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(54) **SPIDER ESTERS AS DELIVERY SYSTEMS**

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(57) **ABSTRACT**

The present invention is directed to the delivery of a variety of topically active materials from a class of compounds called spider esters, which are the topic of U.S. Pat. No. 7,437,707 incorporated herein by reference. According to this first aspect of the present invention, active ingredients are delivered more efficaciously to, and, where desired, through the skin (i.e., localized subdermal penetration). By "delivered more efficaciously" is meant a cream, lotion, gel or serum that is contacted with the skin such that the active ingredient(s) are delivered in a manner that has (i) the same or similar therapeutic effect but at lower dosage of the active ingredient(s), and/or (ii) the same or similar desired therapeutic effect over a longer period of time, and/or (iii) a better or improved therapeutic effect in comparison to the same dose of active ingredient(s) in another delivery vehicle.

SPIDER ESTERS AS DELIVERY SYSTEMS

RELATED APPLICATIONS

[0001] This application is a continuation in part of publication US 20080319069 filed Aug. 25, 2008 serial No. 22940 which is in turn a divisional application of co-pending Ser. No. 11/124,018 filed May 9, 2005, now U.S. Pat. No. 7,473,707 issued Jan. 6, 2009.

GOVERNMENT SPONSORSHIP

None

FIELD OF THE INVENTION

[0002] The present invention is directed to the delivery of a variety of active materials from a class of compounds called spider esters, which are the topic of U.S. Pat. No. 7,437,707 incorporated herein by reference.

BACKGROUND OF THE INVENTION

[0003] Surfactants are commonly used in formulating topically applied vehicles. However, surfactants are known to have irritating effects, stripping the skin of its natural oils and protective barrier. Surfactants can also interact with, and negatively impact, the efficacy of active ingredients. Accordingly, a first aspect of the present invention relates to delivery systems comprising polar esters that allow for delivery of active ingredients to the skin in topical-applied products that have reduced loadings (e.g., less than about 2%) of surfactants or that are essentially-free of surfactants.

THE INVENTION

Objective of the Invention

[0004] According to this first aspect of the present invention, active ingredients are delivered more efficaciously to, and, where desired, through the skin (i.e., localized subdermal penetration). By "delivered more efficaciously" is meant a cream, lotion, gel or serum that is contacted with the skin such that the active ingredient(s) are delivered in a manner that has (i) the same or similar therapeutic effect but at lower dosage of the active ingredient(s), and/or (ii) the same or similar desired therapeutic effect over a longer period of time, and/or (iii) a better or improved therapeutic effect in comparison to the same dose of active ingredient(s) in another delivery vehicle.

[0005] As will be appreciated by persons of skill in the art, a system that delivers the same or similar therapeutic effect over a longer period of time requires fewer administrations (i.e., dosings). This, in turn, improves patient compliance. These needs are met by the compositions of the present invention

[0006] A second aspect of the present invention relates to a delivery system that provides improved protection to the skin from ultraviolet radiation.

[0007] A third aspect of the present invention relates to a method for providing controlled release of dihydroxyacetone ("DHA") over time. A fourth aspect of the present invention relates to reducing or masking the unpleasant odors associated with certain active ingredients, including DHA.

DETAILED DESCRIPTION OF THE INVENTION

Delivery System

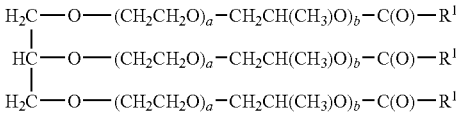
[0008] One aspect of the present application relates to a system for delivery of dermatologic and cosmetic active ingredients from reduced surfactant emulsion systems. By reduced surfactant emulsion system is meant an oil-in-water emulsion, a water-in-oil emulsion, a water-in-silicone emulsion, a silicone-in-water emulsion, a water-in-oil-in-water, or an oil-in-water-in-oil emulsion in which less than 2% by weight of the total composition is comprised of surfactants. Preferably, the surfactant loading is less than 1.5%, even more preferably less than 1%, and still more preferably less than 0.5% by weight of the total composition.

[0009] The delivery system according to this first aspect of the invention comprises:

[0010] (a) an oil phase comprising at least one polar ester conforming to one of the following three structures:

[0011] (i)

(Formula 1)



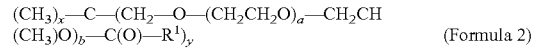
[0012] wherein

[0013] a is an integer ranging from 0 to 4;

[0014] b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 4;

[0015] R^1 is alkyl having 7 to 21 carbon atoms;

[0016] (ii)



(Formula 2)

[0017] wherein a is an integer ranging from 0 to 4;

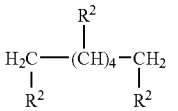
[0018] b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

[0019] R^1 is alkyl having 7 to 21 carbon atoms;

[0020] y is 4 or 3;

[0021] x equals 4−y;

[0022] (iii)



[0023] wherein

R^2 is $—(CH_2CH_2O)_a—CH_2CH(CH_3)O)_b—C(O)—R^1$

[0024] a is an integer ranging from 0 to 4;

[0025] b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

[0026] R^1 is alkyl having 7 to 21 carbon atoms;

[0027] (ii) an aqueous phase;

[0028] (iii) at least one surfactant at a concentration of less than about 2%;

[0029] (iv) at least one active cosmetic or dermatologic active ingredient at a concentration sufficient to have the desired therapeutic effect.

[0030] Suitable surfactants are described in *McCutcheon's Detergents and Emulsifiers* (1986) and O'Lenick, *Surfactants:Chemistty and Properties* (1999).

[0031] Representative polar esters conforming to Formulas 1-3 are registered with the Cosmetics Fragrance & Toiletries Association and have been assigned the following INCI names: Sorbeth-2 Hexaisostearate; Sorbeth-2 Hexacaprylate/Caprate Sorbeth-2 Hexylaurate; Hydroxypropyl Dimethicone Stearate; Hydroxypropyl Dimehticone Isostearate; Sorbeth-2 Hexaoleate; Glycereth-6 Tricocoate; Sorbeth-12 Hexacocoate; Glycereth-6 Trioleate.

[0032] Another aspect of the present invention is directed to an anhydrous delivery system comprising:

[0033] (a) at least one polar ester conforming to Formula 1, Formula 2 or Formula 3;

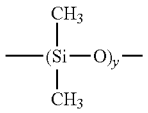
[0034] (b) at least one hydrophobic or lipophilic ingredient selected from the group consisting of:

[0035] (i) a volatile linear silicone oil conforming to the following structure:

$$(CH_3)_3—Si—O—[Si(CH_3—O]_x—Si(CH_3)_3$$

[0036] wherein x is an integer from 0 to 7, preferably from 0 to 5;

[0037] (ii) a cyclic volatile silicone oil conforming to the following structure:



[0038] wherein y is an integer from 3 to 6.

[0039] (iii) a volatile straight or branched chain paraffinic hydrocarbon oil having 5 to 40 carbon atoms;

[0040] (iv) a non-volatile silicone oil, preferably have a viscosity ranging of from about 20 to 100,000 centistokes at 25° C.;

[0041] (v) nonvolatile hydrocarbon oils including, but not limited to, isoparaffins and olefins having greater than 20 carbon atoms;

[0042] (vi) a cosmetically-acceptable ester as described below;

[0043] (vii) glyceryl esters of fatty acids or triglycerides, derived from animal or vegetable sources, non-limiting examples of which include castor oil, lanolin oil, C_{10-18} triglycerides, caprylic/capric triglycerides, coconut oil, corn oil, cottonseed oil, sesame oil, olive oil, palm oil, peanut oil, rapeseed oil, soybean oil, safflower oil, sunflower seed oil and walnut oil, and derivatives thereof;

[0044] (viii) fluorinated oils including, but not limited to, fluorinated silicones, fluorinated esters and perfluoropolyethers.

[0045] (ix) guerbet esters formed by the reaction of a carboxylic acid with a guerbet alcohol.

[0046] (c) at least one active cosmetic or dermatologic active ingredient at a concentration sufficient to have the desired therapeutic effect.

[0047] As used herein, the term "volatile oil" means an oil that is pourable liquid at room temperature and has a vapor pressure of at least about 2 mm. of mercury at 20° C.

[0048] As used herein, the term "non-volatile oil" means an oil that is pourable liquid at room temperature and has a vapor pressure of less than about 2 mm. of mercury at 20° C.

[0049] As used herein, "cosmetically-acceptable ester" refers to compounds formed by the reaction of a mono-, di- or tri-carboxylic acid with an aliphatic or aromatic alcohol that are not irritating and do not otherwise have deleterious effects when applied to the skin. The carboxylic acid may contain from 2 to 30 carbon atoms, and may be straight-chain or branched-chain, saturated or unsaturated. The carboxylic acid may also be substituted with one or more hydroxyl groups. The aliphatic or aromatic alcohol may contain 2 to 30 carbon atoms, may be straight-chain or branched-chain, saturated or unsaturated form. The aliphatic or aromatic alcohol may contain one or more substituents including, for example a hydroxyl.

[0050] Actives

[0051] Hydrophilic hydroxycarboxylic acids suitable actives for use in compositions of the present invention include alpha hydroxy acids (AHAs) and polyhydroxyacids (PHAs).

[0052] AHAs are a group of hydroxy acids in which the hydroxy group is attached to the alpha carbon atom of the acid. They conform to the structure: $(R_1)(R_2)C(OH)$ COOH, where R_1 and R_2 are selected from the group consisting of hydrogen, alkyl, aralkyl and aryl groups, the latter groups having 1-29 carbon atoms. The alkyl, aralkyl and aryl groups may be saturated or unsaturated, isomeric or non-isomeric, straight or branched chain or cyclic. The alkyl, aralkyl and aryl groups may also contain as substituents OH, CHO, COOH and alkoxy groups having 1 to 9 carbon atoms. In addition, R_1 and R_2 may also Cl, Br, I, S, F, or an alkyl or alkoxy group, saturated or unsaturated, having 1 to 9 carbon atoms.

[0053] As used in the present application, the term "AHA" means the free acid, its corresponding ester (formed by reaction of the AHA with an alcohol), its corresponding lactone (formed by the reaction of the carboxylic acid and hydroxyl groups of the AHA), as well as its corresponding salt (formed by reaction of the AHA with an organic base or an inorganic alkali). R_1 and R_2 may be the same or different. In the latter case, the AHAs may be stereoisomers in the D, L, and DL forms. AHAs suitable for use in the present invention may be grouped into (i) alkyl AHAs, (ii) aralkyl and aryl AHAs, (iii) polyhydroxy AHAs, and (iv) polycarboxylic AHAs.

[0054] Alkyl AHAs (i.e., where R_1 and R_2 are hydrogen or alkyl) suitable for use in compositions of the present invention include: 2-hydroxyethanoic acid (glycolic acid, hydroxyacetic acid); 2-hydroxypropanoic acid (lactic acid); 2-methyl 2-hydroxypropanoic acid (methyllactic acid); 2-hydroxybutanoic acid; 2-hydroxypentanoic acid; 2-hydroxyhexanoic acid; 2-hydroxyheptanoic acid; 2-hydroxyoctanoic acid; 2-hydroxynonanoic acid; 2-hydroxydecanoic acid; 2-hydroxyundecanoic acid; 2-hydroxydodecanoic acid (alpha hydroxylauric acid); 2-hydroxytetradecanoic acid (alpha hydroxymyristic acid); 2-hydroxyhexadecanoic acid (alpha hydroxypalmitic acid); 2-hydroxyoctadecanoic acid (alpha hydroxystearic acid); 2-hydroxyeicosanoic acid (alpha hydroxyarachidonic acid).

[0055] Aralkyl and aryl AHAs (i.e., where R_1 and R_2 are arylalkyl or aryl) suitable for use in compositions of the

present invention include: 2-phenyl 2-hydroxyethanoic acid (mandelic acid); 2,2-diphenyl 2-hydroxyethanoic acid (benzilic acid); 3-phenyl 2-hydroxypropanoic acid (phenyl)acetic acid); 2-phenyl 2-methyl 2-hydroxyethanoic acid (atrolactic acid, 2-(4'-hydroxyphenyl); 2-hydroxyethanoic acid (4-hydroxymandelic acid); 2-(4'-chlorophenyl) 2-hydroxyethanoic acid (4-chloromandelic acid); 2-(3'-hydroxy-4'-methoxyphenyl) 2-hydroxyethanoic acid (3-hydroxy-4-methoxymandelic acid); 2-(4'-hydroxy-3'-methoxyphenyl); 2-hydroxyethanoic acid (4-hydroxy-3-methoxymandelic acid); 3-(2'-hydroxyphenyl); 2-hydroxypropanoic acid (3-(2'-hydroxyphenyl) lactic acid); 3-(4'-hydroxyphenyl) 2-hydroxypropanoic acid (3-(4'-hydroxyphenyl) lactic acid)); 2-(3',4'-dihydroxyphenyl) 2-hydroxyethanoic acid (3,4-dihydroxymandelic acid).

[0056] Polyhydroxy AHAs suitable for use in compositions the present invention include: 2,3-dihydroxypropanoic acid (glyceric acid); 2,3,4-trihydroxybutanoic acid and its isomers (erythronic acid, threonic acid); 2,3,4,5-tetrahydroxypentanoic acid and its isomers (ribonic acid, arabinoic acid, xylonic acid, lyxonic acid); 2,3,4,5,6-pentahydroxyhexanoic acid and its isomers (allonic acid, altronic acid, gluconic acid, mannoic acid, gulonic acid, idonic acid, galactonic acid, talonic acid); 2,3,4,5,6,7-hexahydroxyheptanoic acid and its isomers (glucoheptonic acid, galactoheptonic acid).

[0057] Polycarboxylic AHAs suitable for use in compositions of the present invention include: 2-hydroxypropane-1, 3-dioic acid (tartronic acid); 2-hydroxybutane-1,4-dioic acid (malic acid); 2,3-dihydroxybutane-1,4-dioic acid (tartaric acid); 2-hydroxy-2-carboxypentane-1,5-dioic acid (citric acid); 2,3,4,5-tetrahydroxyhexane-1,6-dioic acid and its isomers (saccharic acid, mucic acid).

[0058] In a preferred embodiment of the delivery system of the present invention, the AHA is monocarboxylic and is selected from the group consisting of glycolic acid, lactic acid, and mandelic acid.

[0059] In another preferred embodiment of the delivery system of the present invention, the AHA is polycarboxylic and is selected from the group consisting of malic acid, tartaric acid and citric acid.

[0060] In yet another embodiment of the delivery system of the present invention, the hydroxy acid is a polyhydroxy acid. In a preferred embodiment, the polyhydroxy acid is selected from the group consisting of gluconolactone and lactobionic acid

[0061] Hydrophilic hydroxycarboxylicacids are used in the delivery systems of the present invention at concentrations ranging from about 0.1% to about 6%, preferably from about 0.2% to about 4%, and more preferably from about 0.5% to about 3%.

[0062] Compositions of the present invention may also be used to deliver therapeutically effective amounts of pharmaceutical ingredients used to treat the following conditions associated with exposure to ultraviolet radiation: actinic keratoses; basal cell carcinoma; squamous cell carcinoma; melanoma.

[0063] With respect to the treatment of actinic keratoses, non-limiting examples of pharamaceutical ingredients may be topically-delivered from the compositions of the present invention include: Aciretin; Adapalene; Diclofenac in combination with Hyaluronic Acid; Fluourouracil; Imiquimod; Salicylic Acid.

[0064] Compositions according to the present invention may be used to deliver prescription and non-prescription anti-inflammatory agents to the skin. The term "non-prescription" includes, but is not limited to, ingredients generally recognized as safe and effective under an applicable over-the-counter monograph issued by the FDA. Both steroidal and non-steroidal anti-inflammatory agents may be formulated in and delivered from compositions of the present invention. Non-limiting examples of anti-inflammatory agents are listed below, with corresponding doses indicated in parenthesis: Alcometasone dipropionate (0.05%); Amcinonide (0.1%); Betamethasone dipropionate (0.05%); Betamethasone valerate (0.01%); Clobetasol propionate (0.05%); Clocortolone pivalate (0.1%); Desometasone (0.05%); Desonide (0.05%); Diflorasone diacetate (0.05%); Diflorasone diacetate (0.25%); Flocinonide (0.05%); Fluocinolone acetonide (0.025%); Fluoranenolide (0.05%); Fluticasone (0.05%); Fluticasone propionate (0.005%); Halbetasol propionate (0.05%); Halcinonide (0.1%); Hydrocortisone (0.5%); Hydrocortisone valerate (0.1%); Hydrocortisone butyrate (0.1%); Hydrocortisone valerate (0.2%); Mometasone furoate (0.1%); Mometasone furoate (0.1%); Prednicarbate (0.025%); Triamcinolone acetonide (0.5%).

[0065] Another aspect of the present invention is directed to the topical delivery of active ingredients useful in treating erythema multiforme. These include the steroidal and non-steroidal anti-inflammatory agents listed above.

[0066] A still further aspect of the present invention is directed to the topical delivery of active ingredients useful in treating rosacea. Non-limiting examples of such ingredients include the following: Azelaic acid; Benzoyl peroxide; Clindamycin; Doxycycline or Minocycline; Erythromycin; Isotretinoin; Metronidazole; Permethrin; Sodium sulfacetamide; Sulfur; Tacrolimus; Tetracycline; Tretinoin.

[0067] Benign photodamage manifested as hyperpigmentation may be treated through topical application of hydroquinone, kojic acid, glycolic acid and other alpha-hydroxy acids, ascorbic acid, magnesium ascorbyl phosphate, ascorbyl glucosamine and artocarpin. These "skin-lightening" active ingredients may be incorporated into and delivered from the compositions of the present invention.

[0068] Recently, in light of concerns raised about the safety of hydroquinone, there has emerged a need for alternative, preferably, botanically-derived skin lightening agents. Accordingly, another aspect of the present invention is directed to delivering botanically-derived ingredient, including particularly flavanoids derived from botanical sources, to the skin in compositions of the present invention.

[0069] Compositions of the present invention may be used to deliver ingredients useful in the treatment of acne including, but not limited to, the following: Adapalene; Alpha-hydroxy acids; Azelaic acid; Benzoyl peroxide; Cimetidine; Clindamycin; Erythromycin; Resorcinol; Salicylic Acid; Tazarotene; Tretinoin. Further examples of suitable anti-acne actives are described in U.S. Pat. No. 5,607,980.

[0070] Antitpruritic agents known to those of skill in the art, including those listed below, may be delivered to the skin in topically-applied products comprising the compositions of the present invention: Doxepin; Pramoxine.

[0071] Compositions of the present invention may be used to deliver ingredients useful in the treatment of alopecia areata and androgenic alopecia, or otherwise helping to reduce hair loss, stop hair loss or stimulate hair growth. These include, but are not limited to, the following: 5-alpha-reduc-

tase inhibitors and other antiandrogenic compounds such as Flutamide, Cyproterone and Spironolactone; Cimetidine; Finasteride; and Minoxidil.

[0072] A still further aspect of the present invention is directed to delivery to the skin of active ingredients that remove hair including, but not limited to, thioglycolates and eflornithine HCl.

[0073] Yet another aspect of the present invention is directed to the topical delivery of active ingredients useful in treating warts including, but not limited to the following: Dinitrochlorobenzene; Diphenylcyclopropenone; 5-Fluoracil; Glutaraldehyde; Imiquimod Mono-, di-, tri-chloroacetic acid; Podophyllin; Polofilox; Salicylic Acid.

[0074] The present application is also directed to the topical delivery of active ingredients that promoting wound healing.

[0075] Compositions of the present invention may also be used to deliver topical analgesic agents including, but not limited to, corticosteroids, lidocaine, benzocaine, prilocaine, dibucaine tetracaine, butamben, pramoxine, benzyl alcohol, menthol, wintergreen oil, eucalyptus oil, capsaicin, trolamine salicylate, and mixtures thereof.

[0076] Another aspect of the present invention is directed to topical antifungal and antimicrobial agents known to those of skill in the art, including those listed below, which may be delivered to the skin in topically-applied products comprising the compositions of the present invention. Non-limiting examples of antimicrobial and antifungal agents suitable for use in the present invention include: β-lactam agents, quinolone agents, ciprofloxacin, norfloxacin, tetracycline, erythromycin, amikacin, 2,4,4'-trichloro-2'-hydroxy diphenyl ether, 3,4,4'-trichlorobanilide, phenoxyethanol, phenoxy propanol, phenoxyisopropanol, doxycycline, capreomycin, chlorhexidine, chlortetracycline, oxytetracycline, clindamycin, ethambutol, hexamidine isethionate, metronidazole, pentamidine, gentamicin, kanamycin, lincomycin, methacycline, methenamine, minocycline, neomycin, netilmicin, paromomycin, streptomycin, tobramycin, miconazole, tetracycline hydrochloride, erythromycin, zinc erythromycin, erythromycin estolate, erythromycin stearate, amikacin sulfate, doxycycline hydrochloride, capreomycin sulfate, chlorhexidine gluconate, chlorhexidine hydrochloride, chlortetracycline hydrochloride, oxytetracycline hydrochloride, clindamycin hydrochloride, ethambutol hydrochloride, metronidazole hydrochloride, pentamidine hydrochloride, gentamicin sulfate, kanamycin sulfate, lineomycin hydrochloride, methacycline hydrochloride, methenamine hippurate, methenamine mandelate, minocycline hydrochloride, neomycin sulfate, netilmicin sulfate, paromomycin sulfate, streptomycin sulfate, tobramycin sulfate, miconazole hydrochloride, ketaconazole, amanfadine hydrochloride, amanfadine sulfate, octopirox, parachlorometa xylenol, nystatin, tolnaftate, zinc pyrithione and clotrimazole.

[0077] Compositions of the present invention may also be used to deliver agents that reduce cellulite including xanthine compounds such as caffeine, theophylline, theobromine, and aminophylline.

[0078] The International Cosmetic Ingredient Dictionary and Handbook published by the Cosmetics Fragrance and Toiletries Association describes a wide variety of non-limiting ingredients used in topically-applied products, both cosmetic and dermatologic, which may be delivered from the compositions of the present invention. These include antioxidants, skin soothing and/or healing agents, vitamins and derivatives thereof, and short-chain peptides, non-limiting examples of which are enumerated below. Further examples of cosmetic and/or pharmaceutical ingredients which are suitable for use in the delivery system of the present invention are disclosed in U.S. Pat. Nos. 6,492,326 and 6,277,892 and U.S. Patent Application Publication No. 2005/0142095. Additional active ingredients that may be delivered through the compositions of the present invention are described in Kerdel, et al., Dermatologic Therapeutics (2005), and in Hardman et al., Goodman & Gilman's: The Pharmacological Basis of Therapeutics (10 Edition, 2001).

[0079] Non-limiting examples of antioxidants/radical scavengers which may be topically delivered in the present invention include: ascorbic acid (vitamin C) and its salts; ascorbyl esters of fatty acids, ascorbic acid derivatives (e.g., magnesium ascorbyl phosphate, sodium ascorbyl phosphate, ascorbyl sorbate); tocopherol (vitamin E), tocopherol sorbate, tocopherol acetate, other esters of tocopherol; butylated hydroxybenzoic acids and their salts; 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid; gallic acid and its alkyl esters, especially propyl gallate; uric acid and its salts and alkyl esters; sorbic acid and its salts; lipoic acid; amines (e.g., N,N-diethylhydroxylamine, amino-guanidine); sulfhydryl compounds (e.g., glutathione); coenzyme Q10 and its analogues, including without limitation, idebenone; dihydroxyfumaric acid and its salts; lycine pidolate; arginine pilolate; nordihydroguaiaretic acid; bioflavonoids; curcumin, lysine; 1-methionine; proline; superoxide dismutase; silymarin; tea extracts; grape skin/seed extracts; melanin; and rosemary extracts.

[0080] Non-limiting examples of skin soothing and/or healing agents suitable for use in the present invention include: panthenol and derivatives, aloe vera and its derivatives, pantothenic acid and its derivatives, allantoin, bisabolol, and dipotassium glycyrrhizinate.

[0081] Improved Efficiency Sunscreen Compositions

[0082] Sunscreen active ingredients provide protection to the skin by blocking, scattering, absorbing or otherwise attenuating ultraviolet radiation UVR within the wavelength range of from 290 nm to 400 nm. One method for improving the efficacy of sunscreen actives in providing protection from UVR is to keep the actives on the skin for longer periods of time. This need is met by the compositions of the present invention.

[0083] Without wishing to be bound by a theory, it is believed that polar groups on sunscreen actives have a lower free energy within the compositions of the present invention than on the surface of the skin. Put differently, sunscreen actives have a greater affinity for the polar esters of the present invention and find it energetically more favorable to remain within the claimed compositions. Thus, it is believed that by incorporating sunscreen actives into the compositions of the present invention, formulators can achieve a higher degree of UVR protection per unit weight of sunscreen active.

[0084] The medical and scientific literature contains reports that certain organic sunscreens and/or their breakdown products penetrate the skin and that these chemicals may have adverse health effects. Another object of the present invention is therefore to provide a desired SPF with a lesser amount of organic sunscreens and, in so doing, reducing the risk that the user may later develop an adverse health effect associated with systemic absorption of sunscreen actives or their breakdown product. Relatedly, it is believed that the

degree of penetration of sunscreen actives will be lessened by being incorporated into compositions of the present invention.

[0085] Accordingly, a second aspect of the present invention is directed to reduced surfactant emulsion systems and anhydrous systems as described above further comprising an organic sunscreen having at least one polar (i.e., charged) group.

[0086] Organic sunscreens having at least one polar group include those which are currently approved by the US Food and Drug Administration in the Sunscreen Drug Products for Over-The-Counter Human Use Final Monograph as published in the Federal Register on May 21, 1999 at Volume 64, Number 98, pages 27666-27693. Organic sunscreens currently approved by the FDA are as follows: p-Aminobenzoic acid (PABA) up to 15%; Avobenzone up to 3%; Cinoxate up to 3%; Dioxybenzone up to 3%; Homosalate up to 15%; Menthyl anthranilate up to 5%; Octocrylene up to 10%; Octyl methoxycinnamate (Octinoxate) up to 7.5%; Octyl salicylate up to 5%; Oxybenzone up to 6%; Padimate O up to 8%; Phenylbenzimidazole sulfonic acid (Ensulizole) up to 4%; Sulisobenzone up to 10%; Trolamine salicylate up to 12%.

[0087] Other suitable sunscreen active ingredients are approved in countries outside the US are also considered to be suitable for use with compositions of the present invention. Non-limiting examples of such organic sunscreen actives include the following: 4-Methylbenzylidene camphor (USAN Enzacamene); Methylene Bis-Benzotriazolyl Tetramethylbutylphenol (USAN Bisoctrizole) marketed under the tradename Tinosorb® M; Bis-Ethylhexyloxyphenol Methoxyphenyl Triazine (USAN Bemotrizinol) marketed under the tradename marketed under the tradename Tinosorb® S; Terephthalylidene Dicamphor Sulfonic Acid (USAN Ecamsule) marketed under the tradename Mexoryl® SX; Drometrizole Trisiloxane marketed under the tradename Mexoryl® XL; Disodium Phenyl Dibenzimidazole Tetrasulfonate marketed under the tradename Neo Heliopan® AP; Diethylamino Hydroxybenzoyl Hexyl Benzoate marketed under the tradename Uvinul® A Plus; Octyl Triazone marketed under the tradename Uvinul® T 150; Diethylhexyl Butamido Triazone marketed under the tradename Uvasorb® HEB; Polysilicone-15 marketed under the tradename Parsol® SLX.

[0088] Self-Tanner

[0089] Another aspect of the present invention is related to the delivery of self-tanning actives to the skin. Surprisingly, and unexpectedly, it has been found that when dihydroxyacetone ("DHA") is incorporated into compositions of the present invention, the characteristic burnt caramel smell often associated with DHA is reduced.

[0090] A related and still more surprising and unexpected finding is that the high relative degree of affinity of DHA for the compositions of the present invention allows for controlled release of DHA over time. More particularly, it has been found that the rate of release of DHA can be controlled by selecting different glyceryl esters of fatty acids or triglycerides for inclusion in finished formulations (e.g., creams, lotions, serums anhydrous gels). Non-limiting examples of glyceryl esters of fatty acids or triglycerides that may be included in finished formulations comprising compositions of the present invention include castor oil, lanolin oil, coconut oil, corn oil, cottonseed oil, sesame oil, olive oil, palm oil, peanut oil, rapeseed oil, soybean oil, safflower oil, sunflower seed oil and walnut oil, and derivatives thereof.

[0091] Odor Reduction

[0092] A still further aspect of the present invention is the ability to reduce, sequester or otherwise mitigate unpleasant odor characteristics known by those of skill in the art to be associated with certain cosmetic or dermatologic ingredients.

[0093] As is well-known to those of skill in the art, volatile organic or inorganic compounds which contain at least one of the following elements produce a noxious or otherwise unpleasant smell or odor: nitrogen, phosphorus, oxygen, sulfur, fluorine, chlorine, bromine, or iodine. It is also well-known that certain unsaturated or aromatic hydrocarbons or a saturated or unsaturated aldehydes or ketones produce an unpleasant odor. It is particularly well-known that the following chemicals produce an unpleasant odor: ammonia; hydrogen sulfide; acetic acid, propionic acid, butyric acid, isobutyric acid, valeric acid, isovaleric acid, caproic acid, heptanoic acid, lauric acid, pelargonic acid; cyclic or acyclic hydrocarbons which contain nitrogen or sulfur; saturated or unsaturated aldehydes (e.g., hexanal, heptanal, octanal, nonanal, decanal, octenal, or nonenal); and volatile aldehydes (butyraldehyde, propionaldehyde, acetaldehyde, and formaldehyde).

[0094] Combining the above-listed ingredients with the esters of Formulas 1, 2 or 3, surprisingly and unexpectedly reduces, sequesters or otherwise mitigates the unpleasant odor characteristics of these substances.

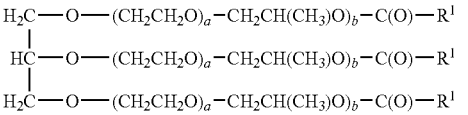
[0095] While the illustrative embodiments of the invention have been described with particularity, it will be understood that various other modifications will be apparent to and can be readily made by those skilled in the art without departing from the spirit and scope of the invention. Accordingly, it is not intended that the scope of the claims appended hereto be limited to the examples and descriptions set forth hereinabove but rather that the claims be construed as encompassing all the features of patentable novelty which reside in the present invention, including all features which would be treated as equivalents thereof by those skilled in the art to which the invention pertains.

We claim:

1. A delivery system which comprises:

(a) an oil phase comprising at least one polar ester conforming to one of the following three structures:

(i)



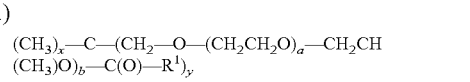
wherein

a is an integer ranging from 0 to 4;

b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 4;

R^1 is alkyl having 7 to 21 carbon atoms;

(ii)



wherein a is an integer ranging from 0 to 4;

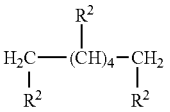
b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

R^1 is alkyl having 7 to 21 carbon atoms;

y is 4 or 3;

x equals 4−y;

(iii)



wherein

R^2 is $—(CH_2CH_2O)_a—CH_2CH(CH_3)O)_b—C(O)—R^1$

a is an integer ranging from 0 to 4;

b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

R^1 is alkyl having 7 to 21 carbon atoms;

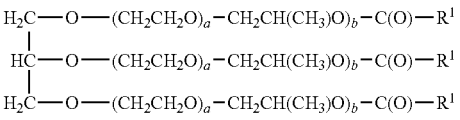
(v) an aqueous phase;

(vi) at least one surfactant at a concentration of less than about 2%;

(vii) at least one active cosmetic or dermatologic active ingredient at a concentration sufficient to have the desired therapeutic effect.

(a) an oil phase comprising at least one polar ester conforming to one of the following three structures:

(i)



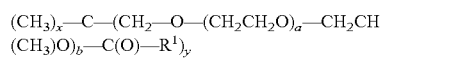
wherein

a is an integer ranging from 0 to 4;

b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 4;

R^1 is alkyl having 7 to 21 carbon atoms;

(ii)



wherein a is an integer ranging from 0 to 4;

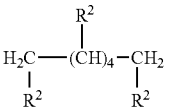
b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

R^1 is alkyl having 7 to 21 carbon atoms;

y is 4 or 3;

x equals 4−y;

(iii)



wherein

R^2 is $—(CH_2CH_2O)_a—CH_2CH(CH_3)O)_b—C(O)—R_1$

a is an integer ranging from 0 to 4;

b is an integer ranging from 0 to 4, with the proviso that a+b ranges from 1 to 5;

R^1 is alkyl having 7 to 21 carbon atoms;

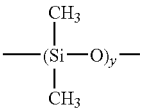
and at least one hydrophobic or lipophilic ingredient selected from the group consisting of:

(i) a volatile linear silicone oil conforming to the following structure:

$(CH_3)_3—Si—O—[Si(CH_3—O]_x—Si(CH_3)_3$

wherein x is an integer from 0 to 7, preferably from 0 to 5;

(iii) a cyclic volatile silicone oil conforming to the following structure:



wherein y is an integer from 3 to 6.

(x) a volatile straight or branched chain paraffinic hydrocarbon oil having 5 to 40 carbon atoms;

(xi) a non-volatile silicone oil, preferably have a viscosity ranging of from about 20 to 100,000 centistokes at 25° C.;

(xii) nonvolatile hydrocarbon oils including, but not limited to, isoparaffins and olefins having greater than 20 carbon atoms;

(xiii) a cosmetically-acceptable ester as described below;

(xiv) glyceryl esters of fatty acids or triglycerides, derived from animal or vegetable sources, non-limiting examples of which include castor oil, lanolin oil, Cl_{10-18} triglycerides, caprylic/capric triglycerides, coconut oil, corn oil, cottonseed oil, sesame oil, olive oil, palm oil, peanut oil, rapeseed oil, soybean oil, safflower oil, sunflower seed oil and walnut oil, and derivatives thereof;

(xv) fluorinated oils including, but not limited to, fluorinated silicones, fluorinated esters and perfluoropolyethers.

(xvi) guerbet esters formed by the reaction of a carboxylic acid with a guerbet alcohol.

and

(c) at least one active cosmetic or dermatologic active ingredient at a concentration sufficient to have the desired therapeutic effect.

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