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File: ■ Monk Fruit (*Siraitia grosvenorii*)
■ Chemistry
■ Pharmacology

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RE: Review of the Chemistry and Pharmacology of Monk Fruit

Li C, Lin L-M, Sui F, et al. Chemistry and pharmacology of *Siraitia grosvenorii*: a review. *Chin J Nat Med.* February 2014;12(2):89-102.

Monk fruit (*Siraitia grosvenorii*), a member of the Cucurbitaceae family, is found throughout southern China and Indonesia. Monk fruit is traditionally used to sweeten foods and to treat cold symptoms. Studies report that monk fruit has antioxidant, antidiabetic, and anticancer bioactivity. The main bioactive compounds are thought to be the mogrosides, which are triterpenoid glycosides occurring in the fruit. Monk fruit is contemporarily used as an expectorant and for diabetes treatment. This review discusses the current bioactivity of monk fruit extracts and its constituents.

Phytochemical investigations have found that cucurbitane triterpenoid glycosides are the prevalent compounds in monk fruit. Of these, the mogrosides (some of them are characterized by a sweet taste) are considered the primary bioactive compounds in monk fruit. Commercial products containing mogroside-enriched extracts that are reportedly up to 200 times sweeter than sucrose are available as natural sweeteners on the market in the United States. Additionally, flavonoids have been found in the aboveground parts of monk fruit, and anthraquinones, alkaloids, simple phenols, sterols, and aliphatic acids have been found in fruits or leaves. The presence of polysaccharides and vitamin C has also been reported.

In mice, the aqueous extract of monk fruit (25 or 50 g/kg) decreased cough caused by ammonia or sulfur dioxide. The same effect was seen in vitro with mogroside treatment with a minimum cough inhibitory concentration of 80 mg/kg. Monk fruit aqueous extract and mogrosides (50-200 mg/kg) were reported to promote phlegm expulsion in mice and rats. Also in rats, aqueous extract of monk fruit elevated blood lymphocytes (25 or 50 g/kg) and modulated the activity of phagocytes in mice, suggesting an immunostimulatory effect.

When compared to the standard antioxidants butylated hydroxytoluene (BHT) and rutin, aqueous, ethanol, ethyl acetate, and chloroform extracts of monk fruit stem were found to have stronger antioxidant activity than BHT, but lesser activity than rutin. In diabetic mice, supplementation with 0.5, 1.0, or 3.0 g/kg of monk fruit powder or extract for 30 days significantly reduced fasting and after-meal blood glucose. Additionally, mogroside extract at 150 or 300 mg/kg given for 30 days decreased blood glucose concentrations in mice, as well as the expression of interferon-gamma (IFN-γ) and tumor necrosis factor-alpha (TNF-α),

both markers of inflammation. Mogroside extract administered at 100, 300, and 500 mg/kg significantly lowered blood glucose, total cholesterol, and triacylglycerol concentrations in diabetic mice; these dosages also elevated high-density lipoprotein cholesterol concentrations as well as liver antioxidant enzyme activity.

When tested with mouse skin tumors, mogroside V and 11-oxo-mogroside V were found to have inhibitory activity on peroxynitrite-induced carcinogenesis. Antibacterial effects were observed with ethanol extracts of the leaf and stem. Extract concentrations at 50 mg/ml inhibited the proliferation of *Pseudomonas aeruginosa* by 90.9% (leaf) and 76.7% (stem), respectively. Extracts from various parts of monk fruit were found to be antibacterial against *Streptococcus mutans*. Aloe emodin was found to be the strongest inhibitor of the tested monk fruit isolates. In mice, a tablet of monk fruit extract attenuated swelling in the ears and paws, as well as alleviated pain. The anti-inflammatory effects may be due to downregulation of inducible nitric oxide synthase (iNOS) and cyclooxygenase-2 (COX-2).

An ethanol extract of monk fruit significantly extended the time to exhaustion in mice undergoing a swimming test; following this test, hemoglobin and antioxidant enzyme activity (superoxide dismutase and glutathione peroxidase) in the liver were elevated in mice consuming the monk fruit extract, as compared to control animals. The flavonoid fraction of monk fruit leaf also lengthened the time to exhaustion in rats in a swimming test.

Other reported effects were the attenuation of histamine release by a monk fruit water extract and the triterpene glycoside fraction at dosages of 300 and 1,000 µg/ml in mice, and prevention of endothelial cell injury by the total leaf flavones.

In studies addressing toxicity, the maximum tolerated oral dose in mice exceeded 100 g/kg. Rats on a diet of 0.04%, 0.2%, 1%, and 5% of monk fruit extract for 13 weeks showed no physiological changes. Dogs consuming mogrosides for four weeks at 3 g/kg also displayed no changes in blood parameters or organ health. Thus, this review concludes that monk fruit and mogrosides are safe to consume.

Overall, monk fruit has been shown to have a range of bioactivity, including expectorant, antidiabetic, and antibacterial activity, although the effects are based on in vitro and animal studies. One small study[1] evaluating the effects of mogrosides on blood sugar in human volunteers was not included. The mogrosides are the most studied of the monk fruit compounds, although other classes of compounds such as flavonoids and polysaccharides are also reported to be bioactive. It is surmised that further study is necessary to elucidate mechanisms of action of monk fruit bioactivity, and synergistic activity of mogrosides with additional compounds should also be investigated. Ideally, monk fruit will be the subject of future clinical trials.

—Amy C. Keller, PhD

Reference

[1]Xu Q, Liang R-G, Su X-J, Zhang J-Z, Xu L. Study on normal human body blood sugar and liver enzymes changes affected by oral mogrosides intake. *Food Science*. 2007;28(6):315-317.

The American Botanical Council has chosen not to include the original article.