Gugulipid (Gum Guggul): Nature’s Safe, Effective Cholesterol-Lowering Supplement

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Introduction

Gugulipid is a natural health product used primarily to reduce elevated blood cholesterol levels. 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, it is an extremely safe product 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 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 or dispense nutritional supplement products that contain gugulipid; help reduce high cholesterol in their patients; and achieve other purposes, as outlined below.

General Features

Upon injury, the mukul myrrh tree, native to India, exudes the yellowish resin guggul. The trees are tapped during the winter to acquire these oleoresin compounds, which have been used extensively by ayurvedic (Indian medical system) physicians for centuries to treat a wide variety of disorders.1,11 As stated, the most scientifically proven application for the use of gugulipid pertains to its ability to lower blood cholesterol and triglycerides, and to improve the LDL-to-HDL cholesterol ratio in patients with hypercholesterolemia and related lipid disorders.1,11,12

Principle Active Constituents
Guggul contains resin, volatile oils and gum. The gugulipid extract is formed by isolating ketonic steroid compounds in the gum resin called guggulsterones (shown to be guggul’s active constituent), accounting for its cholesterol- and triglyceride-lowering effects.\textsuperscript{1,13,14}

**Clinical Application and Mechanism of Action: 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 percent to 27 percent in 4-12 weeks, and a 22 percent to 30 percent 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, administration of gugulipid is not associated with any significant side-effects, liver damage or toxicity in human or animal studies to date.\textsuperscript{2-9,11,12} At least three well-controlled, double-blind trials showed that oral administration of 75-100 mg of guggulsterone daily can significantly lower cholesterol and triglycerides, and improve the LDL-to-HDL-cholesterol ratio, in a manner 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 to clofibrate in its ability to lower cholesterol and improve the lipid profile.\textsuperscript{6,8,15} Experimental evidence indicates that guggulsterone lowers cholesterol, in part by enhancing 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-percent 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 - a primary mechanism through which they act to lower blood cholesterol levels.\textsuperscript{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. Oxidized LDL-cholesterol (modified LDL-cholesterol) has been shown to become deposited in the artery wall (which narrows blood vessels) to a much greater extent than nonoxidized LDL-cholesterol lipoproteins.\textsuperscript{16} Gugulipid also has been shown to reduce the stickiness of blood platelets, another biological action.
associated with reduced risk of cardiovascular disease.\textsuperscript{17}

\textbf{Anti-inflammatory Effects}

In experimental animal models, gum guggul demonstrate 20 percent of the anti-inflammatory potency as hydrocortisone, and the equivalent anti-inflammatory potency as phynylbutazone and ibuprofen.\textsuperscript{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.\textsuperscript{11,13}

\textbf{Acne}

The lipid-lowering effect of gum guggul may help control cystic acne, according to one of its traditional applications by ayurvedic practitioners. One small clinical trial tested gum guggul against the antibiotic tetracycline for the treatment of cystic acne. Results showed that gum guggul compared favorably in its effects to outcomes realized by patients treated with tetracycline.\textsuperscript{18}

\textbf{Dosage and Standardized Grade}

\textbf{To lower cholesterol and/or triglyceride blood levels:} 25 milligrams of guggulsterone three to four times daily has proven effective. If using a product with five percent guggulsterone, this translates into a dose of 500 mgs of gugulipid, three to four times daily. Often, a 2.5 percent grade of guggulsterone-content product is all that is available; thus, two 500 mg capsules of gugulipid, taken three to four times per day, is necessary to yield the therapeutic dosage of guggulsterone.\textsuperscript{1,11,12,13}

\textbf{Acne:} 500 mg, taken twice per day (standardized grade of 2.5 percent guggulsterone content).\textsuperscript{18}

\textbf{Adverse Side-Effects, Toxicity and Contraindications}

In clinical studies, gugulipid has not displayed 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 known to create various consequential side-effects, especially regarding liver function. Animal studies also reveal that gum guggul is nontoxic,\textsuperscript{1,12} yet on rare occasions, supplementation may cause minor gastrointestinal distress, skin rash, diarrhea and nausea.\textsuperscript{11,13}
Drug-Nutrient Interactions

Hypolipidemic drugs: Gum guggul may potentiate the cholesterol - 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 their likelihood of side-effects.\textsuperscript{19,20,21}

Anticoagulant drugs: Gum guggul may potentiate the effects of these drugs; therefore, proper patient monitoring of prothrombin time (INR) should be adhered to if used concurrently with anticoagulants such as aspirin; warfarin; coumadin; or plavix.\textsuperscript{21}

Thyroid medications: Some studies suggest that gum guggul may stimulate the thyroid gland, which in turn, may alter the dosing requirement of thyroid medications.\textsuperscript{22,23}

Reduction: Gum guggul may reduce the absorption of propanol\textsuperscript{24} and calcium channel blockers (e.g., diltiazem\textsuperscript{24}) if taken concurrently.

References

9. Singh V, et al. Stimulation of low-density lipoprotein receptor activity in liver membrane of


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