

Antacids

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Classification of Antacids

There are two types of Antacids

- ❑ **Systemic antacids** ---> soluble and readily absorbed and produce systemic effects e.g. NaHCO_3
 - ❑ **Non-systemic antacids** ----> insoluble and not readily absorbed and does not produce systemic effects e.g. Al(OH)_3 , Mg(OH)_2 and CaCO_3
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Systemic antacids:

Sodium Bicarbonate (baking soda, NaHCO_3)

- It is soluble & systemically absorbed
 - Rapid onset of action and potent neutralizer
 - Relatively short duration of action
 - Capable of producing metabolic alkalosis
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Non-systemic antacids:

A. Aluminum containing antacid

- Forms: Carbonate $[\text{Al}_2(\text{CO}_3)_3]$ and hydroxide $[\text{Al}(\text{OH})_3]$,
 - Has a slow and persistent effect
 - Non-systemic antacid
 - Buffer in pH 3-6 range
 - Often used with magnesium to counteract constipation
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Disadvantages of Al(OH)₃

1. Phosphorous depletion: It eventually forms the insoluble aluminium phosphate salt (AlPO₄) in the intestinal tract thus resulting in increased fecal phosphate excretion. $\text{Al}^{3+} + \text{PO}_4^{3-} \longrightarrow \text{AlPO}_4$ (Insoluble)

2. Osteomalacia (Softening of the bones due to a lack of vitamin D) & **Osteoporosis** (Thinning of bone tissue and loss of bone density over time).

3. Constipation: The product of the reaction of aluminum hydroxide and HCl is the water soluble astringent salt aluminum chloride that causes constipation.



Soluble

B. Magnesium containing antacids

- ❑ Forms: Hydroxide [$\text{Mg}(\text{OH})_2$]
- ❑ They are poorly soluble salts (No systemic alkalosis)
- ❑ Fast onset of action

Disadvantages of $\text{Mg}(\text{OH})_2$:

- ❑ A short and rapid effect
 - ❑ Laxative (in combination with aluminum and calcium antacids)
 - ❑ Contraindicated in kidney diseases. (Hypermagnesemia)
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C. Calcium containing antacids

- ❑ Forms: carbonate most common (CaCO_3)
- ❑ A potent antacid with short duration and rapid effect

Disadvantages of CaCO_3 :

- ❑ May cause constipation
 - ❑ Long term use it can cause hypercalciuria, hypercalcemia and formation of calcium stone in kidney (Renal Calculi)
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Antacid combinations

Advantages of antacid combinations

- 1. To balance laxative & constipation action:** When laxatives & constipating compound are formulated in a mixture, the gastro-intestinal disturbances may not occur or occur less.
- 2. To maintain fast & solvation :** To increase total buffering time, a fast acting compound with slow acting antacid are formulated in mixtures.

Advantages of antacid combinations

3. To reduce toxicity: In a single entity antacids may cause high toxicity. So to reduce toxicity antacids are formulated in a mixture.

4. To increase patient tolerance: Mixture of antacids increase the patient tolerance rather than by multiple separate preparation.

5. Bone formation: Long time continuous use of Al^{+3} may produce lack of PO_4^{3-} which inhibit the bone formation. So the antacid combinations reduce the bad effect in bone.

Simethicone (Antiflatulents)

- Simethicone is an over-the-counter (OTC) drug that treats symptoms of gas, which may include painful pressure, fullness, and bloating.
- It works by changing the surface tension of gas bubbles in the stomach and intestines. This causes them to combine into larger bubbles that can be passed more easily.
- Use: Antiflatulent, gastric protective to deform gastric juice in order to decrease the tendency to gastro esophageal reflux.

Sucralfate

- Cytoprotective agent used for ulcers and erosions
- Attracted to and binds to the base of ulcers and erosions, forming a protective barrier over these areas. Protects these areas from acid and pepsin.
- Little absorption from the gut
- May impair absorption of other drugs, especially tetracycline
- Binds with phosphate; may be used in chronic renal failure to reduce phosphate levels

Adsorbents

- ⦿ Coat the walls of the GI tract
- ⦿ Bind to the causative bacteria or toxin, which is then eliminated through the stool
- ⦿ Examples: bismuth subcarbonate, kaolin-pectin, activated charcoal and bismuth subgallate.

Topical Agents

Topical Agents

Topical Agents: means pertaining to a particular locality or place or simply it means “local”.

Substances which are applied directly on the skin or mucous membrane or any other surface.

Deeper penetration of topical agents are also seen in many cases which are beneficial. Penetration of

antiseptics in to the tissue

below the skin prevents the possibility of deeper infections.

Classification

1. Protective products

Examples: Talc, Zinc oxide ZnO, Calamine, etc

2. Antimicrobial agents

Mechanism

A. Oxidation H_2O_2 , ZnO, KMnO_4 , Iodine

B. Halogenations NaOCl

C. Protein precipitation AgNO_3

1. Protective Agents

Protective Agents: Any agent that isolates the exposed surface from harmful or irritating stimuli.

Properties of protective agents:

- A. Insoluble in water
- B. Chemical Inertness
- C. Adsorbent

A. Talc

Talc: 3MgO , 4SiO_2 , H_2O

Native hydrous magnesium silicate, common name and chemical formula.

Uses as protective agents, as lubricants and in pharmaceuticals, as cosmetics, for plastic cloths.

B. Zinc Oxide (ZnO)

White or faint yellow color powder, insoluble in water.

Other formulation as Zinc Oxide ointment for adult, & Zinc Oxide in Glycerin for children.

Dusting powder, used as astringent and protective topical agent, mild antiseptic, used in the acne preparation, eczema, & psoriasis. It is usually used in the manufacture of plasters.

C. Calamine

Calamine IP: ZnO colored with Ferric oxide. It is an amorphous, reddish brown powder and the color depends on the variety and amount of ferric oxide present and the method by which it is incorporated. It is practically insoluble in water and completely soluble in mineral acids.

Calamine

Medicinal and Pharmaceutical Uses:

Topical protective. Widely used in lotions, ointments and dusting powders as soothing agent. It is used in sunburns, eczema and urticaria and some other skin conditions.

2. Antimicrobial Agents

Antimicrobial Agents: These are the chemicals & their preparations used in reducing or preventing infection due to microorganisms.

Antiseptic: Any agent which kills or inhibits the growth of microorganisms found in living tissue.

Disinfectant: Any agent which kills or inhibits the growth of microorganisms found in non living objects.

Germicides: Kill Bacteria, Fungi, Viruses, Spores

Bacteriostatic: Primarily inhibit the Bacteria – Only arrest their growth not kill them.

Mechanisms of action of antimicrobials

A. Oxidation

1. Hydrogen Peroxide H₂O₂

liquid not store in glass bottles because it decompose, it store in white plastic container, keep in cold dark place to prevent decomposition.

There are many uses :

- Antiseptic for wash wound, teeth and ear
- Bleaching color (hair)
- Laundry for cloths

2. Potassium Permanganate KMnO_4

Chemically is a strong oxidizing agent, solid purple crystalline and odorless. Store in well closed container.

Uses:

- Oxidizing agent for skin disease in different concentrations 1: 5000, 1:15000
- Used in swimming pool for athlete foot
- Strong oxidizing for many organic reactions

3. Iodine I₂

It is dark violet, insoluble in water, but the $I_2 + KI = I_3$ this complex is soluble in water as iodine solution, soluble in alcohol known as tincture iodine

Iodine solution weak solution (25g I₂ + 25g KI) in 100mL and strong solution (50g I₂ + 50g KI) in 100 mL.

Iodine preparations and compounds have:

- Antimicrobial property due to oxidation and Iodination
- Disinfectants
- Used in drug synthesis
- Used in povidin iodine synthesis

Povidone Iodine (PVP-I)

Povidone Iodine: is a stable chemical complex of polyvinylpyrrolidone and elemental iodine (PVP-I) (povidone) . It is broad spectrum antiseptic for wounds. It is used disinfectant.



B. Halogenation

Dakin's Solution

Sodium hypochlorite solution,

Contains 4-6% of NaOCl,

It is made from bleach that has been diluted and treated to decrease irritation. Chlorine, the active ingredient in Dakin's solution, is a strong antiseptic that kills most forms of bacteria and viruses.



C. Protein Precipitation

Silver Nitrate AgNO_3

Prepare as 1% AgNO_3 , protective from light due to oxidation. Effective against gonococcal organism.

Antimicrobial activity of these compounds is due to protein precipitant action.

1% used eye newborn babies.

Thank
you

