



ANTACIDS

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DEFINATION

- ▶ The drugs or preparations which neutralize the excess hydrochloric acid secreted in the stomach are called antacids.
- ▶ These drugs give relief from pain due to hyperchlorhydria.
- ▶ The efficacy of antacid is measured in terms of its neutralising capacity.
- ▶ Antacids are weak bases and they raise the gastric p^H above 4 by neutralising excess gastric hydrochloric acid.
- ▶ Antacids act on GIT after being converted into soluble salts by HCl.



- ▶ The cations such as calcium, aluminium, etc. are having constipating effect whereas that of magnesium is having laxative effect. Because of this reason, combination of calcium-magnesium or aluminium-magnesium salts are generally used as antacids.
- ▶ The neutralising capacity of an antacid is expressed in milliequivalents (mEq) of hydrochloric acid.
- ▶ Every antacid product must have a total neutralising capacity of at least 5m Eq. of HCl per dose unit.



Types of Antacids

- ▶ Systemic (absorbable) antacids



- ▶ Non-systemic (non-absorbable) antacids



Systemic Antacids

- ▶ These are soluble, readily absorbable and capable of producing systemic electrolytic alterations and alkalosis e.g sodium bicarbonate



Non-systemic (non-absorbable) antacids

- ▶ These are not absorbed to a significant extent and thus do not exert an applicable systemic effect.
- ▶ This group is further sub divided into following-
- ▶ Aluminium containing antacids- aluminium hydroxide, aluminium phosphate, dihydroxy aluminium aminoacetate, dihydroxyaluminium sodium carbonate, basic aluminium carbonate gel
- ▶ Calcium containing antacids- calcium carbonate, tribasic calcium phosphate
- ▶ Magnesium containing antacids- magnesium carbonate, magnesium citrate, magnesium hydroxide, magnesium oxide, magnesium phosphate, magnesium trisilicate
- ▶ Combination antacid preparations- aluminium hydroxide gel and magnesium hydroxide, aluminium hydroxide gel and magnesium trisilicate, magaldrate (monoalium hydrate, hydrated magnesium aluminate), simethicone (defoaming agent) containing antacids, calcium carbonate containing antacid

Ideal characteristics of antacids

- ▶ It should be insoluble in water and have fine particle size.
- ▶ It should not be absorbable in water and cause systemic alkalosis.
- ▶ It should produce its effect gradually and over a longer period of time.
- ▶ It should not be a laxative or cause constipation
- ▶ It should not cause any side effects.
- ▶ It should be stable and readily available.
- ▶ It should not evolve large quantities of gas on reacting with hydrochloric acid.
- ▶ The antacid should buffer in the pH range 4 to 6.
- ▶ The antacid should probably inhibit pepsin.

Evaluation of antacid activity

- ▶ In order to evaluate antacid activity, acid-neutralising test can be used.
 - (a) In-vitro test, a known quantity of an antacid is added to the given amount of HCl and then p^H of this acid is measured at different time intervals for determining the amount of acid consumed by the sample.
 - (b) In-vivo test, it is carried out by removing aliquotes of gastric contents at different time intervals and measuring the p^H of gastric contents.

Drug Interactions

- ▶ Some antacids act by altering gastric and urinary p^H , they do alter rates of dissolution, absorption, bioavailability and renal elimination of no of drugs.
- ▶ Antacids have been reported to decrease bioavailability of iron, tetracyclines, isoniazid, ethambutol, benzodiazepine, phenothiazine and some vitamins.
- ▶ Some antacids produce carbon dioxide gas which causes discomfort of stomach. To counteract this, a surface active agent like simethicone is used. Sometimes alginic acid is used as it protect irritating effect of HCl.

Combinations of antacids-

- ▶ As no single antacid meets all the requirements for an ideal antacid, several products are available containing mixtures of antacids. Most of these combinations are in an attempt to balance the constipating effect calcium and aluminium compounds with laxative effect of magnesium compounds. Some of the commonly used combinations are-
- ▶ Aluminium hydroxide gel- magnesium hydroxide combinations- It is a co-precipitate of aluminium hydroxide and magnesium carbonate carefully dried to contain a critical propotion of water for antacid activity.
- ▶ Dose- up to 1g.
- ▶ Aluminium hydroxide gel- magnesium trisilicate combinations- This is one of the more common combinations. It has laxative, constipative and protective effect.

Combinations of antacids-

- ▶ Magaldrate (a combination of aluminium hydroxide and magnesium hydroxide with magnesium oxide and aluminium oxide) - It contains 28-39% magnesium oxide and 17-25% of aluminium oxide. It occurs as a white, odourless, crystalline powder which is insoluble in water and alcohol, but soluble in dilute acids.
- ▶ Algicon tablets- It contains aluminium hydroxide-magnesium carbonate gel, magnesium alginate, magnesium carbonate and potassium bicarbonate. The tablets are chewable, prepared by aluminium hydroxide-magnesium carbonate gel (360mg), magnesium alginate (500g), magnesium carbonate (320mg) and potassium bicarbonate (100mg)
- ▶ Dose- 1 or 2 tablets or 10-20 ml of suspension after meals and at bedtime.

Combinations of antacids-

- ▶ Simeco tablets- it contains aluminium hydroxide-magnesium carbonate gel, magnesium hydroxide and activated dimethicone.
- ▶ Calcium carbonate containing antacid- calcium carbonate with aluminium hydroxide gel
- ▶ Alginic acid sodium bicarbonate containing antacid mixtures- The tablet is chewed when the contents come in contact with water.