Coleus Forskohlii
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General Features

Coleus Forskohlii is a small perennial member of the mint family, which has been extensively used for many applications in Ayurvedic medicine. Its unique active ingredient, the diterpene, forskolin, has been shown to activate the enzyme adenylate cyclase in various tissues, which in turn, increases cellular levels of cyclic AMP (Cyclic Adenosine Monophosphate). Cyclic AMP is nicknamed “the second messenger” as its synthesis triggers the action of various hormones, enzymes and other biological activities that have profound effects on local cells, as well as systemic effects, in some instances, on the entire body. It is primarily via the increased synthesis of cyclic AMP that Coleus Forskohlii may exert its medicinal influences on a significant number of common health conditions.

Principle Active Constituents

The primary active constituent in coleus forskohlii is a diterpene compound (saponin) that is unique to this herb, known as Forskolin.1,11 Other diterpene compounds have also been isolated from coleus forskohlii, which may provide synergistic physiological effects.

Clinical Application and Mechanism of Action

Cardiovascular Conditions

**Congestive Heart Failure** as a therapeutic intervention in congestive heart failure forskolin has been shown to activate the enzyme adenylate cyclase, which increases production of cyclic adenosine monophosphate (cAMP) in heart muscle cells (cardiac muscle). Epinephrine has a similar effect on increasing cAMP. Increased levels of cAMP in turn, increases the ability of the heart muscle to produce ATP, which is the energy required for heart muscle contraction and optimal force of muscle contraction with each beat (increased stroke volume). Forskolin also relaxes the artery wall, decreasing blood pressure and thus, pre-load stress on the heart muscle. All of these effects appear to be mediated via increased cAMP synthesis, which acts as a secondary messenger on various cellular processes that manifest the stated outcomes.

**Hypertension** as mentioned, forskolin relaxes blood vessel smooth muscles via increased cAMP synthesis, helping to reduce high blood pressure, by reducing resistance to blood flow.

**Platelet Function** forskolin antagonizes the action of platelet-activating factor (PAF) by interfering with the binding of PAF to receptor sites on cells. In turn, this reduces platelet stickiness as well as smooth muscle contraction of blood vessels and bronchiole air passageways. Once again, these effects are mediated through increased synthesis of cAMP.
Asthma and Skin Conditions

**Asthma and Eczema** - both of these conditions are associated with a relative decrease in cAMP in bronchial smooth muscle and skin cells, respectively. In turn, this results in degranulation of mast cells and increased contraction of smooth muscle in the bronchiole passageways. Increased PAF also contributes to this problem. Pharmaceutical drugs for allergic conditions, asthma, and eczema are often aimed at increasing cAMP levels (corticosteroids, methylxanthines). Corticosteroid drugs stimulate adenylate cyclase enzyme, increasing the synthesis of cAMP whereas, methylxanthine-containing drugs inhibit phosphodiesterase enzyme, which breaks down cAMP. Forskolin may be utilized alone or in conjunction with these drugs in the complementary management of these conditions.

**Psoriasis** - low levels of cAMP appears to disrupt the balance of cAMP with cGMP (Cyclic Guanine Monophosphate). In turn, this has been shown to cause rapid cellular proliferation (a rate that is 1,000 times faster than normal cells), which is a main feature of the psoriatic condition. In experimental studies Coleus Forskohlii administration has been shown to slow the proliferation rate of skin cells by improving the relative balance of cAMP to cGMP.

**Author's Note:**
In general, the above noted physiological effects associated with Coleus Forskohlii explain its historical use for the treatment of cardiovascular conditions, asthma, skin conditions, and as an antispasmodic in the management of irritable bowel syndrome and uterine cramps. Unfortunately, no well-designed, large, clinical studies have been performed to establish its true therapeutic efficacy for any of these conditions and as such, recommending this herb for these conditions is primarily based upon historical use and animal experimental evidence supporting its role as an adenylate cyclase enzyme activator.

Lipolysis and Body Fat Reduction

Recent evidence suggests that supplementation with Coleus Forskohlii may help to reduce body fat in overweight adults. As with other substances that increase cAMP (caffeine, adrenaline, ephedrine, epinephrine), forskolin enhances the breakdown and release of fat from fat cells. The synthesis of cAMP in fat cells initiates a chain events that results in hydrolysis of stored triglycerides by hormone sensitive lipase enzyme, with the subsequent release of free fatty acids and glycerol from fat cells. Unlike ephedrine and other central nervous system stimulants, forskolin does not stimulate the nervous system. Therefore, it does not produce the serious undesirable side effects associated with stimulant drugs and supplements (e.g. ephedrine) such as rapid heart rate, elevated blood pressure, seizures, sudden death heart attack, stroke, nervousness, anxiety, insomnia, atrial fibrillation of the heart etc. Forskolin appears to facilitate an increase in cAMP within fat cells in a manner that by-passes stimulation of beta-adrenergic receptors on the fat cell membrane. By comparison, stimulant drugs, hormones, and supplements, such as ephedrine stimulate beta-adrenergic receptors on fat cells, heart muscle and on the sympathetic nervous system, which results in increased cAMP levels and induces a direct stimulatory effect on the heart, cardiovascular and nervous system. Several small clinical trials have shown that Coleus Forskohlii supplementation may help reduce body fat in overweight adults. In one study, subjects showed an average of 10 lbs of weight loss within an eight-week period. Subjects also
showed an increase in their lean mass in this study. Experimental evidence indicates that forskolin also mildly stimulates thyroid cells, which may explain its reported thermogenic properties. This may further account for its ability to facilitate reductions in body fat in overweight subjects.

**Dosage and Standardized Grade**
For all of the above conditions - the usual dose of Coleus Forskohlii is 250 mg, twice per day (standardized grade of 1% forskolin content) or 50 mg, twice per day (standardized grade of 18% forskolin, or 9 mg of forskolin per 50 mg tablet).

**Adverse Side Effects, Toxicity and Contraindications**
Coleus Forskohlii has been shown to be very non-toxic and is not associated with any significant side effects. It should be avoided in patients with peptic ulcers as it may increase stomach acidity, although no adverse events of this nature have been published.

**Drug-Nutrient Interactions**
Use with caution with patients on anti-asmathic and antihypertensive drugs, as forskolin may potentiate the effects of these drugs. As such, appropriate patient monitoring under these conditions is recommended.

**Pregnancy and Lactation**
During pregnancy and lactation, the only supplements that are considered safe include standard prenatal vitamin and mineral supplements. All other supplements or dose alterations may pose a threat to the developing fetus and there is generally insufficient evidence at this time to determine an absolute level of safety for most dietary supplements other than a prenatal supplement. Any supplementation practices beyond a prenatal supplement should involve the cooperation of the attending physician (e.g., magnesium and the treatment of preeclampsia.)

**References: Pregnancy and Lactation**

**References**
5. Wysman DG, Brotherton AF, Heistad DD. Effects of Forskolin on cerebral blood flow:
7. Allen DD and Quesenberry JT, Quantitative Differences in the Cyclic AMP-lipolysis Relationships for Isoproterenol and Forskolin, J Pharmacol Exp Ther 1988;244:862-858.

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