SACCHARIN

Overview

Saccharin is an artificial sweetener. The basic substance, benzoic sulfilimine, has effectively no food energy and is much sweeter than sucrose, but has a bitter or metallic aftertaste, especially at high concentrations. It is used to sweeten products such as drinks, candies, cookies, medicines, and toothpaste.

Origins

Saccharin derives its name from the word *saccharine*, meaning of, relating to, or resembling that of sugar.

Properties

Sodium salt of Saccharin: A white powder

Saccharin is unstable when heated but it does not react chemically with other food ingredients. As such, it stores well. Blends of saccharin with other sweeteners are often used to compensate for each sweetener's weaknesses and faults. A 10:1 cyclamate:saccharin blend is common in countries where both these sweeteners are legal; in this blend, each sweetener masks the other's off-taste. Saccharin is often used together with aspartame in diet carbonated soft drinks, so that some sweetness remains should the fountain syrup be stored beyond aspartame's relatively short shelf-life. Saccharin is believed to be an important discovery, especially for diabetics, as it goes directly through the human digestive system without being digested. Although saccharin has no food energy, it may trigger the release of insulin in humans and rats, presumably as a result of its taste, but this is not conclusive as the same study states "No statistically significant changes in plasma insulin were found." This is similar for aspartame (another artificial sweetener).

In its acid form, saccharin is not water-soluble. The form used as an artificial sweetener is usually its sodium salt. The calcium salt is also sometimes used, especially by people restricting their dietary sodium intake. Both salts are highly water-soluble: 0.67 grams per milliliter water at room temperature.

History

Saccharin, historical wrapping; Sugar Museum (Berlin)

Saccharin was produced first in 1878 by Constantin Fahlberg, a chemist working on coal tarderivatives in Ira Remsenlaboratory at the Johns Hopkins University. The sweet taste of saccharin was discovered when Fahlberg noticed a sweet taste on his hand one evening, and connected this with the compound that he had been working on that day.

Fahlberg and Remsen published articles on benzoic sulfimide in 1879 and 1880. In 1884, now working on his own in New York City, Fahlberg applied for patents in several countries, describing methods of producing this substance that he named saccharin. Fahlberg would soon grow wealthy, while Remsen merely grew irate, believing that he deserved credit for substances produced in his laboratory. On the matter, Remsen commented, "Fahlberg is a scoundrel. It nauseates me to hear my name mentioned in the same breath with him."

Although saccharin was commercialized not long after its discovery, it was not until sugar shortages during World War I that its use became widespread. Its popularity further increased during the 1960s and 1970s among dieters, since saccharin is a calorie-free sweetener. In the United States, saccharin is often found in restaurants in pink packets; the most popular brand is "Sweet'N Low".

Government regulation

Starting in 1907, the USDA began investigating saccharin as a direct result of the Pure Food and Drug Act. Harvey Wiley, then the director of the bureau of chemistry for the USDA, viewed it as an illegal substitution of a valuable ingredient (sugar) by a less valuable ingredient. In a clash that had career consequences, Wiley told President Theodore Roosevelt that "Everyone who ate that sweet corn was deceived. He thought he was eating sugar, when in point of fact he was eating a coal tar product totally devoid of food value and extremely injurious to health." But Roosevelt himself was a consumer of saccharin, and, in a heated exchange, Roosevelt angrily answered Wiley by stating, "Anybody who says saccharin is injurious to health is an idiot." The episode proved the undoing of Wiley's career.

In 1911, the Food Inspection Decision 135 stated that foods containing saccharin were adulterated. However, in 1912, Food Inspection Decision 142 stated that saccharin is not harmful.

More controversy was stirred in 1969 with the discovery of files from the FDA's investigations of 1948 and 1949. These investigations, which had originally argued against saccharin use, were shown to prove little

about saccharin's being harmful to human health. In 1972, the USDA made an attempt to completely ban the substance. However, this attempt was also unsuccessful, and so the sweetener continued to be widely used in the United States. It is now the most popular after sucralose and aspartame.

In the European Union, saccharin is also known by the E number (additive code) **E954**.

The current status of saccharin is that it is allowed in most countries, and countries like Canada are considering lifting their previous ban of it as a food additive. The concerns that it is associated with bladder cancer were proven to be without foundation in experiments on primates.

Saccharin was formerly on California's list of chemicals known to the state to cause cancer for the purposes of Proposition 65, but it was delisted in 2001.

Chemistry

Saccharin can be produced in various ways. The original route by Remsen & Fahlberg starts with toluene; another route begins with o-chlorotoluene. Sulfonation by chlorosulfonic acid gives the *ortho* and *para* substituted sulfonyl chlorides. The *ortho* isomer is separated and converted to the sulfonamide with ammonia. Oxidation of the methyl substituent gives the carboxylic acid, which cyclicizes to give saccharin free acid:

In 1950, an improved synthesis was developed at the Maumee Chemical Company of Toledo, Ohio. In this synthesis, anthranilic acid successively reacts with nitrous acid (from sodium nitrite and hydrochloric acid), sulfur dioxide, chlorine, and then ammonia to yield saccharin:

The free acid of saccharin has a low pKa of about 2 (the acidic hydrogen being that attached to the nitrogen). Saccharin can be used to prepare exclusively disubstituted amines from alkyl halides via a Gabriel synthesis.

Source : http://www.toxipedia.org/display/toxipedia/Saccharin