ANTACIDS

Antacids (anti - against; acidus - acid) are weak alkaline compounds used to neutralize hydrochloric acid in the stomach. Antacids are the substances which reduce gastric acidity resulting in an increase in the pH of stomach and duodenum. Gastric acidity occurs due to excessive secretion of HCl in stomach due to various reasons.

When hyperacidity occurs the result can range from:

i. Gastritis (a general inflammation of gastric mucosa)
ii. Peptic ulcer or oesophageal ulcer (lower end of oesophagus)
iii. Gastric ulcer (stomach)
iv. Duodenum ulcer

Classification of antacids

Antacids are mainly classified into two categories:-

(i) Systemic (absorbable) antacids.

(ii) Non-systemic (non-absorbable) antacids.

(i)Systemic antacids:

These types of antacids are soluble and absorbable and produce systemic alkalosis. It shows the most rapid onset of action and provides faster relief of symptoms. However they may cause an "acid rebound". It is inappropriate for patients affected with hypertension or kidney failure. e.g. Sodium bicarbonate.

Rebound acidity, also known as **acid rebound**, refers to the phenomenon where there is an overproduction of stomach acid following the discontinuation of acid-suppressing medications. This is most commonly associated with proton pump inhibitors (PPIs) and H_2 receptor blockers, which are medications frequently prescribed for conditions like gastroesophageal reflux disease (GERD), peptic ulcers, and gastritis. This increased acid production can lead to a temporary worsening of symptoms, often causing the individual to experience more severe heartburn or indigestion than before starting the medication.

(ii)Non-systemic antacids:

These type of antacids are not absorbed into systemic circulation and does not produce systemic alkalosis. These antacids though less prone to cause a rebound effect. These antacids are more potent and effective in a semi liquid or liquid form than in a capsule or tablet. The usually high presence of aluminium and magnesium hydroxides in non-absorbable antacids can be effectively used to prevent significant stress ulcerbleeding in post-operative patients or those with severe burns.

These are further divided into following subcategories:

(a)Aluminium containing antacids:

e.g. Aluminium hydroxide, aluminium phosphate, basic aluminium carbonate(gel).

(b)Calcium containing antacids:

e.g. Calcium carbonate, tribasic calcium phosphate.

(c)Magnesium containing antacids:

e.g., magnesium trisilicate, Magnesium carbonate, magnesium oxide, magnesium hydroxide, magnesium phosphate.

(d) Combination antacid preparation:

e.g. Aluminium hydroxide gel and magnesium hydroxide, aluminium hydroxide gel and magnesium trislicate, megaldrate, and methicone antacids.

Characteristics of an ideal antacid:

An ideal antacid should satisfy the following criteria:

1. The antacid should be insoluble in water and has fine particle form.
2. The antacid should buffer in the pH range of 4-6.
3. The reaction of the antacid should not cause a large evolution of gas.
4. The antacid should probably inhibit pepsin.
5. It should not have a constipating or Laxative effect.
6. It should not cause systemic alkalosis.
7. A prolonged and effective neutralizing action following an acceptable dose.
8. It should not cause precipitation of phosphate in the gastrointestinal tract and depletion of phosphorus in the body.
9. It should not also interfere with the absorption of food particles or other drugs such as tetracycline from the gut.
10. It should not also delay the absorption of drugs which are weak acids or speed up the absorption of basic drugs. This happens when the pH of the gastric contents is raised.
11. It should be palatable and inexpensive.
12. The antacid should be safe for use including pregnant women, elderly individuals, and those with underlying health conditions.

13. Antacids should be easy for patients to take, whether in tablet, liquid, or chewable form.

14. The antacid should have minimal adverse effects.

15. The antacid should provide quick relief from symptoms such as heartburn and indigestion. Fast-acting formulations can offer immediate relief to the patient.

Sodium Bicarbonate

Molecular Formula: $NaHCO_3$

Molecular Weight: 84.01 g/mol

Synonym: Baking soda, Bread soda, Cooking soda, Bicarbonate of soda

IP Limit: It contains not less than 99.0% and not more than 101.0% of $NaHCO_3$

Preparation:

1. $NaHCO_3$ may be obtained by the reaction of carbon dioxide with an aqueous solution of sodium hydroxide. The initial reaction produces sodium carbonate. Further addition of carbon dioxide produces sodium bicarbonate.

$$\mathbf{CO_2 + 2\ NaOH \rightarrow Na_2CO_3 + H_2O}$$

$$\mathbf{Na_2CO_3 + CO_2 + H_2O \rightarrow 2\ NaHCO_3}$$

2. On an industrial scale it is obtained by Solvay process:

$$\mathbf{CO_2 + H_2O \rightarrow H_2CO_3}$$

$$\mathbf{NH_3 + H_2CO_3 \rightarrow NH_4HCO_3}$$

$$\mathbf{NaCl + NH_4HCO_3 \rightarrow NaHCO_3 + NH_4Cl}$$

Physical properties:

- Appearance: White crystalline power or granules
- Odor: Odourless
- Taste: Saline taste
- Density: 1.1 to 1.3 g/cm^3
- Melting point: Decomposes to sodium carbonate starting at 50^0C
- Freely soluble in water
- It is stable under normal storage conditions but can react with acids and undergo thermal decomposition at elevated temperatures.

Chemical properties:

1. Thermal decomposition: Above 50^0 C, sodium bicarbonate gradually decomposes into sodium carbonate, water and carbon dioxide. The conversion is fast at 200^0C.

$$\mathbf{2\ NaHCO_3 \rightarrow Na_2CO_3 + H_2O + CO_2}$$

2. Sodium bicarbonate reacts with acetic acid, producing sodium acetate, water, and carbon dioxide.

$$NaHCO_3 + CH_3COOH \rightarrow CH_3COONa + H_2O + CO_2$$

3. Sodium bicarbonate reacts with bases such as sodium hydroxide to form carbonates.

$$NaHCO_3 + NaOH \rightarrow Na_2CO_3 + H_2O$$

4. When mercuric chloride solution is added to a solution of sodium bicarbonate a reddish precipitated of mercuric oxide is formed.

$$2\ NaHCO_3 + HgCl_2 \rightarrow 2\ NaCl + H_2O + HgO + 2\ CO_2$$

Assay

Principle

This is an acid-base titration method. This is done by titrating a solution of sodium bicarbonate with a strong acid, such as hydrochloric acid, and measuring the amount of acid required to neutralize the bicarbonate.

$$HCl + NaHCO_3 \rightarrow NaCl + H_2O + CO_2$$

Procedure

1 gm of sodium bicarbonate is weighed accurately and dissolved in 20 ml of water. The resultant mixture is titrated with 1 M hydrochloric acid using methyl red as indicator add the acid slowly with constant stirring until the solution becomes faintly pink.

Uses

1. It is used for the treatment of metabolic acidosis in severe renal disease, uncontrolled diabetes, and circulatory insufficiency due to shock or severe dehydration.

2. It is also used to relieve heartburn, sour stomach, or acid indigestion by neutralizing excess stomach acid.

3. It is used as a disinfectant.

4. It is used in cooking especially to bake food items.

5. Sodium bicarbonate can be used as a preservative for certain foods, helping to maintain color and prevent the breakdown of vitamins.

6. It is used as Systemic antacid and in electrolyte replacement.

7. It is used in the treatment of metabolic acidosis.

8. Sodium bicarbonate can be used as a pH buffer to help maintain the desired pH levels.

Aluminium Hydroxide gel

Molecular Formula: $Al(OH)_3$

Molecular Weight: 78.00 g/mol

Synonym: Hydrated alumina, Ortho Aluminic acid, Aluminic acid

IP Limit: It contains not less than 3.5% and not more than 4.4%w/w of Al_2O_3

Preparation:

For preparing this a hot solution of potash alum is added slowly to a hot solution of sodium carbonate and not vice versa. The precipitate of aluminium hudroxide is washed thoroughly with hot water till it is free from sulphate. The gel is then adjusted to the required volume with distilled water. If sodium carbonate solution is added to potash alum solution, then it is difficult to wash out the sulphate completely. Due to adsorption by aluminium hydroxide, some carbonate may be present.

$$\mathbf{3Na_2CO_3 + 2\ KAl(SO_4)_2 + 3H_2O \rightarrow 3Na_2SO_4 + K_2SO_4 + 2Al(OH)_3 + 3CO_2}$$

Physical properties:

- It is a white, gelatinous substance.
- It is Odorless.
- Aluminum hydroxide is practically insoluble in water.
- It is soluble in acidic and alkaline solutions.
- It is amphoteric in nature.
- Density of Aluminium hydroxide is 2.43 g/cm³.
- Melting point of Aluminium hydroxide is 300^0C.

Chemical properties:

1. When heated, it releases water and transforms into alumina (aluminum oxide).

$$\mathbf{2\ Al(OH)_3 \rightarrow Al_2O_3 + 3H_2O}$$

2. Aluminium hydroxide reacts with hydrochloric acid to form aluminium chloride and water.

$$\mathbf{Al(OH)_3 + 3HCl \rightarrow AlCl_3 + 3H_2O}$$

3. Aluminum hydroxide can undergo neutralization reactions with acidic or basic substances. For example, it reacts with acetic acid and forms Aluminium acetate. In this reaction, aluminum hydroxide acts as a base, neutralizing the acid.

$$\mathbf{2\ Al(OH)_3 + 6\ CH_3COOH \rightarrow 2\ Al(CH_3COO)_3 + 6H_2O}$$

4. It acts as a Lewis acid in bases. It takes away an electron pair from the hydroxide ions. The reaction is as follows:

$$\mathbf{Al(OH)_3 + OH^- \rightarrow Al(OH)_4^-}$$

Uses

- Used as an antacid and protective in treating peptic ulcers.
- It is also used in cases of acute hyperacidity.
- It relieves the symptoms of dyspepsia.
- It acts as antiseptic and astringent.

- Precipitated aluminium hydroxide is included as an adjuvant in some vaccines. Eg. Anthrax vaccine
- Aluminium hydroxide is used as a flame retardant in the production of plastics and rubber.
- Aluminium hydroxide can be used in the treatment of industrial wastewater.

Magnesium hydroxide Mixture

Molecular Formula: $Mg(OH)_2$

Molecular Weight: 58.31 g/mol

Synonym: Milk of magnesia (suspension of magnesium hydroxide), brucite, magnesium dihydroxide

IP Limit: It contains not less than 7.0% and not more than 8.5%w/w of $Mg(OH)_2$

Preparation:

1. Combining a solution of many magnesium salts with basic water induces precipitation of solid Magnesium hydroxide.

$$\mathbf{Mg^{2+} + 2\ OH^- \rightarrow Mg(OH)_2}$$

2. Laboratory Preparation: It is prepared by treating magnesium carbonate with sodium hydroxide.

$$\mathbf{MgCO_3 + 2\ NaOH \rightarrow Mg(OH)_2 + Na_2CO_3}$$

3. It is prepared by heating magnesium oxide (MgO) with water.

$$\mathbf{MgO + H_2O \rightarrow Mg(OH)_2}$$

Uses

Physical properties:

- It appears as a white, colorless powder or in crystalline form.
- Magnesium hydroxide is odorless and has a slightly bitter taste.
- Magnesium hydroxide is generally insoluble in organic solvents.
- It is very slightly soluble in water.
- Density of Magnesium hydroxide is 2.3446 g/cm^3
- Melting Point of Magnesium hydroxide is 350 °C.
- Magnesium hydroxide is not flammable.

Chemical properties:

1. Magnesium hydroxide reacts with hydrochloric acid to form magnesium chloride and water.

$$\mathbf{Mg(OH)_2 + 2HCl \rightarrow MgCl_2 + 2H_2O}$$

2. When heated, magnesium hydroxide undergoes decomposition to form magnesium oxide and water.

$$2Mg(OH)_2 \rightarrow 2MgO + 2H_2O$$

3. Magnesium hydroxide reacts with carbon dioxide to form magnesium carbonate. This reaction is commonly employed in environmental processes for carbon capture and removal of acid gases:

$$Mg(OH)_2 + CO_2 \rightarrow MgCO_3 + H_2O$$

4. Magnesium hydroxide reacts with strong bases, such as sodium hydroxide, to form complex ion, sodium tetrahydroxomagnate(II).

$$Mg(OH)_2 + 2NaOH \rightarrow Na_2Mg(OH)_4$$

Uses

- Used as an antacid and laxative.
- It is used in the treatment of heartburn, stomach upset and indigestion.
- Magnesium hydroxide is employed as a flame retardant in plastics and rubber materials.
- Magnesium hydroxide is used as a component in some dental cement.
- Magnesium hydroxide is used as a magnesium supplement, providing magnesium ions for nutritional purposes.
- Magnesium hydroxide is an effective agent for treating potable water and wastewater.

Combination of Antacids

There are three complication usually seen when antacids are used. First, many antacids exert an action on the bowel. For example some have a mild laxative effect (eg. Magnesium hydroxide) and some are constipating (e.g. aluminum hydroxide).

Secondly if the cation (the metallic ion) is absorbed, systemic alkalosis (a condition in which the alkalinity of body fluids and tissues is abnormally high) may be produced (eg.sodium bicarbonate) Calcium ions may produce hupercalcaemia (the presence in the blood of an abnormally high concentration of calcium). Magnesium and aluminum cause precipitation of phosphate in the gastrointestinal tract and depletion of phosphorus.

Finally antacids may affect the absorption of other drugs which may be administered alone with antacids such as antichlolinergics and antibiotics. These drugs may be adsorbed by the antacids. Antacids may also alter the pH of gut gastric contents thereby delaying the absorption of weak acids and speeding the absorption of basic drugs. If dyspepsia (indigestion) leading to gas formation in the gut is present, use of a drug like methylpolysiloxane (dimethicone or simethicone) is necessary.

Therefore because of the defects associated with the antacids, it is apparent that it is wiser to use a combination of antacids so that the defects can be minimized.

For example magnesium hydroxide and aluminium hydroxide may be combined to balance the constipating effect of the latter with the laxative effect of the former.

On this basis the following combinations are in regular clinical use.

1. Magnesium and aluminium hydroxides (Magaldrate)
2. Magensium and aluminium hydroxides, dimethicone (Dioval Forte Tabs)
3. Magnesium and aluminium hydroxides,methylpolysiloxane (Gelusil MPS)
4. Aluminium hydroxide gel, magnesium trisilicate (Gelusil)
5. Aluminium hydroxide gel, Magnesium hydroxide, magnesium trisilicate (Gelusil M)
6. Magnesium hydroxide, dried aluminium hydroxide gel, methylpolysiloxane, sodium carboxymethyl cellulose (Digene gel).

A common combination of antacid ingredients includes the following:

1. Calcium carbonate:

Calcium carbonate is a primary ingredient in many antacids. It works by reacting with stomach acid to form calcium chloride, carbon dioxide, and water. The chemical reaction is as follows:

$$\mathbf{CaCO_3+2HCl \rightarrow CaCl_2+CO_2+H_2O}$$

2. Magnesium hydroxide:

Magnesium hydroxide is another commonly used antacid ingredient. It reacts with stomach acid to form magnesium chloride and water. The chemical reaction is as follows:

$$\mathbf{Mg(OH)_2+2HCl \rightarrow MgCl_2+2H_2O}$$

3. Aluminium hydroxide:

Aluminum hydroxide is an antacid that reacts with stomach acid to form aluminum chloride and water. The chemical reaction is as follows:

$$\mathbf{2Al(OH)_3+6HCl \rightarrow 2AlCl_3+6H_2O}$$

4. Sodium bicarbonate:

Sodium bicarbonate is an antacid that reacts with stomach acid to form sodium chloride, carbon dioxide, and water. The chemical reaction is as follows:

$$\mathbf{NaHCO_3+HCl \rightarrow NaCl+CO_2+H_2O}$$