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DRUGS – CHEMICAL NATURE, STRUCTURE AND MODE OF ACTION

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Abstract: -

Drugs are substances of low molecular weight that are used to prevent, treat, diagnose or relieve symptoms of a diseased condition. Drugs can block the binding site of enzymes and prevent binding of substrate. They may be antagonists as they bind to receptor site. Antacids cure acidity while tranquilizers treat stress. Analgesics relieve pain and antibiotics destroys microbes. Antiseptics and disinfectants kill or check growth of microbes.

These are chemicals of low molecular mass (100-500μ). Drugs interact with macromolecular targets and produce a biological response.

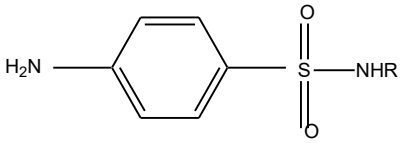
***Medicines:** When biological response of a drug is therapeutic and useful, it is called as medicine. They are used for diagnosis, prevention and treatment of diseases. At higher dose medicines are poisonous. Use of chemicals for therapeutic effect is called as chemotherapy.

Classification of drugs

a. On the basis of pharmacological effects: Drugs are variously named according to their pharmacological effect viz. Antiseptics have an effect on growth of microbes (kill or arrest growth). Analgesics have pain killing effect.

b. On the basis of drug action: Classification of drugs on a particular biochemical process. E.g. Antihistamines inhibit the action of histamine which creates inflammation in body.

c. On the basis of chemical structure: A particular group shows similar structural formula:



Sulfonamide

d. On the basis of molecular target: Drugs react with biomolecules like carbohydrates, lipids, protein and nucleic acids. These are drug targets. It is most useful.

DRUG TARGET INTERACTION

Enzymes are biocatalysts. They are proteinaceous in nature. Similarly protein involved in communication in the body are called **receptors**. **Carrier proteins** help in transport of polar molecules across membrane.

Enzymes as drug-target

a. Catalytic action of enzymes: Enzymes perform two important functions:

(i) It holds substrate for a chemical reaction. Active sites of enzymes hold the substrate molecule is suitable position so that it can be attacked by reagent effectively. Binding may be through ionic bond, hydrogen bond, Vander Walls interaction or dipole-dipole interaction.

(ii) The second function of an enzyme it to provide functional groups that will attack the substrate and carryout chemical reaction.

b. Drug-Enzyme interaction: Drugs can block the binding sites of the enzyme and prevent the binding of substrate or can inhibit the catalytic activity of enzyme. Such drugs are called enzyme inhibitors. Drugs cause inhibitor by two ways:

(i) Competitive inhibitors: Drugs compete with substrate for same active site.

(ii) Allosteric inhibitors: Drug may also bind to a site other than active site called allosteric site. It causes a change in shape of active site. If enzyme and inhibitor is bonded by strong covalent bond, then it's activity is permanently bounded/blocked.

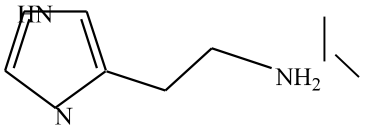
Receptors and drug targets: Receptor are proteins found embedded in cell membranes in such a way that their small part possessing active site projects out of surface of membranes.
Chemical messengers are received at binding sites of the receptor proteins. Shape of receptor site changes to fit a messenger. Thus transfer of message takes place into the cell (although chemical messenger does not enter the cell).
Drugs that bind to the receptors site and inhibit it's natural function are called **antagonists**. Some other drugs mimic (behave similarly) the natural messengers by switching on the receptor. These are called agonists.

THERAPEUTIC / CURATIVE ACTION OF DIFFERENT CLASSES OF DRUGS

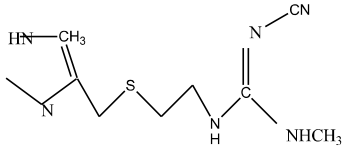
A. Antacids: Irritation and pain occurs due to over production acids in stomach. In severe cases **Ulcers** are formed. Antacids like sodium hydrogen carbonate or a mixture of aluminium and magnesium hydroxide are given in such cases. Excess hydrogen carbonate can make stomach alkaline and stimulate stomach for more acid production. Metal hydroxides are better antacids (insoluble pH near neutral).

B. Antihistamines: Histamine stimulates the secretion of peptin and HCl in the stomach.

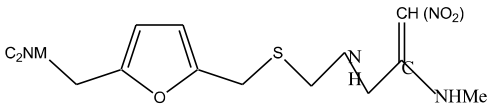
*Drug **Cimetidine (Tagamet)** prevents the interaction of histamine with receptors present in the stomach wall. Thus less acid is released. Ranitidine (Zantac) is also an antihistamine.



Histamine

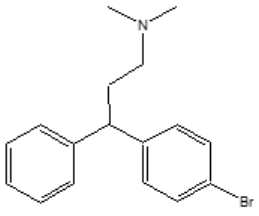


Cimetidine

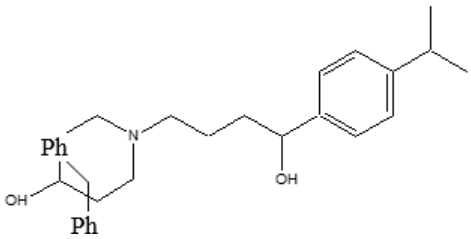


Ranitidine

*Histamine is a vasodilator. It causes contraction of smooth muscles in the bronchi and gut and relaxes other muscles (like muscles in the walls of fine blood vessels).
*It is also responsible for nasal congestion during cold and allergy.
*Synthetic drugs like terfenadine (Sendance) and brompheniramine (Dimetapp) are antihistamines (Competitive inhibitor)



Brompheniramine

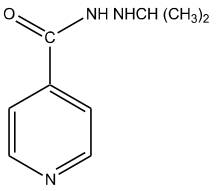


Terfenadine

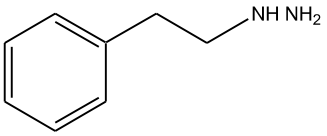
Tranquilizers: They act on nervous system and affect the message transfer mechanism from **nerve to receptors**. They are used for treatment of stress and mild/severe mental disorders. They relieve anxiety, stress, irritability or excitement by inducing a sense of well being (=Sleeping pills).
Different tranquilizers function through different mechanisms e.g.:

a. Nor-adrenaline is a neurotransmitter which causes mood change. Low level of nor-adrenaline causes lowering of signal-sending activity ultimately resulting **depression**.

Antidepressant drugs inhibit the enzyme that catalyzes the degradation of **nor-adrenaline**. Thus nor-adrenaline is slowly metabolized and can activate it's receptor for longer periods of time. Thus depression is countered. **Iproniazid** and **Phenelzine** are antidepressant.

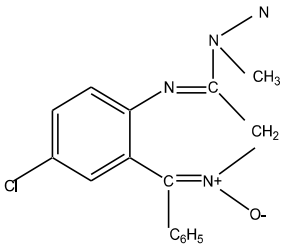


Iproniazid

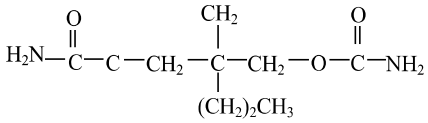


Phenelzine (Nardil)

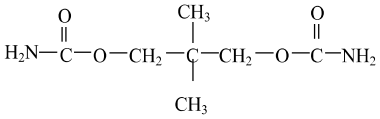
Mild tranquilizers viz. **Chlordiazeopoxide** and **Meprobamate** are mild tranquilizers suitable for relieving tension. Equanil is used in controlling depression and hyper tension.



Chlordiazeopoxide



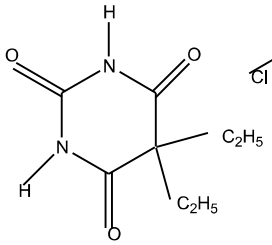
Meprobamate



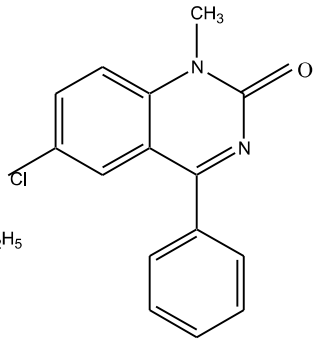
Equanil

Barbiturates

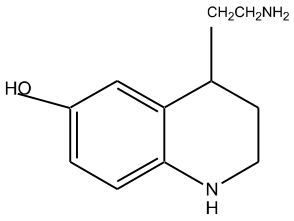
Derivatives of barbituric acid viz. **veronal, amytal, Nembutal, luminal** and **seconal** are important tranquilizers. Barbiturates are hypnotic i.e. cause sleep. Valium and serotonin are tranquilizers.



Veronal



Valium



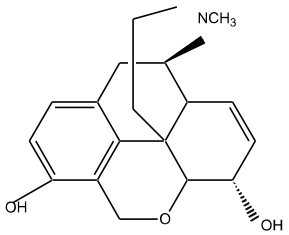
Serotonin

b. Analgesics: They are pain relievers or abolisher. They do not cause disturbance in consciousness, mental confusion, in coordination or paralysis etc. They are grouped as:

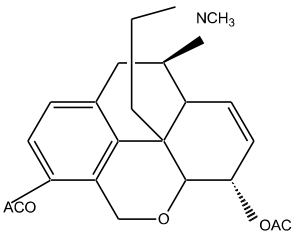
(i) Non-narcotic (non-addictive) analgesics (e.g. Aspirin (Salicylate) and Paracetamol etc.): Aspirin inhibits the synthesis of prostaglandins which stimulate inflammation in the tissue and cause pain. They are effective in skeletal pain like **Arthritis**. They also reduce fever (antipyretic) and prevent platelet coagulation. It cause dilution of blood so given in cases of heart attacks.

(ii) Narcotic (addictive) analgesics

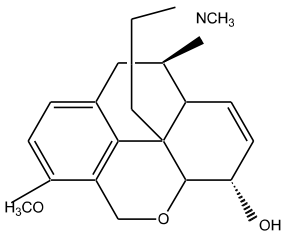
Morphine (obtained from poppy – *Papaver somniferum*) and it's homologues relieve pain and produce sleep. At high (poisonous) doses they produce stupor, coma, convulsions and ultimately death. They are generally called as opiates (obtained from opium / poppy). Narcotic analgesics are chiefly used for relief of postoperative pain, cardiac pain and pains of terminal cancer and in childbirth.



Morphine



Heroine

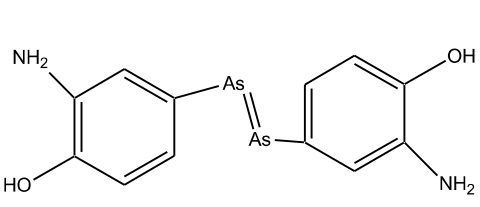


Codeine

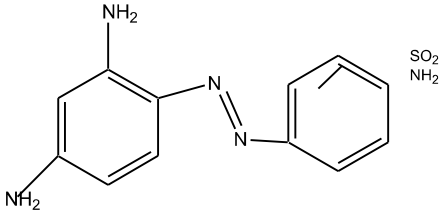
ANTIMICROBIALS

Antimicrobials destroy / prevent development or inhibit the pathogenic action of microbe's viz. bacteria, virus, fungi etc. They are termed as antibiotics, antiseptics and disinfectants etc.

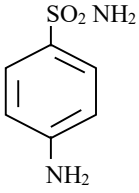
a. Antibiotics: Antibiotic is defined as a substance produce wholly or partly by chemical synthesis, which in low concentrations inhibits the growth or destroys microorganisms by intervening in their metabolic processes. Paul Ehrlich (German bacteriologist) investigated arsenic based toxic substances for treatment of syphilis. He developed the medicine arsphenamine (Commercial name: **Salvarsan**). In 1908 he got Nobel Prize. It is toxic to human beings but it kills bacteria, spirochete etc. Ehrlich also worked on Azodyes. A similarity in structure of **Salvarsan** and **Azodyes** was noted. The As=As-linkage found in arsphenamine is similar to –N=N linkage present in Azodyes (Arsenic is present in place of nitrogen). In 1932 Ehrlich synthesized Prontosil (similar in structure to Salvarsan). Body converts Prontosil into **Sulphanilamide** (Active compound). These are called **sulfa drugs**. Many sulphonamide analogous were synthesized e.g. **Sulphapyridine**.



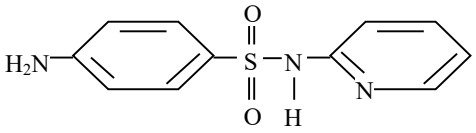
Salvarsan



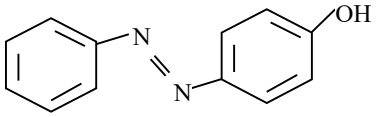
Prontosil



Sulphanilamide



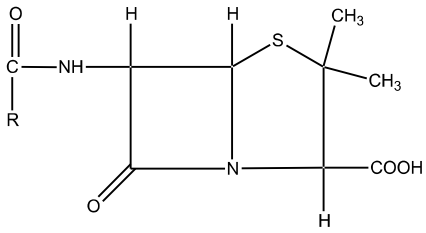
Sulphapyridine



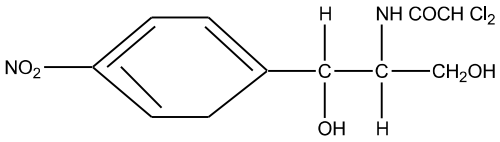
Azodye

In 1929 Alexander Flemming accidentally discovered **Penicillin** from **Penicillium** (Fungus). Antibiotics can be group into two categories:

A. Bactericidal: They kill bacteria, e.g. Penicillin, Aminoglycosides and Ofloxacin.
B. Bacteriostatic: They inhibit the growth of bacteria e.g. Erythromycin, Tetracycline, Chloramphenicol.
Classification based on range of pathogen
A. Broad spectrum antibiotics: They inhibit or kill a wide range of $G(+)_{ive}$ and $G(-)_{ive}$ bacteria e.g. Ampicillin, Amoxicillin.
B. Narrow spectrum antibiotics: These are effective against few $G(+)_{ive}$ and $G(-)_{ive}$ bacteria e.g. Penicillin.
C. Limited spectrum antibiotics: This antibiotic is effective against single organism or in disease it is limited spectrum antibiotics. Penicillin is prepared at Hindustan Antibiotics in Pimpri.
Chloramphenicol: It was isolated in 1947. It is rapidly absorbed in GI (Gastrointestinal tract), so given orally in typhoid, dysentery, acute fever, urinary tract infections, pneumonia and meningitis.
Vancomycin: Broad spectrum antibiotics
Ofloxacin: Broad spectrum antibiotics
Dysidazirine: Anticancerous (active against few strains).



Penicillin



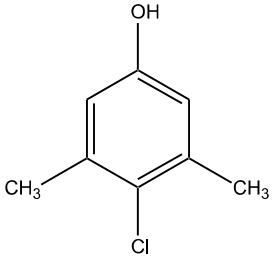
Chloramphenicol

ANTISEPTIC AND DISINFECTANTS

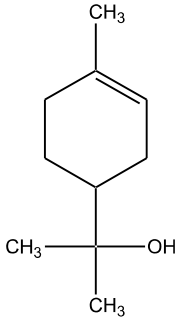
* They either kill or stop the growth of microbes
* **Antiseptics:** Joseph Lister started the antiseptic surgery. Antiseptics are applied on living tissue viz. cuts, wounds, burns etc. e.g. **furacine, soframycin** etc. They are not ingested like antibiotics.
* Dettol, a common antiseptic is a mixture of **Chloroxylenol** and **Terpineol. Bithionol** is added to soaps to impart antiseptic properties.
* Iodine is also an antiseptic (2-3% solution in alcohol water mixture is known as tincture of Iodine).
* **Iodoform** is antiseptic used on wounds.
* Boric acid is dilute aqueous solution is weak antiseptic for eyes.
* **Disinfectants:** They are applied to non-living objects for disinfection viz. floors, drainage system, instruments etc.

A chemical can function both as disinfectant and an antiseptic at different concentrations, e.g. 0.2% solution of phenol is antiseptic while 1% solution is disinfectant.

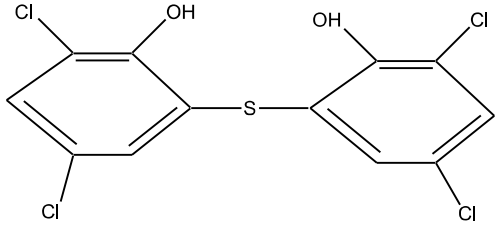
0.2-0.4 ppm aqueous chlorine and lower concentration of SO_2 are disinfectants.



Chloroxylenol



Terpineol



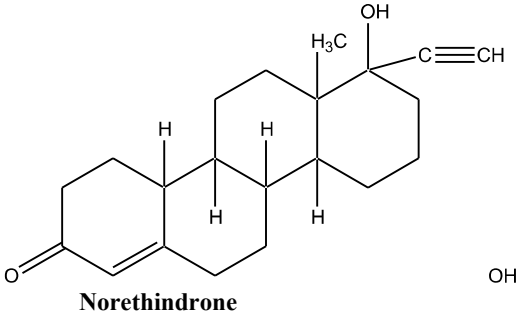
Bithionol

ANTIFERTILITY DRUGS

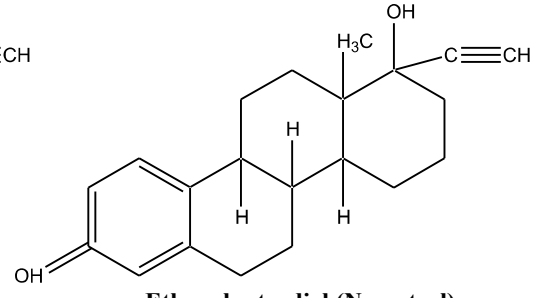
Birth control pills contain a mixture of synthetic **estrogen** and **progesterone** derivatives (=Hormones). Progesterone suppresses ovulations. Synthetic derivatives are more useful.

***Norethindrone**: Synthetic progesterone derivative.

***Ethynyl estradiol (Novestrol)**: An estrogen derivative used in combination with progesterone derivative.



Norethindrone



Ethynyl estradiol (Novestrol)

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