

LycOmega™ - High Potency Omega 3 for the Treatment of Elevated Triglycerides and LDL

There are a number of Omega 3 pharmaceuticals registered for the reduction of elevated triglycerides, TG. A recently completed trial, REDUCE-IT, on one such pharmaceutical, Vascepa (Amarin), demonstrated that administration of 4 grams daily of this drug for more than 4 years resulted in a significant reduction of 25% of cardiovascular events.

There are two main challenges in treatment with Omega 3 products. The first is that the therapeutic effective dose range is 2-4-6 grams daily, with significant side-effects from heartburn, nausea, fishy taste, etc. As a result of this, there is low compliance for high doses and long administration of Omega 3.

The second challenge is that this treatment may result in elevation of plasma LDL. It seems that the control of this lipoprotein by statins was one of the important conditions of the success of REDUCE-IT.

LycOmega™, a pharmaceutical developed by Lycotec, is a new Omega 3 product with high potency, hence low effective dose for the reduction of TG, and also with the ability to reduce elevated LDL.

Lycosome

Omega 3 Lycosome is a combinatory product where molecules of one of the Omega 3 fatty acids, Docosahexaenoic acid (DHA), are embedded into clusters of *trans*-lycopene. This embedment, Lycosome, provides protection of the former from the stomach environment by the acid resistant molecules of the latter. As a result of this, DHA bioavailability can be increased, and its low doses used to achieve a comparable or even superior therapeutic effect than that of its unprotected form.

In addition, *trans*-lycopene is also able to inhibit HMG-CoA reductase, which controls the synthesis of cholesterol. Therefore, its combination with high potency DHA could provide a synergetic therapeutic effect in patients with elevated TG and LDL.

Chylomicron Delivery Chaperone

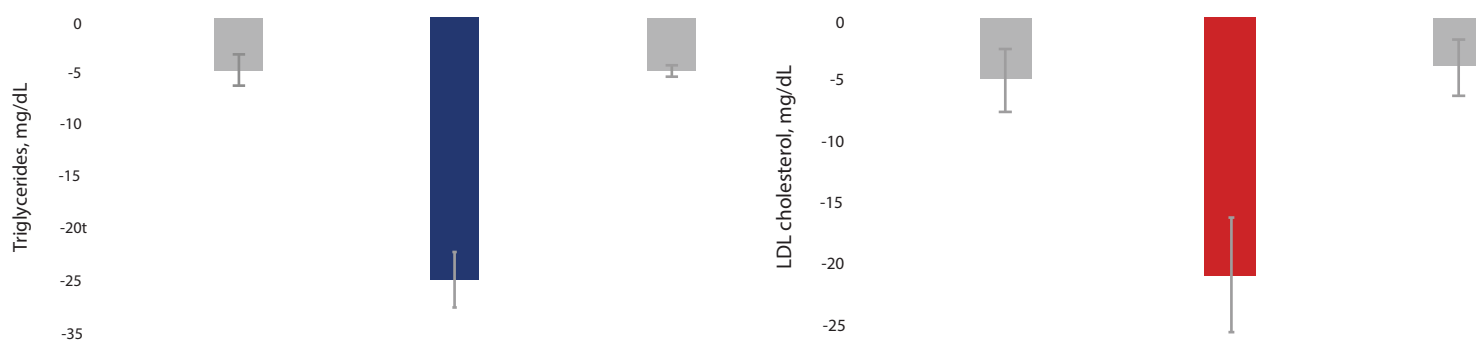
Enterocytes incorporate absorbed fatty acids into chylomicrons. Then, if the diameter of these particles is 200-400nm or smaller, they can go to the portal vein and to the liver; if their diameter is 600-800nm or larger they would go to the lymph system and then to the main circulation. To explore this option, a formulation, LycOmega™, was designed, which includes chaperone molecules facilitating formation of the smaller chylomicrons, hence delivery of DHA and lycopene to the liver, the main source of plasma TG and LDL.

Pharmacodynamics

In a clinical randomised, open-label, controlled study 24 patients aged 40-65 years old with moderate hyperlipidaemia (serum TG >150 mg/dl and LDL from 130 to 160 mg/dl) were divided into three 8-person groups. Their treatment was one capsule daily of either 250 mg of a conventional form of DHA, or 250 mg of LycOmega formulation containing 7mg of lycopene, or lycopene alone in the same dose of 7mg for 30 days.

It was demonstrated that by the end of the trial there was a slight reduction by about 5mg/dL of the TG level in the DHA and lycopene groups, but in the LycOmega group the reduction was significantly higher, by 25%. It was also noticed that in this group the LDL concentration was reduced by about 20%, while in the two other control groups this parameter did not change, fig. 1.

Figure 1. Effect of LycOmega treatment on serum TG (left graph) and LDL (right graph), columns: left - DHA, central - LycOmega, right - lycopene



Ivan M Petyaev, Pavel Y. Dovgalevsky, Natalia E Chalyk, Victor A Klochkov, Nigel H Kyle - REDUCTION OF ELEVATED LIPIDS AND LDL OXIDATION IN SERUM OF INDIVIDUALS WITH SUBCLINICAL HYPOXIA AND OXIDATIVE STRESS SUPPLEMENTED WITH LYCOSOME FORMULATION OF DOCOSAHEXAENOIC ACID. *Food Science & Nutrition* (2018), accepted and in press.

Next Step

The main objective of Lycotec is to find funding and/or a partner to take LycOmega to larger double-blinded clinical trials, not only on patients with either hypertriglyceridaemia alone and/or with hypercholesterolaemia, but also on patients with diagnosed cardiovascular diseases.

In addition, it would be interesting to expand development and clinical validation of Lycosome and Chylomicron delivery formulations for other Omega 3 fatty acids.

Regulatory

All molecules comprising PTC Lycosome are safe for humans and do not require FDA or other countries' regulatory body approval for oral administration in their therapeutic dose-range.

For more information and enquiries please contact: info@lycotec.com

Granta Park, McClintock Building, Great Abington,
Cambridge CB21 6GP
Phone: +44 (0)1223 651411
www.lycotec.com