

Application of Herbs in Sport (Part 2)

Dr. Brett Martin

Bromelain is obtained from the stems of pineapples. It has been used for its medicinal value by many native cultures but was not cultivated for therapeutic value until 1957.

Bromelain is used for a multitude of purposes, but is most noted as an anti-inflammatory agent. Its anti-inflammatory effects are exerted through its ability to inhibit the biosynthesis of pro inflammatory eicosanoids and increase the biosynthesis of anti-inflammatory eicosanoids. This is accomplished through the regulation of phospholipase A 2, COX-2, and thromboxane synthase activity.


Regulating these different enzymes helps to reduce the arachidonic acid cascade and reduce the production of pro inflammatory eicosanoids. It has also been shown to inhibit nuclear factor kappa b. Which we discussed earlier, the expression of nuclear factor kappa b is associated with co inflammatory events. In

Addition, it's been shown to suppress the activity of pro inflammatory mediators, tumor necrosis factor alpha, interleukin 6, and interleukin 1 beta. Lastly, it's been shown to stimulate a dose dependent reduction in bradykinin, which is a potent promoter of vascular permeability in acute inflammation. And it also been shown to reduce the pain threshold by desensitizing nociceptors in tissues that are damaged.

A study selected 77 patients with mild acute pain. The groups received 200 milligrams or 400 milligrams of bromelain once a day for a month, and the WOMAC scores were used to assess improvement. Upon completion of the study, both groups significantly had a reduction in their WOMAC scores. There was a 41% reduction in the 200 mg group, and a 59% reduction in the 400 mg group.

Therefore, the greater improvement in physical function and stiffness was noted in the 400 mg group. Both groups also experienced an improvement in well-being. In an animal study, 7 milligrams per kilogram of an extract, 30 milligrams for kg of pineapple juice or placebo were used for two weeks in rats that were suffering from a post-traumatic Achilles tendon crush injury.

The results showed that Bromelain significantly increased the number of tendon cells promoting tissue repair compared to placebo as well as pineapple juice. Bromelain is considered to be generally safe. Very few side effects have been reported with the use of Bromelain. However, immediate and delayed onset hypersensitivity reactions in the respiratory and gastrointestinal tract have occurred.



It is important to consider that the most common type of hypersensitivity reaction is an allergic response due to inhalation of bromelain associated with occupational exposure. Dosages over 1.84 grams have also been shown to cause palpitations. These palpitations may last for two hours up to 24 hours. Therefore, in patients with a history of cardiovascular disease or tachycardia, they should be taking 500 milligrams or less.

Bromelain is contraindicated with liver and kidney disease, as well as hemophilia due to the potential for exacerbation of damage to the liver and the kidney and an increased risk of bleeding. There is no official contraindication for bromelain during pregnancy. However, it has been shown to act as a menagogue, which could potentially cause a miscarriage. Therefore, it is not recommended to use during pregnancy.

For optimal results, it is believed that Bromelain should be administered on an empty stomach. However, at this time, there is no evidence that exists demonstrating that administering Bromelain between meals is any more effective. Since Bromelain is used as a digestive aid for the degradation of proteins, it is theorized that it may lose some of its medicinal value if it is consumed with a meal.


It is important to note that Bromelain contains eight components that are proteolytic in nature, but also has constituents that are active that are not proteolytic. Therefore, the proteolytic constituents may not necessarily produce the anti-inflammatory effects that are desired. The dosages for Bromelain vary from 150 milligrams to 2000 milligrams up to four times a day.

However, keep in mind that 1.8 grams can potentially increase the risk of palpitations. And so you have to be careful with that in certain individuals. Bromelain acts synergistically with anticoagulants and increases the risk of bleeding. So caution should be taken.

Quercetin is one of the most important bio flavonoids for the treatment of medical conditions. It has been found in a wide variety of fruits and vegetables such as broccoli, lettuce, onions, apples, kale, tomatoes, black tea, blueberry, grapes, red wine, and green tea. Other herbs may also contain Quercetin such as St John's Wort, ginkgo, biloba, cranberry, and evening Primrose. Quercetin is one of the most potent anti-inflammatory, antihistamine, anti leukotriene, and antioxidant agents available in food.

This herb produces its anti-inflammatory effects mainly through the inhibition of the nuclear factor kappa B pathway which was discussed previously is associated with inflammatory events. It also has been shown to reduce chemotaxis by modulating cytokines, eicosanoids, neutrophil function, and histamine released from mast cells.

This herb down regulates inducible nitric oxide synthase expression associated with acute inflammation preventing swelling. Another possible mechanism for the reduction in swelling may be from its interaction with 5-hydroxy-tryptamine type 2 receptors, which inhibits acute



inflammation associated with serotonin. Quercetin is a potent antioxidant capable of reducing oxidative species produced by phagocytes as well as regenerating vitamin E.

It prevents lipid peroxidation and acts as a metal chelate. Quercetin was also shown to increase superoxidase mutase, glutathione peroxidase, and catase, reducing oxidative damage and oxidative stress. However, an important consideration is that Quercetin has been associated with glutathione depletion. The proposed mechanism is unclear. However, it may be parallel to the concept of antioxidant monotherapy.

In antioxidant monotherapy, the singular antioxidant exerts its effects drastically, increasing the number of its peroxidant counterpart, which needs to be regenerated. This can reduce the number of all the other antioxidants within the body, especially glutathione due to the fact that the components necessary to synthesize glutathione are poorly absorbed through dietary measures.


However, this study appears to be an isolated incident, since most other studies have shown that Quercetin may enhance mitochondrial function and prevent glutathione depletion due to oxidative stress. Therefore, although there is contradictory evidence, Quercetin is considered safe for short term use, but longer use may require the addition of a coenzyme Q10 or an acetylcysteine supplement for the regeneration of glutathione.

Here's two studies demonstrating the effects of Quercetin for musculoskeletal disorders. In the first study, 45 milligrams of Quercetin was used in conjunction with 1,200 milligrams of glucosamine and 60 milligrams of chondroitin sulfate for the treatment of osteoarthritis of the knee in 40 different subjects. After 16 weeks, the Japanese Orthopedic Association assessment demonstrated a significant improvement in 50% of functional tests.

An increase in the type 2 collagen synthesis degradation ratio was also shown, indicating that Quercetin not only reduced inflammation but also improved tissue healing. Another study evaluated the effectiveness of turmeric and quercetin alone or in combination on acute inflammation. The rats were administered 50 milligrams per kilogram of turmeric or 50 milligrams per kilogram of quercetin, or the combination orally for 14 days prior to the induction of inflammation.

Results were then compared to the reference ranges of the non serial anti-inflammatory agent indomethacin. According to the data, turmeric and quercetin alone, and in combination moderately reduced inflammation and swelling and inflammatory mediators such as nitric oxide anti tumor necrosis factor alpha. Additionally, it helped to reduce chemotaxis and liver peroxidation as well as elevate glutathione.

And so overall reduced oxidative tissue damage. The combination group was the most effective. Quercetin is considered generally safe when administered orally with no side effects. However, at high dosages, nephrotoxicity has occurred. But these instances are rare. It should be noted that other studies have shown that Quercetin has nephroprotective effects at normal dosages.



It is recommended to take caution with the use of Quercetin with hypothyroid patients, as a few studies have shown that quercetin can act as a thyroid disruptor. However, there are some studies that have shown that quercetin can have no effect on thyroid function, or it may be beneficial for thyroid function. So the data is currently inconclusive. Therefore, in patients with hypothyroidism, you can still potentially use quercetin, but you might want to use a lower dose.

Quercetin is not contraindicated during pregnancy. However, the use of the concentrated capsules or tincture is not recommended due to adverse effects that have been observed on the fetus in animal studies. Many practitioners believe that Quercetin is absorbed optimally when consumed naturally in the diet, whereas others propose it is more effective as a supplement.

Regardless, the dosage of orally administered Quercetin capsules range from 200 to 1500 milligrams, two to three times a day. However, up to two grams of Quercetin have been used every two hours for acute conditions. Quercetin is commonly combined with Bromelain and turmeric. Administration of Bromelain has been shown to enhance the absorption of Quercetin.


Quercetin has been shown to reduce the effectiveness of the immunosuppressant cyclosporine and the antibiotics quinolone and fluoroquinolone. It has been shown to enhance the bioavailability of the antiarrhythmic Digoxin, the blood sugar lowering agent pioglitazone, the anticancer agent paclitaxel, and the anti hypertensive agent, diltiazem, potentially increasing the risk of toxicity.

Quercetin has been shown to reduce the toxicity and side effects associated with haloperidol, which is an antipsychotic, acetaminophen, which is a non steroidal anti-inflammatory, and doxorubicin, which is an anticancer agent. *Bowellia serrata* is another agent that is very effective for the treatment of arthritis due to its potent anti-inflammatory activity. It has been used for centuries for the relief of both acute and chronic inflammation and swelling.

However, currently, *Bowellia* has demonstrated efficacy for the treatment of ulcer colitis and asthma, suggesting that it can be used for just about any inflammatory disorder. Besides his anti-inflammatory properties, *Bowellia* has been used in the past for its antifungal, sedative, and anti hyperlipidemic activity. There are a number of benefits of using *Bowellia* as an anti-inflammatory agent.

The most important aspect of this herb is its ability to promote the production of glycosaminoglycans in the joint cartilage of human chondrocytes preserving the articular cartilage. It has also been shown to reduce proteolytic enzyme activity that may exacerbate tissue damage in arthritic conditions. This was accomplished by the inhibition of MMP-3. MMP-3 hyperactivity is associated with chronic inflammatory processes, such as osteoarthritis.

It has also been shown to enhance the blood supply to the joints increasing nutrient delivery. Therefore, not only does *Bowellia* enhance the generation of glycosaminoglycans, it improves circulation to the joints, but it also prevents the destruction of the joint tissue in chronically inflamed conditions. *Bowellia* has other anti-inflammatory properties.



Boswellia has been shown to inhibit nuclear factor kappa b, COX-2 activity, LOX activity, and TNF-a, as well as other inflammatory mediators involved with the classical immune response pathway. During acute inflammation, it was found to decrease the release of histamine, reducing chemo taxes and edema.

Here are two studies that show the effectiveness of Boswellia for osteoarthritis. In the first study, Boswellia was administered to dogs with osteoarthritis and degeneration of their spinal column. The dosage prescribed was 400 milligrams per 10 kg of body weight once a day for six weeks. Two weeks into the trial, 71% of dogs experienced a significant improvement.

After six weeks, a significant improvement in severity or complete resolution of clinical signs, such as intermittent lameness, local pain, and stiffness was demonstrated. The most common side effect that was noted was diarrhea and flatulence, but this only occurred in one out of every five dogs. In the second study, a commercial extract of Boswellia was utilized for the treatment of osteoarthritis of the knee in a 30 day double blind, randomized, placebo controlled trial.

The Boswellia extract was administered in 100 milligram dose to 60 patients. A statistical reduction in pain, according to the visual analog scale and an improvement in physical function and stiffness according to Lequesne functional index and Western Ontario and McMaster University Osteoarthritis Index was observed upon completion of the third day trial.

Boswellia is generally safe and well tolerated. Although side effects are infrequent, they include gastro esophageal reflux, nausea, bloating, epigastric pain, loss of appetite, and dermatitis. It is important to note that individuals with pre-existing gastrointestinal inflammation are more likely to experience these side effects. Therefore, caution should be taken with these individuals.

However, Boswellia has demonstrated greater efficacy for the reduction of gastrointestinal inflammation and sulfasalazine. Consequently, the exacerbation of gastrointestinal inflammation is unlikely to occur, because Boswellia is actually used to treat these conditions. Boswellia is not recommended during pregnancy, because it acts as a menagogue, which is capable of causing a miscarriage. There are no drug interactions that are known.

The typical dosage of Boswellia is 400 milligrams, three times a day. However, it could be used up to one gram. In addition to oral supplementation using herbs, it is important to note that many agents can be used topically for the healing of various inflammatory conditions of the joints, soft tissues, or scalp muscle. In fact, many practitioners believe that the best way to treat inflammatory conditions is the combination of oral and topical preparations of herbs.

The main mechanism by which these herbs operate is via a counter irritant effect. With the counter irritant effect, the topical agent produces a mild irritation of the skin. The irritation is not enough to induce inflammation, but rather activates the noxious C fibers in the nervous system, causing them to secrete substance P and local prostaglandins. Eventually, after prolonged stimulation, substance P will be depleted, and thus the tissues will be desensitized.

Herbs of the mustard family, such as horseradish, mustard, and cabbage, which contain glucosinolates, are capable of producing a counter irritant effect. However, many agents such as cayenne pepper and peppermint are used commonly in clinical practice for this purpose. Another agent that has been shown to be a potent topical anti-inflammatory is the homeopathic preparation of Arnica.

However, Arnica does not act as a counter irritant. It produces its anti-inflammatory effects in a different way. Here are three articles showing the efficacy of topical agents for musculoskeletal disorders. A study with 320 patients was performed that randomly allocated participants into groups consisting of an active plaster coated in cayenne pepper or placebo.

The purpose of this study was to evaluate the efficacy of cayenne pepper for lower back pain. The duration of the study was three weeks. Upon completion of the study, the patients responded that they were significantly improved or symptom free in 82% of cases, compared to placebo group, which only had improvement or symptom free at 50% of patients.

A case report showed the efficacy of peppermint oil for the treatment of a 76-year-old female with post-traumatic neuralgia. Previous therapies had been administered and failed to relieve her pain. Peppermint oil containing 10% menthol was applied to the skin, and the patient had immediate improvement in symptoms. A reduction in the severity of the pain was observed for six hours.

A two month follow up was performed, and the woman continued to have pain relief while using the oil with very few side effects. The efficacy of Arnica gel was compared to a 5% ibuprofen cream in another study. The study was randomized and double blinded, and consisted of 204 patients. The trial period was 21 days. At the conclusion of the trial, there was no difference in the level of pain relief and joint function between the two groups.

Consequently, Arnica was shown to be as effective as ibuprofen. Adverse effects were noted in six patients in the ibuprofen group, and five patients in the Arnica group. And so, the incidence of adverse effects was about the same as well. When treating neuromuscular or musculoskeletal pain with topical agents, it is important to note that these herbs or any other topical creams such as Icy Hot or Biofreeze should not be used during acute inflammation.

That is, the first 36 hours after an acute tissue injury. These topical agents act as rubefacients, which cause local vasodilation. The local vasodilation can increase vascular permeability in the area that contributes to further swelling and tissue damage. This is basically treated the same as any physical therapy modality that uses heat.

However, topical herbs that are rubefacients can be used for non-traumatic muscle soreness and don't cause any problems with those conditions. Topical Arnica may be safe to use during acute inflammation, because it does not act as a rubefacient, but rather reduces inflammation by decreasing the expression of nuclear kappa factor B.

Another important consideration is that some of the components of these topical agents will be absorbed into the skin. Therefore, it is recommended to use caution with the use of Arnica and peppermint in patients with liver disease and during pregnancy.