological catalyst in the body are called 'Enzymes'.
- Proteins which are crucial to communication system in the body are called 'Receptors'.
- Carrier proteins carry polar molecules across the Cell membrane.
- Structural parts of the cell membrane are lipids and carbohydrates.

III. Enzymes as Drug Targets

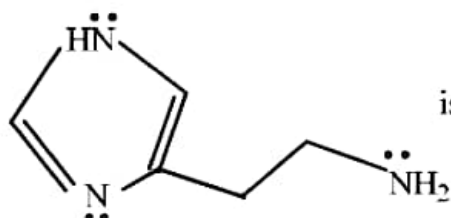
- The first function of an enzyme is to hold the substrate molecule for chemical reaction.
- *Ionic bond, hydrogen bond, van der Waals interactions and dipole-dipole interactions* are responsible for binding substrate at active site of the enzymes.
- The drug which can inhibit catalytic activity of the enzymes is called enzyme inhibitor.
- Drugs compete with the natural substrate for their attachment on the active sites of enzymes are called competitive inhibitors.
- Some drugs do not bind to the enzyme's active site. These bind to a different site of enzyme which is called allosteric site.

- Strong covalent bonds present between enzymes and inhibitors.
- Drugs that bind to the receptor site and inhibit its natural function are called antagonists. They are used when blocking of message is required.
- Drugs that mimic the natural messenger by switching on the receptor are called agonists. They are used when natural chemical messenger is absent.

IV. THERAPEUTIC ACTION OF DIFFERENT CLASSES OF DRUGS:

ANTACIDS:

- Natural antacids are NaHCO_3 , $\text{Al}(\text{OH})_3$ & $\text{Mg}(\text{OH})_2$
- The chemical which stimulates the secretion of pepsin and hydrochloric acid in stomach is Histamine
- The drug initially designed to present the interaction of histamine with receptor present in the stomach walls is Cimetidine or Tegament.
- Ranitidine is also called as Zantac.

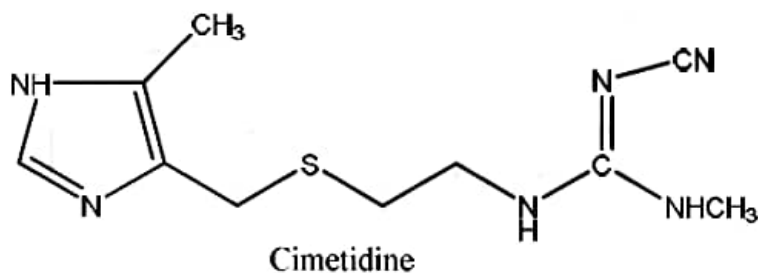


is called Histamine.

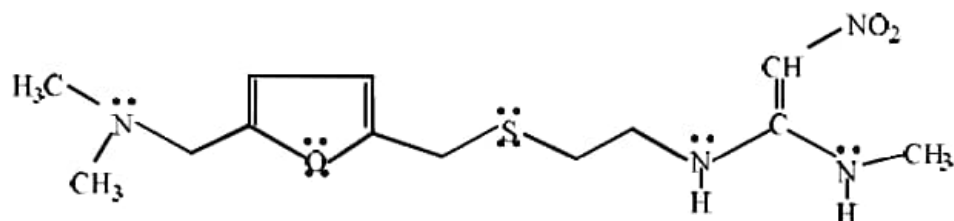
- No of ' σ ' bonds in Histamine are x, No. of ' π ' bonds are y, and no. of lone pairs are z, then $x + y + z$ is 23.

$$x = 18(\sigma \text{ bonds}) \quad y = 2(\pi \text{ bonds}) \quad z = 3(L.P)$$

- The structure of cimetidine is



- No of π bonds in cimetidine is five.

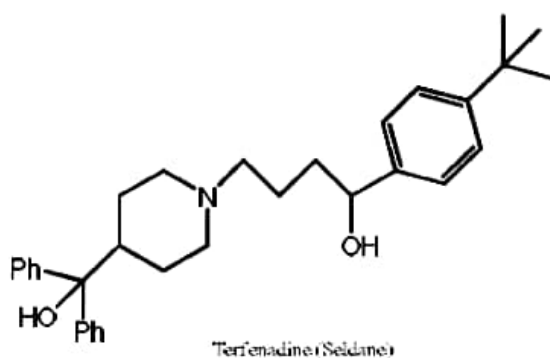
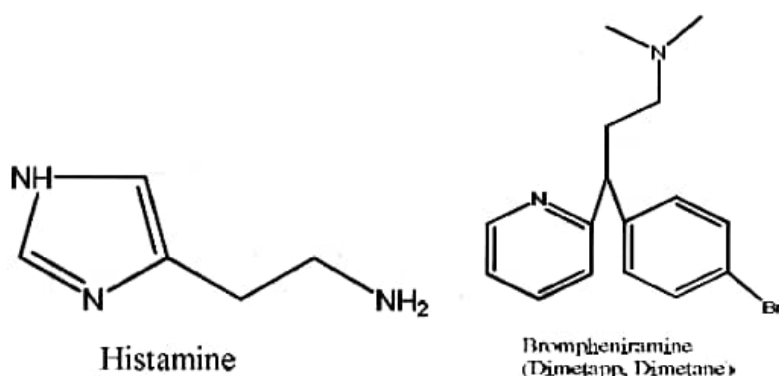


- The above structure given is Ranitidine.
- * Number of methyl groups in Ranitidine is three.

- * Number of nitro groups in Ranitidine is one.
- * Number of sulphur atoms in Ranitidine is one.
- * Number of 1^0 -amine groups are zero.
- * Number of 2^0 -amine groups are two.
- * Number of 3^0 -amine groups are one.
- * Number of π bonds in Ranitidine is four.
- * Number of sp^3 carbons in Ranitidine is seven.
- * Number of sp^2 carbons in Ranitidine is six.
- * The no of lone pairs of \bar{e} in Ranitidine is seven.

V. ANTI HISTAMINES:

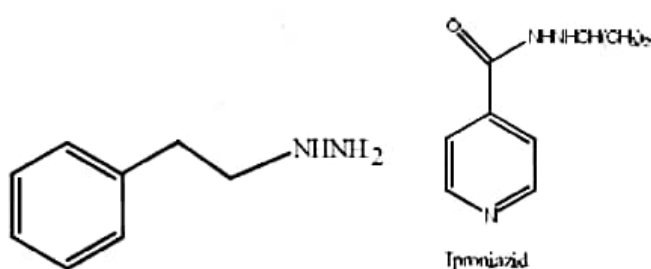
- The chemical which contracts the smooth muscles in the bronchi and gut and relaxes other muscles is Histamine. Histamine stimulates the secretion of Pepsin and HCl in stomach.
- Histamine is responsible for the nasal congestion associated with common cold and allergic response to pollen.
- Antihistamines interfere with the natural action of histamine by competing with histamine, for binding sites of receptor, where histamine exerts its effect. Example: brompheniramine (Dimetapp or Dimetane) and terfenadine (Seldane)
- Antihistamines do not effect the secretion of acid in stomach. Reason: anti allergic and antacids drugs work on different receptors.



VI. NEUROLOGICALLY ACTIVE DRUGS

a) Tranquillizers

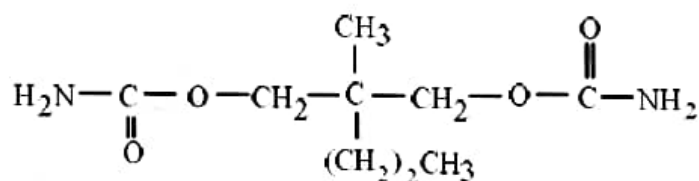
- The drugs that affect the message transfer mechanism from nerve to receptors are Tranquilizer.
- Tranquilizers are a class of chemical compounds used for the treatment of stress and mild or severe mental diseases.
- An example of neurotransmitter that plays a role in mood changes is Noradrenaline.
- Anti depressant drugs are required in the situations when the signal sending activity becomes low, and the person suffers from depression due to low level of Noradrenaline.
- Iproniazid and Phenelzine (Nardil) are anti depressants. They inhibit the enzymes which catalyse the degradation of noradrenaline.
- The tranquilizer which is hydrazine derivative without carbonyl group is Phenelzine (Nardil).



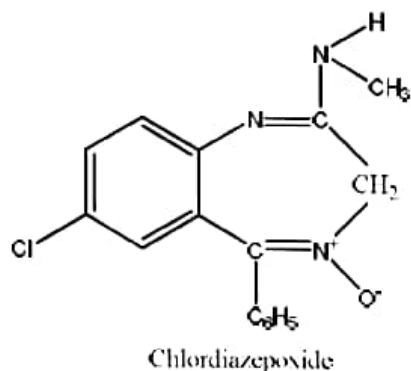
Phenolzine(Nardil)

- chlordiazepoxide and meprobamate are mild tranquilizers. They are used for relieving tension.
- Equanil is used in controlling depression and hypertension.
- The mild tranquilizers that has two amide groups and one chiral carbon is Meprobamate.

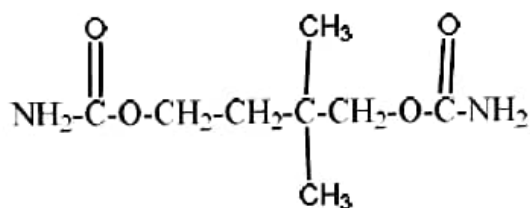
STRUCTURE OF MEPROBAMATE:



STRUCTURE OF CHLORDIAZEPOXIDE

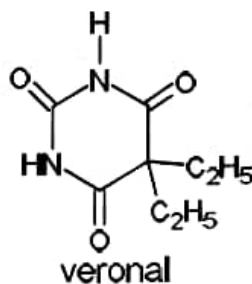


STRUCTURE OF EQUANIL:



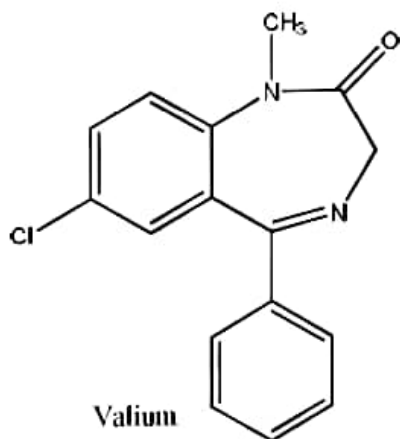
Equanil

- Derivatives of Barbituric acid which are an important class of tranquilizers are Veronal, Amytal, Nembutal, Luminal and Seconal.

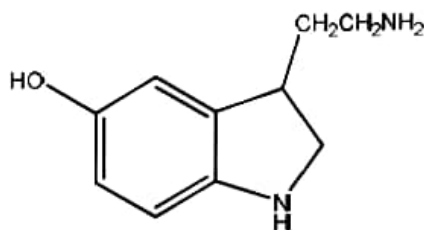


veronal

- Barbiturates are hypnotics (i.e. Sleep producing agents).
- Valium and serotonin are tranquilizers



Valium



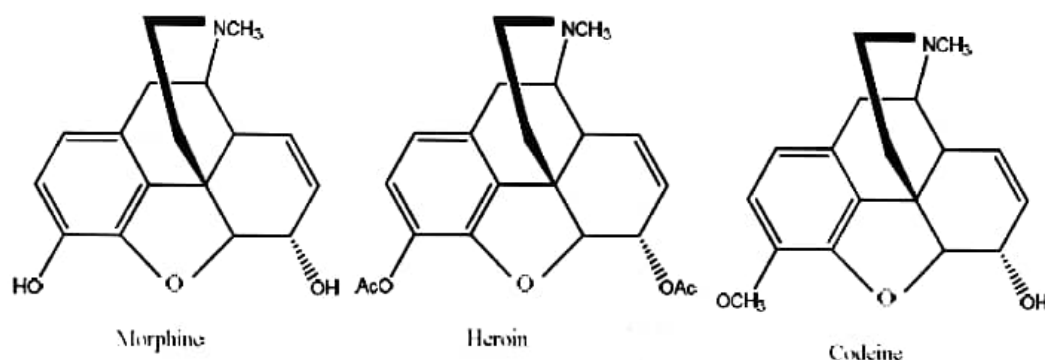
Serotonin

- The tranquilizer which has chlorine atom are Valium and chlordiazepoxide

VII. ANALGESICS:-

- Impairment of consciousness, mental confusion, incoordination or paralysis and disturbances of nervous system can be reduced or abolished by analgesics.
- Analgesics which are not addictive are called Non-narcotics and which are addictive called Narcotics.
- Aspirin inhibits synthesis of prostaglandins which stimulate inflammation in the tissue and cause pain. It also relieves skeletal pain due to arthritis.
- Aspirin acts as antipyretic (reduces fever) and analgesic (pain reliever).
- Aspirin prevents heart attacks (preventing platelet coagulation).
- Morphine, Codeine and Heroin are narcotic drugs. In small doses they relieve pain and produce sleep. In poisonous doses, they produce stupor, coma, convulsions and finally death.
- Narcotics used to relieve post operative pains, cardiac pain, pains of terminal cancer and in child birth.

- Morphine, Codeine and Heroin have similar structures which differ in the side chains.
- Heroin is diacetyl derivative, codeine is mono methyl derivative of Morphine.
- Morphine narcotics are obtained from the opium poppy (so they are called opiates).

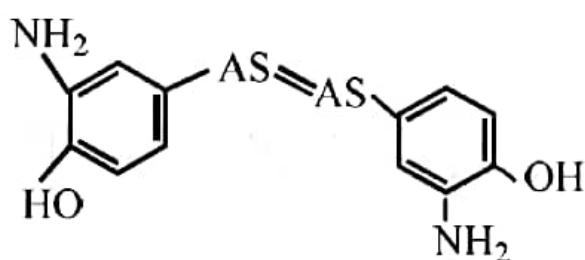


VIII. ANTIMICROBIALS:

- An antimicrobial tends to destroy (or prevent) the development (or inhibit) of the Pathogenic action of microbes.

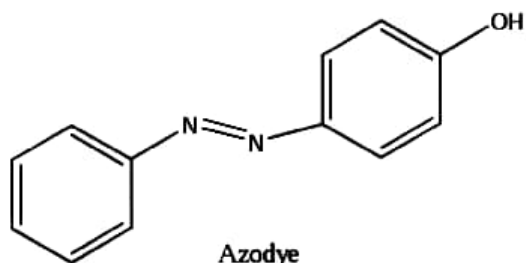
IX. ANTI BIOTICS

- A substance produced wholly or partly by chemical synthesis, which in low concentrations inhibits the growth (or destroys) of microorganisms by intervening in their metabolic processes.
- Antibiotics, antiseptics and disinfectants are antimicrobial drugs
- Antibiotics are having low toxicity.
- Antibiotics were produced by bacteria, fungi and moulds of microorganisms.
- Paul Ehrlich investigated arsenic based structure (salvarsan) which is used for the treatment of syphilis.
- Number of phenol groups present in salvarsan (arsphenamine) is two.

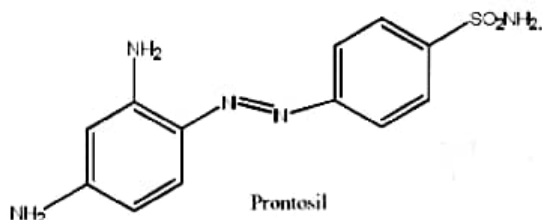


(salvarsan)

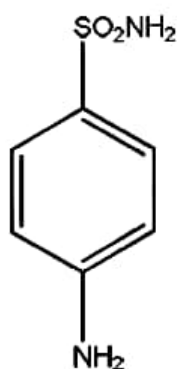
- The first effective antibacterial agent prepared is Prontosil
- The -As=As- linkage present in antibiotic medicine, salvarsan, resembles -N=N- linkage in azodyes



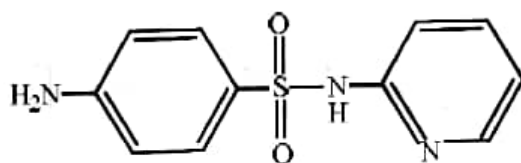
- Prontosil and salvarsan are similar in structure.



- Prontosil is converted to sulphanilamide which is the active compound in the body.

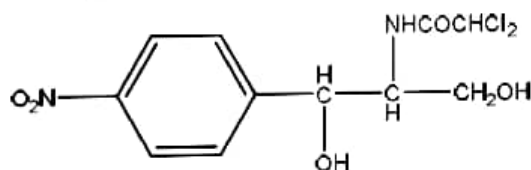


- Number of aromatic primary amine groups present in sulphapyridine (sulpha drug) is One.



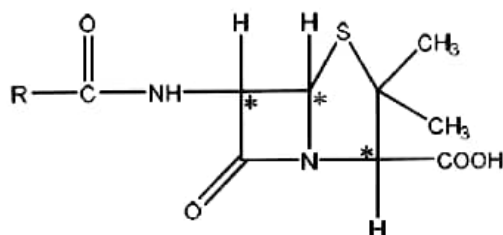
(Sulphapyridine)

- Antibiotics have either cidal (killing) effect or a static (inhibitory) effect on microbes.
 ➤ Examples for Bacteriostatic antibiotics are Erythromycin, Tetracycline, chloramphenicol.



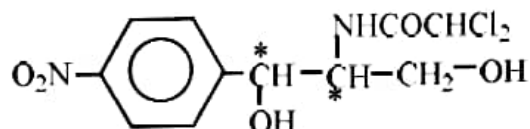
Chloramphenicol

- Examples for bacteriocidal antibiotics are penicillin, Aminoglycosides, Ofloxacin.



General structure of Penicillin

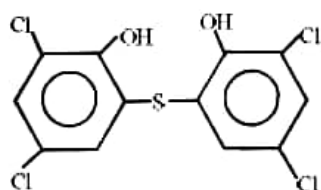
- Number of 1^0 -amide groups present in general structure of penicillin is one..
- Number of chiral carbons present in general structure of penicillin is three.
- Antibiotics which kill or inhibit a wide range of Gram -positive and Gram-negative bacteria are said to be broad spectrum antibiotics (Example: ampicillin and amoxycillin)
- Antibiotics which are effective mainly against Gram-positive or Gram-negative bacteria are narrow spectrum antibiotics.
- Penicillin 'G' has a narrow spectrum.
- Ampicillin (broad spectrum) and Amoxicillin(narrow spectrum) are synthetic modifications of Penicillin.
- Number of chiral centres are present in chloramphenicol structure is two



- Chloramphenicol is a broad Spectrum antibiotic, used for typhoid, dysentery, acute fever, certain form of urinary infections, meningitis and pneumonia.
- *Vancomycin* and *Ofloxacin* are the other important broad spectrum antibiotics.

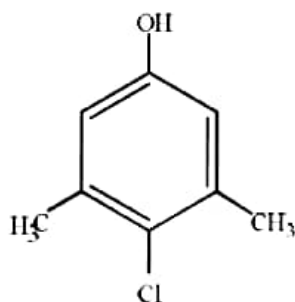
X.Antiseptics

- Antiseptics are applied to the living tissues such as wounds, cuts, ulcers and diseased skin surfaces(Example: furacine, soframycin)
- Examples for commonly used antiseptic are Dettol, Bithionol.
- Dettol is a mixture of chloroxlenol and Terpeneol.
- Number of phenol groups present in Bithionol is two, number of chlorine atoms present in bithionol is four

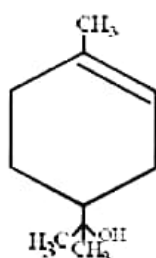


Bithionol

- Number of chlorine atoms are present in chloroxylenol is one, Number of hydroxyl groups is one, No. of methyl groups is two, No. of π -bonds is three (six π electrons).



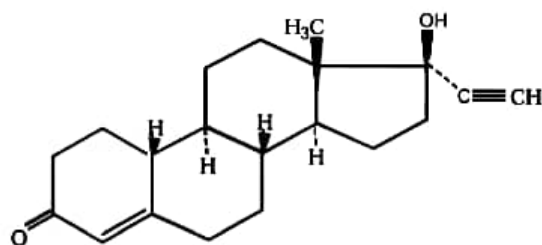
- Tertiary alcohol present in Terpineol, No. of methyl groups is three and No. of hydroxyl groups one. No. of π -bonds one (2π electrons)



- Iodine is powerful antiseptic.
- 2-3 % iodine solution in alcohol – water mixture is known as tincture of iodine. It is applied on wounds
- Iodoform CHI_3 is also used as an antiseptic for wounds.
- Boric acid in dilute aqueous solution is weak antiseptic for eyes.
- Disinfectants are applied to inanimate objects such as floors, drainage system, instruments etc.,
- Phenol with 0.2% phenol acts as antiseptic & with 1% phenol acts as antiseptic as well as disinfectant
- Chlorine in the concentration 0.2 to 0.4 ppm in aqueous solution acts as disinfectant.

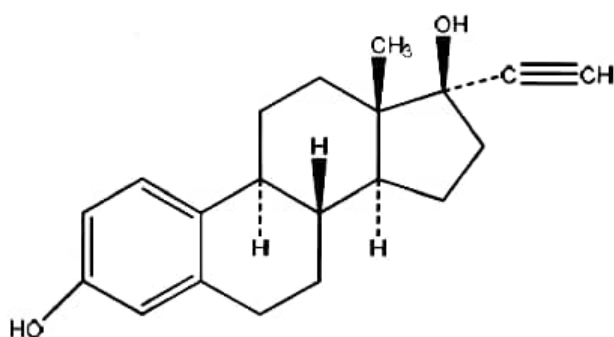
XI. ANTIFERTILITY DRUGS

- Progesterone and estrogen are hormones.
- Progesterone suppresses ovulation.
- Birth Control pills essentially contain a mixture of synthetic estrogen and progesterone derivatives.
- Example of synthetic progesterone derivative is norethindrone which is most widely used as antifertility drug.
- The estrogen derivative which is used in combination with progesterone derivative is ethynylestradiol (Novestrol).
- Example of antifertility drugs are Norethindrone, Novestrol.
- Structure of Norethindrone is



Norethindrone

- * Number of alcoholic groups in Novestrol is two.
- * Number of π bonds in Novestrol is five.
- * Number of ketonic group in Norethindrone is one.
- * Number of π bonds in Norethindrone is four.
- * Number of five membered rings in norethindrone is one, six membered rings three
- * Number of alcoholic groups on norethindrone is one.

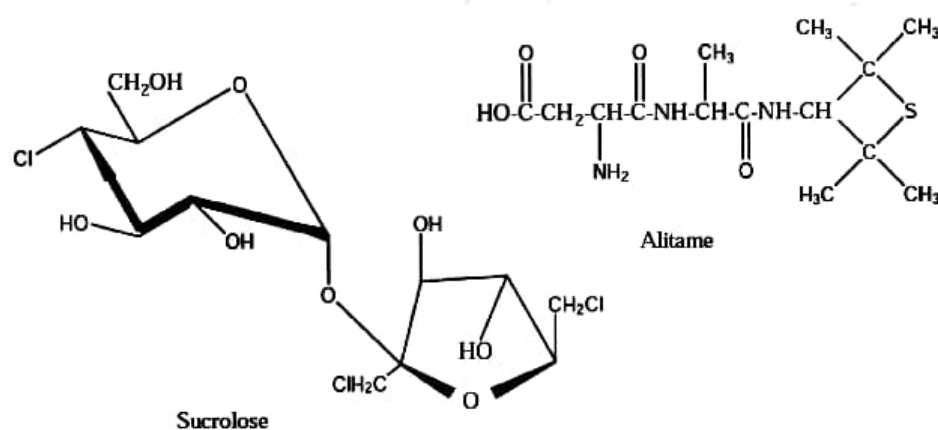
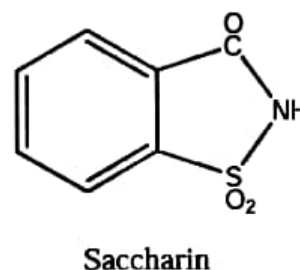
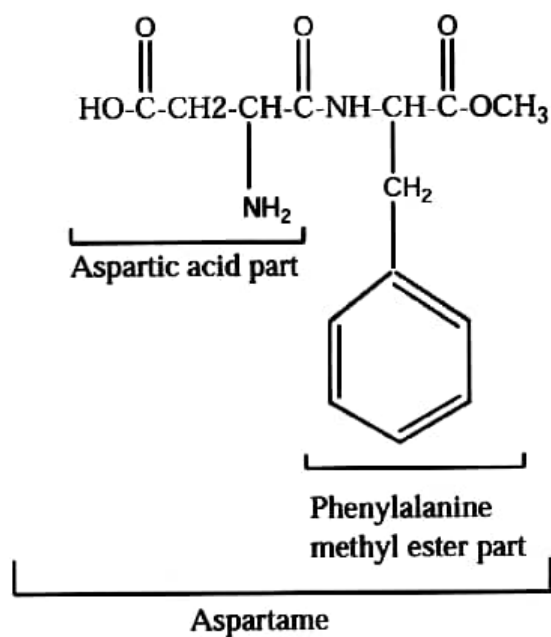


Ethynylestradiol(novestrol)

XII. CHEMICALS IN FOOD

- Chemicals are added to food for their preservation, enhancing their appearance and adding nutritive value in them are called food additives.
- Food additives are food colours, antioxidants, preservatives, fat emulsifiers, stabilising agents, flavours, sweeteners and nutritional supplements (minerals, vitamins and amino acids).
- Ortho-sulphobanzimide is also called Saccharin. It is 550 times sweeter than sugar and it is harmless. (Because it is 100% secreted unchanged from the body with urine).
- Sucralose is 600 times sweeter than sucrose.
- Sucralose contains α - D-Glucose and β -D-fructose with $C_1 - C_4$ group and glycosidic linkage.
- No. of chlorine atoms in sucralose is three.
- No. of chiral centres in sucralose is nine.
- Aspartame is 100 times as sweeter as cane sugar.
- Aspartame is methyl ester of dipeptide formed from aspartic acid and phenyl alanine. It is used in soft drinks
- Use of Aspartame is limited because it is unstable at cooking temperature.

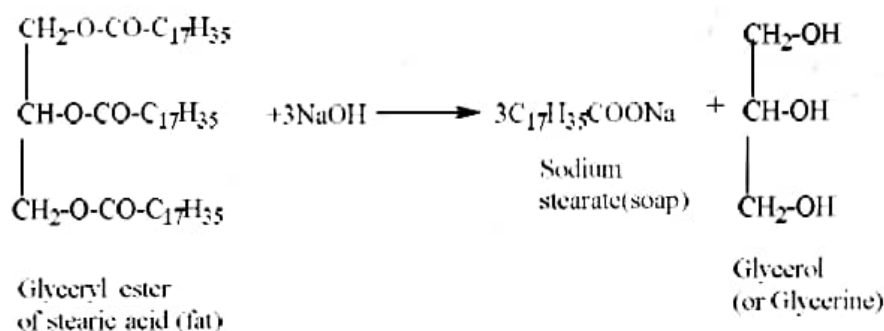
- The number of sp^2 hybridized carbons present in 'Aspartame' is nine..
- Aspartame Contains Aspartic acid part and phenylalanine (methyl ester)
- *No. Of Peptide linkages in aspartame is one.
- *No. Of carboxylic acid groups in aspartame is one.
- *No of ester linkages in aspartame is one.
- *No of Primary amine groups in aspartame is one.
- The artificial sweetener that has the highest sweetness value in comparison to cane sugar is alitame.
- Alitame contains
 - i) No. of peptide linkages two.
 - ii) No. of carboxylic acid groups one.
 - iii) No. of Primary amine groups one.
 - iv) No. Of sulphur atoms one.
- Alitame is 2000 times sweeter than sugar and sweetness cannot be controlled, while using.
-



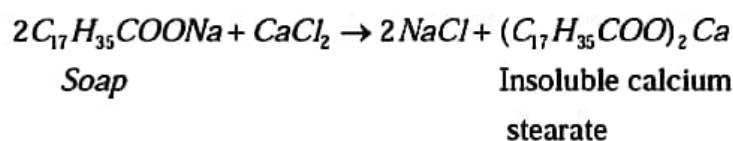
- **Food Preservatives:** Table salt, sugar, vegetable oils, sodium benzoate and salts of sorbic acid and propanoic acid are used as food preservatives..

CLEANSING AGENTS

- Cleaning agents are of two types : Soaps and synthetic detergents
- Sodium and potassium salt of long chain fatty acids (stearic, oleic and palmitic acid) are called soaps.
- Glyceryl ester of fatty acid on heating with aqueous NaOH solution gives soap.
- Generally Potassium soaps are softer than sodium soaps
- Saponification is a process to prepare the soap.



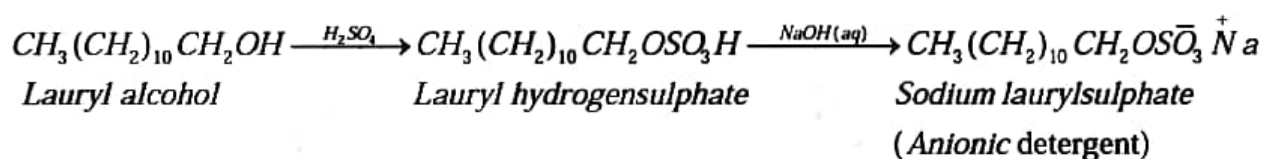
- Reaction between Glyceryl ester of fatty acid and aqueous NaOH is known as saponification
 - In saponification reaction esters of fatty acids are hydrolysed to give soap and glyceryl esters
 - Glycerol can be recovered (after removing soap) by fractional distillation method.
 - Sodium and potassium soaps are soluble in water.
 - Potassium salt of fatty acid (potassium soap) can be prepared by heating glyceryl ester fatty acid with Aqueous KOH solution.
 - Soaps that float on water are made by beating tiny air bubbles before their hardening.
 - Transparent soaps are made by dissolving the soap in Ethanol.
 - Shaving soaps contain Glycerol to prevent rapid drying
 - Rosin acts like a gum in shaving soap. It forms sodium rosinate which lathers well.
 - Soap powders and scouring soaps contain soap, a scouring agent such as powdered pumice or finely divided sand and builders like sodium carbonate and tri-sodium phosphate.
 - Builders make the soaps act more rapidly.
 - Laundry soaps contain filler like sodium rosinate, sodium silicate, Borax and sodium carbonate.
- $\text{C}_{17}\text{H}_{35}\text{COONa} + \text{Na}_2\text{CO}_3 + \text{Rosinate} : \text{Laundry soap}$
- Soaps do not work in hard water .Because, soap on adding to hard water, it converts in to calcium and magnesium salts, that are insoluble in water.



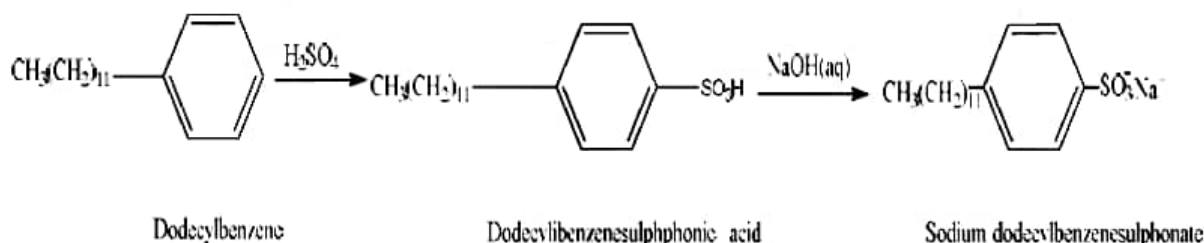
- Calcium and magnesium soaps are not useful as cleaning agents.
- Heating of fat with NaOH produces soap in colloidal state. It is precipitated by adding *NaCl* (Salting out of soap).
- Molecular formula of Sodium stearate is $C_{17}H_{35}COONa$.
- Stearic acid and poly-ethylene glycol react and form Non-ionic detergent.
- Synthetic detergents can be used in both hard water and soft water.
- Synthetic detergents are classified in to three categories.

*Anionic detergents: which are used in tooth pastes

Eg-1: Sodium lauryl sulphate

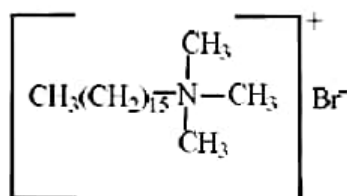


Eg-2: Sodium dodecyl benzene sulphonate



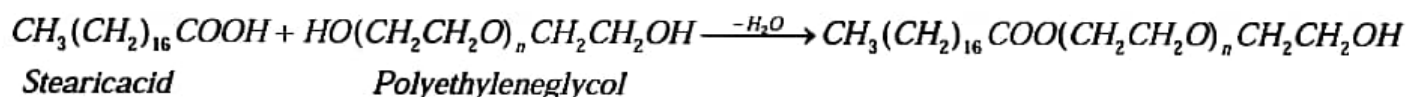
- Cationic detergents: which are used in hair conditioners

Eg: Cetyltrimethyl ammonium bromide



c) Non-ionic detergents

Eg:-Polyethylene glycol stearate



- Liquid dishwashing detergents are an example of Non-ionic type detergents.
- Detergents with straight chain (or unbranched) hydrocarbon can be biodegradable more easily than branched hydrocarbons.
- Bacteria cannot degrade the detergents which have branched chain hydrocarbons.
- Cationic detergent used in hair conditioner is cetyltrimethyl ammonium bromide.