Coated Aspirin Tablets – A Solution Or a New Problem?

By Edward J. Petrus, M.D.

Most low-dose (81mg) and many regular dose (>325mg) aspirin tablets are coated, and most are enteric coated, consisting of pH sensitive polymers. Coatings can be designed to remain intact in the acidic environment of the stomach (protecting either the drug from the acid environment or the stomach from the drug), but dissolve in the more alkaline environment of the intestine.

According to the Food and Drug Administration (FDA) Consumer, 80 million aspirin are taken each day. More than 50 million patients take a daily aspirin tablet to reduce the risk of heart disease, others take a daily aspirin to prevent a stroke or migraine attack. Most of the daily aspirin tablets are coated.

Aspirin inactivates the platelets to reduce blood clotting which prevents heart attacks or strokes. In a study comparing coated versus uncoated aspirin, 65% of patients taking coated aspirin – no matter what the strength – had no reduced clotting, while 75% of patients taking uncoated aspirin had reduced clotting (*Stroke*, 2004; 35:175-178). About half the patients who have a heart attack or stroke are taking aspirin at the time, and has been called “aspirin resistance” when in fact this should be labeled as “treatment failure” either because the dose is too low, the coating never opens up to release the aspirin, or NSAIDs, such as ibuprofen and naproxen compete with aspirin-binding sites on platelets and interfere with the aspirin response.

Inflammatory bowel disease (IBD) comprising ulcerative colitis (UC) and Crohn disease (CD) is increasing globally. Highest rates among 20 to 29-year olds (*Gastroenterology* 2012; 142(1):46-54). Frequent use of NSAIDs but not aspirin seen to be associated with IBD (*Arch Intern Med* 2012; 156(5):350-359).

Reports implicate NSAID use and mucosal injury in the small and large intestine. Enteric-coating (EC) or sustained release (SR) formulations may increase the exposure of active drug to the mucosa distally to the duodenal bulb, and thereby increase toxicity to distal GI regions where the effects are difficult to monitor, and associated with both small and large intestinal bleeding, anemia, strictures, ulcerations, perforations and death (*J Pharm Pharmaceut Sci* 1999; 2(1):5-14).

A new study reported the incidence of small bowel complications induced by enteric coated low-dose (100mg) aspirin with and without a proton pump inhibitor (rebamipide) (*World J of Gastroenterology*, 2011; 17(2):226-230). After two weeks, capsule endoscopy, showed a decrease in small bowel blood flow, and increased small bowel inflammation and injury. The proton pump inhibitor is useful for upper GI tract protection, but was not effective for the lower GI tract because of a lack of acid secretion. Coated low-dose aspirin avoids mucosal injury to the stomach, which may cause dyspepsia or GI bleeding, but appears to cause injury to the small intestine, where the absorption of nutrients occurs. The long term effect of coated aspirin or other NSAIDs may have a more significant deleterious effect.
The safer form of low-dose aspirin is in the form of an orally disintegrating tablet (ODT). The oral mucosa offers several advantages; it is well supplied with both vascular and lymphatic drainage, bypasses metabolism in the liver, avoids GI side effects, and is rapidly absorbed into the bloodstream. A recent study (International J of Medical and Clinical Research, 2011;2(2):72-77) demonstrated that Fasprin® a low-dose (81mg) aspirin that dissolves in the mouth was absorbed by the bloodstream in 5 minutes. Fasprin® showed a 78% bioavailability (the amount of aspirin available) compared to 40-50% of an ingested aspirin tablet. About 40% of the population have difficulty swallowing tablets, making Fasprin® an easier choice.

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