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Coconut Oil as a Vehicle for Lipophilic Drug Administration

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Abstract

Recently, health professionals and laypersons have claimed beneficial effects of oral and topical coconut oil administration. However, there is a mismatch between an accumulation of media reports and the scientific literature. Such an unsubstantiated dissemination of benefits for virgin coconut oil use may lead some laypersons to incorrect use, such as intramuscular administration, with the aim of aesthetic improvement. Herein based on current literature we demonstrate that intramuscular administration of coconut oil is directly harmful to health without filtration and sterilization, whereas nonmedical administration of intramuscular coconut oil with the aim of attaining muscular aesthetic appeal predisposes risk of injection site infection, scar tissue formation and accumulation, and irreversible nerve damage. We hypothesize; however, that coconut oil can be used as vehicles for lipid-soluble, drugs with proper filtration and sterilization through processes that align to pharmaceutical laboratory standards. Pharmaceutical testing and greater investments in coconut oil are necessary to justify its potential as an ideal vehicle of administration compared to standard oils already used for this purpose.

Keywords: Coconut oil; Lauric acid; Lipids; MCFA; Steroids

Introduction

The promotion and versatility of coconut oil extends beyond lipid profile amelioration, weight loss, and hair health. The use of coconut oil has also been widely proclaimed to improve body aestheticism by fitness enthusiasts. Notably, these individuals have resorted to various illicit techniques to improve muscular appearance. One prime example is the use of anabolic steroids with site-specific intramuscular administration to enhance the size of certain muscles[1–3]. The practice of injecting coconut oil was recently detailed in a case report that reported clinical complications after the intramuscular administration of virgin coconut oil for aesthetic purposes[4]. Although this questionable practice can carry a substantial risk to health, it does highlight the possibility that coconut oil may be an effective administration vehicle for lipid-soluble drugs after specific sterilization procedures are taken[5]. Therefore, scientific evidence is needed to validate the parenteral administration of coconut oil.

In this structured review we emphasis the current literature to address precautions and offer a hypothesis that coconut oil can be used as a medicinal vehicle for parenteral administration focusing on intramuscular use. Thus, in the light of these aims and concerns, this article is directed to scientists in pharmaceutical professions and practitioners in several medical specialties.

Intramuscular administration of lipophilic drugs with coconut oil

An unusual case report recently detailed a 25-year-old bodybuilder that presented

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to emergency services with functional problems and pain surrounding the right elbow persisting for over a month[4]. Magnetic resonance imagings showed a ruptured triceps tendon and diffuse focal areas in the muscle bellies that resembled hematomas or proteinaceous lesions. When questioned about the unusual nature of the lesions, the patient admitted to repeatedly injecting coconut oil into the biceps and triceps to enhance the appearance of the muscles. Although medical enhancements have been used for cosmetic purposes for some time, such illicit practices are not indicated for any medical condition nor do they provide any substantive benefit[6,7]. The case highlights the harmful consequences of parenterally administering non-sterilized extra virgin coconut oil.

Despite this radical practice when used as a vehicle of administration, coconut oil may exhibit better tissue absorption than other oils when its distribution is facilitated since MCFA requires less degradation than LCFA. Intramuscular and subcutaneous coconut oil administrations show better clearances than several oil vehicles tested in animals[8]. When administered intramuscularly or subcutaneously in pigs, the 14-day half-life of coconut oil is lower than other oils, such as isopropyl myristate, castor, and sesame, which exhibits half-lives greater than 20 days[8].

With human grade pharmaceuticals, coconut oil may be used as a vehicle of lipophilic drugs for intramuscular administration and follows the essential need for sterilization as with any other oil used for this purpose. Interestingly, antipsychotic preparations for intramuscular administration such as the flupentixol and zuclopenthixol contain coconut oil as a constituent[5]. The inclusion of coconut oil is likely due to higher prices of raw materials when compared to other types of oils, although, in general the pharmaceutical industry has not used coconut oil as a vehicle of administration. One beneficial factor to consider is that hypersensitivity and allergic reactions to coconut are relatively rare. In cases of local reactions due to the medicinal administration of coconut oil, specific antibody analysis of immunoglobulin E in response to coconut oil is a useful parameter to measure[5].

Coconut oil as a vehicle of administration vs. overdoses of vitamins A, D, and E

Illicit anabolic-androgenic steroid use, especially intramuscular administration, remains a serious widespread international public health problem[9]. Likewise, oil administration in specific spots, an illicit technique popularly known as Site Enhancement Oil “SEO” injections, has become frequent among fitness enthusiasts with ambition to increase muscular aesthetics[10,11].

The aforementioned case report[4] of the bodybuilder who self-administered coconut oil into specific sites of the arms, could have performed this procedure with any type of unfiltered, non-sterile oil. Hence, the SEO technique is a major health concern given access to a wide variety of oils food stores. Koopman et al. (2005) detected vasculitis in one patient who injected 10 mL of sesame oil in this manner[12]. In addition, more deleterious effects were found in a recent case report of prolonged sunflower oil administration through the SEO technique that led to vast asymmetry of the pectoral region, resulting in adipocyte necrosis and an intense granulomatous response[13].

The use of the SEO technique is based on synthol or veterinary fat-soluble multivitamins, known as ADE. Synthol is a product consisting of MCFA, local anesthetics, and alcohol, which results in serious visible muscle deformities with prolonged and unreasonable use[14]. Veterinary fat-soluble vitamins A, D, and E, when used with the SEO technique, lead to vitamin overdoses, and the high amount of administered oil is more harmful than synthol, yielding inflammatory responses and tissue damage by increased eccentric volume[11,15,16] (Figure 1). Nevertheless, in one case report, the monthly self-application of veterinary grade fat-soluble vitamins for over two years led to acute renal damage attributed to volume depletion and renal vasoconstriction resulting from hypercalcemia associated with high serum levels of vitamin D[10].

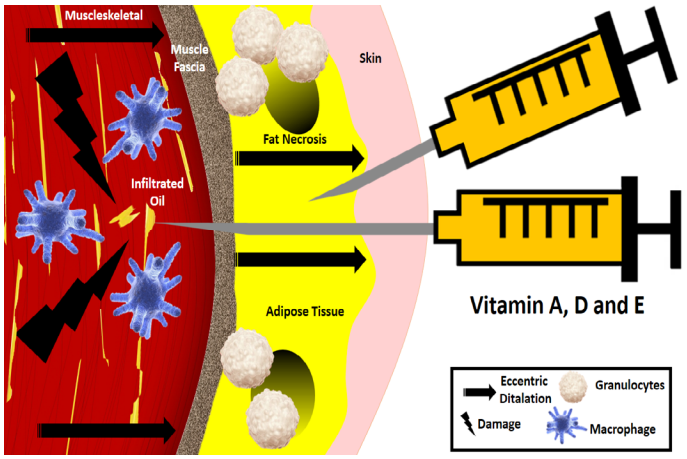
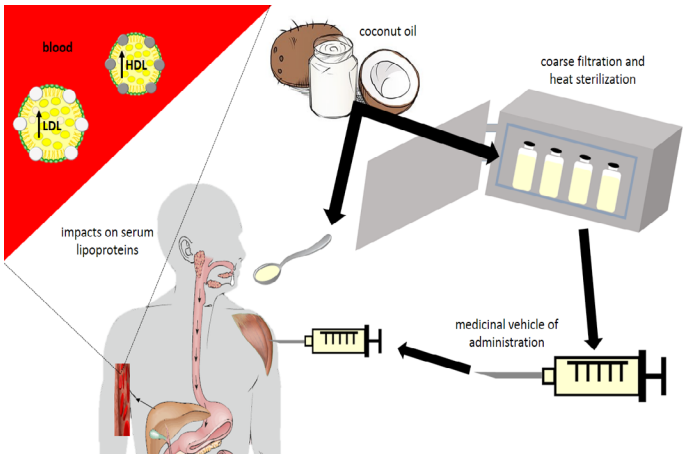


Figure 1: Injury in skeletal muscle and adipose tissue due to the use of high doses of veterinary vitamins A, D, and E. The SEO technique using oils with high levels of vitamins A, D, and E occurs by subcutaneous or intramuscular administration in humans [11]. There is eccentric dilatation of the striated muscle and adipose connective tissues through high dose vitamins combined with a high volume of oil, reflecting remarkable disproportionate increases at the site of injection [13,16].



The comparison between vitamin profiles of coconut oil and intramuscular veterinary pharmacological agents composed of vitamins A, D, and E is shown in Table 1. One should note that coconut oil does not contain high doses of fat-soluble vitamins such as those found in veterinary grade medicines composed of vitamins A, D, and E. Therefore, the used of coconut oil as a vehicle for lipophilic drugs avoids the tissue damage resulting from excess vitamins (Table 1).

Table 1: Vitamins A, D, and E doses per 100 mL of veterinary intramuscular oil solution and popular vegetable oils.

Product and country of origin	Vitamin A (IU)/mL	Vitamin D3 (IU)/mL	Vitamin E (IU)/mL
Bioveta™, several countries‡	50,000	25,000	5.97
Durvet™, EUA	100,000	10,000	300
Vedco™ (rich in vitamin A, D and E), EUA	100,000	10,000	300
Vedco™ (rich in vitamin A and D), EUA	500,000	75,000	5
Vetone™, EUA	100,000	10,000	300
Coconut oil	0	0	0.002
Olive oil	0	0	0.203
Peanut oil	0	0	0.002
Sesame oil	0	0	0.021

‡ represents Czech Republic, England, Poland, Romania, Russia, Slovenia, Turkey and Ukraine.™ Trademark. Composition of veterinary drugs composed of vitamin A, D, and E from company website of the manufacturer and natural oils from United States Department of Agriculture (USDA)[17].

Coconut oil as a vehicle of anabolic steroids: Intramuscular and subcutaneous administrations

Various oil types are used as vehicles of administration for anabolic steroids, e.g. peanut, sesame, cotton, and olive oils, and even exotic oils such as Camellia sinensis and castor, along with steroid excipients, such as benzyl benzoate and benzyl alcohol. Interestingly, Camellia sinensis is popularly ingested as tea, whereas castor oil contains the toxic substance, ricin. However, it is worth noting that regardless of the oil type, a sound pharmacological process is crucial and needed to provide purified oils to avoid toxicological problems[18–20]. Bearing this in mind, coconut oil is a feasible and promising vehicle for the drug development process because it contains small and easily removable amounts of sterols[21,22].

Scientific exploration and interest in subcutaneous testosterone administration has grown with increasing popularity[23–26]. Some of these investigations were motivated due to the speculated risk of pulmonary micro embolism from one classic treatment administration of testosterone undecanoate that introduces a high volume of oil solution into the body with each injection[24,27–29]. Moreover, transdermal testosterone formulations also exhibit limitations, such as local reactions and poor absorption rates[24,30]. Recent studies have shown that subcutaneous administration of testosterone cypionate is relatively painless, easily self-administered, well-accepted, and effective in female-to-male transgender patients[23–26]. Interestingly, these studies used sesame and cotton oil as vehicles of administration. Thus, future studies using coconut oil as a vehicle of administration, especially in fractionated form, are warranted to evaluate subcutaneous hormonal administration in female-to-male transgender and traditional testosterone replacement in men with hypogonadism.

Coconut oil sterilization: sterility and the oxidative process

Dry heat is the most commonly used sterilization process for oil solutions. According to the Pan American Health Organization (PAHO) (2015), dry heat requires 170ºC for 60 minutes or 150ºC for 150 minutes to sterilize oils[31]. A temperature within 150-170°C is already sufficient to generate oxidation. Given that dry heat sterilization requires high temperatures and long exposure time, coconut oil can be considered as an oil with lower oxidation production, compared to unsaturated oils, since that the main fatty acids types of coconut oil are saturated[31]. Importantly, coconut oil exposures at 175°C, over one hour, demonstrated better stability than sesame oil, which is widely used as a vehicle of administration[32]. Furthermore, coconut oil, per se, has antimicrobial effects; however, regardless of this propriety, it must be exposed to sterilization as with any other oil types considered as vehicles of administration[33,34].

Oxidized products increase during the oil sterilization process. When an oily vehicle carrying medicine is administered, consequently, oxidized products are also administered, thus contributing to the total oxidative process in human body systems[35]. A priori, this is physiologically insignificant if the amount of administered oil (1 to 4 mL in general) is scant[18–20,36], however, antioxidant processes are multifactorial[37–41]. Although the volume of administrated coconut oil is irrelevant for oxidation on the human body systems, it can be fatal if administrated through the vein, since the natural form of the oil is non-emulsified and, as a consequence, could lead to thromboembolism[16,42,43].

Relationship between parental administration of MCFA and coconut oil

Clinically, emulsified MCFA is used as a parenteral nutrition approach in critically ill patients. Taking into account that lipid formulation of parenteral nutrition is predominantly composed of soy and safflower oils, replacing it by MCFA is a common strategy used to avoid metabolic dysregulation that may ensue due to the intake of high amounts of omega-6, which is noted during infused parenteral nutrition based on soy and safflower oils[44,45]. Nevertheless, an emulsion containing a 1:1 physical mixture of MCFA from coconut oil (Lipofundin™) is used clinically, as well as injectable Diazepam-Lipuro™ and Etomidat-Lipuro™ also containing MCFA[46–48].

Therefore, given that MCFA emulsions from coconut oil are used intravenously, sterilized coconut oil can also be safely used as a vehicle of administration, or even used intravenously after emulsification in parenteral nutrition. However, a lipid content of parental nutrition based on MCFA only, is not indicated because essential fatty acids are required for physiological roles [46] and humans cannot synthesize essential fatty acids[49].

Perspective

Intramuscular administration of coconut oil as a pharmaceutical excipient is a promising method to improve tissue absorption given its MCFA content. Such a proposal, however, requires a greater investment from pharmaceutical companies, although many vegetable oils are already used for this purpose.

Conclusion

Substantial caution is required with respect to routes of coconut oil administration. Intramuscular administration of coconut oil is harmful if non-sterilized and unfiltered when used nonmedically to improve aesthetics by increasing musculoskeletal volume.

Interestingly, however, coconut oil, as well as several other oils, can be used as vehicles of administration for lipophilic drugs as long as sterilization processes align to best pharmaceutical laboratory practices.

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