

HEALTH OILS FROM THE TREE OF LIFE (NUTRITIONAL AND HEALTH ASPECTS OF COCONUT OIL)

By

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Abstract

The palm tree has a long history of providing man with useful materials for his daily life. None is more important than the oils obtained from the palm nut. Coconut and palm kernel oils were recognized as health oils in Ayurvedic medicine almost 4000 years ago. The same health effects were also found in Sanskrit medicine for mother's milk. Mention was made that freshly expressed human milk was adopted as an "antibiotic" after eye surgery. Modern research has now found a common link between these two natural health products----their fat or lipid content. For over thirty years our lipid laboratory has pioneered finding relationships between natural and synthetic lipids and their biological activity. Our studies indicated that the fatty acids and monoglycerides found in these two natural products had extraordinary antimicrobial. properties. Over a period of 30 years my colleagues and I screened other lipids hoping too improve on nature. During this period we screened some 300 lipids and other structures for antimicrobial activity. We failed and so I returned to nature for clues. The medium chain fatty acids and monoglycerides found primarily in these two tropical oils and mothers milk have miraculous healing power. It is rare in the history of medicine to find substances that have such useful properties and still be without toxicity or even harmful side effects. My students and I then vigorously pursued the industrial and medical application of the most active species, monolaurin. The highly purified monoglyceride is better known as Lauricidin® rather than simply monolaurin since the usual commercial monolaurin is only 45-55% pure and has no antimicrobial properties. The first utilization of monolaurin was the incorporation into margarine as a food preservative and then into a sanitizer for the prevention of bovine mastitis.

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Since that time monolaurin (Lauricidin®) has found use in cosmetic, pharmaceuticals and in clinical medicine. Monolaurin as a dietary supplement has shown extraordinary and exciting results as an antibiotic and as an antiviral agent. The latter property against lipid coated viruses was first demonstrated by Hierholzer and Kabara more than sixteen years ago. Since that time our studies have been confirmed and extended by others. Literature references for the use of monolaurin are now appearing at an increasing rate showing application in dental cares, peptic ulcers, benign prostatic hyperplasia, genital herpes, hepatitis C as well as HIV/AIDS. After years of neglect by others I have finally convinced the medical community and now important clinical studies are finally increasing at a rapid pace.

Our prediction at an international conference of the American Oil Chemist Society(1995) that these tropical oil derivatives were going to be the new health oils for the next millennium is coming true. Not only does monolaurin have antibiotic and antiviral activity but also these remarkable derivatives have been shown not to cause resistance organisms to appear. In addition, it has now been shown that monolaurin can reduce the resistance of germs to antibiotics.

Never before in recent times has recognition of the positive health effects of tropical oils been stronger. New and exciting health and industrial uses of monolaurin are available and predictable. Monolaurin derived from coconut and palm kernel oils suggest a bright future for an industry that was once referred to as a "sunset industry". This means that the oil industry must move quickly to modernize itself in making value added products from these oils which will contribute to a more vigorous and healthy agriculture future.

Introduction

Never before in the history of man is it so important to emphasize the value of Lauric Oils. The medium-chain fats in coconut oil are similar to fats in mother's milk and have similar nutraceutical effects. These health effects were recognized centuries ago in Ayurvedic medicine. Ayurvedic (knowledge of life) medicine is based on the teaching of the Veda, the oldest (circa 1500 BC) scripture of Hinduism. Sanskrit is the literary language of the Vedas and Hinduism. The knowledge of the aborigines of Nicobar Islands and the tribal population of other parts of India on the medicinal application of coconut palm products is extensive. They depended on these products for treating numerous ailments. Even a transient account of ancient therapeutic applications of coconut palm products would be too extensive for this review. Consequently, only a brief accounting will be given on the historical use of coconut oil as a medicine whose benefits results from its content of medium-chain fats.

According to the Ayurvedic classics, coconut oil (CNO) nourishes the body and increases strength. The oil was also valued for its antimicrobial properties. The use of the oil medicated with herbs is widespread among the people of India. Different preparations of CNO promote luxurious hair growth and protect the skin from bacterial, protozoal, and viral infections. For some head diseases, such as lice, an application of coconut oil medicated with the roots of palm is known to be an effective treatment. Fresh lauric oil is wholesome to heart and relieves skin troubles.

In the past four decades misinformation and disinformation provided by certain politically biased agricultural groups and repeated in professional and lay press have lead people to believe that all saturated fats are unhealthy. Little attention is focused on the fact that saturated fatty acids are not a single family of fats but comprise three subgroups; short- (C2-C6), medium- (C8-C12) and long- (C14-C24) chain fatty acids. The medium chain fats are found exclusively in Lauric Oils.

If we are to understand the health benefits of medium chain saturated fats, it is necessary to specify the affects of each saturated subgroup. While it has been known for decades that subgroups existed for unsaturated fats i.e. monounsaturated fats (omega-9) and polyunsaturated oils ((omega-6 (vegetable oils) and omega-3 (fish oils)], little recognition is given even today to subgroups of saturated fats. Each fat subgroup has different metabolic, biological and pharmacological functions.

Medium vs. Long Chain Saturated Triglycerides

It needs to be emphasized that both the composition and stereo-specific location of a saturated fatty acid on the glycerol structure is critical to its biological affects. The acyl groups located at the sn-1 and sn-3 position are absorbed as free fatty acids while the acyl group in the sn-2 position is absorbed as a monoglyceride. Short and medium-chain fatty acids (MCFA) are solubilized in the aqueous phase of the intestinal contents, where they are absorbed, bound to albumin and transported directly to the liver via the portal vein. Long-chain FA's however are transported via lymphatic and systemic circulation as chylomicrons before finally ending up in the liver. However the location of long-chain fatty acids (LCFA) on the glycerol molecule can also influence their metabolic destiny. Free palmitic and stearic acid in the sn1 and sn3 position of glycerol have low coefficients of absorption because of melting points above body temperature and their ability to form calcium salts. Therefore, fats that have long-chain saturated fatty acids located at the sn-1 and sn-3 positions of triglycerols can exhibit different absorption patterns and metabolic effects compared to fats with palmitic or stearic acids found at the sn-2 position, which are absorbed more efficiently as monoglycerides.

Although long-chain fats have a kilocalorie values of 9.0 per gram, medium-chain triglycerides (MCT) fats have ~10% less (8.3 kilocalorie/gram). MCT have been shown easier to digest and are absorbed and oxidized faster than LCT fats. MCFA are transported directly to the liver and enter mitochondria without the benefit of carnitine. Compared to long-chain fats, MCT's are deposited less into adipose tissue, decrease protein catabolism in hyper-catabolic states, raise thyroid function and do not form esters with cholesterol.

Medium-chain saturated fats fail to raise cholesterol levels when supplied with sufficient polyunsaturated fatty acids to avoid EFA deficiency. Studies showing harmful effects of so-called "tropical oils" were generally carried out in the absence of essential fatty acids in the diet.

The following will document several examples of medium-chain saturated fatty acid derivatives as nutraceuticals:

Dental Caries and Cancer

Numerous papers from our laboratory and others have shown the positive health consequence of MCFA and their monoglyceride (MCMG) derivatives on dental caries formation in experimental animals. Because of their antimicrobial action reductions in dental caries as high as 80% have been reported.

MCT as opposed to polyunsaturated fats have no growth- promoting affects in tumor-bearing animals. In 1987 a 50-year review showed the anticancer effects of coconut oil. In chemically induced cancers of the colon and breast, coconut oil was by far more protective than unsaturated oils. For example: 32% of corn oil users got colon cancer whereas only 3% of coconut oil eaters got the cancer. Many studies since the early 1920's have shown an association between consumption of unsaturated oils and the incidence of cancer. Animals fed unsaturated oils developed more tumors. The known immune-suppressive effects of unsaturated oils can explain the adverse increase in cancer.

Details on these positive health effects of saturated lipids in dental and cancer research can be found in *Pharmacological Effect of Lipids*, Volumes 1, 2, and 3, edited by J. J. Kabara and published by AOCS Press.

Prostatic Hyperplasia (BPH)

It is common for the prostate gland to become enlarged as a man ages. Doctors call the condition benign prostatic hyperplasia (BPH), or benign prostatic hypertrophy.

More than half of men in their sixties and as many as 90 percent in their seventies and eighties have some symptoms of BPH.

While the exact cause of BPH is not known, one theory focuses on dihydrotestosterone (DHT), a substance derived from testosterone in the prostate. This steroid may help control the increase in prostate size. Older men continue to produce and accumulate levels of DHT in the prostate even when there is a drop in blood testosterone level. This accumulation of DHT can encourage the growth of cells in the prostate. Dihydrotestosterone is produced from testosterone by the action of the enzyme 5-alpha-reductase. Compounds that inhibit this enzyme can be expected to have a beneficial effect on BPH.

The fuzzy rat has been used to examine the effects of inhibitors of human steroid 5-alpha-reductase isozymes. Finasteride, a prescription drug, induces a moderate degree of lobular and ductal reduction. The weight of the prostatic lobes was reduced significantly in rats treated with finasteride. Hence compounds (finasteride) that inhibit 5-alpha-reductase are useful in the treatment of BPH.

Nutriceutical treatment of BPH with MCMG/MCT

One of the more common plant lipid extracts used for treating BPH is obtained from the Saw Palmetto (*Serenoa repens*). The benefits of Saw Palmetto can be traced back to the early 1700's, when the aborigines of the Florida peninsula depended largely upon the berries to treat atrophy of the testes, impotence, and inflammation of the prostate.

Therefore, it is of interest to determine whether this phytopharmakon has any influence on the androgen metabolism in the human prostate. It was found that crude lipid extracts of the berries inhibited 5-alpha-reductase activity in the epithelium and stroma of human BPH. The mean inhibition was 29% and 45%, respectively. This inhibitory effect was mainly due to the saponifiable subfractions where the mean 5-alpha-reductase inhibition of 39% and 38% in epithelium and stroma, respectively was found. The inhibition was dose dependent and noncompetitive. The nonsaponifiable subfraction, consisting mainly of phytosterols, showed a mean inhibition of 5-alpha-reductase in the epithelium and stroma of 15% and 10%, respectively. Finally, the hydrophilic subfraction, containing carbohydrates, amino acids, and polysaccharides showed no inhibitory effect.

Thus, this inhibition is mainly due to the saponifiable subfraction (FA's). Previous studies however have shown that the biological effects of monoesters of fatty acids are always more active than the non-esterified fatty acid. Further confirmation of this generality was recently found in the work of Shimada, Tyler and McLaughlin (1997).

They reported the following. Brine shrimp lethality-directed fractionations of the 95% EtOH extract of the powdered dried berries of *S. repens* without saponification was carried out. This led to the isolation of 2 monoacylglycerides, 1-monolaurin and 1-monomyristin. Both compounds showed moderate biological activities in the brine shrimp lethality test (BST) and against renal (A-498) and pancreatic (PACA-2) human tumor cells; borderline cytotoxicity was exhibited against human prostatic (PC-3) cells. (Table 1).

Table 1: Bioactivities of F005*, 1 and 2

Fraction	BST ^a	A-498 ^b	PC-3 ^c	PACA-2 ^d
F005 (MeOH)	79.9	31.5	35.7	29.9
Monolaurin (1)	79.2	3.77	23.28	2.33
Monomyristin(2)	53.3	3.58	8.84	1.87
Adriamycine e	0.01	0.01	0.04	

*Alcoholic extract of the Saw Palmetto

a Brine shrimp lethality test; LC50 values are in µg/mL.

b Kidney carcinoma.

c Prostate adenocarcinoma.

d Pancreas carcinoma.

e Positive control standard for MTT test; all cytotoxicities are ED50 values in µg/mL.

As the search for the ideal antiandrogen continues, the lipidic extract of the Saw Palmetto containing medium-chain monoglycerides appears to be one therapeutic treatment for benign prostatic hyperplasia, hirsutism and other similar problems. Since tropical oils and MCT's can be converted to these biologically active FAs and/or MCM's in vivo, a dietary (nutriceutical) approach to healing BPH may be available.

Nutriceutical Treatment for Ulcers with MCT

Evidence from 1982 has focused on what constitutes mucosal resistance and how it can be disrupted to produce, in the presence of gastric acid, ulcers. Depletion of endogenous prostaglandins and the presence of *Helicobacter pylori* have emerged as

prominent evidence to support the role of this microorganism in this clinical situation. Recent epidemiological data indicate an association between *H. pylori* infection and the subsequent development of gastric carcinoma.

Antibacterial regimens directed against the bacterium have provided a permanent cure for these chronic disorders. Most patients with ulcers can be cured by a one-week course of anti-*H. pylori* therapy, thereby removing the need for long-term acid inhibitory therapy. The clearest indication for *H. pylori* eradication is in the treatment of *H. pylori*-positive duodenal and gastric ulcer since eradication of the infection prevents ulcer relapse, effectively curing the disease. However, evidence has been presented that treatment of *H. pylori* like other bacteria produces resistant organisms.

The search for the ideal antimicrobial treatment regimen, which will combine high efficiency, safety and patient acceptability, continues.

Our laboratory was the first in the modern (1970) era to reintroduce the value of natural, medium chain lipids for inactivating microorganisms. A number of free fatty acids (FFA) and their corresponding esters were shown to have potent antibacterial and antiviral activities. One example can be found in table 2.

Table 2: Comparison of Antifungal Activities of Fatty Acid Monoesters With Some Commonly Used Preservatives

Food additive	Minimum inhibitory concentration($\mu\text{g/ml}$)		
	Aspergillus niger	Candida utilis	Saccharomyces cerevisiae
Monocaprin	123	123	123
Monolaurin	137	69	137
Butyl-p-hydroxybenzoate	200	200	200
Sodium lauryl sulfate	100	400	100
Sorbic acid	1000	1000	1000
Dehydroacetic acid	100	200	200

Prior to our work earlier reports only indicated, that such bactericidal activity was associated with FFA. Our research indicated that the monoglycerides (MG) but not di- or tri-glycerides were more active than the non-esterified fatty acid. The greatest antibacterial activity was with the medium chain saturated fatty acid having 12 carbon

atoms. The mechanism by which MG and FFA exert their antibacterial activity has been defined. The disruption of the cell membrane permeability barrier and inhibition of amino acid uptake is the best explanation for their activity.

H. pylori (Campylobacter) was found to be sensitive to the toxic effects of an unsaturated fatty acid (arachidonic acid, linoleic and oleic acids). The effect was probably due to the formation of peroxides since exogenous catalase added to basal media enhances the growth of *H. pylori* by preventing the formation of toxic peroxidation products from long-chain unsaturated fatty acids.

More recent studies have shown greater inhibition by saturated lipids to the growth of *Helicobacter* sp, a gram-negative organism. Incubation of *H. pylori* with saturated MG ranging in carbon chain length from C10:0 to C14:0, at 1 mM caused a 4-log-unit or greater reduction in the number of viable bacteria after exposure for 1 h. Lower levels of bactericidal activity were observed with C9:0, C15:0, and C16:0 MGs. In contrast, the free lauric acid (C12:0) was the only medium-chain saturated FA with bactericidal activity against *H. pylori*. The MG and FFA were bactericidal after incubation for as little as 15 min at neutral or acidic pHs.

Resistance to antimicrobial agents remains an important clinical problem for *H. pylori* treatment strategies. Therefore it was of interest to measure and compare the frequencies of spontaneous development of resistance to several MG and antibiotics among different laboratory strains of *H. pylori*. The frequency of development of resistance by *H. pylori* was higher for metronidazole and tetracycline than medium-chain MGs. The failure to show that microorganisms become resistant to medium-chain saturated lipids over time is critically important to their wide spread use. Recent papers have shown that resistant organisms have evolved from the use of a popular germicide, Triclosan.

Collectively, the data demonstrate that *H. pylori* is rapidly inactivated by medium-chain lauric acid esters. These saturated lipid derivatives exhibit a relatively low frequency of spontaneous development of resistance to the bactericidal activity of MG.

Medium-Chain Monolaurin versus Viruses

When coconut oil is consumed, the body makes the disease fighting monolaurin, the monoglyceride of lauric acid. Kabara and co-workers have shown as early as 1966 that lipophilic compounds had an adverse effect on lipid coated viruses. Later it was found that simple lipids could inactivate bacteria, yeast, fungi and enveloped viruses by disrupting the lipid membranes of the organisms. The antimicrobial effects of added and endogenous fatty acids and monoglycerides are additive and total concentration is critical

for inactivating viruses. Among the saturated fatty acids, lauric acid has the maximum antiviral activity.

Kabara, although a professor emeritus from Michigan State University, continues to promote the practical aspects and the potential benefit of nutritional support regimen for individuals infected with genital herpes and other herpetic viral problems using medium chain lipids. While anecdotal stories have suggested that coconut oil or monolaurin (Lauricidin®) have positive effects in AIDS patients, controlled studies have been lacking or of short duration.

In one study by Wanke et al however HIV patients with chronic diarrhea were randomly assigned to one of two complete nutritional products with either medium- or long-chain triglycerides fat exclusively for 12 days. All patients responded to intervention with both nutritional products overall with 45% fewer stools, decreased stool fat and weight, and a significant increase in urine nitrogen. The group that received the MCT product demonstrated significantly decreased stool number (mean 4 to 2.5), stool fat (mean 14 to 5.4 g), and stool weight (mean 428 to 262 g) compared with baseline ($P < 0.01$ for all). HIV patients with diarrhea, regardless of etiology, and documented fat malabsorption benefited symptomatically from a diet composed of an MCT-based liquid supplement. Unfortunately this study was of short duration and effects on viral load or other blood clinical markers were not examined.

Kabara with the cooperation of the Philippine Coconut Research and Development Foundation (PCRDF) has helped initiate the first controlled clinical trials in 1998 on the use of tropical oil and / or monolaurin (Lauricidin®) in HIV patients. While the studies have not been completed, early reports are encouraging. The most evident finding is that the quality of life for those unfortunates to have HIV is improved. Prof. Dr. Canrado S. Dayrit (PCRDF) will present details of this study at this meeting.

Meanwhile over 20 clinics in the USA are now investigating the use of monolaurin (Lauricidin®-Med-ChemLabs., Galena, IL, USA) in various viral diseases including Hepatitis C.

These examples indicate that simple medium-chain saturated lipids, which are non-toxic, and produce nutraceutical effects may represent the new health lipids of the next millennium. The illustrations presented are only a few of the health benefits of medium-chain saturated lipids. A book giving more examples and details is currently in preparation. Again, I wish to emphasize that the Tropical Oil Industry in producing monolaurin as a nutraceutical have a unique opportunity of expanding the economic and medical uses of lauric oils.

Considering all the baseless bad press in the USA that has been given to tropical oils it is time for the coconut industry to advocate an oil change. Our body similar to our car made be in need of an oil change if we want it to function properly and to reach our optimal health.

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Additional and more detailed information can be found on the Internet-----www.ncbi.nlm.nih.gov/medline