Coenzyme Q10 (CoQ10)

TRADE NAMES

Coenzyme Q10 (CoQ10) is available generically from numerous manufacturers. Branded products include Lynae CoQ10 (Boscogen), Natures Blend Coenzyme Q10 (National Vitamin Company) and Ultra CoQ10 (Twinlab).

DESCRIPTION

Coenzyme Q10 or CoQ10 belongs to a family of substances called ubiquinones. Ubiquinones, also known as coenzymes Q and mitoquinones, are lipophilic, water-insoluble substances involved in electron transport and energy production in mitochondria. The basic structure of ubiquinones consists of a benzoquinone "head" and a terpinoid "tail." The "head" structure participates in the redox activity of the electron transport chain. The major difference among the various coenzymes Q is in the number of isoprenoid units (5-carbon structures) in the "tail." Coenzymes Q contain one to 12 isoprenoid units in the "tail"; 10 isoprenoid units are common in animals.

Coenzymes Q occur in the majority of aerobic organisms, from bacteria to plants and animals. Two numbering systems exist for designation of the number of isoprenoid units in the terpinoid "tail": coenzyme Qn and coenzyme Q(x). N refers to the number of isoprenoid side chains, and x refers to the number of carbons in the terpinoid "tail" and can be any multiple of five. Thus, coenzyme Q10 refers to a coenzyme Q having 10 isoprenoid units in the "tail." Since each isoprenoid unit has five carbons, coenzyme Q10 can also be designated coenzyme Q(50). The structures of coenzymes Q are analogous to those of vitamin K2.

Coenzyme Q10 is also known as Coenzyme Q(50), CoQ10, CoQ(50), ubiquinone (50), ubiquinol—10 and ubidecarenone. Chemically, CoQ10 is known as 2, 3-dimethoxy-5-methyl-6-decaprenyl-1,4-benzoquinone, and its structural formula is:
CoEnzyme Q₁₀

It is a solid wax-like substance. CoQ₁₀ is the predominant form in humans, and CoQ₉ is the predominant form in rats.

Supplemental CoQ₁₀ is typically derived from tobacco leaf extracts and fermented sugar cane and beets.

**ACTIONS AND PHARMACOLOGY**

**ACTIONS**

Supplemental CoQ₁₀ may have cardioprotective, cytoprotective and neuroprotective activities.

**MECHANISM OF ACTION**

Since the actions of supplemental CoQ₁₀ have yet to be clarified, the mechanism of these actions is a matter of speculation. However, much is known about the biochemistry of CoQ₁₀. CoQ₁₀ is an essential cofactor in the mitochondrial electron transport chain, where it accepts electrons from complex I and II, an activity that is vital for the production of ATP.

CoQ₁₀ has antioxidant activity in mitochondria and cellular membranes, protecting against peroxidation of lipid membranes. It also inhibits the oxidation of LDL-cholesterol. LDL-cholesterol oxidation is believed to play a significant role in the pathogenesis of atherosclerosis.

CoQ₁₀ is biosynthesized in the body and shares a common synthetic pathway with cholesterol. CoQ₁₀ levels decrease with aging in humans. Why this occurs is not known but may be due to decreased synthesis and/or increased lipid peroxidation which occurs with aging.

**PHARMACOKINETICS**

CoQ₁₀ is absorbed from the small intestine into the lymphatics; from there it enters the blood. Absorption of CoQ₁₀ is poor. Well over 60%
of an oral dose is excreted in the feces. Furthermore, absorption of
CoQ_{10} is highly variable and depends not only on food intake but also
on the amount of lipids present in the food. Absorption is lower on an
empty stomach and greater when taken with food of high lipid content.
In the blood, CoQ_{10} is partitioned into the various lipoprotein particles,
including VLDL, LDL and HDL.

It takes about three weeks of daily dosing with CoQ_{10} to reach
maximal serum concentrations, which then plateau with continuous
daily dosing. CoQ_{10} is distributed to the various tissues of the body and
is able to enter the brain. The main elimination of CoQ_{10} occurs via
bile.

**INDICATIONS AND USAGE**

Coenzyme Q_{10} may be indicated in cardiovascular disease, particularly
in congestive heart failure. It may also be indicated to correct reduced
blood levels of CoQ_{10} that result from the use of HMG-CoA reductase
inhibitors used to treat elevated cholesterol levels. It also appears to
have usefulness in the management of periodontal disease in some.
There is far less evidence to support claims that it has positive effects
in cancer, muscular dystrophy and immune dysfunction. Similarly,
there is as yet no reliable evidence that it can inhibit obesity or
enhance athletic performance.

**RESEARCH SUMMARY**

There are many studies, spanning more than two decades, reporting
positive results from the use of CoQ_{10} as adjunctive therapy in the
treatment of congestive heart failure. CoQ_{10} has been an approved
drug in Japan for use in congestive heart failure since 1974. It has also
been approved for this use in some other countries. Several studies
have demonstrated a strong correlation between severity of heart
disease and severity of CoQ_{10} deficiency. Some have suggested that
this deficiency is the primary cause of some variations of heart muscle
dysfunction, while others believe it plays a secondary role in the
etiology of heart failure.

Early studies of congestive heart failure focused on idiopathic dilated
cardiomyopathy, testing CoQ_{10} against placebo using echocardiography
to assess heart function. Echocardiographic improvement seen in these
studies was generally slow but sustained and was accompanied by
diminished fatigue, chest pain, dyspnea and palpitations. Normal heart
size and function were restored in some patients using only CoQ_{10}; this
occurred primarily in patients with recent onset of congestive heart
failure.

Subsequently, nearly all of the several placebo-controlled studies
investigating CoQ_{10}’s effects on heart muscle function have reported
significant positive results. One multi-center Italian study included
2,664 patients with congestive heart failure. No notable adverse
effects on drug interactions have been reported in these studies except for one report that noted a slight diminution in coumadin activity.

Many studies to date have examined CoQ₁₀ as an addition to standard medical treatments. In several studies involving hypertension and other manifestations of cardiovascular disease, there was a significant reduction in the use of concomitant drug therapies when CoQ₁₀ was added to the treatment regimen.

It is now known that the HMG-CoA reductase inhibitors, while very effective in lowering cholesterol levels, also significantly lower levels of CoQ₁₀. This may be particularly hazardous for patients with heart failure, suggesting a possible indication for CoQ₁₀ in many, if not all, individuals using these cholesterol-lowering drugs. There has been some suggestion that CoQ₁₀, especially if it could be more readily absorbed, might be a cholesterol-lowering agent itself. There is, however, no evidence for this.

Significant CoQ₁₀ deficiencies have been noted in diseased gingiva. CoQ₁₀’s efficacy in reducing gingival inflammation and periodontal pocket-depth has been demonstrated in placebo-controlled trials. Claims that CoQ₁₀ might be an effective anti-cancer agent are based upon a few suggestive case histories that will require far more rigorous clinical investigation before these claims can be properly evaluated. Similarly, claims that CoQ₁₀ might be useful in AIDS and some other immune dysfunctions are premature.

It is not unreasonable to hypothesize that CoQ₁₀ might be helpful in muscular dystrophy—and there is some very preliminary animal and clinical data suggesting that it might be. Muscular dystrophy is usually associated with cardiac disease. Research is ongoing but, to date, is inconclusive.

There is also some evidence that CoQ₁₀ might boost energy and speed recovery of exercise-related muscle exhaustion and damage. This work, too, needs more rigorous followup.

There is no evidence that CoQ₁₀ can inhibit obesity.

**CONTRAINDICATIONS, PRECAUTIONS, ADVERSE REACTIONS**

**CONTRAINDICATIONS**

None known.

**WARNINGS AND PRECAUTIONS**

There is one report of CoQ₁₀ decreasing the effectiveness of warfarin. Those taking warfarin should be aware of this possibility.
Because of lack of long-term safety studies, pregnant women and nursing mothers should avoid CoQ10 supplements.

Clinical reports from Japan suggest that supplemental CoQ10 may improve beta-cell function and glycemic control in type II diabetics. CoQ10 does not appear to improve glycemic control in type I diabetics. Diabetics should be made aware of this possibility, and those diabetics who do use supplemental CoQ10 should determine by appropriate monitoring if they need to make any adjustments in their diabetic medications.

ADVERSE REACTIONS

Mild gastrointestinal symptoms such as nausea, diarrhea and epigastric distress have been reported, particularly with higher doses (200 milligrams or more daily).

INTERACTIONS

DRUGS

Warfarin: There is one report of CoQ10 decreasing the effectiveness of warfarin.

Statins: CoQ10 and cholesterol share the same metabolic pathways. Inhibition of the enzyme 3-hydroxyl-3-methylglutonyl coenzyme A (HMG-CoA) reductase would be expected to decrease CoQ10 levels. The statin drugs lovastatin, simvastatin and pravastatin are known to decrease CoQ10 levels in humans. It is likely that all statins have this effect.

Doxorubicin: CoQ10 may help ameliorate the cardiotoxicity of doxorubicin.

Antidiabetic medications: CoQ10 may improve glycemic control in some type II diabetics. If this were to occur, antidiabetic medications might need appropriate adjusting.

Beta Blockers: Some beta blockers, in particular propanolol, have been reported to inhibit some CoQ10-dependent enzymes

Piperine: Piperine, found in black pepper, may increase plasma levels of CoQ10.

DOSAGE AND ADMINISTRATION

CoQ10 is available in different formulations: oil-based capsules, powder-filled capsules, and tablets and solubilized softgels (microemulsions and others). The solubilized softgels are claimed to give higher absorption.
Daily doses of CoQ₁₀ range from 5 to 300 milligrams. Those who use CoQ₁₀ for periodontal health take 100 to 150 milligrams daily. Effectiveness, if any, is thought to be obtained with doses of 50 to 200 milligrams daily. The same dose range applies to those who take statin drugs for treatment of hypercholesterolemia.

CoQ₁₀ is best taken with food. About three weeks of daily dosing are necessary to reach maximal serum concentrations of CoQ₁₀.

CoQ₁₀ is also available topically in some toothpastes and skin creams.

**HOW SUPPLIED**

*Capsules* — 10 mg, 30 mg, 50 mg, 75 mg, 100 mg, 150 mg

*Chewable Tablets* — 100 mg, 200 mg

*Liquid* — 30 mg/5 mL

*Powder*

*Tablets* — 25 mg, 50 mg, 60 mg, 200 mg

*Wafers* — 60 mg, 200 mg

**LITERATURE**


