BIOPHARMACY

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LAB 2.IN VITRO EVALUATION OF ANTACIDS

INTRODUCTION

- The Gastro-intestinal (GI) tract generates and maintains different pH environments along its length.
- pH is very important for controlling activity of digestive enzymes.

ACIDITY IN THE STOMACH

- Acidity in the stomach is normal, but excess acidity is potentially harmful.
- It is unusual compared to other organs as its pH is as low as 1-2 due to production of hydrochloric acid from structures in lining of walls.
- Acid environment kills bacteria that comes in with food, is optimum for activity of digestive enzymes

EXCESS ACID

Factors that cause excess production of gastric juice (acidic secretion)

- ☐ Excess alcohol
- ☐ Smoking
- ☐ Stress
- ☐ Some anti-inflammatory drugs

- Acid indigestion or dyspepsia is an illness commonly treated by self-medication.
- Antacids are drugs which on ingestion **react with the hydrochloric acid** of gastric content to lower the acidity.
- Antacids are **alkalis**, such as aluminium hydroxide, magnesium salts (magnesium hydroxide and magnesium trisilicate),sodium bicarbonate, and calcium hydroxide.
- **The finished product must raise the pH of gastric secretions to 3.5 or greater within 10 minutes.**

- They are simple ,often effective treatment for many dyspepsia's (impairment of the power or function of digestion),and provide symptomatic relief in conditions such as peptic ulcer, gastritis (inflammation of the lining of the stomach) ,and esophageal reflux with heartburn.

Typical Neutralization Reaction

Acid + base → Salt + Water

Example Antacid Reactions:

$$Al(OH)_3(s) + 3HCL(aq) \rightarrow AlCl_3(aq) + 3H_20(l)$$

$$Mg(OH)_2(s) + 2HCL(aq) \rightarrow MgCl_2(aq) + 2H_20(l)$$

They are generally formulated in combinations ,for example:

- magnesium hydroxide +aluminium hydroxide

Or with other components, such as:

- Simeticone (activated dimeticone, an anti-foaming agent),
- Alginates (anti-reflux agents).

ANTACIDS MAY BE DIVIDED INTO TWO MAIN GROUPS ACCORDING TO THEIR WATER SOLUBILITY:

Water insoluble and have slow prolonged action

- e.g.aluminium hydroxide,magnesium carbonate,hydroxide and trisilicate.

Water soluble and act quickly but its effect is transient and prolonged use may cause systemic alkalosis and renal damage

- e.g. sodium bicarbonate and sodium citrate

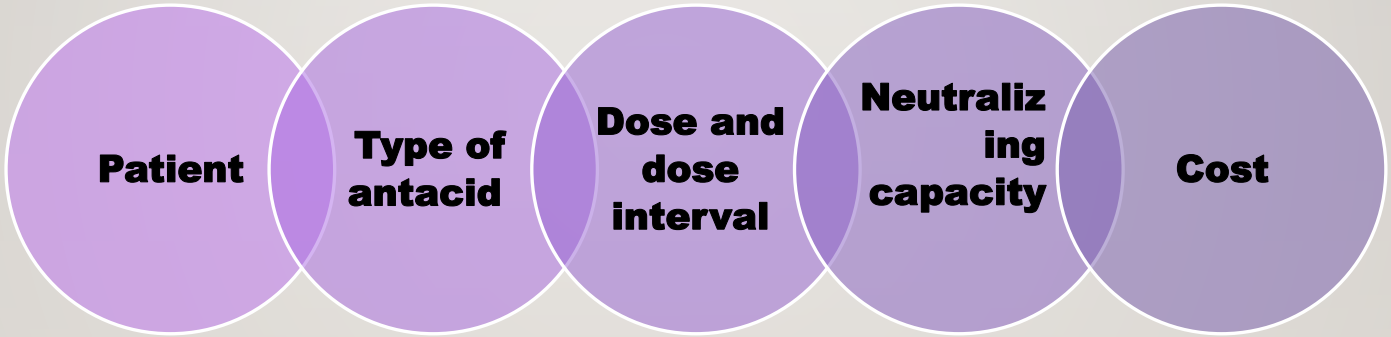
Antacids ,by altering gastric pH, may interfere with drug absorption in number of ways:

1. Altered drug ionization :e.g. carbenoxolone (an ulcer healing drug),its absorption is completely inhibited above pH 2 and therefore ,it should not be given with antacids.
2. Alteration of gastric emptying : The stomach empties more readily when pH increaeses.

3. Drug dissolution may be affected by pH changes.
4. Drug interaction: calcium , aluminium and magnesium decrease the gastric absorption of tetracyclines due to complex formation with it.
5. Systemic effect : Systemic antacids may accelerate the excretion of drugs(salicylates) and inhibit the urinary excretion of basic drugs ,e.g. amphetamines.

- ✓ It seems advisable to administer other drugs at least one half to one hour before antacids ingestion in order to assure consistent absorption and effect.

SEVERAL FACTORS MUST BE CONSIDERED WHEN SELECTING AN ANTACID PRODUCT



The patient :Whether he has impaired renal function ,edema , high blood pressure , allergic to milk or milk products.

Type of antacid(systemic or nonsystemic):A systemic antacid,such as sodium bicarbonate is soluble, readily absorbed,and capable of producing systemic electrolyte disturbance

Non systemic such as calcium carbonate or basic aluminum substances ,form compounds that are not appreciably absorbed and thus do not exert any systemic effect.

Neutralizing capacity

- Antacids differ in their ability to neutralize gastric secretions

Dose and dose interval

- An ideal antacid should be rapid in onset and provide a continuous buffering action

- Mg (OH)2 and CaCo3 have rapid onset of action.
- MgCO3 : Intermediate onset
- Mg trisilicate and aluminium compounds have slow onset.

AIM OF THE EXPERIMENT:

The objective of this experiment is to

- evaluate in vitro , a number of antacid marketed products and compare their buffering capacity .

PRINCIPLE

- A simple procedure is used, to imitate the physiological conditions in the stomach . Techniques of alternate addition and removal of (0.1N HCl)solution are used to mimic the release of HCL from the oxyntic cells and the periodic emptying of the stomach.

EXPERIMENTAL

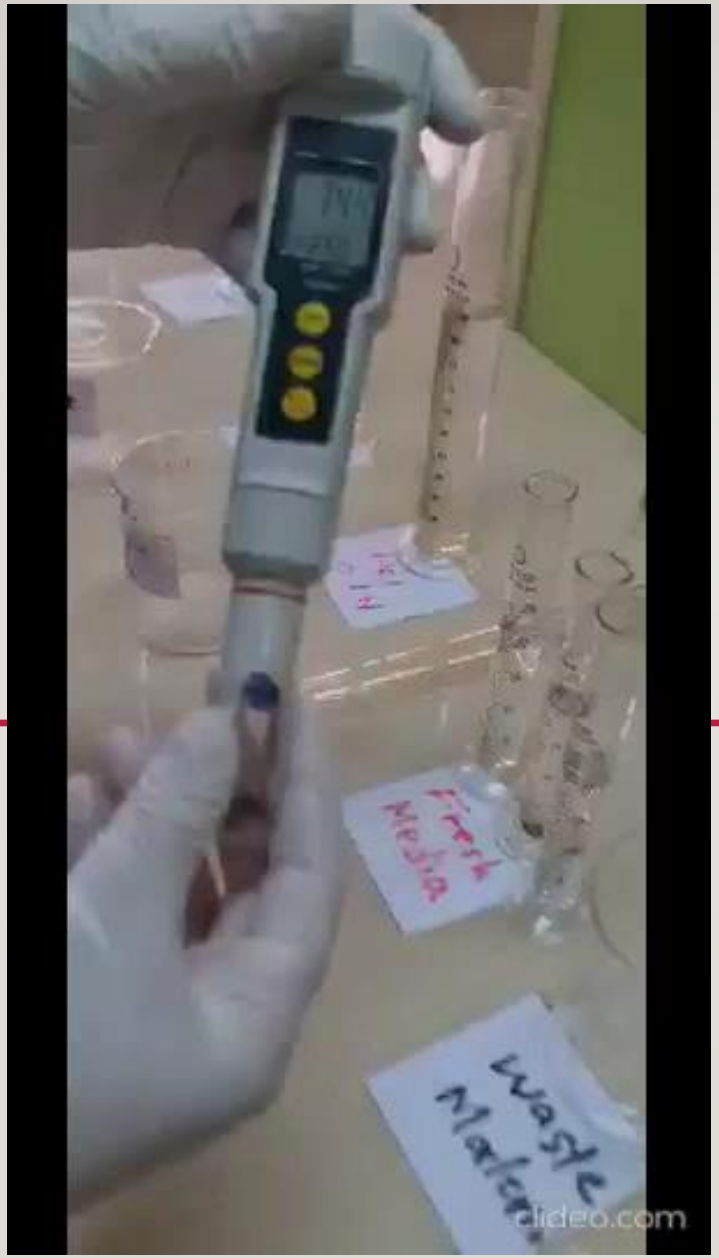
1. A quantity of 2gm of finely ground powder or its equivalent of formulations was added to 100ml of 0.1N HCl.
2. The pH of the mixture was determined after the intervals of 0.5, 2, 4, 6, 8 and 10 minutes.
3. A quantity of 20ml of the mixture was then removed by a pipette and replaced by 20ml fresh 0.1N HCl.

4. The process was repeated at 10 minutes interval until a pH below 2.75 was reached which shows that the buffering power of antacid was spent out.

5. the time at which pH falls below 2.75 was used as measure of buffering capacity

Enter your results in a table and plot a graph against time as you conduct the experiment.

Time in minutes	PH of the mixture
0.5	
2	
4	
6	
8	
10	
20	
40	
50	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