

Lipid-based cosmeceuticals

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What is a cosmeceutical?

The word “cosmeceutical,” a combination of “cosmetic” and “pharmaceutical,” is a marketing term coined in the past decade to imply a cosmetic or skin-care formulation that contains one or more active ingredients that impart a physiological or biological “medicinal” benefit to the consumer. The term is often used in cosmetic advertising to promote products containing antioxidants, vitamins, phytochemicals, or enzymes that the manufacturer claims impart a health benefit to the user. Examples of products typically marketed as cosmeceuticals include moisturizers, anti-wrinkling and anti-aging creams, anti-photoaging treatments, and baldness treatments.

Cosmeceutical, as the name is meant to imply, leads the consumer to believe that the skin-care or cosmetic product contains ingredients that provide a specific drug-like benefit to the user. According to the U.S. Food and Drug Administration’s (FDA) Center for Food Safety and Applied Nutrition’s Office of Cosmetics and Colors Fact Sheet (revised February 24, 2000), however, the Food, Drug, and Cosmetic Act does not recognize the term cosmeceutical. The FDA defines drugs as those products that cure, treat, mitigate, or prevent disease or that affect the structure or function of the human body. While drugs are subject to a review and approval process by the FDA, cosmetics are not approved by FDA prior to sale.

The cosmetic and skin-care industry uses the term cosmeceutical but must judiciously make health benefit claims to avoid the FDA drug approval process. Since

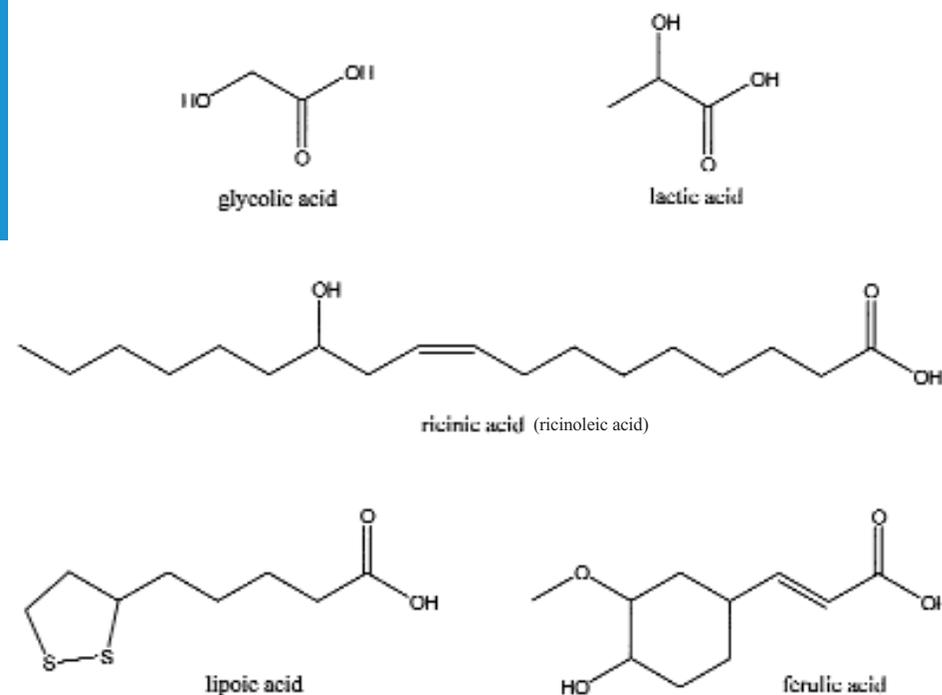


FIG. 1. Structures of functionalized short- and long-chain fatty acids used in cosmeceutical formulations.

products marketed as cosmeceuticals do not require FDA testing or approval, the only substantiation of a product’s “drug-like” effect is the consumers’ perceived benefit. Essentially, a product’s success of sales is the only check and balance that ensures that a manufacturer is producing a product that meets its cosmeceutical claims. Furthermore, most brand-name cosmeceutical manufacturers are formulators that obtain “active ingredients” from specialty ingredient companies, who typically originate the health benefit claims. Truthful advertising and product efficacy is the responsibility of the manufacturers and the specialty ingredients companies.

Natural oils

A variety of specialty ingredients companies offer a myriad of natural oils, touting the health benefits of these essential oils. These “oils” are often crude extracts of the

flesh, bark, or seeds of fruits, vegetables, trees, etc. The oils are not typically purified and may contain a number of enzymes, proteins, and phytochemicals. The oils are typically clarified by filtration and decolorized using bleaching clays. More expensive, pure forms of the oils can often be obtained by organic solvent or steam extraction. The purported health benefits of the oils may be the result of the lipid, the residual contaminants, or a combination of both. Table 1 lists several oils and their purported health benefits.

Functionalized fatty acids

Alpha- and beta-hydroxy acids (AHA and BHA, respectively) are considered cosmeceutical ingredients and revolutionized the skin-care industry in the early 1990s. Two of the most commonly used AHA are glycolic and lactic acids (Figure 1). These very short-chain hydroxy fatty acids are

Table 1. Examples of Natural Oils and Their Purported Cosmeceutical Benefits

Oil	Purported benefits
Almond	Emollient for softening and conditioning the skin and hair. Treatment for eczema, psoriasis, and itchy, dry and inflamed skin
Avocado	Moisturizer containing high concentrations of vitamins A, D, and E Soothes sensitive skin, especially scaly skin and scalps. Acts as a natural bactericidal
Borage	Source of gamma-linolenic acid; contains important vitamins and minerals. Typically used in high-end cosmetic formulations to nourish and hydrate the skin
Emu	Anti-inflammatory used against psoriasis and eczema. Reduces scarring and swelling. Skin softener
Flax seed	Source of alpha-linolenic acid. Used in treatment against eczema, psoriasis, rosacea, acne, and aging skin
Grape seed	Mild astringent that tightens and tones the skin, useful for acne
Hemp seed	High content of the polyunsaturated essential fatty acids (linoleic and linolenic acids). Used in anti-inflammatory formulations, aiding in the healing of skin lesions, dry skin, and inflammations of joints
Jojoba (wax)	Removes excess oils and balances natural skin oils. Contains myristic acid; claimed to be a natural anti-inflammatory agent against arthritis and rheumatism. Has natural antioxidant properties and extends the shelf life of other oils
Manuka	Antibacterial and antifungal agent used in formulations against foot problems such as athletes' foot, foot odor, and cracked heels
Meadowfoam	Highly resistant to oxidation and heat. Used as a moisturizer that has a non-greasy feel, possesses good lubricity, and stays on the skin
Neem	Used as an antibacterial, antiviral, antifungal, antiseptic, and antiparasitic agent in toiletries, soap, toothpaste, and skin/hair care products
Shea	Used in formulations to treat against dermatitis, eczema, burns, and dryness

found in a variety of fruits, sugars, and dairy products. Sour milk baths and facial treatments using soured wines, yogurts, and fruit compresses have been in use by women for centuries to obtain healthier, younger-looking skin.

With continuous use at concentrations of 10% in creams or lotions within a very specific pH range, AHAs are clinically claimed to provide the dermatological benefits of reduced skin lines and an overall improvement of skin smoothness. The mechanism by which the AHA acts is not fully understood, however, their purported benefits are most likely due to their capacity to act as humectants and skin exfoliants. When applied to the skin, AHA hydrates, pulling moisture from the atmosphere into the upper layers of the epidermis, providing softness and flexibility. Additionally, the acids break down the cohesion of the dead skin cells of the upper surface (the corneocyte layer) and promote sloughing. The result is noticeably softer, smoother-feeling skin.

The success of AHAs as cosmeceutical ingredients is evident from their ubiqu-

itous use and continued strong sales. AHAs are used in most cosmetic and skin-care formulations as a skin exfoliant, rejuvenator, moisturizer, acne treatment, and anti-aging treatment to reverse the deleterious effects of ultraviolet (UV) radiation exposure. In fact, the veracity of AHA's purported "drug-like" benefit has gained significant legitimacy through its regulated use by licensed cosmetologists, dermatologists, and plastic surgeons at concentrations of 20–70% in skin peels to treat wrinkles and discoloration of sun-damaged skin.

In addition to the very short-chain hydroxy fatty acids, long-chain hydroxy fatty acids are used as cosmeceutical ingredients. Castor oil contains 90% ricinic acid (ricinoleic acid) (Figure 1). Castor oil and its free fatty acid are used predominantly in hair- and skin-care products, while partially hydrogenated castor oil or castor wax is used in lip balms.

The long-chain hydroxy oil acts as a thickening agent, emollient, and humectant providing moisturization. Castor oil is also claimed to have low comedogenicity (ten-

dency to create "blackheads" or other skin blemishes). All castor oil is currently imported into the United States, however, lesquerella oil, containing 54% 14-hydroxy-(*cis*)-11-eicosanoic acid, is being developed as a possible domestic alternative.

Alpha-lipoic acid (Figure 1) is a cyclic disulfide-containing fatty acid that is an antioxidative dietary supplement and that has gained use as a cosmeceutical ingredient. The redox-active molecule undergoes a disulfide-thiol reduction as it scavenges reactive oxygen species.

The efficacy of lipoic acid has recently been suggested to be enantiomerically dependent. The *S*-enantiomer has been reported to suppress the *R*-enantiomer's biological activity and actually increase oxidative stress.

The purported benefits of lipoic acid are as a powerful anti-inflammatory agent that also protects cells from free radical damage, improves collagen production, and reduces the appearance of fine lines and wrinkles. Alpha-lipoic acid is predominantly used in anti-aging and UV-damage restoration formulations.

Structured lipids

So far we have discussed examples of natural lipids and fatty acids that are used in cosmetic and skin-care cosmeceutical formulations. The variety of oils supplied by ingredient companies for use in cosmetic and skin-care products has not changed in the past decade. However, the success of the cosmetic and skin-care industry depends on the ability of companies to perpetuate existing brand lines with “improved” formulations and the launch of “new” product lines. Each improved or new product usually claims to offer a unique health benefit to the consumer. New and improved cosmeceutical formulations are typically accomplished by reformulating the same ubiquitous ingredients in new and varying combinations. What the industry lacks is new molecules that deliver comparable or improved cosmeceutical benefits.

To provide the industry with truly novel, lipid-based cosmeceuticals, we have focused on the functionalization of vegetable oils with phenolic moieties, which possess UV absorption and antioxidant ef-

ficacy. Specifically, we have incorporated ferulic acid (Figure 1) onto the glycerol backbone of vegetable oils. Ferulic acid is present in nature esterified to other plant components, such as the hemicellulose and lignin fractions of plant cell walls, as well as in the waxy surfaces of leaves. Ferulic acid is also found esterified to phytosterols in grain products, such as rice, oat, and corn bran. Thus, ferulic acid occurs naturally in our food supply. Ferulic acid has a maximum UV absorbance at 322 nm, which falls between the UVB and UVA regions, making it a broad UV absorber. Also, ferulic acid possesses excellent antioxidant efficacy, double the antioxidant capacity of ascorbic acid and alpha-tocopherol. Ferulic acid would be a preferred cosmeceutical ingredient in anti-aging and -wrinkling formulations except for its low solubility in organic phases, its water solubility, and its propensity to yellow when applied to the skin. We were able to deliver the cosmeceutical benefits of ferulic acid to cosmetic and skin-care formulations by biocatalytically esterifying it to vegetable oils, which decreased its water solubility, increased its organic solubility, eliminated

its propensity to yellow on the skin, and retained the essence of an all-natural ingredient.

Our patented, structured lipids have garnered much interest in the cosmetic and skin-care industry as all-natural, UV-absorbing antioxidants and fragrance carriers. From our dialogue with cosmetic and specialty ingredient companies the most attractive feature of our UV-absorbing lipids is that they are new molecules that deliver the same or better efficacy as currently used ingredients. The cosmetic and skin-care industry is starved for unique, efficacious cosmeceutical ingredients. Our research will continue to focus on the development of biocatalytic processes and structured lipids that adhere to the tenets of green chemistry and can serve as ingredients for the cosmeceutical industry.

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