



Antiseptics & Disinfectants

9. Miscellaneous :

- (a) Topical e.g. Nitrofurazone, Ichthamol
 (b) Urinary antiseptics e.g. Nitrofurantoin.

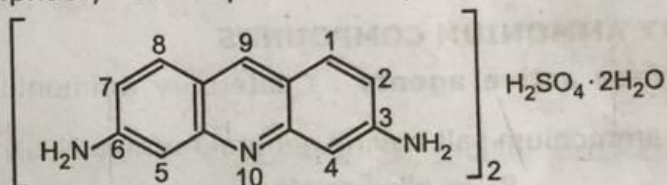
Recently the most effective antibacterial agents are introduced which are 1, 4-dihydro-quinoline derivatives. e.g. norfloxacin, ciprofloxacin, perfloxacin etc.

1. ACRIDINE DYES

Paul Ehrlich introduced acridine dyes as medicinal agents for the treatment of trypanosomiasis. In 1913, Browning described the antibacterial properties of these dyes which led to their clinical use.

PROFLAVINE

Proflavin hemisulphate, neutral proflavin sulphate.

**Chemical name :**

Acridine - 3, 6 - diamine sulphate dehydrate.

Chemistry :

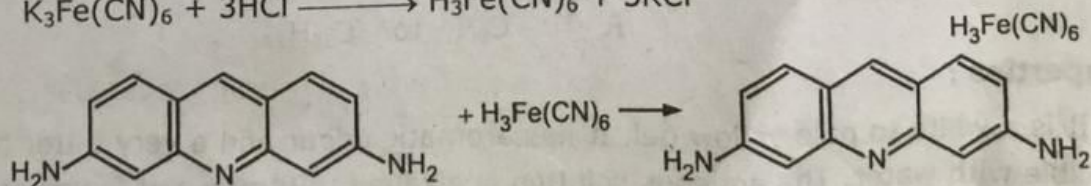
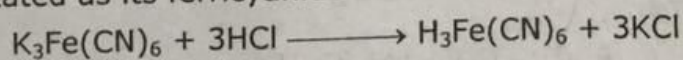
It is an acridine derivative. The acridine cation is largely responsible for antibacterial activity. The presence of two amino groups, at positions 3 and 6, brings about the resonance stabilisation of the cation which increases the base strength. This leads to the higher conc. of cation at physiological pH (7.3), thus providing increased bacteriostatic activity.

Physical properties :

It occurs as orange to red crystalline hygroscopic powder. It is odourless. It is sparingly soluble in water but very soluble in hot water. It is practically insoluble in chloroform and in ether.

Chemical properties :

When it is treated with potassium ferricyanide in slightly acidic medium, it is precipitated as its ferricyanide salt.



This reaction is used in its assay.

Stability and storage :

It is hygroscopic and affected by light, hence it is stored in tightly-closed light-resistant containers.

Uses :

1. It is slow acting antiseptic. It is effective against many gram positive and gram negative bacteria.
2. It is used
 - (a) in the treatment of infected wounds.
 - (b) for dressing of wounds and burns.
 - (c) for treatment of local infections of external ear, mouth, throat and skin.

Pharmaceutical formulations :

1. Proflavin cream.

2. QUATERNARY AMMONIUM COMPOUNDS

Cationic surface active agents : Quaternary ammonium compounds are the derivatives of an ammonium salt having general formula NR_4^+X^- :

where, R = alkyl or other organic radical
 X = acid radicals like Cl^- , Br^- , OH^- etc.

They have characters of strong electrolytes. Some of them are used as antimicrobial agents. e.g. benzalkonium chloride, cetrimide. Both these compounds in aqueous solution gives large sized cations, due to which they exhibit surfactant property. Hence, they are called as cationic surface-active agents. Their detergent property is helpful for cleaning dirty wounds. The cations bring about protein denaturation and ruptures the bacterial cell membrane. Hence, they have bactericidal property.

This group of compounds have the advantage of being colourless, odourless, stable and relatively non-toxic. They are usually active against many gram positive and gram negative bacteria. Many fungi and viruses are also affected by them.

BENZALKONIUM CHLORIDE**Chemistry :**

It is a mixture of alkyl benzyl dimethyl ammonium chloride. In this, the alkyl group has 8 to 18 carbon atoms.

i.e. $\text{R} = -\text{C}_8\text{H}_{15}$ to $-\text{C}_{18}\text{H}_{37}$

Properties :

It is a white to pale yellow gel. It has aromatic odour and a very bitter taste. It is miscible with water. The aqueous solution is alkaline to litmus and foams strongly on shaking.

3

Stability and storage :

It is decomposed by alkali hydroxides and is affected by light. Hence, it is stored in tightly-closed light-resistant containers.

Uses :

1. It is an antiseptic and detergent and hence it is used
 - (a) for cleansing intact skin.
 - (b) for irrigation of bladder, urethra and vagina.
 - (c) to get relief from painful infections of mouth and the throat.
2. It is also used as preservative in eye-drops.

Pharmaceutical formulations :

1. Benzalkonium chloride solution.
2. Benzalkonium chloride lozenges.

CETRIMIDE**Chemistry :**

It is cetyl trimethyl ammonium bromide. In smaller proportions, it contains dodecyl and hexadecyl trimethyl ammonium bromide.

Properties :

It is a white or creamy white free flowing powder with faint and characteristic odour. It is freely soluble in water, soluble in alcohol, practically insoluble in ether.

Storage :

It is stored in well-closed containers.

Uses :

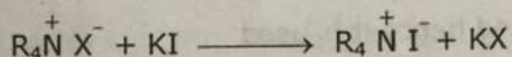
1. It is antiseptic and detergent and hence it is used :
 - (a) to treat burns and wounds.
 - (b) to remove scabs.
2. It is also used
 - (a) to disinfect utensils, vessels etc.
 - (b) as a preservative,
 - (c) as an emulsifying agent (gives o/w creams).

Pharmaceutical formulations :

- (i) Cetrimide cream
- (ii) Cetrimide emulsifying ointment
- (iii) Cetrimide emulsifying wax
- (iv) Cetrimide solutions.

Chemical properties of quaternary ammonium compounds :

When cetrimide or benzalkonium chloride is treated with potassium iodide in acidic medium, quaternary ammonium iodides are formed which are soluble in chloroform.



This reaction is used for their assays.

3. PHENOLS**(a) Liquefied phenol :****Ingredients :**

- (i) Phenol ... 800 g.
- (ii) Purified water sufficient to produce 1000 g.

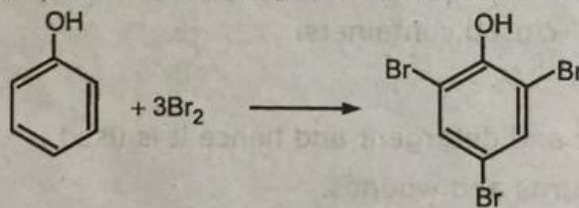
Liquefied phenol is prepared by melting phenol on hot water bath. Then sufficient quantity of water is added to produce required weight and mixed.

Properties :

It is colourless liquid which may turn pinkish blue on keeping. It has characteristic, somewhat aromatic odour. It is caustic. It gives clear solution when 15 parts of water is added to 1 part of it. It is miscible in glycerin.

Chemical Properties :

When it is treated with bromine water, it is converted to tribromophenol.



This property is used for its assay.

Storage :

It is stored in tightly-closed light-resistant containers. The crystals may get deposited if stored at a temperature below 4°C. In such cases, it should be completely melted before use.

Uses :

It is bactericidal and germicidal. It is used

- (a) for dressing of small wounds.
- (b) to get relief from itching (due to its anaesthetic property).

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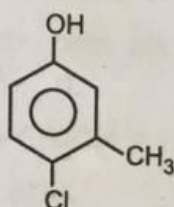
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- (c) as an analgesic in dentistry.
- (d) as antiseptic and analgesic in mouth ulcers and tonsillitis.
- (e) in the treatment of boils in ears.

(b) Chlorocresol :



Chemistry :

It is a substituted phenol in which methyl group and chloro group are attached at C₃ and C₄ respectively. Introduction of -CH₃ group at 3 position and chloro group at 4 position increases antibacterial activity. But the disadvantage is that its water solubility is reduced.

Physical properties :

It occurs as colourless or faintly coloured crystals having characteristic odour. It is slightly soluble in water, soluble in hot water. It is readily soluble in alcohol and ether. It is steam volatile.

Stability and storage :

It is oxidised by air or oxygen and hence it is stored in tightly closed containers.

Uses :

- (i) It is a powerful bactericide and fungicide.
- (ii) It is used as preservative in creams and pharmaceutical preparations for external use.

Pharmaceutical preparations :

1. Chlorocresol solution.

(c) Chloroxlenol :

It differs from chlorocresol in having an additional methyl group at C₅.

Properties :

It occurs as a white crystalline powder with characteristic odour. It is practically insoluble in water but soluble in alkali hydroxide solution, in fixed oil and in alcohol. It is volatile in steam.

Stability and storage :

It is affected by light and hence it is stored in well-closed light resistant containers.

Uses :

- (i) It is a relatively non-irritant antiseptic, for cuts, wounds and abrasions.
- (ii) It is also used as disinfectant.

Pharmaceutical preparations :

1. Chloroxylonol solution.

Brand name : Fairgenol

4. FORMALDEHYDE SOLUTION

Syn. : Formalin, Formol

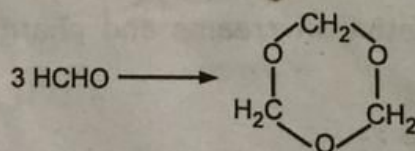
It is an aqueous solution containing 36% w/w (34 to 38%) of formaldehyde HCHO. Methyl alcohol is added to it to delay its polymerisation to solid paraformaldehyde.

Properties :

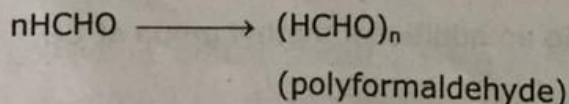
It is a colourless liquid with characteristic irritating odour and a burning taste. It is miscible with water and with alcohol.

Chemical properties :**1. Polymerisation :**

- (i) When formaldehyde solution is treated with 2% sulphuric acid and the vapours are condensed quickly, a trimer, trioxane or trioxymethylene is formed.



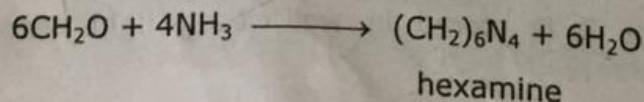
- (ii) When formaldehyde solution is evaporated, a white, friable mass of polyformaldehyde is obtained.



To delay this polymerisation, methyl alcohol is added.

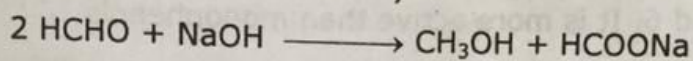
2. Reaction with ammonia :

When a formaldehyde solution is treated with ammonia solution, it is converted to hexamine (methenamine or urotropine).

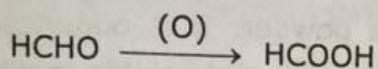


Hexamine, in acidic medium, undergoes decomposition to give formaldehyde. Therefore, hexamine is used as urinary antiseptic when given in combination with acidifying agents like ammonium chloride. Formalin solution cannot be used orally as urinary antiseptic, as it irritates the mucous membranes and precipitates protein.

3. When it comes in contact with conc. alkali, it is converted to methyl alcohol and a formate (Cannizzaro's reaction).



4. It is readily oxidised to formic acid.



Thus, it acts as a reducing agent. It reduces Fehling's solution and Tollen's reagent.

Stability and storage :

It oxidises readily in air or oxygen. It undergoes polymerisation on storage and the process is accelerated in a cool place. Hence, it is stored in tightly-closed containers, in a moderately warm place. Contact with rubber, plastic or polythene is avoided.

Uses :

1. It is a powerful antibacterial agent. Hence, it is used
 - (a) in treatment of chronic skin diseases.
 - (b) as an antiseptic (in the form of mouthwashes and gargles).
 - (c) to disinfect rooms, instruments, clothing, hands or site of operation, and the membrane used for renal dialysis.
2. As it is protein precipitant, it is used as a skin hardener and to prevent excessive perspiration.
3. It is also used as preservative for pathological specimens.
4. Hexamine (formaldehyde + ammonia) is used as urinary antiseptic in conjunction with acidifying agents.

Pharmaceutical preparation :

- (i) Formaldehyde lotion
- (ii) Formaldehyde gel.

Polymer of formaldehyde, paraformaldehyde, preparation is available in the form of lozenges.

Formalin is also an ingredient of toothpaste.

Brand name : Kanpip.

5. HEXACHLOROPHENE OR HEXACHLOROPHANE**Chemistry :**

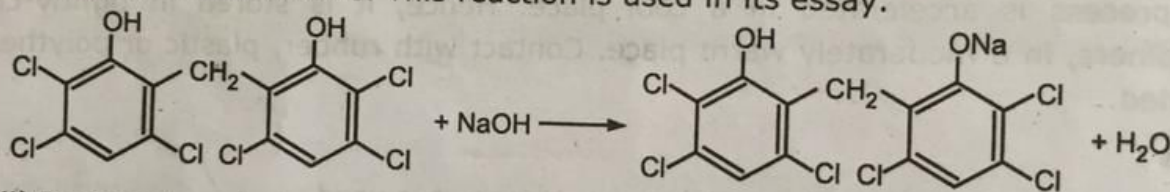
It is a biphenolic compound. Two phenol rings are bridged through a methylene group ($-CH_2-$), through C_2 of each. It contains 6 chlorine atoms attached to 3, 3', 5, 5', 6 and 6' carbon atoms. Due to higher chlorine content and less water solubility, it is used as an antiseptic in low concentration and its activity is prolonged. It is active between pH 5 and 6. It is more active than monophenols.

Properties :

It occurs as a white or pale buff crystalline powder. It is odourless or having slight phenolic odour. It is practically insoluble in water and soluble in dilute solution of alkali hydroxides.

Chemical properties :

- (i) When it is treated with sodium hydroxide at pH 9, it is converted to monosodio derivative. This reaction is used in its assay.



- (ii) It gives transient purple colour with ferric chloride.

Storage :

It is affected by light and hence it is stored in tightly-closed, light-resistant containers.

Uses :

It has antibacterial property, hence it is used

- to reduce bacterial flora on skin (in the form of soaps).
- to reduce bacterial infections on umbilical stump (in dusting powder).
- to disinfect hands of surgeon.

Pharmaceutical formulation :

- Concentrated hexachlorophane solution.
- Hexachlorophene dusting powder.
- Hexachlorophene drench (mixture).
- Hexachlorophene cleansing emulsion.
- Hexachlorophene liquid soap.

Brand names : Sterzac, Zalpon.

6. NITROFURANTOIN

It contains furan and imidazolidine-2, 4-dione heterocycles. Nitro and azomethine ($-\text{CH} = \text{N}$) groups present in compound are essential for antibacterial activity.

Physical properties :

It occurs as yellow crystals or crystalline powder. It is odourless and has bitter taste. It is very slightly soluble in water.

Storage :

It is affected by light and hence it is stored in tightly-closed light-resistant containers, at a temperature not exceeding 25°C .

Uses :

- (i) It is broad spectrum antibacterial agent.
- (ii) It is used in the treatment of urinary tract infections.

Pharmaceutical formulations :

- (i) Nitrofurantoin suspension
- (ii) Nitrofurantoin capsules
- (iii) Nitrofurantoin tablets.

Brand names : Furadantin, urantoin, NFT.

QUESTIONS

1. How were antiseptics and disinfectants introduced in therapy ? [2]
2. Define and classify antiseptics and disinfectants giving suitable examples. [4]
3. Write structure and describe chemistry, properties, uses of proflavine or chlorocresol. [4]
4. Write a short note on quaternary ammonium compounds (or cationic surface active agents) as antiseptic and disinfectant. [4]
5. Give physical and chemical properties and mention pharmaceutical preparations of the following : [2 marks each]
 - (i) Cetrimide
 - (ii) Formaldehyde
 - (iii) Proflavine.
6. Give pharmaceutical preparations and uses of the following : [2 marks each]
 - (i) Proflavine
 - (ii) Benzalkonium chloride
 - (iii) Chloroxylenol
 - (iv) Nitrofurantoin
 - (v) Hexachlorophene