COUNCIL OF SCIENTIFIC AND INDUSTRIAL RESEARCH
UNIVERSITY GRANTS COMMISSION

CHEMICAL SCIENCES CODE:01

4.3. Medicinal Chemistry

4.3.1. **Medicinal chemistry** is a highly interdisciplinary science combining organic chemistry with biochemistry, computational chemistry, pharmacology, molecular biology etc.

4.3.2. **Pharmacophore group** is responsible for the activity of drug. On removing pharmacophore groups, the drug loses its activity.

4.3.3. **Unsaturated compounds** are more toxic than saturated compounds. Activity of the drug decreases with increase in length of carbon chain due to to the solubility of substances lowers and they are unable to get into blood and thus do not cause required effect.

4.3.4. **Inorganic and organometallic drug**: Lithium carbonate and cisplatin.
Organic drug: Atorvastatin, erythropoietin, insulin.

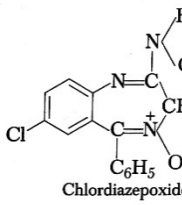
4.3.5. **Factors effecting the nature of drug:**
Effect of isomerism: meta and para hydroxybenzoic acid are inactive while ortho hydroxybenzoic acid is active in aspirin, salol. (-) nicotine is twice as active as (+) nicotine.
Effect of halogen: Substituted compounds are generally toxic than parent hydrocarbon. Halogen substituted in non conjugated position usually increases the activity of the compounds. Thus increases the toxic properties. Introduction of positive halogens as in acid chlorides decrease their toxicity. Increase in atomic weight decreases the hypotonic activity, but increases the antiseptic property.

e.g.,

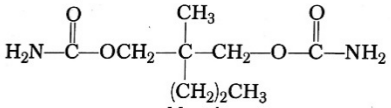
- C_6H_5OH is highly toxic and is stronger antiseptic than C_6H_6.
- Salicylic acid is a strong antifungal and antirheumatic agent than the parent compound benzoic acid.
- Caffeine is psychological active but hydroxyl caffeine is inactive.

Effect of alkyl group: Alkylation generally decreases the biological activity. Alkylated or alkylated carboxyl, hydroxyl and amino groups are highly active.

Example: cocaine is an aesthetic.



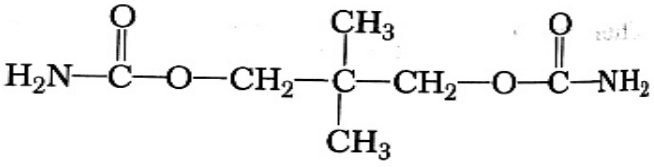
Chlordiazepoxide



Meprobamate

4.3.6. **Tranquilisers** →Used for the treatment of stress and mild or even severe mental disease.

- **Chlorodiazepoxide**and **Meprobamate** are mild tranquilizer suitable for relieving tension.
- **Equanil** is used in controlling depression and hypertension.



Equanil

- **Veronal, Amytal, nembutal** are the derivative of Barbituric acid and are called **barbiturates.** These are sleep reducing agents.

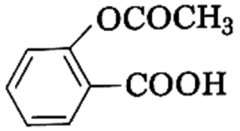
4.3.7. **Analgesic** → this reduce or abolish pain without causing impairment of consciousness, mental confusion, incoordination or paralysis or some other disturbances of nervous system.

Non-narcotic analgesics:

a) Salicylic acid derivatives: aspirin, methyl salicylate, sodium salicylate, Salicin.

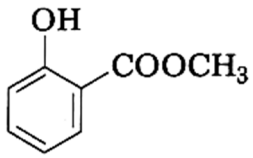
b) Para aminophenol derivatives: paracetamol, Phenacetin

(i) Aspirin (acetyl salicylic acid)



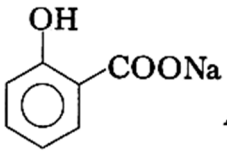
Anti-rheumatic, antipyretic

(ii) Methyl salicylate (oil of winter green)



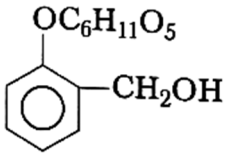
Flavouring agent

(iii) Sodium salicylate

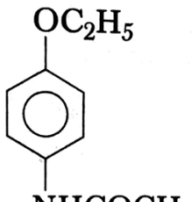


Anti-rheumatic

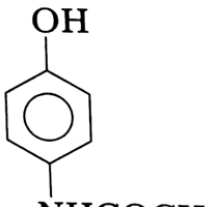
(iv) Salicilin



Anti-rheumatic



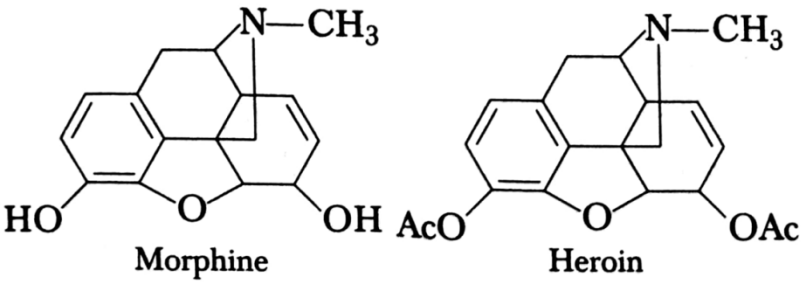
Phenacetin



Paracetamol

Narcotic analgesics:

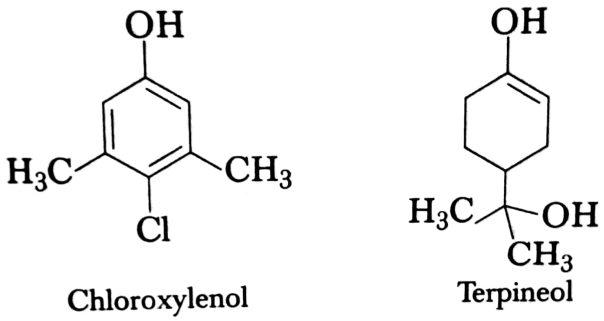
- Morphine → it is used before surgery during operation. Excess use causes addiction, vomiting, dryness to mouth, headache and constipation.
  Heroine and Apomorphine are derivatives of morphine.

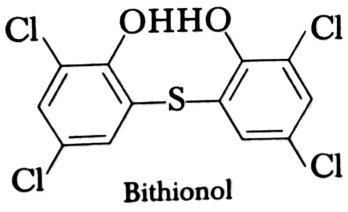


4.3.8. **Antiseptics and disinfectants:** These are the chemicals which kill and prevent the growth of microorganism.

Antiseptics: Thisare used in the living tissues such as wounds, cuts, ulcers etc.

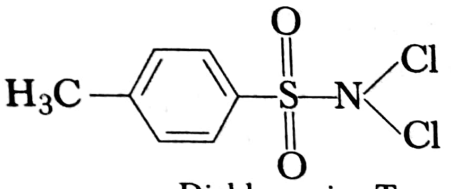
- **Detol** is commonly used as antiseptic. Dettol is a mixture of chloroxylenol and terpineol.
- **Bithionol** is added to soap to impart antiseptic properties.
- Iodine, iodoform and cholesterol are also used as antiseptic.



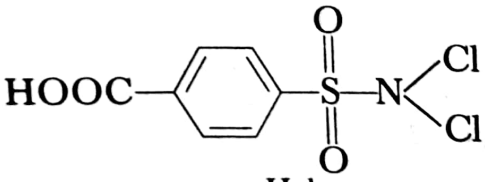


Disinfectants: These are applied to inanimate objects such as floors, drainage system, instruments etc.

- **Dichloramine-T** is used for dressing wounds.
- **Halozone** is used for purification of drinking water.



Dichloramine-T



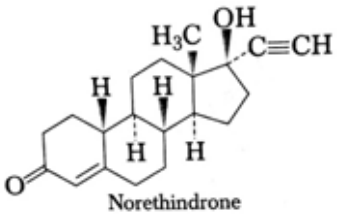
Halozone

4.3.9. **Antifertility drugs:** These drugs are used to control birth and population. It is also called as birth control pills. This content a mixture of synthetic estrogen and progesterone derivatives.

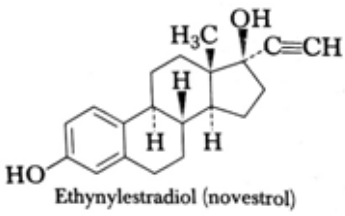
Examples:

Norethindrone,

Ethynylestradiol.



Norethindrone

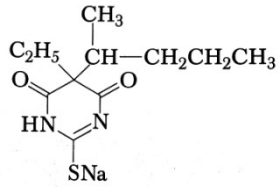


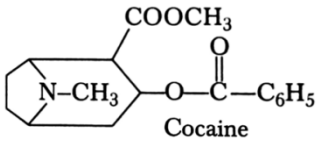
Ethynylestradiol (novestrol)

4.3.10. **Anesthetics:** These are the chemical substances which produce general or local insensibility pains and other sensations.

- General anesthetics: Ether and its derivatives, Chloroform, Trichloroethylene, Ethyl chloride.
- Gaseous anesthetics: Nitrous oxide, cyclopropane
- Non volatile anesthetics: Thiopental sodium
- Local anesthetics: Cocane

Thiopental sodium

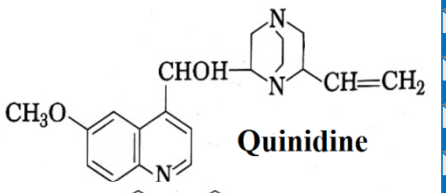




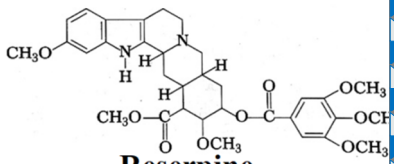
Cocaine

4.3.11. **Cardiovascular drugs:**

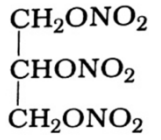
- **Antiarrhythmic drugs:** Quinidine used in the treatment of hypertension.
- **Antihypertensive for hypertensive drug:** Reserpine
- **Antianginal agents:** Glyceryl trinitrate



Quinidine



Reserpine



Glyceryl trinitrate

4.3.12. **Antibiotics:** These are used as drugs to treat infection due to their low toxicity for humans and animals.

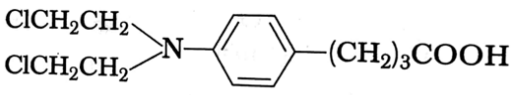
Salvarsan is used in the treatment of syphilis.

Antibiotics have ether cidal effect or static effect on microbes.

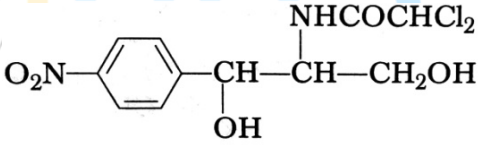
Bactericidal → Penicillin, Ofloxacin.

Bacteriostatic → Erythromycin, tetracycline chloramphenicol

Chlorambucil is used in the treatment of leukaemia.



Chlorambucil



Chloramphenicol