Monograph

Crataegus oxycantha

Common Name: Hawthorne

Description and Constituents

The berries and flowers of *Crataegus oxycantha* have been used traditionally as cardiac tonics and diuretics in a variety of functional heart disorders. Recent research shows Crataegus extracts exert a wide range of positive actions on heart function, supporting and validating historical observations.

The main constituents of Crataegus are flavonoids, triterpene saponins, and a few cardioactive amines; however, the primary cardiovascular protective activity of the plant is generally attributed to its flavonoid content, particularly the oligomeric proanthocyanadins (OPCs). The OPCs are highly concentrated in the leaves, berries and flowers, and are responsible for providing the pigment that colors the berries. These flavonoids have very strong vitamin P activity, working synergistically to enhance the activity of vitamin C and promote capillary stability.

Mechanisms of Action

Because of the high content of flavonoid compounds, particularly the OPCs, Crataegus has significant antioxidant activity.[1] In addition, it increases coronary blood flow,[2] enhancing oxygen flow and utilization by the heart. Crataegus extracts also have a positive inotropic effect on the contraction amplitude of myocytes.[3] Due to the flavonoid content, extracts of this herb exert considerable collagen stabilizing effects, enhancing integrity of the blood vessels.[4]

Extracts of Crataegus prevent elevation of plasma lipids, such as total cholesterol, triglycerides, and LDL- and VLDL-fractions, in rats fed a hyperlipidemic diet.[5] Crataegus upregulates hepatic LDL-receptors, resulting in greater influx of plasma LDL-cholesterol into the liver. It also prevents the accumulation of cholesterol in the liver by enhancing cholesterol degradation to bile acids, promoting bile flow, and suppressing cholesterol biosynthesis.[6]

Crataegus exerts a simultaneous cardiotropic and vasodilatory action. Because of these actions, it can be safely and effectively utilized for cardiac conditions for which digitalis is not yet indicated.[7]

Clinical Indications

Crataegus is an effective and low-risk phytotherapeutic for patients with coronary heart disease, atherosclerosis, hypertension, or hypercholesterolemia.

Research indicates administration of Crataegus provides subjective and objective benefits in individuals with signs and symptoms of congestive heart failure stage NYHA-II. Over a period of

eight weeks, supplementation with Crataegus resulted in a clear improvement in the performance of the heart. Patients reported improvement in subjective symptoms, such as reduced performance, shortness of breath, and ankle edema.[8] In a separate study of patients with stage NYHA II cardiac insufficiency, oral supplementation improved blood pressure, heart rate, and the change in heart rate in response to exercise under standardized loading on a bicycle ergometer.[9]

Crataegus exerts mild blood pressure-lowering activity, which appears to be a result of a number of diverse pharmacological effects. It dilates coronary vessels,[10] inhibits angiotensin converting enzyme,[11] acts as an inotropic agent,[3] and possesses mild diuretic activity.

Dosage

Positive effects from supplementation will usually be observed within the first two weeks. In most instances, as a cardiac tonic, Crataegus is administered for prolonged intervals. Dosage will vary depending on the concentration of the extract. A typical therapeutic dose of an extract, standardized to contain 1.8% vitexin-4 rhamnoside, is 100-250 mg TID. A standardized extract containing 18% procyanidolic oligomers is dosed in the range of 250-500 mg daily.

Drug-Nutrient Interactions

The root, leaves and flowers of Crataegus all contain cardioactive compounds. Crataegus preparations may have a potentiating effect on digitalis, necessitating a reduction in the dosage of digitalis.[12]

Toxicology

Crataegus has been shown to have low toxicity, with an LD_{50} of 25 mg/kg.[13]

References

1. Rakotoarison DA, Gressier B, Trotin F, et al. Antioxidant activities of polyphenolic extracts from flowers, in vitro callus and cell suspension cultures of Crataegus monogyna. *Pharmazie* 1997;52:60-64.
2. Schussler M, Holzl J, Fricke U. Myocardial effects of flavonoids from Crataegus species. *Arzneimittelforschung* 1995;45:842-845.
3. Popping S, Rose H, Ionescu I, et al. Effect of a hawthorn extract on contraction and energy turnover of isolated rat cardiomyocytes. *Arzneimittelforschung* 1995;45:1157-1161.
4. Gabor M. Pharmacological effects of flavonoids on blood vessels. *Angiologica* 1972;9:355-374.
5. Shanthi S, Parasakthy K, Deepalakshmi PD, Devaraj SN. Hypolipidemic activity of tincture of Crataegus in rats. *Indian J Biochem Biophys* 1994;31:143-146.
6. Rajendran S, Deepalakshmi PD, Parasakthy K, et al. Effect of tincture of Crataegus on the LDL-receptor activity of hepatic plasma membrane of rats fed an atherogenic diet. *Atherosclerosis* 1996;123:235-241.
7. Blesken R. Crataegus in cardiology. *Fortschr Med* 1992;110:290-292. [Article in German]
8. Weikl A, Assmus KD, Neukum-Schmidt A, et al. Crataegus Special Extract WS 1442. Assessment of objective effectiveness in patients with heart failure. *Fortschr Med* 1996;114:291-296. [Article in German]
9. Leuchtgens H. Crataegus Special Extract WS 1442 in NYHA II heart failure. A placebo controlled randomized double-blind study. *Fortschr Med* 1993;111:352-354. [Article in German]
10. Rewerski VW, Piechocki T, Tyalski M, Lewak S. Some pharmacological properties of oligomeric procyanadin isolated from hawthorn (Crataegus oxyacantha). *Arzniem Forsch* 1967;17:490-491.
11. Uchida S, Ikari N, Ohta H, et al. Inhibitory effect of condensed tannins on angiotension converting enzyme. *Jap J Pharmacol* 1987;43:242-245.
12. McGuffin M, Hobbs C, Upton R, Goldberg A, eds. *Botanical Safety Handbook*. New York: CRC Press;1997:37.
13. Ammon HP, Handel M. Crataegus, toxicology and pharmacology, Part I: Toxicity. *Planta Med* 1981;43:105-120, 209-239, 313-322. [Article in German]