Description

This invention relates to topical compositions containing esters or ethers of Vitamin A (retinol) which are useful in the care of skin.

British Patent Specification No. 1,489,133 describes and claims a liquid, semi-liquid or gel composition comprising a topically acceptable oil-in-water or water-in-oil emulsion base and retinol acetate in an amount from 1,000 to 15,000 I Ug^{-1}. Such compositions are of value in promoting epidermal mitosis and thus lead to a desirable thickening or plumping effect on the skin.

Published British Patent Application No. 2,026,319 describes and claims a similar composition to that of Specification No. 1,489,133, wherein the formulation of the emulsion base leads to high activity in skin thickening.

Although the compositions disclosed in the above two patent specifications give an enhanced skin thickening effect, the retinol acetate tends to decompose over a period of time, hence reducing the shelf life of the product. The decomposition is due largely to hydrolysis of the acetate by water in the emulsion base, followed by rapid oxidation of the free retinol. Furthermore, since retinol acetate is water insoluble it is normally mixed with a non-ionic surfactant, thereby forming a water soluble form, prior to incorporation into the emulsion base.

In has now been found that acceptable levels of skin thickening coupled with good stability can be obtained if a C_{1-10}-alkyl ether or a C_{3-10}-alkyl ester of retinol is used in place of retinol acetate. It has also been found that the C_{1-10} ether or C_{3-10} ester of retinol may be incorporated into an emulsion base either as a water soluble or water insoluble form.

Accordingly, the present invention provides a skin-care composition, comprising a topically acceptable oil-in-water or water-in-oil emulsion base and from 1,000 to 15,000 I Ug^{-1} of a C_{3-10} alkyl ester or a C_{1-10} alkyl ether of retinol.

Preferably, the composition of the invention comprises the all *trans*-isomer of a C_{1-10} alkyl ether or a C_{3-10} alkyl ester of retinol.

Preferably, the composition comprises a C_{3-7} straight chain, branched chain, or cyclo- alkyl ester of retinol; for example retinol propionate, butyrate, cyclopentanecarboxylate, pivalate, valerate, hexanoate, and heptanoate.

Preferred ethers of retinol for use in the composition of the invention are C_{1-7} alkyl ethers, suitably the methyl ether of retinol is used.

Preferably, the composition comprises from 1,000 to 10,000 I Ug^{-1}, or more suitably from 2,000 to 5,000 I Ug^{-1} of a C_{1-10} alkyl ether or a C_{3-10} alkyl ester of retinol.

The preferred emulsion base is an oil-in-water emulsion base containing from 20 to 40% by weight of oil.

An emulsifier is preferably used in the composition of the invention, and this may be an anionic, cationic or non-ionic type. In order of their ability to produce a skin thickening effect, anionic emulsifiers are less effective than non-ionic emulsifiers which are in turn less effective than cationic emulsifiers. However, the latter can cause skin irritation when used, and non-ionic emulsifiers are, therefore, preferred.

It has been found that the proportion of non-ionic emulsifier in the compositions of the invention is not critical, but at least 1% by weight is preferred to give a stable emulsion. Suitably an amount in the range 2% to 12%, more suitably 4% to 8% is preferred.

Examples of oils suitable for inclusion in the present compositions include: volatile linear iso-paraffins, acyclic dimethylpoly siloxanes, cyclic dimethylpoly siloxanes, mineral oils, vegetable oils, synthetic fatty acid esters, fatty alcohols, lanolin and its derivatives.

Examples of non-ionic emulsifiers suitable for inclusion in the present compositions include:

sorbitan monostearate, glyceryl monostearate, polysorbates, polyethylene derivatives of fatty alcohols.

The compositions of this invention should desirably include an anti-oxidant effective in preventing oxidation of the retinol component and consequent reduction in the activity of the composition. Some anti-oxidants are effective in this respect but themselves oxidise to give a noticeable yellowing of the cream.

Two anti-oxidants which are particularly suitable for incorporation are butylated hydroxytoluene (BHT), (2,6-di-tert-butyl-p-cresol) and butylated hydroxyanisol (BHA), (2-tert-butyl-4-hydroxyanisole or 3-tert-butyl-4-hydroxyanisole) or a mixture of these. Accordingly in another of its aspects, the invention includes a composition in accordance with the invention including BHT and/or BHA as anti-oxidant.

The retinol component of the compositions may be dispersed in the emulsion in the form of a 'solubilised' mixture. Such mixtures are generally solutions of the retinol component in hydrophilic organic solvents such as glycerine and/or propylene glycol, together with a surfactant such as Tween® 80.

The skin-care compositions according to the invention, which comprise a topically acceptable oil-in-water or water-in-oil emulsion base and from 1,000 to 15,000 I Ug^{-1} of a C_{3-10} alkyl ester or a C_{1-10} alkyl ether of retinol, may be prepared by mixing the emulsion base with the retinol component.

Preferably, the retinol component is added to the emulsion base with stirring at a temperature of less than 50°C, suitably 35°C.

The C_{1-10} alkyl ethers and C_{3-10} alkyl esters of retinol are known compounds or can be prepared by procedures analogous to known preparative procedures.

The following are examples of compositions in accordance with the invention.

Example 1

Formulation	% w/w
Sorbitan stearate	2.00
Polysorbate®60	2.00
Cetyl alcohol	2.00
Cetyl alcohol/PEG 40 Caster oil	2.50
Mineral oil	25.00
Lanolin	2.50
Decyl oleate	2.00
Preservatives	0.37
Anti-oxidant (BHT)	0.20
Perfume	0.45
Water soluble retinol propionate	3,000—7,000 IU/g
Deionised water to	100.00

Sorbitan stearate, polysorbate®60, cetyl alcohol, PEG 40 castor oil, mineral oil, lanolin, decyl oleate, anti-oxidant, and preservatives were blended together and heated to about 78°C. The mixture was added to water at 78°C with stirring. The mixture was homogenised then allowed to cool to 35°C.

Retinol propionate was added to the mixture with stirring. The mixture was again homogenised, then cooled to 25°C. Perfume was added with stirring.

The following Examples were prepared using a procedure analogous to that used in Example 1.

Example 2

	% w/w
Glycerol stearate/PEG 100 stearate	4.50
Cetostearyl alcohol	4.50
Cetearyl octanoate	3.00
Stearyl heptanoate	2.00
Fatty acid ester of propylene glycol	4.00
Mineral oil/lanolin alcohol	3.00
Octyl palmitate	5.00
Mineral oil	5.00
Antioxidant (BHT)	0.20
Propylene glycol	3.00
Preservatives	0.62
Perfume	0.20
Water soluble retinol cyclopentanoate	3,000—7,000 IU/g
Deionised water to	100.00

Example 3

	% w/w
Ceteareth®12	2.00
Cetyl alcohol	8.00
Squalane	10.00
Antioxidant (BHT)	0.20
Propylene glycol	2.00
Preservatives	0.37
Perfume	0.30
Oil soluble retinol methyl ether	3,000—7,000 IU/g
Deionised water to	100.00

Example 4

	% w/w
Sorbitan stearate	1.50
Polysorbate® 60	2.00
Glycerol stearate	4.00
Cetyl alcohol	2.00
Octyl palmitate	3.00
Mineral oil	8.00
Decyl oleate	3.00
Anti-oxidant (BHT)	0.10
Polyethylene microspheres	3.00
Glycerine	5.00
Allantoin	0.10
Propylene glycol	3.00
Preservatives	0.35
Oil soluble retinol heptanoate	3,000—7,000 IU/g
Perfume	0.20
Deionised water to	100.00

Claims

1. A skin-care composition, characterised in that it comprises a topically acceptable oil-in-water or water-in-oil emulsion base and from 1,000 to 15,000 I Ug^{-1} of a C_{3-10} alkyl ester or a C_{1-10} alkyl ether of retinol.

2. A composition as claimed in claim 1, wherein the retinol component is the all *trans*-isomer.

3. A composition as claimed in claim 1 or 2, wherein the retinol component is a straight chain, branched chain, or cyclic C_{3-7} alkyl ester of retinol.

Example 3

	% w/w
Ceteareth®12	2.00
Cetyl alcohol	8.00
Squalane	10.00
Antioxidant (BHT)	0.20
Propylene glycol	2.00
Preservatives	0.37
Perfume	0.30
Oil soluble retinol methyl ether	3,000—7,000 IU/g
Deionised water to	100.00

Example 4

	% w/w
Sorbitan stearate	1.50
Polysorbate® 60	2.00
Glycerol stearate	4.00
Cetyl alcohol	2.00
Octyl palmitate	3.00
Mineral oil	8.00
Decyl oleate	3.00
Anti-oxidant (BHT)	0.10
Polyethylene microspheres	3.00
Glycerine	5.00
Allantoin	0.10
Propylene glycol	3.00
Preservatives	0.35
Oil soluble retinol heptanoate	3,000—7,000 IU/g
Perfume	0.20
Deionised water to	100.00

Claims

1. A skin-care composition, characterised in that it comprises a topically acceptable oil-in-water or water-in-oil emulsion base and from 1,000 to 15,000 I Ug^{-1} of a C_{3-10} alkyl ester or a C_{1-10} alkyl ether of retinol.

2. A composition as claimed in claim 1, wherein the retinol component is the all *trans*-isomer.

3. A composition as claimed in claim 1 or 2, wherein the retinol component is a straight chain, branched chain, or cyclic C_{3-7} alkyl ester of retinol.

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4. A composition as claimed in claim 1 or 2, wherein the retinol component is a C_{1-7} alkyl ether of retinol.

5. A composition as claimed in any one of claims 1 to 4, wherein the amount of the retinol component is from 1,000 to 10,000 I Ug^{-1}.

6. A composition as claimed in claim 5, wherein the amount of the retinol component is from 2,000 to 5,000 I Ug^{-1}.

7. A composition as claimed in any one of claims 1 to 6, wherein the emulsion base comprises from 20 to 40% by weight of oil.

8. A composition as claimed in any one of claims 1 to 7, including an emulsifying agent.

9. A composition as claimed in claim 8, wherein at least 1% by weight of the composition of a non-ionic emulsifying agent is present.

10. A composition as claimed in any one of claims 1 to 9, including an anti-oxidant.

Patentansprüche

1. Eine Hautpflege-Zusammensetzung, dadurch gekennzeichnet, daß sie eine lokal verträgliche Öl-in-Wasser-oder Wasser-in-Öl-Emulsionsbasis und 1000 bis 15000 I Ug^{-1} eines C_{3-10}-Alkylesters oder eines C_{1-10}-Alkyläthers von Retinol enthält.

2. Eine Zusammensetzung wie in Anspruch 1 beansprucht, in welcher die Retinol-Komponente in der vollständigen Transform vorliegt.

3. Eine Zusammensetzung wie in Anspruch 1 oder 2 beansprucht, in welcher die Retinol-Komponente ein geradkettiger, verzweigtkettiger oder cyclischer C_{3-7}-Alkylester von Retinol ist.

4. Eine Zusammensetzung wie in Anspruch 1 oder 2 beansprucht, in welcher die Retinol-Komponente ein C_{1-7}-Alkyläther von Retinol ist.

5. Eine Zusammensetzung wie in einem der Ansprüche 1 bis 4 beansprucht, in welcher die Menge der Retinol-Komponente von 1000 bis 10000 I Ug^{-1} beträgt.

6. Eine Zusammensetzung wie in Anspruch 5 beansprucht, in welcher die Menge der Retinol-Komponente von 2000 bis 5000 I Ug^{-1} beträgt.

7. Eine Zusammensetzung wie in einem der Ansprüche 1 bis 6 beansprucht, in welcher die Emulsionsbasis 20 bis 40 Gewichtsprozent Öl enthält.

8. Eine Zusammensetzung wie in einem der Ansprüche 1 bis 7 beansprucht, welche ein Emulgier mittel enthält.

9. Eine Zusammensetzung wie in Anspruch 8 beansprucht, in welcher mindestens 1 Gewichtsprozent eines nicht-ionischen Emulgier mittels, bezogen auf die Zusammensetzung, vorliegt.

10. Eine Zusammensetzung wie in einem der Ansprüche 1 bis 9 beansprucht, welche ein Anti-Oxidationsmittel enthält.

Revendications

1. Composition de soins pour la peau, caractérisée en ce qu'elle comprend une base d'émulsion du type huile-dans eau ou eau-dans-huile acceptable localement et de 1 000 à 15 000 IUg^{-1} d'un ester alcoylique en C_{3-10} ou d'un éther alcoylique en C_{1-10} du rétinol.

2. Composition suivant la revendication 1, dans laquelle le composant rétinol est l'isomère tout trans.

3. Composition suivant la revendication 1 ou la revendication 2, dans laquelle le composant rétinol est un ester alcoylique en C_{3-7} à chaîne droite, ramifiée ou cyclique du rétinol.

4. Composition suivant la revendication 1 ou la revendication 2, dans laquelle le composant rétinol est un éther alcoylique en C_{1-7} du rétinol.

5. Composition suivant l'une quelconque des revendications 1 à 4, dans laquelle la quantité du composant rétinol est de 1 000 à 10 000 IUg^{-1}.

6. Composition suivant la revendication 5, dans laquelle la quantité du composant rétinol est de 2 000 à 5 000 IUg^{-1}.

7. Composition suivant l'une quelconque des revendications 1 à 6, dans laquelle la base d'émulsion comprend de 20 à 40 % en poids d'huile.

8. Composition suivant l'une quelconque des revendications 1 à 7, comprenant un agent émulsifiant.

9. Composition suivant la revendication 8, dans laquelle il y a au moins 1 % en poids d'un agent émulsifiant non-ionique.

10. Composition suivant l'une quelconque des revendications 1 à 9, comprenant un anti-oxydant.