Artificial sweeteners, without the aftertaste: Scientists find bitter-blocking ingredient

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Researchers have discovered a chemical that specifically blocks people's ability to detect the bitter aftertaste that comes with artificial sweeteners such as saccharin. The key is a molecule known only as GIV3727 that specifically targets and inhibits a handful of human bitter taste receptors, according to a report published online on May 27th in *Current Biology*.

The finding of what the researchers say is the first commercially relevant small-molecule bitter taste inhibitor also opens the door to further discovery of compounds for other taste-enhancement purposes, such as hiding the yucky taste of medicines or other commonly encountered bitter flavors.

"To our knowledge, this is the first published example of a bitter receptor inhibitor with taste activity in humans," said Jay Slack of Givaudan Flavors Corp. in Cincinnati. "We applied high-throughput screening and medicinal chemistry approaches to develop specific inhibitors for human bitter taste receptors. While these methods are commonly used in the development of new drug candidates, ours is the first successful application of this technology for bitter taste modulation. This flavoring substance could be broadly used to improve the palatability of foods and beverages containing acesulfame K and saccharin."

Acesulfame K is a calorie-free sweetener sold as Sunett and Sweet One. Saccharin is often found in little pink packets at restaurants under the trade name Sweet'N Low.

In addition to its commercial potential in packaged foods and beverages, GIV3727 could also lead to important new insights in the scientific arena, the researchers said.

"Recent evidence indicates that some bitter receptors are also expressed in other nongustatory tissues with proposed roles in the detection of noxious airborne chemicals or regulation of glucose homeostasis via the gastrointestinal tract," the researchers noted in their report. "Bitter receptor antagonists hold promise as tools to explore the role of bitter receptor signaling in these other systems."

The method used by Slack, along with Wolfgang Meyerhof of the German Institute of Human Nutrition Potsdam-Rehbrücke and their interdisciplinary team, allowed the researchers to screen the activity of thousands of molecules against human bitter taste receptors, and specifically those receptors that respond to saccharin. Those studies led them to GIV3727, a chemical that was not previously known to have any particular taste properties. Further study led to the surprising discovery that GIV3727 works on five other human bitter receptors too.

Controlled human taste tests of artificially sweetened solutions with and without GIV3727 found that the ingredient had the desired effect. That is, almost everyone selected the beverages containing GIV3727 as being less bitter. Taste intensity ratings revealed that GIV3727 had an ability to reduce bitter tastes significantly. Importantly, those effects came without interfering with study participants' ability to taste sweetness.

The researchers said that there remains some possibility that GIV3727 might work for some people a little better than it does for others, noting that even though the chemical completely abolished bitter taste receptors in the laboratory, some people were apparently still able to detect bitterness to some degree. Those differences might be explained by known differences among people in bitter taste receptor genes, the

researchers said.

More information: Slack, Meyerhof et al.: “Modulation of Bitter Taste Perception by a Small Molecule hTAS2R Antagonist.” Publishing in Current Biology 20, 1-6, June 22, 2010.
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