

Bioavailability Enhancement of Coenzyme Q10 by Complexation with γ -Cyclodextrin

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< Summary >

Coenzyme Q10 (CoQ10) is a fat-soluble, vitamin-like, benzoquinone compound that functions primarily as an antioxidant, a membrane stabilizer and a cofactor in the oxidative phosphorylation production of adenosine triphosphate (ATP). It has also been shown to help preserve myocardial sodium-potassium ATPase activity and stabilize myocardial calcium dependent ion channels. Due to the lipophilic property of CoQ10, it is not well absorbed when taken orally in human. Therefore, formulations that could improve CoQ10 solubility in water and enhance its bioavailability are considered necessary.

The solubilization of new drugs with poor solubility in water is essential for the pharmacological evaluation and drug development. There are various methods for improvement of aqueous solubility of lipophilic compounds in the food and pharmaceutical fields: amorphous form, grinding, solid dispersion, micelle and inclusion complex. Among them, the potential uses of cyclodextrins (CDs) have been known in the pharmaceutical and nutrient fields. α , β or γ CDs are widely used natural CDs, consisting of six, seven and eight D-glucopyranose residues, respectively, linked by α -1,4 glycosidic bonds into a macrocycle. CDs can generally form inclusion complexes with various lipophilic substances as guests and thus have been utilized for improving their water solubility, stability and bioavailability.

This review draws focus on the improvement of pharmacological properties of CoQ10 by its complexation with γ CD. The first section is regarded to be conducted to compare the pharmacokinetic properties such as area under the plasma CoQ10 concentration curve from time 0-48 hr (AUC), maximum plasma concentration (C_{max}) and the time to maximum plasma concentration (T_{max}) of two CoQ10 formulations, i.e. CoQ10/ γ CD complex and CoQ10/MCC mixture in healthy adult volunteers. These results indicate that the oral absorption and bioavailability of CoQ10 could be significantly enhanced by its complexation with γ CD. Secondly, some results of clinical studies on CoQ10/ γ CD complex such as curative effects on human skin, anti-oxidant activity, muscle protection and enhancement of endurance are also shown.