Lec: 6
Continuous to antacids
Protectives and Adsorbents
Saline Cathartics
Calcium containing antacids

- They differ from the aluminum antacids in that their action is dependent upon their basic properties.
- Those used in medicine are poorly soluble salts.
- Calcium antacids raise the stomach PH to nearly 7.
- Calcium containing antacids particularly calcium carbonate are considered by some the antacids of choice.
They are rapid acting and largely non systemic.

Uncommon but potentially very serious side effect is the milk-alkali syndrome caused by taking too much calcium (usually in the form of dietary supplements taken to prevent osteoporosis) and absorbable alkali (as are found in antacids drugs).

The calcium antacids tend to be constipating and are usually found in combination with magnesium antacids.
**Calcium carbonate:**

- It is practically insoluble in water but its solubility is increased by the presence of any ammonium salt or carbon dioxide.
- Calcium carbonate is one of the most popular antacids because of its fast action.
- The liberation of carbon dioxide may cause patient discomfort.
Tribasic calcium phosphate

- It is occasionally used as antacid.
- The principle of its action is that the phosphate ion reacts with the water present in stomach liberating hydroxide which then reacts with the gastric hydrochloric acid.
Magnesium containing antacids

- There are a large number of official antacids containing magnesium
- With the possible exception of magnesium trisilicate, they all function in the same manner.
- They are poorly soluble salts which only go into solution as acid consumes the small amount of anion already in solution.
The magnesium cation causes this group of antacids to be laxative for this reason, they are usually found in combination with aluminum and calcium antacids in an attempt to equalize the constipated and laxative actions.
• Small amounts of magnesium cation may be absorbed although the magnesium antacids are considered non systemic and most of the magnesium is excreted in the faeces as insoluble magnesium salts;
• Since the absorbed magnesium is excreted by the kidneys.
• The magnesium-containing antacids contraindicated in patients with impaired renal function, otherwise magnesium retention can occur, leading to magnesium poisoning.
Magnesium carbonate

- It is practically insoluble in water, magnesium carbonate N.F is a hydrated mixture of (MgCO3) and magnesium hydroxide.
- The antacid properties of magnesium carbonate are due to carbonate and hydroxide anions reacting with the gastric HCL.
• Other magnesium containing antacids include:
  • Magnesium citrate solution.
  • Magnesium hydroxide.
  • Magnesium oxide.
  • Magnesium phosphate.
  • Magnesium trisilicate.
Combination antacid preparations

- Because no single antacid meets all the criteria for an ideal antacid, several products are on the market containing mixtures of antacids.
- Most of these combination products are an attempt to balance the constipative effect of calcium and aluminum with the laxative effect of magnesium.
- Some of these products are also mixture of an antacid with rapid onset of action and one with a longer duration of action.
Official antacid combinations

1. Aluminum Hydroxide Gel-Magnesium Hydroxide Combinations.
2. Aluminum Hydroxide Gel-Magnesium Trisilicate Combinations.
3. Simithicone containing antacids.

It is used as defoaming agent for patient complain from hyperacidity with gassy.
4. Calcium carbonate containing antacids mixtures.

- Calcium carbonate can be found in combination with aluminum hydroxide gel to yield products that have rapid onset with prolonged action.
- It can be also found with magnesium containing antacids in an attempt to balance the constipative effect of calcium with the laxative effect of magnesium.
- Three part combinations of calcium carbonate, aluminum hydroxide gel and a magnesium containing antacid are available.
5. Alginic acid-sodium bicarbonate-containing antacid mixtures.

- This type of preparation was formulated in an attempt to provide symptomatic relief of reflux esophagitis.
- The tablet is chewed and as the contents come in contact with water the alginic acid react with sodium bicarbonate forming sodium alginate and carbon dioxide.
- The later causes formation of foam within the solution.
As long as the patient remains upright, the antacid (aluminum hydroxide gel and magnesium trisilicate) contained in the foam remains near the gastroesophageal junction and suppose to be the first material passed into the oesophagus when reflux of the gastric contents occurs.
Protectives and Adsorbents

- This group of gastrointestinal agents is commonly used for the treatment of mild diarrhoea.
- Diarrhoea may be acute or chronic, acute diarrhoea can be caused by bacterial toxins, chemical poisons, drugs, allergy, and disease.
Chronic diarrhoea can result from gastrointestinal surgery, carcinomas, chronic inflammatory conditions, and various absorptive defects.

The antidiarrheal agents will only treat the symptoms and occasionally the cause, but they will not treat the complications.
Most products for the treatment of diarrhoea will consist of an adsorbent-protective, an anti spasmodic, and possibly an antibacterial agent.

The adsorbent-protectives adsorb toxins, bacteria, and viruses along with providing a protective coating of the intestinal mucosa. They include bismuth salts, special clays, and activated charcoal.
- **Bismuth-Containing Products;**
- Although the bismuth salts used as antidiarrheals are considered to be water insoluble, a small amount does go into solution.
The soluble bismuth cation exerts a mild astringent and antiseptic action but it is doubtful whether this is clinically significant.

Intestinal hydrogen sulfide acts upon the bismuth salts to form bismuth sulfide; hence, the black stools resulting from the oral administration of bismuth-containing preparations.
- **Bismuth Subnitrate:**
  - Bismuth Subnitrate N.F. occurs as a white; slightly hygroscopic powder.
  - It is practically insoluble in water and in alcohol but is readily dissolved by hydrochloric or nitric acid.
  - It is assayed in terms of bismuth trioxide (Bi$_2$O$_3$).
• Bismuth subnitrate apparently can inhibit pepsin." However, its main use is as a component of Milk of Bismuth, where it probably functions as a mild astringent-protective.
Milk of Bismuth N.F.

- It contains bismuth hydroxide and bismuth subcarbonate in suspension in water.

- It is made by converting bismuth subnitrate to bismuth nitrate by the addition of nitric acid, then, by treatment with ammonium carbonate and ammonia solution, bismuth nitrate is converted to bismuth hydroxide and subcarbonate.
- **Bismuth Subcarbonate U.S.P**
- It is practically insoluble in water and in alcohol but dissolves completely in nitric acid and in hydrochloric acid.
- Although still used in preparation for gastrointestinal disorders, bismuth subcarbonate is admitted to the U.S.P. XVIII" as a topical protectant with no internal dose given.
- Non official Bismuth Compounds
- Bismuth Subgallate
- Bismuth Subsalicylate
- Bismuth Ammonium Citrate
Activated Clays and Other Adsorbents

1. Kaolin
- It is usually found together with the vegetable carbohydrate, pectin and used as an adsorbent.
- Kaolin-containing products have been reported to interfere with the intestinal absorption of lincomycin.

2. Activated Charcoal, U.S.P.
- It has been used as an adsorbent in the treatment of diarrhoea.
- It is now a recommended antidote in certain types of poisoning.
Saline Cathartics

- They are agents that increase evacuation from the bowels, while Laxatives are mild cathartics.
- Laxatives should only be used for short term therapy, as prolonged use may lead to loss of spontaneous bowel rhythm upon which normal evacuation depends, causing the patient to become dependent on laxatives, the so-called "laxative habit."
Drug Evaluations states that cathartics are properly used:

(1) To ease defecation in patients with painful haemorrhoids or other rectal disorders.
(2) To avoid potentially hazardous rises in blood pressure during defecation in patients with hypertension, cerebral, coronary, or other arterial diseases.
(3) To relieve acute constipation.
(4) To remove solid material from the intestinal tract.
Basically there are four types of laxatives:

1. Stimulant.
2. Bulk-forming.
3. Emollient.
4. Saline.
The stimulant laxatives

- They act by local stimulation of the intestinal tract, which increases peristaltic activity.
- Examples of this group are, cascara extract, senna extract, castor oil and bisacodyl.
The bulk-forming laxatives

- They are made from cellulose and other nondigestible polysaccharides.
- They swell when wet, with the increased bulk stimulating peristalsis.
- Examples of this group are methyl cellulose, and sodium carboxymethyl cellulose.
The emollient laxatives

- they act either as lubricants facilitating the passage of compacted faecal material or as stool softeners.
- Mineral oil is the main lubricant laxative used.
The saline cathartics

- They act by increasing the osmotic load of the gastrointestinal tract.
- They are salts of poorly absorbable anions and sometimes cations.
- The body relieves the hypertonicity of the gut by secreting additional fluids into the intestinal tract, the resulting increased bulk stimulates peristalsis.
• Poorly absorbed anions that are used as saline cathartics are biphosphate, phosphate, sulfate, and tartrate.
• Soluble magnesium salts are cathartic due to the poorly absorbed magnesium cation.
• The saline cathartics are water soluble and are taken with large amounts of water, this prevents excessive loss of body fluids and reduces nausea and vomiting if a too hypertonic solution should reach the stomach.
The saline cathartics, when taken for brief periods, are relatively free of side effects while over a longer term, patients on low sodium diets should not use the sodium containing saline cathartics (sodium biphosphate, sodium phosphate, sodium sulfate, and potassium sodium tartrate).

For those with impaired renal function the magnesium salts should be restricted, since some magnesium cation is absorbed.
Official Saline Cathartics

- Sodium Biphosphate N.F
- Sodium Phosphate, N.F:
- Dried Sodium Phosphate, N.F.
- Potassium Sodium Tartrate N.F
- Magnesium Hydroxide N.F