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(54) Title: OIL-BASED COSMETICS CONTAINING WATER-SOLUBLE ACTIVES

(57) Abstract: The invention relates to a method for rendering water soluble actives compatible with an oil vehicle, the method comprising dissolving the water soluble active in a polyhydric alcohol to form a solution, and mixing the solution with a polyglycerol fatty acid ester to form an oil-compatible complex. The invention also relates to the complex formed thereby, as well as anhydrous compositions containing the complex.

OIL-BASED COSMETICS CONTAINING WATER-SOLUBLE ACTIVES

Field of the Invention

The invention relates to cosmetic compositions. More specifically, the invention relates to cosmetic compositions containing water-soluble active ingredients.

Background of the Invention

It is not uncommon, in this age of value-added cosmetics, that most formulations will contain one or more active ingredients. In addition to the benefit obtained from the standard cosmetic components, such as emollients and moisturizers, the actives generally provide an added measure of utility in their biological activity, for example, antioxidant or free-radical scavenging activity, keratolytic activity, or antiirritant or antiinflammatory activity. Active components come in many forms, however, and a compound having a desired activity may not be compatible with the vehicle of choice.

A particular problem can arise in connection with lip products. Lipsticks, lip glosses and the like are, to a large extent, almost exclusively based on anhydrous formulas. The combination of waxes, oils and pigments used in these products results in a product that is easy to apply, gives good color coverage, and feels moist on the lips both during and after application. However, these constituents are normally highly hydrophobic. Thus, the formula cannot ordinarily readily accommodate elements that are not oil soluble. Water soluble components, such as water-soluble actives, as well as other water soluble components such as flavorings, are incompatible with this type of system, and thus, the typical lip formulation is very limited in the variety of components that can be added.

The ability to incorporate water soluble ingredients into anhydrous formulas would provide a great advantage.

The present invention now makes this possible, by providing a means for rendering water-soluble components compatible with an oil-based vehicle.

Summary of the Invention

The invention relates to anhydrous cosmetic and pharmaceutical compositions comprising an oil phase, the composition containing a least one water-soluble component rendered compatible with the oil phase. The water soluble component is rendered compatible with the oil phase by being complexed with a polyhydric alcohol and a polyglycerol fatty acid ester. The invention also relates to a method for making an anhydrous cosmetic composition containing a water soluble active comprising dissolving the water soluble active in a polyhydric alcohol to form a solution, mixing the solution with a polyglycerol fatty acid ester to form an oil-compatible complex, and adding the complex to an anhydrous cosmetically acceptable vehicle. The complexes so formed are useful in a variety of different types of oil-based cosmetics.

Detailed Description of the Invention

The present invention is based on the observation that it is possible to render a water soluble active component compatible with an oil base by first dissolving the active in a polyhydric alcohol, and then complexing the solution with a polyglycerol fatty acid ester. The active component in the complex then behaves substantially as an oil soluble component, with virtually no incompatibility problems in an anhydrous base. The first step to accomplishing this oil compatible complex is the dissolution of the water-soluble active in the polyhydric alcohol. The alcohol chosen can be any polyhydric alcohol that is capable of solubilizing a water soluble active. The preferred polyhydric alcohol is a C2-C6 alcohol, containing from 2-6 hydroxyl groups. Examples

of such alcohols include, but are not limited to, propylene glycol, dipropylene glycol, butylene glycol, or glycerol. Particularly preferred for use in the invention is glycerol. The amounts used of each of the polyhydric alcohol and active are not absolute, but will be determined routinely on a case-by-case basis depending upon the active chosen and the solubility of the active in the chosen polyhydric alcohol. The overall goal is to get as much active dissolved into the alcohol as possible, so as to permit flexibility in incorporation of adequate amounts of the active in the final solution. It is preferred to have at least about 50% active in the alcohol solution, and more preferred to have at least about 70% and most preferred to have about 90% active in the alcohol solution. Dissolution of the active material in the alcohol ordinarily will be conducted at a temperature of about 50°-100°C, taking into account the temperature sensitivity of the active, with stirring until uniform.

Once the polyhydric alcohol/active solution has been formed, the solution is then combined with the polyglycerol fatty acid ester. The preferred polyglycerol is a hexaglycerol, an octaglycerol or a decaglycerol. The fatty acid ester is prepared by reaction of the polymeric glycerol with either a saturated or unsaturated fatty acid, resulting in the substitution of the fatty acid moiety on one or more, preferably two or more, more preferably four or more, of the hydroxyl sites on the glycerol molecule. Examples of useful fatty acids are C12-C22 fatty acids, e.g., oleic acid, linoleic acid, stearic acid, palmitic acid, myristic acid or lauric acid. Particularly preferred is an ester formed between a polyglycerol and an unsaturated fatty acid, particularly oleic acid. Many polyglycerol fatty acid esters are commercially available; just two examples are Caprol 10G40 from Abitec(Ohio), and Net-DGPO from Barnet(Ohio).

The complex is formed by adding the active/polyhydric alcohol solution to the polyglycerol fatty acid ester at the

same temperature as was used to prepare the solution, under continuous agitation until the mixture is clear. The relative amounts of active-containing solution and ester are not critical, and can range from about 10:90 to 50:50 solution:ester. Once the clear mixture has been obtained, the complex is oil-compatible, and can be incorporated into an appropriate anhydrous base.

The application of this technique is not limited to any particular type of active, i.e., it is useful with any number of different types of water-soluble active ingredients. Examples of such water-soluble materials include alpha and beta hydroxy acids, and water-soluble derivatives thereof, ascorbic acid (Vitamin C) and its water-soluble derivatives, shikonin(a moisturizer), caffeine, glucuronic acid, whitening agents such as kojic acid and phenyldithiazolyl-thiourea, water-soluble collagen, whey protein, water-soluble plant extracts, such as algal extracts, aloe, and green tea. The foregoing examples are compounds that have a particular biological activity; however, the benefit of the technique can also be expanded to encompass other water soluble components that, strictly speaking, are not actives, but do confer a desirable property to the final product which is at risk of being lost if not presented in an appropriate vehicle. Examples of such materials include water soluble flavorings, such as peach, pineapple, citrus, or butterscotch flavored powders, or sweeteners, such as sodium saccharin. Thus, for the sake of convenience, the term "actives" in the present specification and claims will also be read to encompass these water soluble flavoring and sweetening components.

The complex of the invention can of course be applied to any anhydrous makeup, for example, a foundation, concealer, blush, or eyeshadow, as well as to an anhydrous skin care product, such as an SPF/sunscreen product, in liquid, powder, petrolatum-type gel, or solid/stick form. A particularly

preferred use of the complex of the invention, however, is in a lip product, such as a lipstick, lip balm or gloss; as noted above, products of this type comprise extremely hydrophobic materials, and therefore, it is very difficult to incorporate into them any hydrophilic materials. With the complex of the present invention, however, incorporation of water soluble actives is a relatively simple matter. In one embodiment, the lipstick or gloss may be a typical wax-based formulation. By "wax-based" in the present context is intended a product comprising at least about 5% by weight or more of wax, more preferably at least about 10%, most preferably about 10% to about 30% by weight of the total wax-based product. In the context of the present invention, the term "wax" will be understood to encompass any organic component that is solid at room temperature, which component can be used to solidify the liquid components of the product when all are heated together, then cooled to room temperature. This definition includes waxes in the traditional sense, i.e., those plant, animal or mineral waxes containing primarily esters of higher fatty acids and alcohols, free higher acids and alcohols, and saturated hydrocarbons; examples of such traditional waxes include, but are not limited to, carnauba wax, candelilla wax, beeswax, synthetic wax, shellac wax, spermaceti, lanolin wax, ozokerite, bran wax, ceresin wax, bayberry wax, paraffin, rice wax and jojoba wax. However, it also includes other non-traditional wax-like materials, including, but not limited to, various fatty alcohols, fatty acids, fatty esters, polyethylenes, polyethylene glycols, and sterols as well as synthetic resinous products having a wax-like, i.e., hard, brittle, relatively non-greasy, texture, such as silicone waxes.

In another embodiment, the complex is used in the preparation of oil-based, gelled, substantially clear solid or semi-solid products, particularly lip products, with or

without waxes. The clear appearance of such products is highly desirable, but the addition to the gels of other materials risks the development of cloudiness in the otherwise clear product. Retention of clarity can be difficult even with the addition of materials that are compatible with the base, and normally, clarity is retained by the matching of refractive indices of the materials to be incorporated, and/or with the addition of clarity enhancing compounds. However, surprisingly, with the complex of the present invention no such additional steps are required: the complex containing the water-soluble ingredient is added directly to the anhydrous vehicle components, and because of the compatibility of the novel complex with the oil base, the resulting product remains clear. The complex can be used with any clear gelled oil-based system. Clear gelled systems, and methods for making same, are well known in the art, and include, but are not limited to, oil bases gelled with dextrin fatty acid esters(e.g., JP US Patent No. 5106625, JP 9235210), dibenzyl monosorbitol acetal (DBMSA; e.g., US Patent No. 5,610,199), or lanosterol and derivatives thereof(e.g., JP 281887; JP 9188604). Thus, with the complex of the present invention, it is possible to create a substantially clear, flavored lip gloss, a lip balm with water soluble actives, or a clear anhydrous stick product containing water soluble skin actives without the difficulties usually encountered in the preparation of such products.

EXAMPLES

Example I.

This example illustrates the preparation of a complex of the invention:

A complex containing the water-soluble saccharin is prepared as follows:

<u>Material</u>	<u>Weight percent</u>
Glycerin	7.00
Sodium saccharin	8.00
Polyglyceryl-10 pentaoleate	85.00

The saccharin is sprinkled into glycerin and mixed by propeller mixer at a temperature of 85°-95°C. When the mixture is uniform, at the same temperature, the polyglyceryl-10 pentaoleate is added to it, and mixed until the mixture is clear.

In similar fashion, complexes containing active ingredients are prepared:

<u>Material</u>	<u>Weight percent</u>
Glycerin	10.00
Ascorbic acid	40.00
Polyglyceryl-10 pentaoleate	50.00

The same formula, containing either alpha hydroxy lauric acid or sebacic acid is also prepared.

Example II.

This example illustrates the preparation of an oil-based gelled lip gloss containing a complex of the invention.

<u>Material</u>	<u>Weight percent</u>
<u>Phase I</u>	
Dextrin palmitate	10.00
Hydrogenated polyisobutene	25.65
Simethicone	.05
<u>Phase II</u>	
Polybutene	52.00
BHT	0.05

Octyl palmitate	6.00
<u>Phase III</u>	
Saccharin-containing complex of Example I	2.00
Colorant	0.25
<u>Phase IV</u>	
Oil-soluble flavoring	2.00
<u>Phase V</u>	
Hydrogenated polyisobutene	2.00

Phase I components are sprinkled together and mixed by propeller mixer at room temperature. When Phase I is uniform the temperature is raised to 80°-100°C until the mixture becomes clear. Phase II materials are added into Phase I, at the same temperature, until the mixture is clear.

Phase III materials are added to the mixture, and combined under the same conditions of mixing and temperature, until the colors and sweetener are evenly dispersed. Phase IV and Phase V ingredients are then added and mixed at the same temperature until clear.

What we claim is:

1. A method of making a water-soluble cosmetic or pharmaceutical active component oil-compatible which comprises dissolving the water soluble active in a polyhydric alcohol to form a solution, mixing the solution with a polyglycerol fatty acid ester to form an oil-compatible complex.
2. The method of claim 1 in which the polyhydric alcohol is propylene glycol, dipropylene glycol, butylene glycol, or glycerol, or mixtures thereof.
3. The method of claim 1 in which the polyglycerol fatty acid ester is a hexaglycerol, octaglycerol, or decaglycerol fatty acid ester.
4. The method of claim 3 in which the fatty acid is a C12-C22 fatty acid.
5. The method of claim 4 in which the fatty acid is oleic acid.
6. The method of claim 1 in which the water-soluble active component is an alpha hydroxy acid, a beta hydroxy acid, a vitamin, a sweetener, a plant extract, a whitening agent, a moisturizer, or a flavoring.
7. The method of claim 1 in which the polyhydric alcohol is glycerol, the polyglycerol is a hexaglycerol, octaglycerol or decaglycerol, the fatty acid is a C12-C22 fatty acid, and the water-soluble active component is an alpha hydroxy acid, a beta hydroxy acid, a vitamin, a sweetener, a plant extract, a whitening agent, a moisturizer, or a flavoring.

8. An oil-compatible complex prepared according to the method of claim 1.
9. An oil-compatible complex prepared according to the method of claim 7.
10. An oil compatible complex comprising at least one water soluble active, a polyhydric alcohol, and a polyglycerol fatty acid ester.
11. The complex of claim 10 in which the polyhydric alcohol is propylene glycol, dipropylene glycol, butylene glycol, or glycerol, or mixtures thereof.
12. The complex of claim 10 in which the polyglycerol is a hexaglycerol, octaglycerol or decaglycerol, and the fatty acid is a C12-C22 fatty acid.
13. The complex of claim 12 in which the fatty acid is oleic acid.
14. The complex of claim 10 in which the polyglycerol is polyglycerol-10 pentaoleate.
15. The complex of claim 10 comprising glycerol, a water-soluble active, and a polyglycerol fatty acid ester.
16. The complex of claim 10 in which the water-soluble active is alpha hydroxy acid, a beta hydroxy acid, a vitamin, a sweetener, a plant extract, a whitening agent, a moisturizer, or a flavoring.
17. An anhydrous cosmetic composition comprising the complex of claim 8.

18. The composition of claim 17 which is an oil-based clear gel.
19. An anhydrous cosmetic composition comprising the complex of claim 9.
20. The composition of claim 18 which is an oil-based clear gel.
21. An anhydrous cosmetic composition comprising the complex of claim 15.
22. The composition of claim 21 which is an oil-based clear gel.
23. An anhydrous cosmetic composition comprising the complex of claim 16.
24. The composition of claim 17 which is an oil-based clear gel.