



THE WELLNESS REPORT

A DAILY DOSE

Bioavailability may be the key to future functional food success • BY CAROL CULHANE

The methodical application of functional foods to human health often assumes the use of logic and characteristics specific to pharmaceuticals. Common examples are identification of the active ingredient, dosage amounts and daily dose requirements to achieve a desired physiological outcome. A more complex, highly important yet rarely discussed attribute in the functional food market is bioavailability.

A term borrowed from the pharmaceutical sector, bioavailability describes the fraction of an administered dose of medication that enters the blood stream. When medication is administered intravenously, its bioavailability is 100 per cent. However, when administered by mouth, bioavailability is decreased as the medication first undergoes digestion. Called “first pass metabolism,” this effect can occur in the gastro-intestinal (GI) tract or the liver, depending on the type of drug. Allowances must be made for the amount of first pass metabolism a drug will undergo before reaching the blood system so that an excess amount of the drug will not enter the circulatory system.

Functional foods are, of course, consumed orally, giving rise to several factors likely to decrease or increase the bioavailability of the health-promoting ingredients they contain. Nutrient bioavailability is directly related to nutrient absorption, all of which takes place in the GI tract, mostly the intestine. Some bioavailability interactions amongst nutrients are well-known in the nutritional community. Vitamin C, for example, enhances iron absorption, transport and storage in the intestine. On the other hand, dietary fibre and phytates in wheat decrease iron absorption in the intestine, especially iron contained in wheat.

New scientific findings show that inulin, a prebiotic that is activated in the intestine, facilitates calcium bioavailability, similar to the long-established role of vitamin D. So by adding inulin to dairy products such as yogurt, producers create an organoleptic benefit by providing a smooth, creamy mouthfeel, while offering the physiological advantage of

facilitating calcium absorption. Phytosterols – a plant-based compound and the active ingredient in the cholesterol-reducing margarine Benecol, marketed in 15 countries worldwide, not including Canada – can reduce the amount of cholesterol entering the bloodstream. Since cholesterol is absorbed in the upper third of the intestine, phytosterols in the intestine block the bioavailability of dietary cholesterol and inhibit the re-absorption of cholesterol from bile acids. However, phytosterols also inhibit the bioavailability of several fat-soluble vitamins such as A, D, E and K. Finally, beta-glucans, the component of oats and barley responsible for cholesterol-reduction, claim their effect by reducing the bioavailability of saturated fat and cholesterol in the intestine, without adversely affecting the absorption of fat-soluble vitamins.

Increasingly, these newly recognized ingredients – inulin, phytosterols, beta-glucans, and many others – use the intestine as their physiological mechanism. Traditionally, the liver was regarded as the most important metabolic organ owing to its essential role in drug metabolism. However, the primary role of nutrient bioavailability in achieving desired health outcomes is shifting focus, corresponding to an advanced understanding of the functions of the intestine.

Lutein, an anti-oxidant and pigment prevalent in dark green leafy vegetables and eggs, plays a critical role in the maintenance of eye health and in the reduction of age-related macular degeneration (AMD). Lutein, called a “macular pigment” because it is concentrated in the macula or “hole” of the retina, has significantly higher bioavailability when derived from eggs than from spinach or lutein supplements. Lutein is lipophilic, meaning it is chemically attracted to fat and oil. The fatty acid content of the egg yolk, where lutein in eggs is located, facilitates the absorption and bioavailability of the lutein.

There is clearly no limit to the creativity and determination of scientists. Take the example of folate deficiency, a frequent cause of anemia in alcoholics. Researchers showed that

added folic acid is readily soluble in wine, and highly bioavailable in both normal volunteers and intoxicated chronic alcoholics, and concluded that "folate deficiency in alcoholics could be prevented by the fortification of alcoholic beverages with the vitamin." Published in the reputable *Annals of Internal Medicine*, the recommendation may be waiting for one of Canada's innovative and nimble vintners to take up the torch. After all, Canada was the first country to mandate the addition of folic acid to wheat flour.

In some cases, unfortunately, a functional food can be dysfunctional, and bioavailability is at the root. Research underway since 1998 has proven that grapefruit juice significantly increases the bioavailability of some pharmaceuticals (cholesterol-reducing, hypertension-reducing, HIV/AIDS treatment, for example) to that of dangerous proportions. Consequently, grapefruit juice, once the subject of indirect health claims for risk reduction for some types of cancer and heart disease, and its partner, grapefruit, the darling of the famous grapefruit diet, have suffered a debilitating decrease in consumption. Grapefruit juice reached peak per capita U.S. consumption in 1998/9, but plummeted 31 per cent to a 10-year low in 2001/2 as North Americans shunned grapefruit. As a result, Florida has lost almost one-third of its grapefruit producers.

The culprit in grapefruit juice was revealed last month in the *American Journal of Clinical Nutrition*. A foreign sounding, though not all that rare compound called furanocoumarins in grapefruit and grapefruit juice blocks the first pass metabolism in the intestine of some drugs, resulting in a consistently higher amount of the drug entering the blood stream than pharmacologists calculate. Furanocoumarins are potent chemical defenses used by several plants to thwart predators. In wild parsnips, they allow the plant to resist its principal enemy, the parsnip webworm. "Celery picker's itch" and "bartender's itch" are two skin conditions suffered when some humans come into contact with celery plants or the oil of lime peels. One can see ever-optimistic marketers at work with the suggestion that furanocoumarins (extracted from grapefruit, of course) be added to obstinate, difficult to absorb drugs to improve their bioavailability. The medical community has pushed back with the authoritative statement that "grapefruit juice as a drug-sparing agent in treatment involving expensive medication cannot be derived from the information currently available on grapefruit juice interactions." It appears that Florida's grapefruit crop is at a new turning point – already the projected tonnage for 2005/6 has been raised by 1.2 million boxes to 19.2 million boxes. With increased scientific emphasis on nutrient bioavailability and the intestine, and less on the drug-metabolizing liver, one can hope that a reversed situation will arise in the future in which drugs will be shunned because of their negative interactions with functional foods, rather than the current plight being suffered by grapefruit and its juice. [E]

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