Introduction

Gugulipid is a natural health product that is principally used to reduce elevated blood cholesterol levels, in the prevention of cardiovascular disease. It has been used for many years as a hypocholesterolemic agent in India, where it is has received prescription drug status, due to its high level of efficacy as determined by clinical trials. Remarkably, Gugulipid is a very safe drug relative to most cholesterol-lowering drugs used in modern medicine (especially when compared to the commonly used statin drugs, which inhibit the HMG-CoA Reductase enzyme in the liver, and can lead to liver damage). At the same time Gugulipid shows a similar therapeutic effect to many cholesterol-lowering drugs without any apparent risk of liver damage. As such natural health practitioners (including chiropractors) should be aware of the scientific evidence pertaining to the cholesterol-lowering effects of Gugulipid and its safety profile, including potential drug-nutrient interactions. The following discussion highlights the important physiological and clinical considerations that should enable health care practitioners to recommend and/or dispense nutritional supplement products that contain Gugulipid, to help reduce high cholesterol in their patients and for the other purposes outlined below.

General Features

Gum Guggul or Gugulipid is derived from the mukul myrrh tree, which is native to India. Upon injury, the tree exudes a yellowish gum resin known as gum Guggul, Gugulipid or Guggul. The trees are tapped during the winter to acquire these oleoresin compounds that have been used extensively by Ayurvedic (Indian medical system) physicians for centuries to treat a wide variety of disorders. As stated above the most scientifically proven application for the use of Gugulipid pertains to its ability to lower blood cholesterol, triglycerides, and improve the LDL to HDL-cholesterol ratio in patients with hypercholesterolemia and related lipid disorders.

Principle Active Constituents

Guggul contains resin, volatile oils, and gum. The extract isolates ketonic steroid compounds known as guggulsterones. Guggulsterones have been shown to be the active constituent in Guggul that account for its cholesterol and triglyceride lowering effects.

Clinical Application and Mechanism of Action

1. High Cholesterol and/or Triglycerides

Gugulipid was granted approval in India for marketing as a lipid-lowering drug in June 1986. Studies show that it lowers total cholesterol, LDL –cholesterol, while elevating HDL–cholesterol levels. (Agarwal RC, 1986 and Nityanand S, 1989). It appears that guggulsterones increase the uptake of LDL –cholesterol from the blood by the liver. Studies in humans demonstrate that guggulsterone can produce a cholesterol reduction of 14-27%, in 4-12 weeks, and a 22-30% drop in blood triglyceride levels, in patients with hypercholesterolemia and/or hypertriglyceridemia. A striking feature is its lack of toxicity. Unlike other cholesterol lowering drugs, the administration of Gugulipid has not revealed any significant side effects, liver damage or toxicity, in human or animal studies to date.(2,3,4,5,6,7,8,9,11,12)

At least three well-controlled double-blind trials have shown that the oral administration of 75 – 100 mg of guggulsterone per day can significantly lower cholesterol and triglycerides, and improve the
LDL to HDL-cholesterol ratio, in a manner that is associated with a reduced risk of heart disease and related cardiovascular disorders. One of these trials tested Gugulipid against the cholesterol lowering drug clofibrate, in a study involving 228 hypercholesterolemic patients. Results showed that the standardized grade of Gugulipid was equal in its effects to clofibrate in its ability to lower cholesterol levels and improve the lipid profile. (6,8,15) Experimental evidence indicates that guggulsterone lowers cholesterol, in part, by enhancing the uptake of excess serum LDL-cholesterol particles by the liver. This is accomplished through receptor-mediated endocytosis, located on the surface of the liver cell membranes. Rat liver exhibits up to an 87% increase in binding sites for human 125I-LDL with exposure to guggulsterones. Studies such as these imply that guggulsterones increase the catabolism of LDL-cholesterol as a primary mechanism through which it acts to lower blood cholesterol levels. (9)

Of note is the fact that experimental studies reveal that Gugulipid also reduces oxidation of LDL-cholesterol via its antioxidant properties which may further provide protection against cardiovascular disease, as oxidized LDL-cholesterol (modified LDL-cholesterol) has been shown to get deposited in the artery wall (narrowing blood vessels) to a much greater extent than non-oxidized LDL-cholesterol lipoproteins. (16) Gum Guggul has also been shown to reduce the stickiness of blood platelets, which is another biological action associated with reduced risk of cardiovascular disease. (17)

2. Anti-inflammatory Effects

In experimental animal models, Gum Guggul demonstrates one-fifth the anti-inflammatory potency as hydrocortisone and the equivalent anti-inflammatory potency as phenylbutazone and ibuprofen. (10) In Ayurvedic medicine Gum Guggul has been used for this purpose, but no well-controlled trials on humans are available to firmly establish its application in the treatment of arthritic and other inflammatory joint conditions. (11,13)

3. Acne

The lipid lowering effect of Gum Guggul may help to control cystic acne, according to one of its traditional applications by Ayurvedic practitioners. One small clinical trial reported in 1994, tested Gum Guggul against the antibiotic drug tetracycline for the treatment of cystic acne. The results showed that Gum Guggul compared favorably in its effects to outcomes realized by patients treated with tetracycline. (18)

Dosage and Standardized Grade

1. To Lower Cholesterol and/or Triglyceride Blood Levels: 25 mgs of guggulsterone three to four times per day has been used successfully. If using a 5% guggulsterone content product, this translates into a dose of 500 mgs of Gugulipid, three to four times per day. Often, a 2.5% grade of guggulsterone content product is all that is available and thus, two 500 mg capsules of Gugulipid, taken three to four times per day is necessary to yield the therapeutic dosage of guggulsterone. (1,11,12,13)

2. Acne: 500 mg, taken twice per day (standardized grade of 2.5% guggulsterone content). (18)

Adverse Side Effects, Toxicity and Contraindications

In clinical studies, Gugulipid has not display any significant untoward side effects or produced adverse effects on liver function. Nor has it shown any adverse effects on kidney function, blood cell counts and appearance, heart function, or blood chemistry. This is in sharp contrast to many lipid-lowering drugs that are known to create various consequential side effects, especially in regards to liver function. Animal studies also reveal that Gum Guggul is extremely non toxic. (1,12) On rare occasions, Gum Guggul supplementation may cause minor gastrointestinal distress, skin rash, diarrhea and nausea. (11,13)
Drug-Nutrient Interactions

1. **Hypolipidemic Drugs:** Gum Guggul may potentiate the cholesterol lowering and triglyceride-lowering effects of these medications, enabling the attending physician to lower the dose or eliminate the need for these drugs, and in doing so, reduce the likelihood of side effects from these medications. (19,20,21)

2. **Anticoagulant Drugs:** Gum Guggul may potentiate the effects of these drugs, and thus, proper patient monitoring of prothrombin time (INR) should be adhered to if Gum Guggul is used concurrently with anticoagulants such as, aspirin, warfarin, coumadin, plavix etc. (21)

3. **Thyroid Medications:** Some studies suggest that Gum Guggul may stimulate the thyroid gland, which in turn, may alter the dosing requirement of thyroid medications. (22,23)

4. Gum Guggul may reduce the absorption of the following drugs if taken at the same time:
   - Propranol (24)
   - Calcium Channel Blockers (e.g., Diltiazem) (24)

For more information on this or other related topics, visit Dr. Meschino’s website at: [http://www.renaisante.com/](http://www.renaisante.com/).

References:


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