

# UNIT - III (A) GASTROINTESTINAL AGENT

## POINTS TO BE COVERED IN THIS TOPIC

INTRODUCTION

ACIDIFIERS

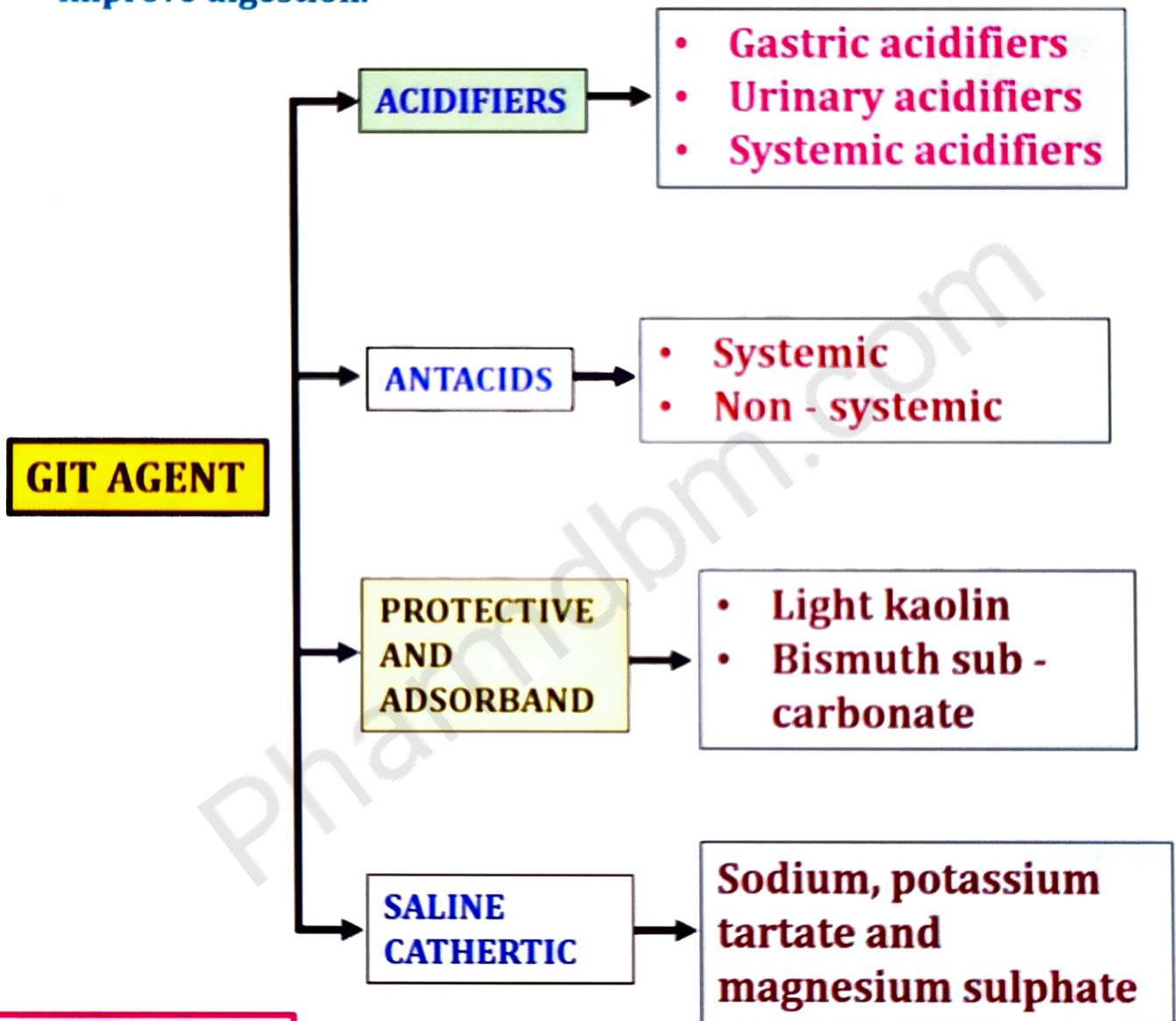
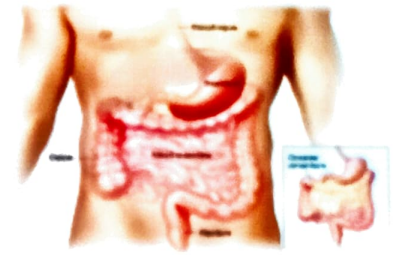
ANTACID

CATHARTICS

ANTIMICROBIALS

# INTRODUCTION

- **Gastrointestinal agents** are the drugs which are used for their effects on the **gastrointestinal system**, as to control **gastric acidity**, **regulate gastrointestinal motility** and **water flow**, and **improve digestion**.



## ACIDIFIERS

- Drugs uses to **increase the acidity** are known as **Gastric acidifiers** or **acidifying agents**.
- Some drugs are used to increase the **Gastric HCl** or some drugs to increase the **metabolic acidosis**.
- It is broadly classified into **four categories**.

## ❖ GASTRIC ACIDIFIERS

- These are the **drugs** which are **used to increase** the **acidity** of the **stomach in patients** suffering from **Achlorhydria or Hypochlorhydria** (absence or insufficient quantity of HCl acid in the gastric secretion).



## ❖ URINARY ACIDIFIERS

- These are the drugs which are used to **remove acidic urine** from the body or to **maintain the pH** of the **urine**.
- These acidifiers are widely used to **cure some types** of **urinary tract infections**.

## ❖ SYSTEMIC ACIDIFIERS

- These are the drugs which are able to **neutralise the alkaline** body fluids, especially **blood or to maintain** the **pH** of all **parts of the body**.
- It is used to treat **patients suffering** from **systemic alkalosis**.

## ❖ ACID

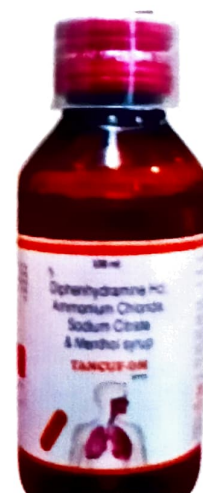
- These are used as **pharmaceutical aids** in the preparation of medicaments.

## ➤ AMMONIUM CHLORIDE

- Molecular formula – **NH<sub>4</sub>Cl**

## ❖ PROPERTIES

- **Mild acidity** is a property of **ammonium chloride** solutions.
- It is **odourless and tastes** like cooling saline.
- It has an appearance of **white solid**.
- It is **hygroscopic**.
- Solubility – **easily soluble** in water, glycerol, but not fully soluble in ethanol.

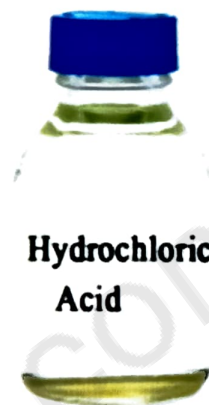


## ❖ USES

- When used in **cough medicine**, **ammonium chloride** serves as an **expectorant**.
- Ammonium chloride's expectorant effect is caused by its **irritative properties**. There is an increase in **excess respiratory tract fluid** when bacteria are present on the **bronchial mucosa, facilitating coughing**.
- It is known that **ammonium salts** irritate the **stomach mucosa, causing nausea and vomiting**.

## ➤ HYDROCHLORIC ACID

- Molecular formula – **HCl**
- Synonym – **spirit of salt, muriatic acid**



## ❖ PREPARATION

- It can be prepared by the action of **conc. Sulphuric acid** on **sodium chloride** and passing the liberated **hydrogen chloride** through water.



## ❖ PROPERTIES

- It is **nearly colourless** clear and **fuming liquid**.
- It possesses **pungent odour**.
- It is soluble with **water and alcohol**.
- It is a **strong acid** and **attacks metals**, forming the hydrochlorides with the **evolution of gas**.

## ✓ Chemical properties

- It reacts with **sodium metal** which results in the formation of **sodium chloride and liberates hydrogen gas**.



- It is oxidized by **strong oxidizing agents** liberating chlorine gas.



## ❖ STORAGE

- It is stored in **well closed container** of glass or other inert material at a temperature **not exceeding 30 °c**.

## ❖ USES

- It is used as a **pharmaceutical aid**.
- It is also employed as an **acidifying agent** or **acidifier**.
- It is also to inactivate the **proteolytic enzyme**, **pepsin**. Certain antacids like **sodium bicarbonate** which are water soluble may raise its **pH above 7**.
- The continual **hyperacidity** may lead to **peptic or duodenal ulcer**.

## **ANTACID**

- These are the agents that **decrease gastric acidity** by **neutralizing HCL**.
- Many used in the condition called **hyperchlorhydria** they give relief of **pain due Hyperchlorhydria (HCH)**.
- **Effectiveness of antacids** is measured in **neutralizing capacity**.



## ❖ ANTACIDS MAY BE CLASSIFIED AS

1. **Systemic (absorbable) antacids:** These are **soluble, readily absorbable** and capable of **producing systemic** electrolytic alterations and alkalosis. Example: **Sodium Bicarbonate**.
2. **Non-systemic (Non-absorbable) antacids:** These are **not absorbed** to a significant extent and thus do not exert an appreciable **systemic effects** e.g. **Aluminium salts, Magnesium salts and Calcium Carbonate**.

## ❖ PROPERTIES

- It should have a **fine particle size**.
- It should not act as a **laxative**.
- It should have **rapid effects**.
- It should not also cause any **systemic alkalosis**.
- There should be a **long-term impact**.
- **Non - toxic**

## ❖ TYPES OF ANTACIDS

Aluminum containing antacids	Calcium containing antacids	Magnesium containing antacids
E.g. - Aluminum hydroxide Aluminum phosphate, Dihydroxyaluminum, Aminoacetate dihydroxyaluminum Sodium carbonate.	E.g. - Calcium Carbonate and Tribasic calcium phosphate	E.g. - Magnesium carbonate Magnesium citrate Magnesium hydroxide Magnesium oxide Magnesium phosphate Magnesium trisilicate

## ➤ SODIUM BICARBONATE

- Molecular formula -  $\text{NaHCO}_3$

## ❖ SYNONYMS

- Sodium Hydrogen Carbonate, Baking Soda, Mitha Soda.

## ❖ PREPARATIONS

1. On **small scale**, it is prepared by **passing CO<sub>2</sub> gas** through a solution of **sodium hydroxide**.



### 2. Solvay Process (Ammonia Soda Process)

- Strong solution of **Sodium Chloride** containing a **high concentration of ammonia** is passed through a **carbonating tower** where it is saturated with **CO<sub>2</sub> gas under pressure**.



- **Ammonia and Carbon Dioxide** react to form **Ammonium Bicarbonate** which further undergoes decomposition to form **Sodium Bicarbonate**.



## ❖ PHYSICAL PROPERTIES

1. It occurs as **white crystalline** or **amorphous powder**.
2. It is having a **saline taste**.
3. Its solution is **alkaline in nature**.
4. Its **freely soluble** with water but **practically insoluble in alcohol**.

## ❖ USES

- It is mainly used as an **Electrolyte Replenisher**.
- It is mainly used for its **Acid Neutralizing properties**.
- It is used as **local applicant for burns , insects bites etc.**

## ➤ ALUMINIUM HYDROXIDE

- Chemical formula - **Al(OH)**
- Molecular Weight - **77.99**

## ❖ SYNONYM

- **Aluminium Hydroxide Powder, Aluminium Hydrated Powder**
- It can be recognized into two ways:-
  - (a) **Aluminium Hydroxide Gel**
  - (b) **Dried Aluminium Hydroxide Gel**

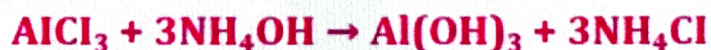


## 1. ALUMINIUM HYDROXIDE GEL

- It is a white viscous suspension of **Hydrated Aluminium Oxide** with varying amounts of **basic Aluminium Carbonate**.
- It gets separated into the **clear liquid** on standing for sometime.
- It exhibits suitable **flavouring and antimicrobial agent**.
- It has a **pH between 5.5 and 8.0**.

## ✓ PREPARATION

- When an **Aluminium Salt** such as **Aluminium Chloride** is treated with Ammonia or **Sodium Carbonate**, a white gelatinous precipitate of aluminium hydroxide is obtained .



- After complete **removal of CO<sub>2</sub>** the precipitate **Aluminium Hydroxide** is filtered, washed throughly with **hot water** untill it become free from **sulphates ions**.
- The precipitate may be suspended in the **purified water** to strengthen **Aluminium hydroxide gel**.

## 2. DRIED ALUMINIUM HYDROXIDE GEL

### ✓ **Synonym**

- **Aluminium Hydroxide powder.**

### ✓ **Properties**

- It is not a **typical gel** but is a **white, odorless, tasteless, amorphous powder**.
- It is **insoluble in water** and **alcohol** but soluble in **dilute mineral acids** & solution of fixed **Alkali Hydroxides**. It forms gel on **prolonged contact with water**.
- It absorbs **certain acids and CO<sub>2</sub>**
- It has a pH between **5.5-8.0**
- One major drawback of gel is that of a **loss of antacid** properties on aging.

### ✓ **Storage**

- It should not be **stored at a temperature** not **exceeding 25°C** and should be stored in **air tight containers**.

## ➤ MAGNESIUM HYDROXIDE

- Synonyms - **Milk of magnesia**
- Molecular formula – **Mg(OH)<sub>2</sub>**
- Molecular weight – **58.31**



## ❖ PREPARATION

- It is prepared by **combining a solution** of many magnesium salts with basic water induces **precipitation of solid Mg(OH)<sub>2</sub>**



- on a commercial scale, **Mg(OH)<sub>2</sub>** is prepared by treating seawater with **lime Ca(OH)<sub>2</sub>**





## ❖ PROPERTIES

- It occurs as **white, odourless powder**.
- It is soluble in **dilute acids** and practically **insoluble in ethanol** and **water**.

## ❖ USES

- It is used as a **laxative to relieve constipation**.
- It is also used to **treat gastrointestinal ailments** such as **heartburn**, **general upset stomach**, or **feelings of indigestion**.

## **CATHARTICS**

- **Carthatics** may be defined as those drugs which are used to bring about **defecation** i.e. **emptying of the stomach**

## ❖ CATHARTICS ARE USED AS

- To ease defecation in patients with painful hemorrhoids or other rectal disorders and to avoid
- Excessive straining and concurrent increase in abdominal pressure in patients with hernias
- To avoid potentially hazardous rise in B.P. during defecation in patients with hypertension.
- To relieve acute constipation.
- To remove solid material from intestinal tract prior to certain roentgenographic studies.

## ✓ **Classification of laxative**

<b>Bulk forming</b>	<b>Stool softener</b>	<b>Stimulant purgatives</b>	<b>Osmotic purgatives</b>
High fiber, Absorbs water to increase bulk, Distends bowel to initiate reflex bowel activity	Stool softeners and lubricants, Promote more water and fat in the stools, and Lubricate the fecal material and intestinal wall.	Increases peristalsis via intestinal nerve stimulation.	They absorb water by osmosis. Increases water content in the bowel by osmosis. Little absorbed. Distend large intestine & causes evacuation.

Examples: Psyllium (Metamucil), Methylcellulose (Citrucel)	Example: Docusates, Liquid paraffin	Example: Senna, Sodium picosulfate, Castor oil	Magnesium salts: sulfate, hydroxide; Sodium salts: sulfate, phosphate
--	---	---	--

## ➤ MAGNESIUM SULPHATE

- Molecular formula – **MgSO<sub>4</sub>.7H<sub>2</sub>O**
- Molecular weight – **246.5**
- Synonyms – **Epsom salt**



## ❖ PREPARATION

- It is obtained by the action of **dilute Sulphuric Acid** on **Magnesium Carbonate or Magnesium Oxide**.
- The solution obtained is **filtered off** and then evaporated to **crystallization**.



## ❖ PROPERTIES

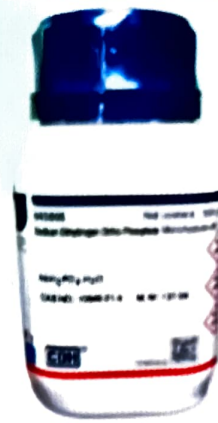
- It occurs as **odourless, brilliant, colourless crystals** or white **crystalline powder**.
- It is having a **bitter saline** and **cooling taste**.
- It **efflorescenes** in **warm dry air**.
- It is soluble in **water and sparingly soluble** in alcohol.

## ❖ USES

- It acts as a **saline laxative**.
- It is also used in the treatment of **magnesium deficiency**, **cholecystitis** (inflammation of gall bladder), **hypertension** and **boils**.

## ➤ SODIUM ORTHOPHOSPHATE

- Molecular formula –  $\text{Na}_2\text{HPO}_4 \cdot 12\text{H}_2\text{O}$
- Molecular weight – **358.14**

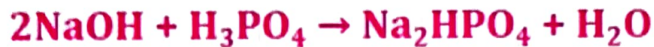


## ❖ SYNONYM

- **Disodium hydrogen phosphate.**

## ❖ PREPARATION

- It is prepared by reaction of **phosphoric acid** with **sodium hydroxide**.



## ❖ PROPERTIES

- It is **odourless, colourless, crystalline powder**.
- It has **saline acidic taste**.
- It is **freely soluble in water** and practically **insoluble in alcohol**.

## ❖ USES

- It is used as **saline cathartics**
- Used as buffer in **pharmaceutical preparations**.
- Used as an **anti-caking additives** in powders.

## ➤ KAOLIN

- Molecular formula –  $\text{Al}_2\text{O}_3 \cdot 2\text{SiO}_2 \cdot \text{H}_2\text{O}$
- Molecular weight - **258**

## ❖ SYNONYMS

- **China clay** or hydrated **Aluminium silicate**

## ❖ PREPARATION

- Widely **distributed in nature**.
- Pharmaceutically useful kaolin is purified by **treatment with HCl** or  **$\text{H}_2\text{SO}_4$**  or both then **wash with water**.

## ❖ PROPERTIES

- It is **white** sometimes red, blue, brown because of **impurities**.
- Odour and taste is **earthy**.
- It has soft texture free from **grilly particles**.



## ❖ USE

- Used in **treatment of diarrhea** .
- Used as **dusting powder**.
- Used **tablet diluent** [ for bulk formation]
- Light **Kaolin** is used as **food additive**.

## ➤ BENTONITE

### ❖ SYNONYM

- **Soap clay**

### ❖ PREPARATION

- **Bentonite** is excreted from solid even **moisture content** of **about 30%**.
- The obtained material is **initially crush** and activated with addition of **soda as bentonite**.
- The so formed is then **dried seemed** milled by **removing the minerals**.
- It is **purified and treated** with acid to **produce acid** activated bentonite on treated with organic to **produce organic clay**.

### ❖ PROPERTIES

- It is **white pale buff** or **cream colour fine powder**.
- **Odourless , insoluble in water**.
- Also insoluble in **inorganic solvents**.

### ❖ STORGAE

- It is commonly use for **intestinal detoxification** and **cleansing**.
- Used for treatment for both **constipation and diarrhoea**.
- It can **attract & absorb toxine** of impurity.
- Used as pharmaceutical aid of **suspending agents**.
- Used as **antidotes** having heavy **metal poisoning**.



# ANTIMICROBIAL AGENT

- **Antimicrobials** are the **chemical agents** used to destroy or inhibit the growth of **pathogenic micro-organisms**. such as **bacteria, fungi, protozoa**.
- They are **normally ineffective** from the **sporing state** of micro-organisms.
- They include **antiseptic, disinfectants, bacteriostatic, germicidal, sanitizer, sterilization**.

## ➤ CLASSIFICATION

### ❖ ANTISEPTIC

- It is a substance that **prevents the growth** of action of **microorganism**.
- It applied on skin. eg- **Phenol, iodine Disinfectants**

### ❖ DISINFECTANTS

- These are the **drugs or substances** used either to **kill bacteria** or **prevent their growth**.
- It is used on **non - living objects**. e.g. disinfection of **surgical instrument, sputum etc.**

### ❖ GERMICIDES

- These are the **substance or agents** which **kill microorganism**.
- They act by **oxidation of bacterial protoplasm**, by **denaturation of bacterial enzymes & proteins**. é g - **Fungicide (against fungi), Virucide (against virus) etc.**

### ❖ BACTERIOSTATIC

- These are the substances which **primarily function** by **inhibiting the growth of bacteria**.

### ❖ SANITIZERS

- It is the process of **rendering sanitary** by reducing the number of **bacterial contaminants**.

## ❖ STERILIZATION

- It is the **complete destruction** of all **living micro organisms**.
- It can be **achieved** by physical methods **radiation physical methods** (application of heat or radiation ) or by **chemical means** (use of chemical disinfectants)

## ➤ MECHANISM OF ACTION

- Ideally, an **antibacterial** is expected to affect only **microbes sporing** the host cells and many **organic compounds**. eg- antibiotics.
- However, the action of **inorganic antibacterials** is mostly non-specific.
- These agents affect all **proteins in similar manner** and in **higher concentrations** affect host protein as well as **microbial protein**.
- They act mainly by **oxidation or halogenation** or **protein precipitation** and alter the **molecular shape** (confirmation) of the proteins or **important enzymes surfaces**.
- Which leads to the hindrance is **regular biochemical mechanisms** and finally lead to the **destruction of the protein**.

## ❖ OXIDATION

- **Reducing groups** present in the most proteins get **oxidized by oxidizing agent**
- e.g. **Sulphydryl group**, -SH form **disulphide bridge**, -s-s-,)
- Thus, alter the **molecular shape** of the protein, ultimately leading **destruction of the protein**.
- Generally, **non-metals**, certain anion, **hydrogen peroxide** act set by this mechanism.

## ❖ HALOGENATION

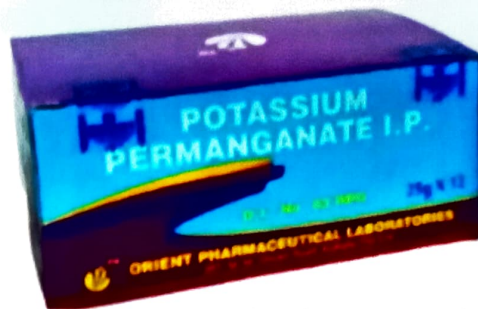
- **Primary and secondary** amide groups present in **protein at peptide** linkage undergo chlorination with change in **molecular shape** and ultimately **destruction of the protein**.

## ❖ PROTEIN PRECIPITATION

- The **compound (metal ion)** **interact** with- protein (ligand).
- The complex form leads to **inactivation of protein**. eg- Boric acid, borax.

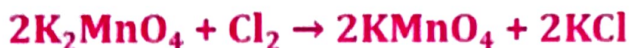
## ➤ POTASSIUM PERMANGANATE

- Molecular formula –  $\text{KMnO}_4$
- Molecular weight – 158.03



## ❖ PREPARATION

- It can be prepared from **potassium manganate** which is oxidized by **chloride or under acid condition**.



## ❖ PROPERTIES

- It occurred as **dark purple colour**.
- It is **odourless** with **sweetish astringents alkaline taste**.
- It is **soluble in water**.

## ❖ USES

- Used for treatment of **various skin condition** like **superficial wound, topical ulcer**.
- Used as **antiseptic, mouthwashes**.
- **Used as antidote** in the case of **barbiturate and alkaloid poisoning**.

## ➤ BORIC ACID

- Molecular formula –  $\text{H}_3\text{BO}_3$
- Molecular weight – 61.83



## ❖ PREPARATION

- It is prepared by **reacting borax with minerals acid. ( $\text{H}_2\text{SO}_4$  and  $\text{HCl}$ )**



↓



## ❖ PROPERTIES

- It is white **crystalline solid**.
- **Odourless**, having **sweet taste**.
- Soluble in **water and alcohol**.
- Freely **soluble in glycerine**

## ❖ USED

- Used as **antiseptic** for minor cuts or burns.
- Also used **4 astringents**. as **bacteriostatic**, **insecticides** and **astringent**.
- Also useful in **primary buffer solution**.

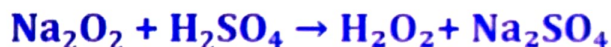
## ➤ HYDROGEN PEROXIDE

- Molecular formula - [H<sub>2</sub>O<sub>2</sub>]
- Molecular weight - 34



## ❖ PREPARATION

- H<sub>2</sub>O<sub>2</sub> is formed by the reaction of **sodium peroxide** with cold **dil. sulphuric acid**.



## ❖ PROPERTIES

- It is clear **colourless liquid**.
- Odourless having **bitter acidic taste**.
- It is **miscible with water**.

## ❖ USED

- Used as **antiseptic**, **germicidal** and **disinfectants**.
- Used for **bleaching the hair**.
- Also used for **tooth whitening**.
- It is used as an antidote in **phosphorous and cyanide poisoning**.

## ➤ CHLORINATED LIME

- Molecular formula – Ca(ClO)<sub>2</sub>
- Molecular weight – **142.98**

## ❖ PREPARATION

- It is prepared by **treating slaked lime** with **chlorine gas** at about 25°C.





## ❖ PROPERTIES

- It is **white or grey powder**
- It has strong characteristics **odour of chlorine**
- It is partially soluble in **water & alcohol**.

## ❖ USES

- It has **bactericidal action**.
- It is used to **disinfect faeces, urine** and other **organic materials**.
- It is used as a **cleansing agent** for **effluents, toilets, drains**
- It is a **powerful bleaching agent** and is used to decolorise most dyes.

## ➤ IODINE

- Molecular formula –  $I_2$
- Molecular weight – 253.8

## ❖ PREPARATION

- In laboratory, **iodine** can be prepared by heating **potassium iodide** or **sodium iodide** with dil.  $H_2SO_4$  or with  $MnO_2$



## ❖ PROPERTIES

- It occurs as **greyish-violet or bluish-black**.
- It is having **irritant / odour** and **bitterly pungent taste**.
- **Volatile in nature**.
- It is **insoluble in water**, soluble in **alcohol**.

## ❖ USES

- Used as **disinfectants**.
- Used in **iodine deficiency**.
- Iodine ointments are applied as **counter-irritant**.

## ❖ PREPARATION OF IODINE SOLUTION

### ✓ **Aqueous**

- Also Known as **Lugol's**
- Does not contain any **alcohol**.
- It contains **5.0% w/v of iodine** and **10% w/v of potassium iodide**.  
**50g I<sub>2</sub> + 100 g KI**
- Dissolve in distilled water in **100ml** water with **titrating or shaking**.

### ✓ **Weak**

- Also known as **iodine tincture**.
- It contains **2% I<sub>2</sub>** and **2.5% KI**
- **(20g I<sub>2</sub> + 25g KI)** dissolve in **sufficient quantity of alcohol** and volume makeup to 100 ml.

### ✓ **Strong**

- **10% I<sub>2</sub>** and **6% KI**
- **(100mg I<sub>2</sub> + 60 KI g)** dissolved in alcohol.

### ✓ **Tincture of iodine**

- **2% I<sub>2</sub>** and **2.4 % KI** in much diluted **alcoholic solution**.

### ✓ **Povidone**

- It contains **not less than 9 %** and **more than 12 % of I<sub>2</sub>**
- It is **complex of I<sub>2</sub>** with **polymer povidone**.