

Boswellic Acids: Potent Active Ingredients from a Traditional Remedy

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Abstract

For thousands of years gum resin from the *Boswellia serrata* tree has been valued in diverse parts of the world. In eastern countries, it is known as “salai guggal” and in western countries it is known as “frankincense”. Traditional ayurvedic medicine called for the gum to be used in the treatment of various inflammatory conditions of the skin, eye and gums, as well as respiratory disorders such as asthma, bronchitis, and laryngitis¹. And still today, medical practitioners in India use boswellia to treat arthritis, pain and respiratory ailments².

Modern analytical techniques have combined to unlock the chemical mysteries of the ancient remedy. And a continually-expanding knowledge of cellular biology has provided an explanation as to why the remedy has remained popular for centuries.

Recent research^{3,7} in Germany and India has shown that the pentacyclic triterpenoids present in *Boswellia* inhibit human leukocyte elastase and also block production of pro-inflammatory leukotrienes by inhibiting 5-lipoxygenase. Two of the compounds in the series, acetyl-11-keto-boswellic acid and 11-keto-boswellic acid, have been shown to be the most potent of the triterpenoids.

Personal Care formulators who want to take advantage of the anti-inflammatory and anti-aging potential of *Boswellia serrata* gum resin will find it is not appropriate for use because it has a strong odour and contains potentially sensitizing components. Depending on the purification methods used, extracts of *Boswellia* can contain mixtures of numerous compounds. However, an ultra-refined extract is now available that delivers very high concentrations (95%) of the two most potent compounds, in a convenient low-odour powder, with substantiation that supports anti-inflammatory and anti-elastase activity.

Biological Activity of Boswellic Acids

Inflammation is caused by a number of inflammatory mediators including histamine, cytokines, prostaglandins and leukotrienes. The leukotrienes are produced from arachidonic acid via 5-lipoxygenase. Inhibitors of 5-lipoxygenase effectively reduce inflammatory conditions such as topical irritation, arthritic conditions and respiratory ailments. Typical 5-lipoxygenase inhibitors are non-specific anti-oxidant based compounds such as nordihydroguaiaretic acid (NDGA) and caffeic acid esters.

Boswellic acids are a series of pentacyclic triterpenes from the gum resin of *Boswellia serrata* tree. These compounds have a history of anti-inflammatory activity and have been shown to be potent inhibitors of leukotriene biosynthesis, namely leukotriene B₄. As noted earlier, leukotrienes are produced from arachidonic acid via 5-lipoxygenase (5-LO); therefore it is understood that the boswellic acids inhibit the 5-lipoxygenase enzyme. Safayhi³ was able to demonstrate that the boswellic acids inhibit 5-lipoxygenase by an enzyme-directed, non-competitive, non-redox mechanism by binding to a pentacyclic triterpene selective effector site. Safayhi^{4,6} and Sailer⁵ reported that acetyl-11-keto-boswellic acid and 11-keto-boswellic acid were the most potent 5-lipoxygenase inhibitors of the series, with IC₅₀ values of 1.5 μ M (0.7 ppm) and 3.0 μ M (1.4 ppm), respectively. (The IC₅₀, called the half maximal inhibitory concentration, represents the concentration of a substance that is needed to inhibit fifty percent of an enzyme activity.)

Because of their potent anti-inflammatory activity the boswellic acids were evaluated for their effect on the Complement System, which is involved in inflammatory disorders ranging from rheumatoid arthritis to anaphylaxis. The boswellic acids were able to inhibit hemolysis and chemotaxis of leukocytes and were shown to work by inhibiting a key enzyme of the Classical Complementary pathway, namely C3-convertase, a serine protease^{8,9,10}. Kapii⁹ showed that acetyl-11-keto-