

Antacids

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The normal stomach produces "industrial strength" hydrochloric acid (HCl), similar to that used to remove mortar from bricks. In anticipation of a meal, the intragastric pH (acidity) falls to 2 or lower maximizing the digesting effect of stomach enzymes. The remarkable outcome is not that this corrosive activity may burn the esophagus in gastroesophageal reflux disease (GERD), or produce ulcers in concert with NSAIDs or *Helicobacter pylori* (*H. pylori*), but rather that it fails to do these things in most people. (See "Heartburn: Nothing to Do with the Heart," IFFGD publication #504; and "Peptic Ulcer: A Twentieth Century Disease," IFFGD publication #509)

Today, we know how to cure H. pylori infection and control the damaging effects of nonsteroidal anti-inflammatory drugs (NSAIDs), but GERD remains a common, chronic, and troublesome condition. The principal approach to treatment is to reduce gastric acidity. Readers will be familiar with the powerful new drugs used to reduce the secretion of acid, which include the histamine<sub>2</sub> (H<sub>2</sub>) receptor antagonists (ranitidine, famotidine, cimetidine, nizatidine), and the proton pump inhibitors, or PPIs (omeprazole, lansoprazole, rabeprazole, pantoprazole, esomeprazole) that are available by prescription. Low dose H<sub>2</sub> antagonists are also available without prescription. However, many sufferers of heartburn and related dyspeptic disorders still use older proprietary antacid preparations that serve to neutralize gastric acid after it is secreted. Traditional, cheap, handy, and safe, these still-helpful agents have a continuing role in treating mild heartburn and supplementing prescription drugs in more severe disease.

## WHAT ARE ANTACIDS

Antacids are the oldest effective medications for peptic ulcers and heartburn. Chalk (calcium carbonate) has been chewed for centuries to ease dyspepsia and is still popular. Indeed, before the arrival of the first  $H_2$  antagonist in the 1970s, antacids were the only useful drugs available for these conditions. Most commercially available antacids are combinations of aluminum and magnesium hydroxide. Some effervescent antacids contain sodium bicarbonate, that old household remedy for tummy aches known as "baking soda." Some antacids are combined with an alginate [an insoluble substance that increases surface tension in liquid] to form a compound that floats on gastric fluids to protect the esophagus from acid exposure.

Antacid tablets are slow acting and have less neutralizing power than the equivalent liquid preparation. Tablets must be chewed, and may not interact well with gastric acid. For most, the convenience of tablets far outweighs these slight disadvantages. Such a variety of commercial antacids occupy pharmacists' shelves that this discussion will concentrate on their basic ingredients (See accompanying Table). Note that only a few commercial preparations are cited as examples.

# ANTACID COMPONENTS

Sodium bicarbonate [NaHCO<sub>3</sub>] – Sodium bicarbonate is a weak, short-acting antacid. While generally a safe household remedy, its high sodium content is a disadvantage. Unlikely to be recommended by doctors, "bicarb" or "baking soda" is still a common component of many patent medicines. Bicarbonate has an effervescent property that explains the commercial survival of antacid/pain-killer combinations such as Alka-Seltzer<sup>TM</sup> and Bromo-Seltzer<sup>TM</sup>. Bicarbonate reacts with stomach hydrochloric acid to release carbon dioxide gas (CO<sub>2</sub>) that is quickly absorbed, but sometimes elicits a satisfying belch.

An imbalance of the body's normal pH level (systemic alkalosis) can result from overuse of bicarbonate that is doubly harmful if chloride or potassium is depleted through vomiting or diuretic therapy. Those who require sodium restriction for high blood pressure or heart disease should avoid bicarbonate.

Magnesium Hydroxide  $[Mg(OH)_2]$  – Magnesium hydroxide is best known as milk of magnesia. Like magnesium citrate or magnesium sulfate, it is an effective laxative. Were it not for its tendency to cause diarrhea, magnesium hydroxide would be the most ideal antacid. To counter the diarrhea effect, most manufacturers add aluminum hydroxide, which is constipating. The combination substantially raises the price, and the addition of the less-effective aluminum hydroxide reduces the antacid effect.

Magnesium hydroxide is not absorbed by the intestine. However, its interaction with hydrochloric acid produces magnesium chloride that can be absorbed. Magnesium has many functions in human cells, including the heart, and may have harmful effects if levels in the blood rise. This is not a problem for a person with healthy kidneys, but magnesium should be avoided if renal failure is present.

It is a good idea to think of any substance you take for a *therapeutic affect* as a medication. Tell your doctor about it. This applies whether taking a prescription or over the counter drug, herb, or supplement. Remember, even "natural" supplements and herbs can interact with other substances or have unexpected and undesirable side effects. We recommend consultation with a qualified health care professional familiar with your particular circumstances when trying any self-treatment for a chronic or persistent condition.

Aluminum Hydroxide  $[Al(OH)_3]$  – Compared to magnesium hydroxide, aluminum hydroxide is a weak, slow-acting antacid, and its acid-neutralizing effect varies among commercial products. Aluminum may protect the stomach lining from the damaging effects of alcohol and other irritants. Aluminum hydroxide inactivates the gastric digestive enzyme pepsin. However, the principal reason for its inclusion in commercial antacid preparations is to counteract the diarrhea effect of magnesium.

Aluminum hydroxide has other uses. It binds phosphate in the gut lumen to produce insoluble aluminum phosphate, a feature that is useful in kidney failure when the serum phosphate is abnormally high. It is also useful for patients who tend to form phosphate-containing kidney stones. A very small amount of aluminum is absorbed, and brain damage might occur with its long-term use in the treatment of kidney failure. (It is suspected that the use of aluminum hydroxide may be linked with Alzheimer's disease, however this remains unproven). Chronic, excessive use of aluminum hydroxide may deplete the body of phosphate, causing metabolic bone disease (e.g., osteoporosis, osteomalacia) and risking spontaneous fractures, especially in the malnourished. Aluminum hydroxide may alter the absorption of certain drugs [including some used to treat cardiac disease or high blood pressure] so they should not be taken simultaneously.

*Calcium Carbonate [CaCO<sub>3</sub>]* – Calcium Carbonate (chalk) is the most potent usable antacid. It can achieve an intragastric pH of 9 (alkaline). Nonetheless, it is not always the best choice for regular use. About one-third of the administered calcium is absorbed, and high blood calcium or calciumcontaining kidney stones are slight risks. Phosphate bound by calcium in the gut or bone may deplete the serum phosphorus in some kidney failure patients. A systemic alkalosis [a condition of excess base (alkali) in the body fluids. This is the opposite of excess acid (acidosis)] from prolonged and aggressive use infrequently produces metabolic consequences. Another disadvantage may be the tendency for gastric acid secretion to rebound after calcium is given.

Persistent heartburn is the most frequent—but not the only—symptom of gastroesophageal reflux disease (GERD). Heartburn is so common that it often is not associated with a serious disease, like GERD. All too often, GERD is either self-treated or mistreated.

Antacids and alginic acids are useful to provide rapid relief of intermittent heartburn, particularly if brought on occasionally by foods or various activities. Over-the-counter preparations provide only temporary symptom relief. They do not prevent recurrence of symptoms or allow an injured esophagus to heal. They should not be taken regularly as a substitute for prescription medicines they may be hiding a more serious condition. If needed regularly for more than two weeks, consult a physician for a diagnosis and appropriate treatment.

Popular calcium antacid tablets include Tums<sup>TM</sup> and Titralac<sup>TM</sup>. The dose should not exceed 3 g per day. Like aluminum hydroxide, calcium carbonate may be prescribed to bind phosphate as treatment for renal failure. It may also supplement the diet for pregnancy and lactation, and prevent or treat certain metabolic bone diseases (e.g., osteomalacia, osteoporosis). When these requirements coexist with the need for an antacid, calcium carbonate is doubly useful.

## ADDITIONAL COMPONENTS

*Peppermint flavoring* – Peppermint is the most common antacid flavoring. By relaxing the lower esophageal sphincter to release gas, peppermint encourages the release of a belch after a meal. Hence the popularity of after-dinner mints. However, the same activity may perversely encourage reflux. There are probably insufficient quantities of peppermint in antacid preparations to have much clinical effect.

Antiflatulent – Simethicone is a surfactant, which presumably by breaking down bubbles within the gut renders gas available for absorption. Despite the lack of evidence of effectiveness, simethicone is included in some popular antacid preparations, thereby increasing their cost.

Alginic acid – Prepared from kelp (seaweed), alginate acts as a physical acid barrier for the esophagus in gastroesophageal reflux. It is not an antacid. When ingested, this tasteless and apparently harmless substance floats on gastric fluid to prevent the reflux of acid and pepsin into the esophagus. Preparations such as Gaviscon<sup>TM</sup> or Algicon<sup>TM</sup>, combine alginate with antacids, and are popular heartburn remedies. There are no satisfactory clinical trials, but these preparations have little neutralizing power and are probably of little benefit in those reflux patients who have complicating esophagitis.

#### **Table: Basic Antacids**

Antacid	Formula <sup>(1</sup> )	Neutralizin g Power	Unwanted Effects
Magnesium Hydroxide	Mg(OH) <sub>2</sub>	high	Diarrhea, Magnesium toxicity
Aluminum Hydroxide	AI(OH)₃	modest	Constipation , Drug or phosphate binding (inhibits absorption)
Calcium Carbonate	CaCO₃	very high	Acid rebound

Sodium Bicarbonat	NaHCO₃	low	Fluid retention,
е			Alkalosis

 Al= aluminum; Mg = magnesium; Ca = calcium; Na = sodium

### **COMMERCIAL ANTACIDS**

Most commercial antacids contain two or more components. The most common combinations are varying concentrations of sodium hydroxide and aluminum hydroxide. Some widely advertised brands, those with additional components and those containing greater concentrations of the effective ingredients, tend to cost more. There are other antacids that sacrifice efficacy in the interests of taste and acceptability. Space precludes detailed listing of all products. However, labeling is required, and armed with the above information, consumers should be able to select the product most suitable to their needs.

### HOW TO TAKE ANTACIDS

Most commercial antacids come with some instructions. It is important to heed the contraindications and not exceed the recommended daily dose. The need for these antacids is highly individual and regular use should only be as directed by a physician. To achieve round-the-clock acid neutralization, 7 doses a day have been proposed. However, most people find it difficult to comply with such a regimen, which is seldom necessary to control symptoms. A common recommendation is to take the antacids after meals and at bedtime. In practice, most users learn to take the antacid when they have symptoms, e.g., heartburn. A useful technique is to anticipate the timing of symptoms, such as after spicy foods or at bedtime, and take the antacid before.

## SUMMARY AND CONCLUSION

Antacids are obsolete for the primary treatment of peptic ulcer. Nonetheless, they seem to help many of those with bloating or nonulcer dyspepsia. The most important indication today is heartburn. Magnesium hydroxide is effective for this, but because of its laxative effect, most commercial preparations combine it with aluminum hydroxide. By reducing gastric acidity (raising the intragastric pH), antacids inactivate pepsin and relieve symptoms. Antacids by themselves, or combined with alginate are very helpful for the relief of heartburn, but are ineffective for esophagitis and other complications of GERD.

### References

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