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AN OVERVIEW: PHARMACOLOGY AND MECHANISMS OF ANTACIDS

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ABSTRACT
Acid-related gastrointestinal conditions such as non-ulcer dyspepsia, duodenal ulcer, gastric ulcer, stress gastritis, gastroesophageal reflux disease (GERD), pancreatic insufficiency, bile acid-mediated diarrhea, biliary reflux, and constipation are prevalent and require effective management. Antacids have been a cornerstone of treatment for many years, initially serving as first-line therapy against peptic ulcer disease. They provide symptomatic relief by directly neutralizing gastric acid, thereby elevating gastric pH, reducing pepsin activity, restoring acid-base balance, and promoting secretion of prostaglandins and bicarbonates. Antacids demonstrate therapeutic efficacy even at low doses, with minimal side effects, sustained elevation of gastric pH, rapid and prolonged pain relief, and effective reduction of gas symptoms. This review examines the indications, types with examples, mechanisms of action, pharmacodynamics, pharmacokinetics, adverse effects, drug interactions, contraindications, toxicity, and other crucial aspects of antacid therapy in clinical practice, focusing on essential considerations for managing patients with heartburn and mild GERD.

KEYWORDS: Antacids, Gastric pH, Gastroesophageal reflux disease (GERD), Pharmacological action.

INTRODUCTION:
The rapid relief of occasional heartburn, a primary symptom of gastroesophageal reflux disease (GERD), is a key benefit of antacids. This symptom is typically caused by the reflux of gastric acid through the lower esophageal sphincter [1].

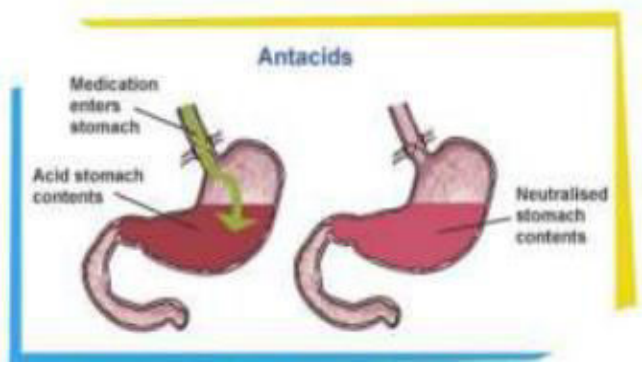

Figure 1: Antacids enter the stomach and it neutralised stomach content

The efficacy of antacids is assessed based on their acid neutralizing capacity (ANC) and buffering capacity. ANC measures the amount of hydrochloric acid (expressed in mEq) that a standard dose of antacid can neutralize, thereby raising the pH to approximately 3.5 within a specified time period, typically around 15 minutes [2].

Antacids are also used post-anesthesia to reduce post-operative acidity and effectively alleviate abdominal bloating. Some studies suggest certain antacids can be safely used during pregnancy due to their localized action rather than systemic effects [3].

INDICATIONS

Antacids are over-the-counter (OTC) drugs that do not require a prescription and can be self-prescribed. They typically contain combinations of calcium, magnesium, and aluminum salts as active ingredients. Antacids neutralize gastric acid in the stomach and inhibit pepsin, a proteolytic enzyme. Each of these cationic salts possesses unique pharmacological properties that dictate their clinical use [4].

THERAPEUTIC USES

- Heartburn symptoms in GERD
- Duodenal and gastric ulcers
- Stress gastritis
- Pancreatic insufficiency
- Non-ulcer dyspepsia
- Diarrhoea caused by bile-acid
- Biliary reflux
- Constipation
- Osteoporosis
- Urinary alkalinization
- Phosphate binding in chronic renal failure

TYPES OF ANTACIDS

Antacids are categorized into two types based on digestive absorption.

Absorbable Antacids

These antacids are soluble, readily absorbable, and capable of causing systemic electrolyte abnormalities. Key properties include:

- They are absorbed into the systemic circulation. [5]
- Their cationic group does not form insoluble basic compounds with bicarbonate ions (HCO_{3-}), allowing HCO_{3-} to be absorbed. [6]

Non-absorbable Antacids

These antacids avoid complications associated with absorption. Key properties include:

- They are not absorbed into the systemic circulation.
- Their anionic group neutralizes hydrogen ions (H+) in gastric acid, releasing their cationic group. This cationic group then combines with HCO3- from the pancreas to form an insoluble basic compound that is excreted in feces.

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- They do not induce metabolic alkalosis.
- Accumulation of calcium (Ca2+), magnesium (Mg2+), and aluminum (Al_{2+}) can occur, with caution needed in renal insufficiency as aluminum compounds are contraindicated. [7]

Table 1 : Examples of Absorbable and Non absorbable Antacids.

Absorbable	Non-absorbable
Sodium carbonate (baking soda)	Aluminum phosphate
Magnesium oxide (magnesia)	Aluminum hydroxide
Magnesium carbonates	Magnesium silicate
Calcium carbonates	Magnesium hydroxide
Bourget mixture (sodium bicarbonates, sulphate, phosphate)	Aluminium-magnesium combination
Rennie mixture (calcium carbonates, magnesium carbonates)	Aluminum-magnesium combination with other Active ingredients (anaesthetics, antiflatulents, alginates, etc.)
Tums mixture (calcium carbonates, magnesium oxide).	

MECHANISM OF ACTION OF ANTACIDS

Antacids function as weak bases that interact with gastric acid (HCl), resulting in the formation of salt and water. This process effectively reduces the acidity levels in the stomach. [8]

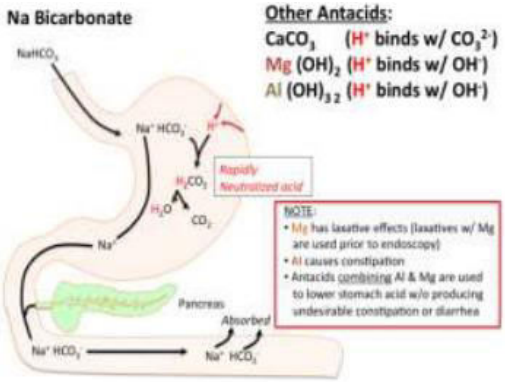

Figure 2: Mechanism of action for Antacids

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PHARMACODYNAMICS

Absorbable antacids are seldom employed in clinical settings due to their significant systemic side effects. These antacids directly neutralize hydrochloric acid in the stomach, resulting in rapid therapeutic action but with short-term effects. After administration, absorbable antacids can quickly raise intragastric pH levels to 7 or higher within 15-20 minutes, which can trigger secondary acid hypersecretion known as the "rebound" syndrome.

Non-absorbable antacids, in contrast, exhibit fewer systemic adverse effects than absorbable types. They primarily function by binding and neutralizing hydrochloric acid. Although their onset of action is slower (typically within 10-30 minutes), non-absorbable antacids provide longer periods of therapeutic efficacy—approximately 2.5-3 hours. They possess a higher buffering capacity compared to absorbable antacids and maintain their neutralizing activity until the pH of the stomach returns to the physiological range of 3.0-4.0, which supports normal digestion and antimicrobial action of hydrochloric acid. [9]

PHARMACOKINETICS

Absorbable antacids are rapidly dissolving substances that immediately react with hydrochloric acid in the stomach, forming carbon dioxide and water. The release of carbon dioxide can lead to gastric distention, stimulating gastroesophageal reflux and potentially enhancing gastric secretion.

Non-absorbable antacids are predominantly used in medical practice and do not undergo systemic absorption or significant pharmacokinetic processes. [10]

ADMINISTRATION

Antacids are available in tablet and suspension forms.

- Suspensions of antacids interact with hydrogen ions in solute form, with smaller particles having a larger surface area, resulting in faster dissolution in acidic environments compared to tablets. Suspensions are generally more effective than tablets.
- The typical therapeutic dose of antacids is 10–15 ml of liquid or one to two tablets taken 3-4 times daily.
- Antacids should be administered on an empty stomach to ensure rapid passage into the duodenum. Their effectiveness is diminished when taken with food, which acts as a buffer. Therefore, antacids should be taken 1–1.5 hours after meals.
- Patients on chronic antacid therapy should have periodic monitoring of calcium and phosphorus levels. Careful dosing adjustments are necessary for individuals with hepatic and renal impairment. [11]

METABOLISM

- Antacids have a small volume of distribution, undergo minimal hepatic metabolism, and are primarily excreted in feces. [11]

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ADVERSE EFFECTS

Long-term use of antacids may lead to the following side effects:

- Hyperacidity and milk-alkali syndrome, depending on the concentration and dosage of antacids.
- Antacids containing aluminum hydroxide ($Al(OH)_3$) can cause hypophosphatemia, aluminum intoxication, constipation, and osteomalacia.
- Magnesium-containing antacids have a laxative effect that may result in diarrhoea.

CONCLUSION

Antacids play a crucial role in treating gastric disorders by providing prolonged and rapid relief with low-dose administration. They demonstrate therapeutic efficacy even at low doses, with minimal side effects and sustained elevation of gastric pH. Antacids offer quick and long-lasting pain relief and effectively alleviate gas symptoms. In vitro studies have shown that antacids containing combinations of aluminum hydroxide, magnesium hydroxide, and other compounds deliver significant clinical outcomes, reaffirming their efficacy among clinicians. The high neutralizing capacity of antacids enables healthcare providers to achieve prompt symptomatic relief in their patients.

REFERENCES

1. Parakh RK, Patil NS: Anaesthetic antacids: a review of its pharmacological properties and therapeutic efficacy. Int. J. Res.Med. Sci. 2018 Jan; 6(2): 383–393.
2. Peterson WL, Sturdevant RA, Frankl HD,et al. Healing of duodenal ulcer with an ant-acid regimen. N Engl J Med 1977; 297:341–345. 1977/08/18. DOI: 10.1056/nejm197708182970701.
3. Dajani EZ, Dajani NE and Shahwan TG.Over-the-Counter Drugs. In: Johnson LR (eds), Encyclopaedia of Gastroenterology Elsevier Science 2004: 16–33.
4. Badillo R. Diagnosis and treatment of gastroesophageal reflux disease / R. Badillo, D. Francis // World J Gastrointest Pharmacol Ther. – 2014. – 5 (3). – P. 105-112.
5. Jagadesh K, Chidananda KN: Study of Acid Neutralizing Capacity of Various Antacid Formulations. Asian J. Pharm. Technol. Innov. 2015; 03(12): 113–120.
6. Van Marrewijk CJ, Mujakovic S, Fransen GA. Effect and cost effectiveness of step-up versus step-down treatment with antacids, H2-receptor antagonists, and proton pump inhibitors in patients with new onset dyspepsia (Diamond study): a primary-care-based randomised controlled trial. Lancet (London, England) 2009; 373: 215–225. 2009/01/20. DOI: 10.1016/s0140-6736(09)60070-2.
7. Ching CK and Lam SK. Antacids. Indications and limitations. Drugs 1994; 47: 305–317. 1994/02/01. DOI: 10.2165/00003495-199447020-00006.
8. Maton PN, Burton ME. Antacids revisited: a review of their clinical pharmacology and recommended therapeutic use. Drugs. 1999 Jun; 57(6):855-70.