

Introduction and classification:**Medicines and Drugs:**

Chemical substances helping to a human body or an animal either for treatment or diagnosis of diseases are called medicines or drugs.

The treatment of disease by chemical compound which destroy the microorganism without attacking the tissue of the human body is known as chemotherapy, and the compounds used are called chemotherapeutic agent.

Therapeutic effect:

Desirable or beneficial effect of a drug like treatment of symptoms and cure of a disease on a living body is known as therapeutic effect.

Enzymes :

Proteins which perform the role of biological catalysts in the body are called enzymes.

Functions of enzymes :

- (i) The first function of an enzyme is to hold the substrate for a chemical reaction. Active sites of enzymes hold the substrate molecule in a suitable position, so that it can be attacked by the reagent effectively.
- (ii) The second function of an enzyme is to provide functional groups that will attack the substrate and carry out chemical reaction.

Role of drugs :

Main role of drugs is to either increase or decrease role of enzyme catalysed reactions.

Inhibition of enzymes is a common role of drug action.

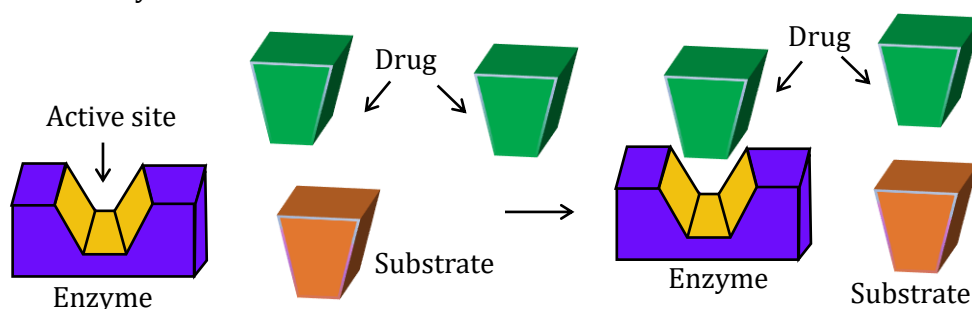
Enzyme inhibitor :

Enzyme inhibitor is a drug which inhibits catalytic activity of enzymes or blocks the binding site of the enzyme and eventually prevents the binding of substrate with enzyme.

Drug can inhibit attachment of substrate on active site of enzymes in following ways:

(i) Competitive inhibitor :

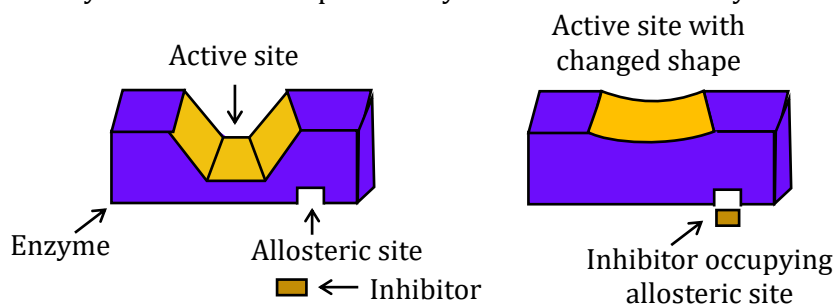
Competitive Inhibitors are the drugs that compete with the natural substrate for their attachment on the active sites of enzymes.



Drug and substrate competing for active site of enzyme Drug blocks the active site of enzyme

(ii) Non-competitive inhibitor :

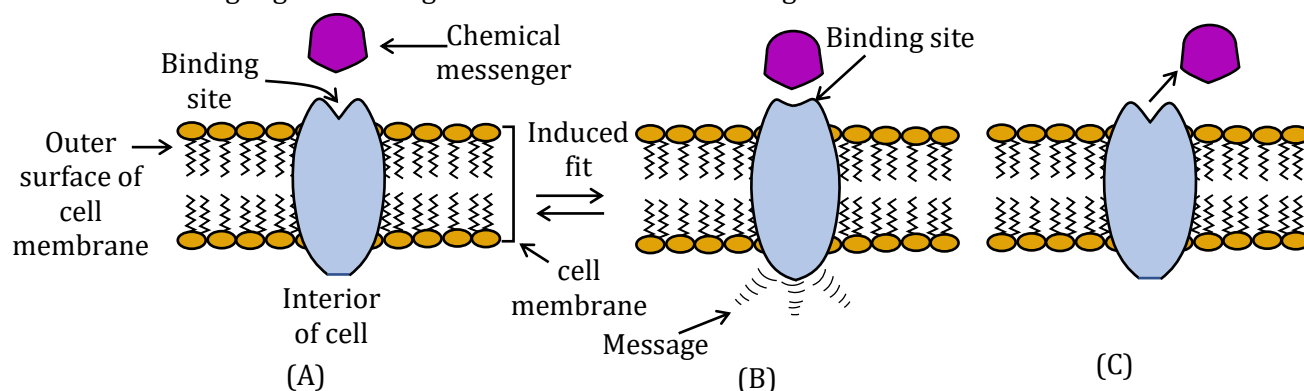
Some drugs do not bind to the enzyme's active site, instead bind to a different site of enzyme called **allosteric site**. This binding of inhibitor at allosteric site changes the shape of the active site in such a way that substrate cannot recognise it. If the bond formed between an enzyme and an inhibitor is a strong covalent bond and cannot be broken easily, then the enzyme is blocked permanently. The body then degrades the enzyme-inhibitor complex and synthesizes the new enzyme.

**Receptors :**

Proteins which are vital for communication system in the body are called receptors. Receptors show selectivity for one chemical messenger over the other because their binding sites have different shape, structure and amino acid composition.

Receptors as drug target :

In the body, message between two neurons and that between neurons to muscles is communicated through chemical messengers. They are received at the binding sites of receptor proteins. To accommodate a messenger, shape of the receptor site changes which brings about the transfer of message into the cell. Chemical messenger gives message to the cell without entering the cell.



(a) Receptor receiving chemical messenger.

(b) Shape of the receptor changed after attachment of messenger

(c) Receptor regains structure after removal of chemical

Antagonists :

Drugs that bind to the receptor site and inhibit its natural function are called **antagonists**. These are useful when blocking of message is required.

Agonists:

Drugs that mimic the natural messenger by switching on the receptor are called **agonists**. These are useful when there is lack of natural chemical messenger.

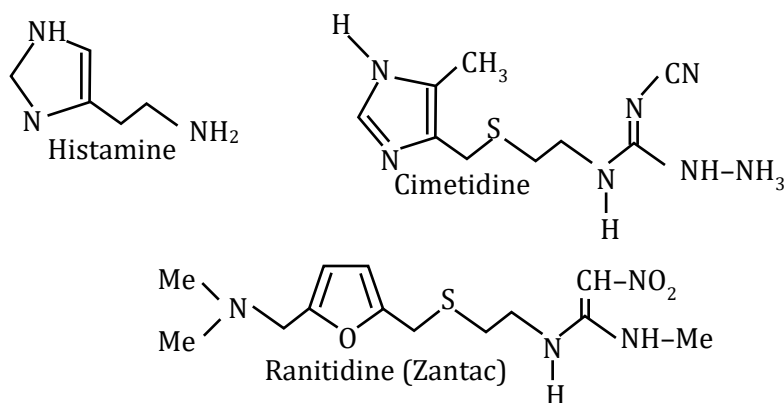
Drugs and Medicines :

In this section, we shall discuss the **therapeutic action of few important classes of drugs**.

Antacids :

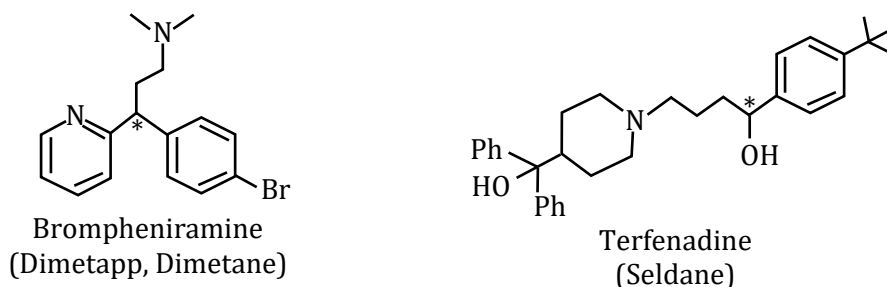
Over production of acid in the stomach causes irritation and pain. In severe cases, ulcers are developed in the stomach. Until 1970, only treatment for acidity was administration of antacids, such as sodium hydrogen carbonate or a mixture of aluminium and magnesium hydroxide. However, excessive hydrogen carbonate can make the stomach alkaline and **trigger the production of even more acid**. Metal hydroxides are better alternatives because of being insoluble, these do not increase the pH above neutrality. These treatments control only symptoms, and not the cause. Therefore, with these metal salts, the patients cannot be treated easily. In advanced stages, ulcers become life threatening and its only treatment is removal of the affected part of the stomach.

A major breakthrough in the treatment of hyperacidity came through the discovery according to which a chemical, histamine, stimulates the secretion of pepsin and hydrochloric acid in the stomach. The drug cimetidine (Tegamet), was designed to prevent the interaction of histamine with the receptors present in the stomach wall. This resulted in release of lesser amount of acid. The importance of the drug was so much that it remained the largest selling drug in the world until another drug, ranitidine (Zantac), was discovered.

**Antihistamine :**

Histamine is a potent vasodilator. It has various functions. It contracts the smooth muscles in the bronchi and gut and relaxes other muscles, such as those in the walls of fine blood vessels. Histamine is also responsible for the nasal congestion associated with common cold and allergic response to pollen.

Synthetic drugs, brompheniramine (Dimetapp) and terfenadine (Seldane), act as antihistamines. They interfere with the natural action of histamine by **competing** with histamine for binding sites of receptor where histamine exerts its effect.



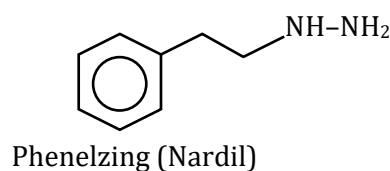
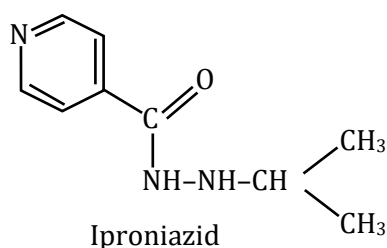
Question: “Why do above mentioned antihistamines not affect the secretion of acid in stomach?”

Answer: The reason is that antiallergic and antacid drugs work on different receptors.

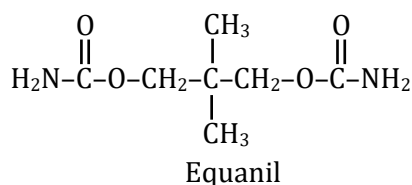
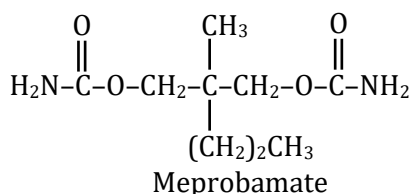
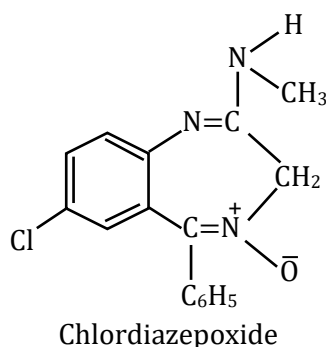
Neurologically Active Drugs :**Tranquilizers :**

Tranquilizers and analgesics are neurologically active drugs. These affect the message transfer mechanism from nerve to receptor. Tranquilizers are a class of chemical compounds used for the treatment of stress, and mild or even severe mental diseases. These relieve anxiety, stress, irritability or excitement by inducing a sense of well-being. They form an essential component of sleeping pills. There are various types of tranquilizers. They function by different mechanisms. For example, noradrenaline is one of the neurotransmitters that plays a role in mood changes.

If the level of noradrenaline is low for some reason, then the signal-sending activity becomes low, and the person suffers from depression. In such situations, antidepressant drugs are required. These drugs inhibit the enzymes which catalyse the degradation of noradrenaline. If the enzyme is inhibited, this important neurotransmitter is slowly metabolised and can activate its receptor for longer periods of time, thus counteracting the effect of depression. Iproniazid and phenelzine are two such drugs. Some tranquilizers namely, chlordiazepoxide and meprobamate, are relatively mild tranquilizers suitable for relieving tension.

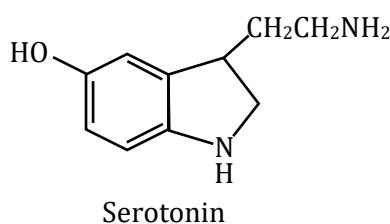
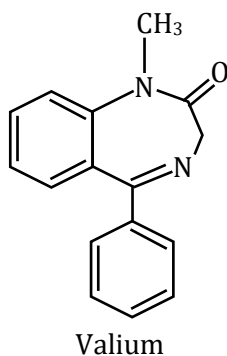
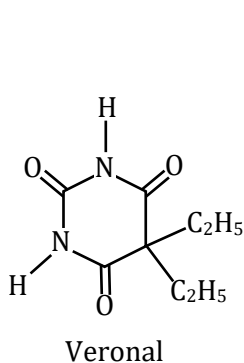


Equanil is used in controlling depression and hypertension.



Derivatives of barbituric acid viz., veronal, amytal, nembutal, luminal and seconal constitute an important class of tranquilizers. These derivatives are called barbiturates. Barbiturates are hypnotic, i.e., sleep producing agents.

Some other substances used as tranquilizers are valium and serotonin.



Tranquilizers :

The chemical substances which acts on the central nervous system and has a calming effect.

Since these are used for mental diseases so are known as psychotherapeutic drugs.

They are of two types - (a) Sedative or hypnotics (b) Mood elevators

(a) Sedative : Reduce nervous tension and promote relaxation. e.g. Reserpine, barbituric acid and its derivatives as luminal & seconal.

(b) Mood elevators or Antidepressants : A drug used for treatment of highly depressed patient, who has lost his confidence.

Example : Benzedrine (amphetamine sulfate)

Analgesics :

Analgesics reduce or abolish pain without causing impairment of consciousness, mental confusion, incoordination or paralysis or some other disturbances of nervous system. These are classified as follows:

(i) Non-narcotic (non-addictive) analgesics

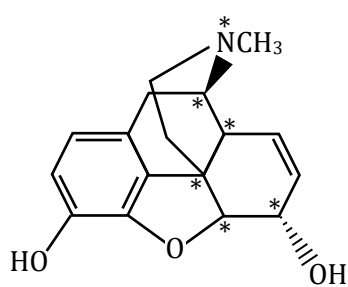
(ii) Narcotic (Habit forming) analgesics

(i) Non-narcotic (non-addictive) analgesics: Aspirin and paracetamol belong to the class of non-narcotic analgesics. Aspirin inhibits the synthesis of chemicals known as prostaglandins which stimulate inflammation in the tissue and cause pain. These drugs are effective in relieving skeletal pain such as that due to arthritis, reducing fever (antipyretic) and preventing platelet coagulation. Because of its anti-blood clotting action, aspirin finds use in prevention of heart attacks.

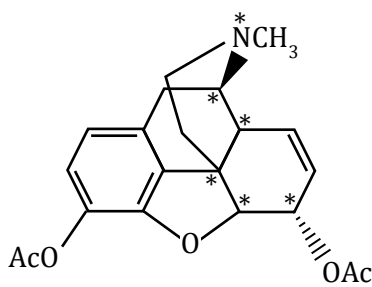
e.g. Aspirin, analgin, paracetamol, phenacetin etc.

(ii) Narcotic analgesics: Morphine and many of its homologues, when administered in medicinal doses, relieve pain and produce sleep. In poisonous doses, these produce stupor, coma, convulsions and ultimately death. Morphine narcotics are sometimes referred to as opiates, since they are obtained from the opium poppy.

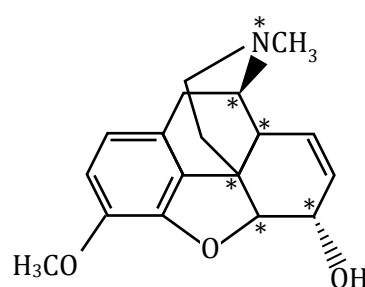
These analgesics are chiefly used for the relief of postoperative pain, cardiac pain and pains of terminal cancer, and in child birth.



Morphine



Heroin



Codeine

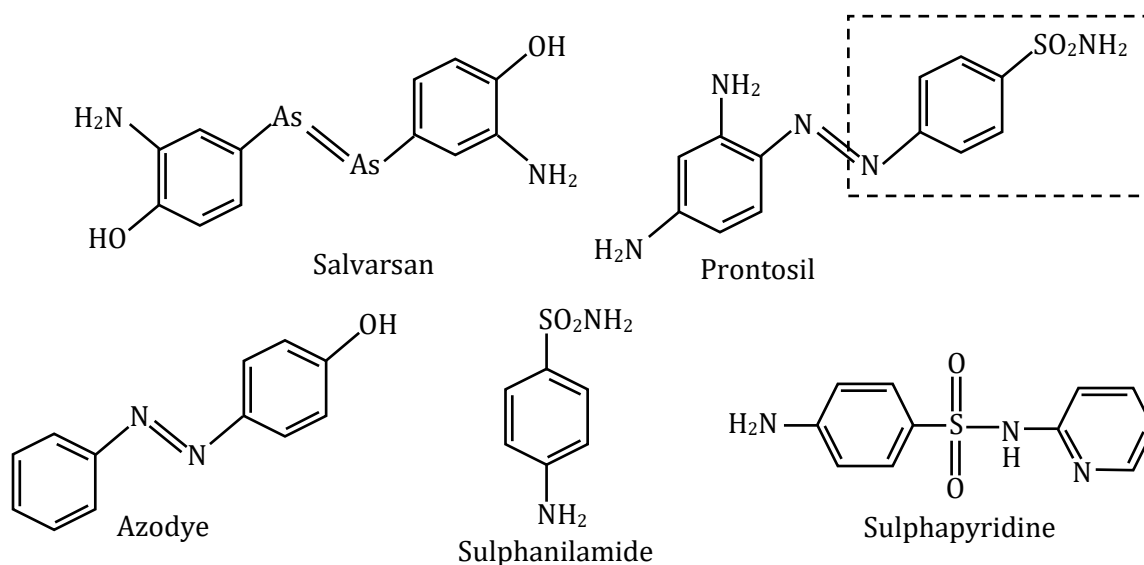
Antimicrobials :

Diseases in human beings and animals may be caused by a variety of microorganisms such as bacteria, virus, fungi and other pathogens. An antimicrobial tends to destroy/prevent development or inhibit the pathogenic action of microbes such as bacteria (antibacterial drugs), fungi (antifungal agents), virus (antiviral agents), or other parasites (antiparasitic drugs) selectively. Antibiotics, antiseptics and disinfectants are antimicrobial drugs.

(a) Antibiotics :

Antibiotics are used as drugs to treat infections because of their low toxicity for humans and animals. Initially antibiotics were classified as chemical substances produced by microorganisms (bacteria, fungi and molds) that inhibit the growth or even destroy microorganisms.

Paul Ehrlich, a German bacteriologist, investigated arsenic based structures in order to produce less toxic substances for the treatment of syphilis. He developed the medicine, arsphenamine, known as salvarsan. Paul Ehrlich got Nobel prize for Medicine in 1908 for this discovery. It was the first effective treatment discovered for syphilis. Although salvarsan is toxic to human beings, its effect on the bacteria, spirochete, which causes syphilis is much greater than on human beings. At the same time, Ehrlich was working on azodyes also. He noted that there is similarity in structures of salvarsan and azodyes.



The structures of salvarsan, prontosil azodye and sulphapyridine showing structural similarity

The $-\text{As}=\text{As}-$ linkage present in arsphenamine resembles the $-\text{N}=\text{N}-$ linkage present in azodyes in the sense that arsenic atom is present in place of nitrogen. He also noted tissues getting coloured by dyes selectively. Therefore, Ehrlich began to search for the compounds which resemble in structure to azodyes and selectively bind to bacteria. In 1932, he succeeded in preparing the first effective antibacterial agent, prontosil, which resembles in structure to the compound, salvarsan. Soon it was discovered that in the body prontosil is converted to a compound called sulphanilamide, which is the real active compound. Thus, the sulpha drugs were discovered. A large range of sulphonamide analogues was synthesised. One of the most effective is sulphapyridine.

Despite the success of sulfonamides, the real revolution in antibacterial therapy began with the discovery of Alexander Fleming in 1929, of the antibacterial properties of a *Penicillium* fungus. Isolation and purification of active compound to accumulate sufficient material for clinical trials took thirteen years. Antibiotics have either cidal (killing) effect or a static (inhibitory) effect on microbes. A few examples of the two types of antibiotics are as follows:

Bactericidal

Penicillin
Aminoglycosides
Ofloxacin

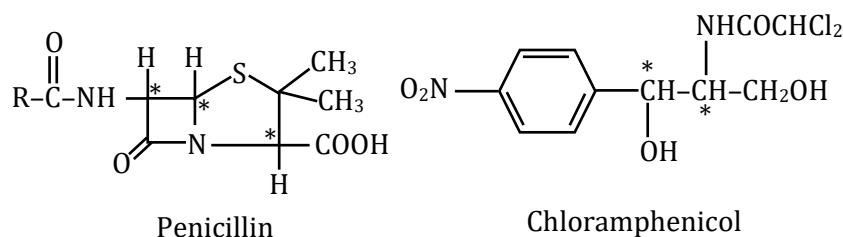
Bacteriostatic

Erythromycin
Tetracycline
Chloramphenicol

The range of bacteria or other microorganisms that are affected by a certain antibiotic is expressed as its spectrum of action. Antibiotics which kill or inhibit a wide range of Gram-positive and Gram-negative bacteria are said to be broad spectrum antibiotics.

Those effective mainly against Gram-positive or Gram-negative bacteria are narrow spectrum antibiotics. If effective against a single organism or disease, they are referred to as limited spectrum antibiotics. Penicillin G has a **narrow spectrum**. Ampicillin and Amoxycillin are synthetic modifications of penicillin's. These have **broad spectrum**.

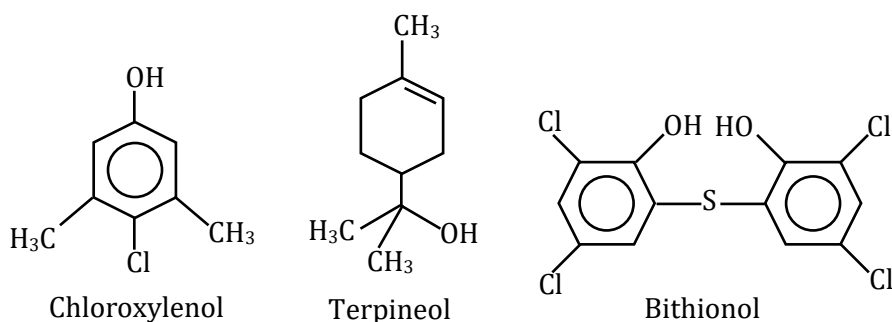
Chloramphenicol, isolated in 1947, is a broad-spectrum antibiotic. It is rapidly absorbed from the gastrointestinal tract and hence can be given orally in case of typhoid, dysentery, acute fever, certain form of urinary infections, meningitis and pneumonia. Vancomycin and ofloxacin are the other important broad-spectrum antibiotics. The antibiotic dysidazirine is supposed to be toxic towards certain strains of cancer cells.



R - May be -	
PhCH ₂ -	(Penicillin G)
CH ₃ -CH ₂ -CH=CH-CH ₂ -	(Penicillin-F)
CH ₃ -(CH ₂) ₆ -	(Penicillin-K)
Ph-CH(NH ₂)-	(Ampicillin)

(b) Antiseptics and disinfectants

Antiseptics and disinfectants are also the chemicals which either kill or prevent the growth of microorganisms.

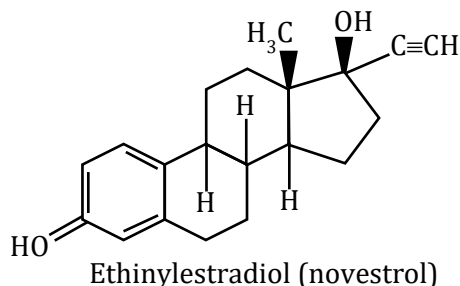


Antiseptics are applied to the living tissues such as wounds, cuts, ulcers and diseased skin surfaces. Examples are furacine, soframycin, etc. These are not ingested like antibiotics. Commonly used antiseptic, dettol is a mixture of **chloroxylenol and terpineol**. Bithionol (the compound is also called bithional) is added to soaps to impart antiseptic properties. Iodine is a powerful antiseptic. Its 2-3 per cent solution in alcohol-water mixture is known as tincture of iodine. It is applied on wounds. Iodoform 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. Same substances can act as an antiseptic as well as disinfectant by varying the concentration. For example, 0.2 per cent solution of phenol is an antiseptic while its one percent solution is disinfectant. Chlorine in the concentration of 0.2 to 0.4 ppm in aqueous solution and sulphur dioxide in very low concentrations, are disinfectants.

Antifertility Drugs :

Antibiotic revolution has provided long and healthy life to people. The life expectancy has almost doubled. The increased population has caused many social problems in terms of food resources, environmental issues, employment, etc. To control these problems, population is required to be controlled. This has led to the concept of family planning. Antifertility drugs are of use in this direction. Birth control pills essentially contain a mixture of synthetic estrogen and progesterone derivatives. Both of these compounds are hormones. It is known that progesterone suppresses ovulation. Synthetic progesterone derivatives are more potent than progesterone. Norethindrone is an example of synthetic progesterone derivative most widely used as antifertility drug. The estrogen derivative which is used in combination with progesterone derivative is ethynylestradiol (novestrol).



Antimalarials drugs:

To bring down the body temperature during malarial fever.
e.g. Quinine, Chloroquine, Paraquine and Primaquine etc.

Anaesthetics :

These are chemical substances helping for producing general or local insensibility to pain and other sensation.

These are of two types (a) General, (b) Local

(a) General : Produce unconsciousness and are given at the time of major surgical operations.

Example : Gaseous form → Nitrous oxide, ethylene, cyclopropane etc.

Liquid form → Chloroform, divinyl ether and sodium pentothal etc.

(b) Local anaesthetics: Produce loss of sensation on a small portion of the body. It is used for minor operations.

Example : Jelly form → Oxylocain

Spray form → Ethyl chloride

Injection → form Procain

Chemicals in food, soaps and detergents :

1. Chemicals in food :

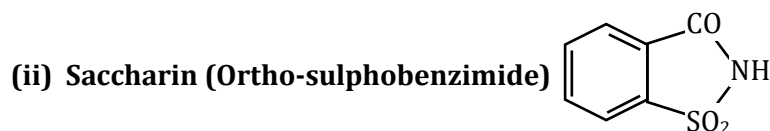
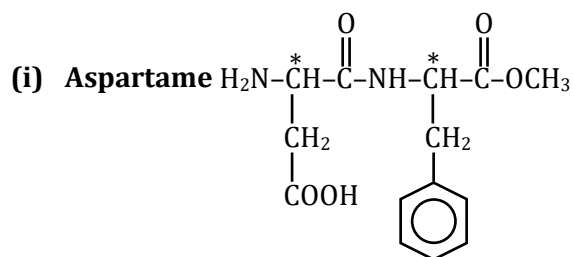
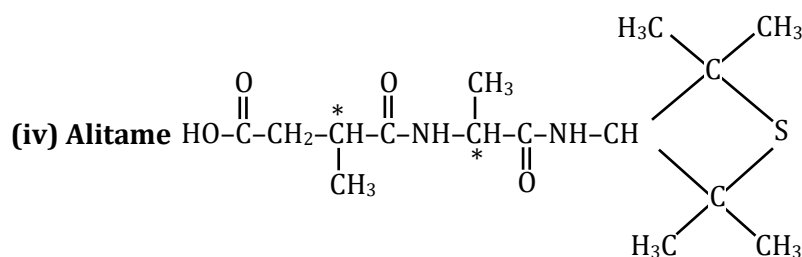
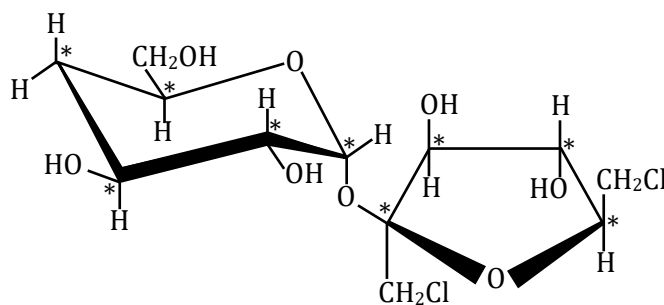
Chemicals are added to food for (i) their preservation, (ii) enhancing their appeal, and (iii) adding nutritive value in them. Main categories of food additives are as follows:

- (i) Food colours
- (ii) Flavours and sweeteners
- (iii) Fat emulsifiers and stabilising agents
- (iv) Flour improvers - antistaling agents and bleaches
- (v) Antioxidants
- (vi) Preservatives
- (vii) Nutritional supplements such as minerals, vitamins and amino acids.

Except for chemicals of category (vii), none of the above additives have nutritive value. These are added either to increase the shelf life of stored food or for cosmetic purposes.

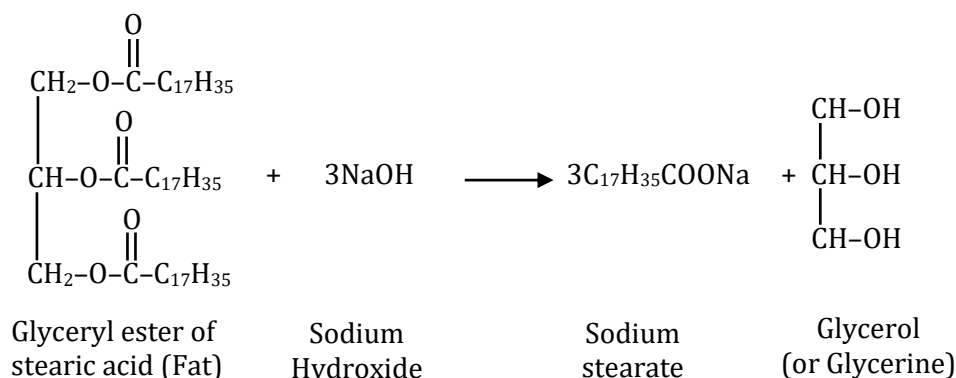
Artificial sweetening agents :

Natural sweeteners, e.g., sucrose adds to calorie intake and therefore many people prefer to use artificial sweeteners. Ortho-sulphobenzimide, also called saccharin, is the first popular artificial sweetening agent. It has been used as a sweetening agent ever since it was discovered in 1879. It is about 550 times as sweet as cane sugar. It is excreted from the body in urine unchanged. It appears to be entirely inert and harmless when taken. Its use is of great value to diabetic persons and people who need to control intake of calories.

**(iii) Sucralose (Trichloro derivative of sucrose)****Note:**

- (i) **Aspartame** is the most successful and widely used artificial sweetener. It is roughly 100 times as sweet as cane sugar. It is methyl ester of dipeptide formed from aspartic acid and phenylalanine. Use of aspartame is limited to cold foods and soft drinks because it is unstable at cooking temperature.
- (ii) **Alitame** is high potency sweetener, although it is more stable than aspartame, the control of sweetness of food is difficult while using it.
- (iii) **Sucralose** is trichloro derivative of sucrose. Its appearance and taste are like sugar. It is stable at cooking temperature. It does not provide calories.

2. Soaps :



Soaps used for cleaning purpose are sodium or potassium salts of long chain fatty acids, e.g., stearic, oleic and palmitic acids. Soaps containing sodium salts are formed by heating fat (i.e., glyceryl ester of fatty acid) with aqueous sodium hydroxide solution. This reaction is known as saponification.

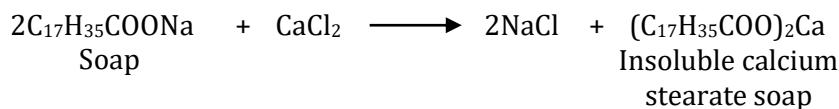
In this reaction, esters of fatty acids are hydrolysed and the soap obtained remains in colloidal form. It is precipitated from the solution by adding sodium chloride. The solution left after removing the soap contains glycerol, which can be recovered by fractional distillation. Only sodium and potassium soaps are soluble in water and are used for cleaning purposes. Generally potassium soaps are soft to the skin than sodium soaps. These can be prepared by using potassium hydroxide solution in place of sodium hydroxide.

Types of soaps :

- (i) **Toilet soaps** are prepared by using better grades of fats and oils and care is taken to remove excess alkali. Colour and perfumes are added to make these more attractive.
Soaps that float in water are made by beating tiny air bubbles before their hardening.
- (ii) **Transparent soaps** are made by dissolving the soap in ethanol and then evaporating the excess solvent.
- (iii) **Medicated soaps** have medicinal value.
- (iv) **Shaving soaps** contain glycerol to prevent rapid drying. A gum called, rosin is added while making them. It forms sodium rosinate which lathers well.
- (v) **Laundry soaps** contain fillers like sodium rosinate, sodium silicate, borax and sodium carbonate. Some soap, a scouring agent (abrasive) such as powdered pumice or finely divided sand, and builders like sodium carbonate and trisodium phosphate. Builders make the soaps act more rapidly.

Question: Why do soaps not work in hard water?

Answer: Hard water contains calcium and magnesium ions. These ions form insoluble calcium and magnesium soaps respectively when sodium or potassium soaps are dissolved in hard water.



These insoluble soaps separate as scum in water and are useless as cleansing agent.

3. Synthetic Detergents :

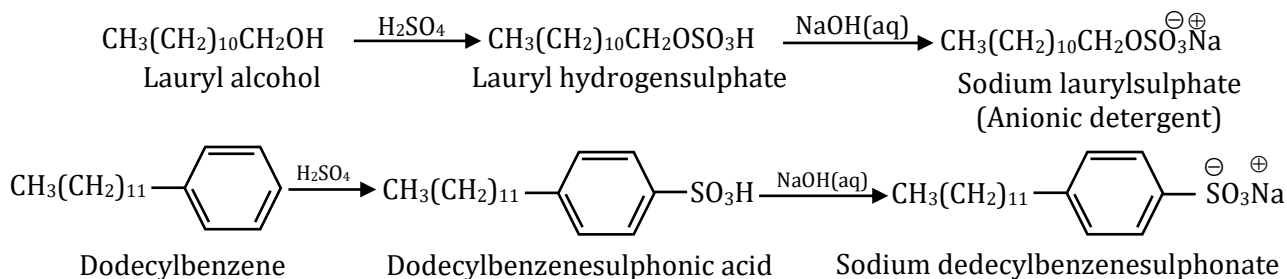
Synthetic detergents are cleansing agents which have all the properties of soaps, but which actually do not contain any soap. These can be used both in soft and hard water as they give foam even in hard water. Some of the detergents give foam even in ice cold water.

Synthetic detergents are mainly classified into three categories:

- (i) Anionic detergents
- (ii) Cationic detergents and
- (iii) Non-ionic detergents

(i) Anionic Detergents:

Anionic detergents are sodium salts of sulphonated long chain alcohols or hydrocarbons. Alkyl hydrogensulphates formed by treating long chain alcohols with concentrated sulphuric acid are neutralised with alkali to form anionic detergents. Similarly, alkyl benzene sulphonates are obtained by neutralising alkyl benzene sulphonic acids with alkali.



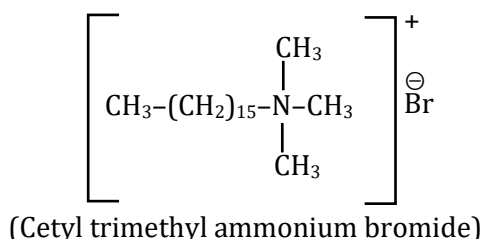
In anionic detergents, the anionic part of the molecule is involved in the cleansing action. Sodium salts of alkylbenzenesulphonates are an important class of anionic detergents.

They are mostly used for household work. Anionic detergents are also used in toothpastes.

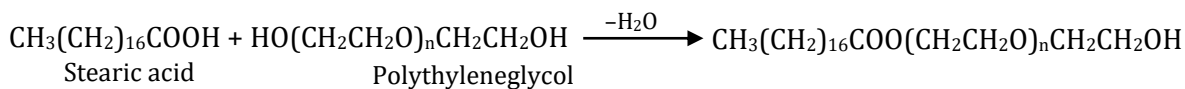
(ii) Cationic Detergents:

Cationic detergents are quaternary ammonium salts of amines with acetates, chlorides or bromides as anions. Cationic part possesses a long hydrocarbon chain and a positive charge on nitrogen atom. Hence, these are called cationic detergents.

Cetyltrimethylammonium bromide is a popular cationic detergent and is used in hair conditioners. Cationic detergents have germicidal properties and are expensive, therefore, these are of limited use.

**(iii) Non-ionic Detergents:**

Non-ionic detergents do not contain any ion in their constitution. One such detergent is formed when stearic acid reacts with polyethyleneglycol.



Liquid dishwashing detergents are non-ionic type.

Mechanism of cleansing action of this type of detergents is the same as that of soaps. These also remove grease and oil by micelle formation.

Main problem that appears in the use of detergents is that if their hydrocarbon chain is highly branched, then bacteria cannot degrade this easily. Slow degradation of detergents leads to their accumulation. Effluents containing such detergents reach the rivers, ponds, etc.

These persist in water even after sewage treatment and cause foaming in rivers, ponds and streams and their water gets polluted.

These days the branching of the hydrocarbon chain is controlled and kept to the minimum. Unbranched chains can be biodegraded more easily and hence pollution is prevented.