

Antacid

- ❖ Antacids are drugs which are alkaline substance and used to neutralizing excess acid in the stomach of patients suffering from Hyperchlorhydria.
- ❖ These drugs give relief of pain due to hyperchlorhydria (hyperacidity).
- ❖ It is possible to measure the efficacy of antacid in terms of acid neutralizing capacity.
- ❖ Not possible to use strong alkaline because damaging effect on mucosal layer.

Classification:

1. Systemic or water soluble

Ex. Sodium bicarbonate, sodium citrate, potassium citrate

2. Non Systemic or water insoluble

Ex. Aluminium hydroxide gel, Aluminium phosphate, calcium carbonate

3. Combination antacid

Ex. Magaldrate

4. Miscellaneous

Ex. Mucin, protein hydrolase

Evaluation of antacid activity:

- To evaluate antacid activity, **acid neutralizing test** can be used.
- It is a *in vitro* test in which a known quantity of an antacid is added to the given amount of **hydrochloric acid**.
- Then pH of this acid is measured at different time intervals for determining the amount of alkaline consumed by the sample.

Ideal properties of Antacid

- It should be insoluble in water and have fine particle form.
- It should not be absorbable or cause systemic alkalosis.

- It should not be able to exert its effect gradually and over a long period of time.
- It should not be a laxative or cause constipation.
- It should not cause any side effect.
- It should be stable and readily available.
- The reaction between antacid and gastric HCl should not produce large volume of gas.
- Antacid should buffer in the pH range 4-6.
- The antacid should probably inhibit pepsin, the proteolytic enzyme.

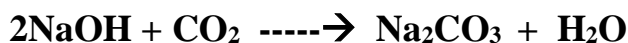
Although no compound or preparation is considered to be ideal for the above criteria. Yet some compounds as aluminum, calcium, magnesium are widely used.

1. Sodium bicarbonate (NaHCO₃)

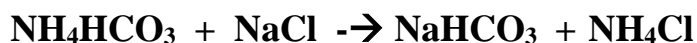
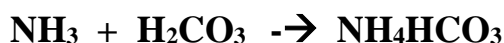
Syn: Baking Soda

Preparation:

1. It is prepared by passing CO₂ gas through a solution of sodium hydroxide. The solution is concentrated to get the product.



2. By Solvay process. Brine solution (NaCl) is saturated with ammonia to remove traces of impurities like Mg and Fe. The solution is now filtered and passed through the carbonating tower. IP Grade cannot be obtained by this method.



Uses:

- Antacid

- Rebound acidity
- Acidosis
- Electrolyte replenisher
- Ear drops to soften and remove wax
- Included in throat and nose washes to make mucus less viscous

Storage:

Since it slowly decomposes when exposed to moist air, store it in a well closed container.

Assay: Acidimetric method

- ❖ Weigh accurately about 2g of Sodium Bicarbonate, previously dried, dissolve in 25 ml of water,
- ❖ Titrate with 0.5M sulfuric acid by using bromophenol blue as indicator.
- ❖ Soon before the titration reaches the endpoint, boil to expel carbon dioxide, cool, and continue the titration.

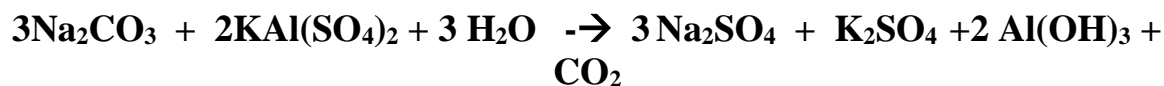
1 ml of 0.5 mol/l sulfuric acid = 84.01 mg of NaHCO₃



3. Aluminum hydroxide Al(OH)₃

Preparation:

- ❖ It is prepared by adding a hot solution of potash alum slowly with constant stirring to a hot solution of sodium carbonate.
- ❖ After complete removal of carbon dioxide the precipitated aluminum hydroxide is filtered.
- ❖ It is washed thoroughly with hot water until it becomes free from sulphate ions and the precipitate is suspended in distilled water to the required strength.



Uses:

- Very effective slow acting antacid

- It does not get absorbed in alimentary canal and does not produce carbon dioxide
- It is widely used in treatment of intestinal toxemia and hyperchlorhydria
- It does not cause any systemic alkalosis
- Used as an protective in treating peptic ulcer

Dose : 7.5 to 15ml

Storage:

Store in tightly closed containers in a cool place and avoid freezing.

Assay: It is a assayed by complexometric titration with sodium edetate.

Combinations of antacid preparations and advantages

- ❖ Single antacid cannot fulfill all the criteria for an ideal antacid.
- ❖ So antacid preparations are formulated with more than one antacid to counteract the side effect of one, by another or to be use for specific conditions.
- ❖ Aluminium hydroxide gel-magnesium hydroxide combination

Preparations: oral suspension and tablets

Advantages:

The constipative effect of aluminium is balanced by laxative effect of magnesium

- ❖ Aluminium hydroxide gel - Magnesium trisilicate combination

Preparations: oral suspension and tablets

Advantages:

Magnesium trisilicate has protective effect

- ❖ Simethicone containing antacids

Simethicone formulated along with aluminium hyrdoxide gel and magnesium hydroxide

Preparations: oral suspension and tablets

Advantages:

Simethicone relieves flatulence by its defoaming action

❖ Calcium carbonate containing antacid

Calcium carbonate is formulated with magnesium carbonate, sodium bicarbonate and kaolin

Preparations: powder and tablet

Advantages:

This combination has rapid onset and prolonged antacid action with little effect on bowel motility

Advantages of magnesium trisilicate over carbonates and bicarbonates for antacid activity

- ❖ It has slow and prolonged antacid action whereas carbonates and bicarbonates have fast and short action
- ❖ It does not produce carbon dioxide during neutralization which causes rebound acidity. Carbonates and bicarbonates do so.