Antacids
Lec:5

When the general public ask why it takes antacids, the answer will include:
1. that uncomfortable feeling from overeating.
2. heart burn.
3. a growing hungry feeling between meals.
Antacids are widely advertised with these reasons given as an indication for purchasing and using a certain product.
The chief indication for administering an antacid is to neutralize excess gastric hydrochloric acid which may be causing pain and possible ulceration.
another objective may be to inactivate the proteolytic enzyme, pepsin.
Depending upon the extent of hyperacidity an anticholinergic agent may also be indicated, and depending on the degree and extent of ulceration, bed rest and surgery may also be required.
Thus, those taking antacids on a continuing basis should be under medical supervision.
Because the vast majority of antacids except of sodium bicarb. are relatively free of serious side effects and since they mask the pain resulting from an ulcer.
The stomach pH can range from (1-7) when food is present.
The low acid pH is due to the presence of endogenous HCL which is always present under physiologic conditions.
When hyperacidity develops the result can range from gastritis to peptic ulcer.
Oesophageal ulcer occurs when the oesophageal sphincter is defective, causing heartburn.
**Antacid therapy:**
Antacids are alkaline bases used to neutralize the excess gastric hydrochloric acid associated with gastritis and peptic ulcer. This in turn inactivates pepsin which functions optimally at low PH although some antacids may inhibit pepsin independently of the PH effects.

**Antacids side effects:**
1. acid rebound which has been viewed as over titration by the parietal cells. Theoretically, if the gastric PH is raised too much, acid rebound may occur since in addition in an effort to maintain a lower PH the stomach secretes additional HCL which consumes the antacids.
2. systemic alkalosis
   if the antacid is sufficiently water soluble and is composed of readily absorbable ions, the antacids may be absorbed and exert its alkaline effects on the body's buffer systems. example sodium bicarb.
3. Sodium content of the antacid.
Those patients who are on sodium restricted diets should be advised of this when an antacids is recommended.
4. The local GIT side effect
Antacids containing calcium and aluminium salts after being converted to soluble salts by gastric acids tend to be constipating while those containing magnesium salts tend to have a laxative effect.
5. both physical and chemical nature of antacids suggest a high potential for drug interaction either by adsorption or alteration of the gastric PH, for example antacids containing Ca, Al, and Mg decrease intestinal absorption of tetracycline.

It is currently thought that antacids are effective in the reduction of pain associated with peptic ulcer, even in the absence of excessive acid through: increase in gastric PH and subsequent buffering, an inhibition of the proteolytic action of pepsin by. either adsorption by the antacid or increase of gastric pH, and/or a protective coating action on the ulcerative tissue.
While no antacid is "ideal," there have been certain criteria that have been developed. These are:
1. The antacid should not be absorbable or cause systemic alkalosis.
2. The antacid should not be a laxative or cause constipation.
3. The antacid should exert its effect rapidly and over a long period of time.
4. The antacid should buffer in the pH 4-6 range.
5. The reaction of the antacid with gastric hydrochloric acid should not cause a large evolution of gas.
6. The antacid should probably inhibit pepsin.

**Antacids products:**
Sodium containing antacids.
Aluminium containing antacids.
Calcium containing antacids.
Magnesium containing antacids.

1. **Sodium containing antacids.**
   **sodium Bicarbonate. as an Antacid**
   It can cause a sharp increase in gastric pH up to or above pH 7.
   Because of the evolution of carbon dioxide in the presence of acid, sodium bicarbonate can cause belching and flatulence.
   \[ \text{NaHCO}_3 + \text{HCl} \rightarrow \text{NaCl} + \text{CO}_2 + \text{H}_2\text{O} \]
   It is readily absorbed and sodium retention can result with continued use.
   While little harm will probably result with occasional use, sodium bicarb. is definitely not indicated for patients needing antacid therapy for even limited periods of time.

2. **Aluminum containing antacids.**
The aluminum containing antacids are widely used. They are non systemic and buffer in PH 3-5 region.
Because of liberation of astringent aluminum cations, they tend to be constipating.
Most cause increased fecal phosphate excretion due to formation of insoluble aluminum phosphate in the intestinal tract.
Aluminum Hydroxide

aluminum hydroxide is recognized by the current U.S.P. in two physical forms.  
(1) Aluminum hydroxide Gel U.S.P.(Amphogel ) is a white viscous suspension, from which small amounts of clear liquid may separate on standing, it has a pH between 5.5 and 8.  
(2) Dried Aluminum Hydroxide Gel U.S.P. is not a typical gel but is a white odourless, tasteless powder insoluble in water and alcohol but soluble in dilute mineral acids and solutions of fixed alkali hydroxides.  
Both forms are assayed in terms of their aluminum oxide (Al$_2$O$_3$) content and their acid-consuming capacity. The dried gel is also official as dried Aluminum Hydroxide Gel Tablets U.S.P. XVIII (Amphogel Tablets), a convenient dosage form.  
A problem with the gels is that of a loss of antacid properties on aging, this is more of a problem with the dried gel than with the liquid suspension and seems to be related to the manufacturing process. The rate of loss of antacid action is dependent upon the pH used to precipitate the gel. The most acid reactive gels are those in which the concentration of a monovalent anion, such as chloride or bicarbonate, approaches 1mole per mole aluminium or those in which a bivalent anion, such as sulphate, approaches 0.5 mole per mole of aluminum. Physical data (appearance, viscosity, x-ray diffraction pattern, differential thermal analysis) indicate that a change in gel structure occurs during the aging process.  
The aluminum hydroxide gels are non absorbable and exert little, if any, systemic effect. If the gel is formed by precipitation in a carbonate/bicarbonate system, there may be some evolution of carbon dioxide when the carbonate and bicarbonate anions react with the gastric hydrochloric acid, but there have been no reports of patient discomfort.
**Aluminum Phosphate**

Aluminum Phosphate is official as *Aluminum Phosphate Gel* (Phosphagel). It is a white, viscous suspension from which small amounts of water may separate on standing. It may contain suitable preservatives. The gel has a pH between 6.0 and 7.2. It is assayed in terms of aluminum phosphate (AlPO4) content and must meet specified neutralization rate and acid-consuming capacity criteria. This non absorbable antacid has been used in place of aluminum hydroxide gel where loss of phosphate may be a problem to the patient. Since aluminum phosphate gel is regenerated in the intestine, endogenous phosphate is spared. Otherwise the adsorptive and astringent properties are much the same as those of aluminum hydroxide gel. Aluminum phosphate is very water insoluble and will only go into solution as phosphate anion is consumed by gastric acid.

**Dihydroxyaluminum aminoacetate**

*is recognized by the national formulary in two physical forms and one dosage form.*

Dihydroxyaluminum aminoacetate which is a white, odourless powder with a faintly sweet taste, it is insoluble in water and organic solvents but does dissolve in dilute mineral acids and in solutions of fixed alkalies. Dihydroxyaluminum aminoacetate magma is a white viscous suspension from which small amounts of water may separate on standing but may be readily reformed upon shaking. All dihydroxyaluminum aminoacetate preparations are assayed in terms of aluminum oxide content. All must meet specified acid consuming capacity and acid neutralising capacity criteria. All give a PH between 6.5 and 7.5. Dihydroxyaluminum acetate is manufactured by reacting aluminum isopropoxide with glycine.
**Dihydroxyaluminum Sodium carbonate**

is a fine, white, odourless powder, it is practically insoluble in water and organic solvents but dissolves in dilute mineral acids with the evolution of carbon dioxide.

An aqueous suspension has a pH between 9.9 and 10.2. It is assayed in terms of aluminum oxide and carbon dioxide evolution and must meet specified acid-consuming capacity, acid-neutralizing capacity, and prolonged neutralization criteria.

Dihydroxyaluminum sodium carbonate is made by the reaction of aluminum isopropanoxide and an aqueous solution of sodium bicarbonate.

Aging apparently has little effect on efficacy. Potential drawbacks to this preparation would be the presence of sodium, evolution of carbon dioxide, and the usual problems associated with the aluminum antacids.