Pharmacological effects of coconut oil vs. monoglycerides

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There is considerable confusion in the scientific literature and on the Internet about the biological and pharmacological effects between preformed *sn*-1(3)-monolaurin and coconut oil (CNO) when taken orally. Statements, however, have been made by recognized lipid scientists that the triacylglycerols (TAG) from coconut oil can be converted to the pharmacologically active monoglycerides.

This is not the case since there are two isomers *sn*-2 and *sn*-1,(3) of monoglycerides (MAG) that follow two different metabolic pathways and therefore have different effects. This is important since we showed several years ago TAG and diglycerides (DAG) to be inactive antimicrobial agents while MAG are active (*Antimicrobial Agents and Chemotherapy* 2:23-28, 1972). Although TAG from coconut oil are hydrolyzed to *sn*-2-MAG and free fatty acids (FFA), the *sn*-2 isomers are quickly resynthesized to inactive TAG. This metabolic pathway is in contrast to the *sn*-1(3)-MAG which are absorbed intact and are not converted to TAG..

Digestion of fat to its main end-products, i.e. FFA and *sn*-2-MAG by pancreatic lipase in the duodenum is preceded by the emulsification of TAG by bile acids. This hydrolysis of TAG is a highly reversible process. The FFA and 2-MAG together with bile salts, form mixed micelles. The end-products are then released by the micelles and are taken up by diffusion into the epithelial cells of the jejunum region of the intestine. Here, the FFA and 2-MAG are re-synthesized to the inactive TAG and transported as chylomicrons into the lymphatic circulation before entering the blood circulation. Once in the blood circulation, most of the TAG of the chylomicrons is released by lipoprotein lipase as FFA and MAG, especially in adipose tissue and liver. After uptake, these end-products are re-synthesized to TAG.

Often the medium-chain triacylglycerols (MCTAG) found in coconut oil are compared to those in mother's milk. Both are therefore considered to have the same nutraceutical effects. However, to have similar nutraceutical effects both products need to be reduced from their TAG form to an active MAG and FFA form.

It is well established that TAG from coconut oil are hydrolyzed to *sn*-2-MAG and FFA. However, these MAG and FFA are quickly resynthesized to inactive TAG in the enterocyte (absorptive cell) and absorbed, whereas *sn*-1(3)-MAG is absorbed and not converted to the TAG. A lack of 2-MAG will slow down or reduce the resynthesis of triacylglycerols. This aspect of lipid metabolism needs to be examined and clarified in detail since definitive experiments have not been carried out to support our contention. Recent studies, however, on DAG metabolism provide strong support marking the difference between the ingestion of fats and preformed monoglycerides.

In 1999 the Kao Corporation of Japan introduced a DAG cooking oil (marketed in Japan as Healthy Econa™; now available in the United States from ADM Kao LLC of Decatur, Illinois, as Enova™) that contains more than 80% DAG. There are two positional isomers of DAG. The majority of DAG in the Kao DAG oil has FA in the *sn*-1,3 configuration (~70%) as compared to the *sn*-1(3),2 configuration (~30%). Much of the work on DAG is summarized in the book "Diacylglycerol Oil" edited by Yoshihisa Katsuragi and colleagues and published by AOCS Press in 2004.

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The recent literature of DAG metabolism allows us to get a better glimpse of MAG metabolism. The end-products *sn*-1,3 DAG, *sn*-1(3) MAG and FFA, are directly absorbed into portal vein. The end-products of *sn*-1,2 (2,3) DAG are FFA and *sn*-2-MAG, which will follow their normal pathways and are reconverted to triacylglycerol.

It is not known to what extent exchange of fatty acids on the glycerol backbone of the TAG takes place in the intestinal cell except that the MAG concentration probably is higher here than in the lymph. Analyzing the content of MAG both in the intestinal cell and in the lymph together with its fatty acid composition at the *sn*-1(3) or *sn*-2 position would reveal more knowledge about the uptake process from the intestinal lumen to the chylomicrons in the lymph. What is known, however, is that DAG digestive products are synthesized less into TAG in the intestinal mucosa compared with TAG digestion products. Greater amounts of fatty acids are released into the portal circulation than after TAG ingestion. The gut normally reassembles TAG using *sn*-2 MAG, but starting with sn-1 MAG results in lower amounts of fat-rich particles appearing in serum following consumption of a single dose of DAG oil. As a result, fewer fat-rich particles appear in the blood following a meal containing DAG oil. This difference leaves a pool of FA that must be handled by the gut.

The effect of positional distribution of fatty acids in the TAG especially that of long-chain fatty acids (LCFAs), on absorption of fatty acids has been studied extensively. It has been reported that *sn*-2 MAG of saturated fatty acids are more readily absorbed than free fatty acids. In all the reported investigations, palmitic acid and other long-chain saturated fatty acids are absorbed more efficiently when located in

the *sn*-2 position of TAG. This has been explained on the one hand by the more efficient absorption of 2- palmitoyl-glycerol compared to free palmitic acid. On the other hand, the absorption of free palmitic acid released from *sn*-1 and -3 positions in intestine is also prevented by formation of fatty acid mineral soaps that are partially lost in feces

Pancreatic lipase catalyzes the intestinal hydrolysis of ingested TAG with preference for the *sn*-l,3 positions eventually resulting in *sn-2* MAG and FFA. The major route of absorption for the long-chain FA and *sn-2* MAG is through the enterocytes, with high conservation of the FA located in the *sn-2* position of the dietary fat. Short- and medium-chain FA are absorbed primarily via the portal vein. In the enterocytes, the *sn-2* MAG are re-esterified with FA of exogenous and endogenous origin to form a new population of TAG, and these are packed into chylomicrons and secreted to the lymph. Their chain length and saturation determine the fate of the free fatty acids within the mucosal cell.

Mattson and Volpenhein reported in 1964 in a classic paper (The Digestion and Absorption of Triglycerides, *Journal of Biological Chemistry 239*:2772-2777, 1964) the analysis of the amount of fatty acid label at each position of lymph TAG, after feeding MAG, FFA, and TAG synthesized with labeled fatty acids at various positions. From these studies, they concluded that hydrolysis of the dietary triglycerides in the intestinal lumen yielded 72 parts 2-MAG, 6 parts 1- and 3-MAG, and 22 parts free glycerol. The 2-MAG, approximately three-fourths of the dietary TAG, entered rat intestinal cells intact, and were re-esterified to TAG.

From the above arguments, it is obvious that the yield of pharmacologically active *sn*-1(3) MAG from coconut oil is no greater than 6% of which approximately half would be monolaurin. A daily intake of 3-9g of commercial Lauricidin® (netlink: www.lauricidin.com)has been found to be required to provide a pharmacological effect. Thus the provision of this quantity of monolaurin to be derived from coconut oil would require an unrealistic intake of 100-300 mL/day.

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New trans *fatty acid method available*

The AOCS Uniform Methods Committee recently approved a method for measuring *trans* fatty acids in animal and vegetable fats and oils. AOCS Method Ce1h-05 is the methodology to use for *trans* fatty acid analysis that meets U.S. Food and Drug Administration (FDA) labeling regulations taking effect January 1, 2006.

AOCS Method Ce1h-05, available in mid-June, is the outcome of nearly two years of collaborative efforts between AOCS Technical Services and experts from around the world. You can purchase the method online at netlink: www.aocs.org/tech or by calling +1-217-359-2344.