United States Patent

[11] 3,624,221

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[21] Appl. No. **453,200**
[22] Filed **May 4, 1965**
[45] Patented **Nov. 30, 1971**

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[54] **METHOD OF DISTRIBUTING THE SEBACEOUS SECRETIONS OF THE SKIN**
6 Claims, No Drawings

[52] **U.S. Cl.** **424/365,** 424/307, 424/361
[51] **Int. Cl.** **A61k 7/00**
[50] **Field of Search** ..... 167/90, 58, 63 C, 91, 85; 424/307

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ABSTRACT: A method of distributing the sebaceous secretions of the skin which comprises applying water soluble ester-ether compounds to the skin.

METHOD OF DISTRIBUTING THE SEBACEOUS SECRETIONS OF THE SKIN

The present invention provides a skin cream for distributing the sebaceous secretions of the skin, containing a water-soluble ester of the formula R—O—(Alk—O)$_n$—R' where R represents a lower aliphatic acyl radical, above all a lower alkanoyl radical, preferably one that contains two to five carbon atoms, for example propionyl, butyryl or pivalyl, above all acetyl; R' has the same meanings as R or represents a lower aliphatic hydrocarbon radical, above all a lower alkyl radical, preferably one that contains one to four carbon atoms, for example a propyl or butyl or especially methyl or ethyl radical, or above all hydrogen; n is 1, 2, 3 or 4, and Alk represents a lower alkylene radical containing up to three carbon atoms and at least two carbon atoms in the chain between the two oxygen atoms, above all an ethylene-(1,2), or propylene-(1,2) or -(1,3) radical, in which the alkylene radicals may also be different from each other.

Especially preferred are those skin creams of the above type that contain a water-soluble ester of the formula R—O—(CH_2—CH_2—O)$_n$—R- where R represents an alkanoyl radical containing two to five carbon atoms, above all the acetyl radical; R' represents hydrogen, methyl or ethyl, and n=1, 3 or 4 or above all 2. Such water-soluble esters are, for example, the acetic acid monoesters of glycol, glycol monomethyl ether, glycol monoethyl ester, diethyleneglycol monethyl ether, triethyleneglycol monomethyl ether and the acetic acid diester of glycol. Special preference is given to the diethyleneglycol monoethyl ether acetate.

Skin fat, also called tallow or sebum, is an especially valuable substance from the standpoint or cosmetics. The following properties are remarkable:

1. It provides protection against the skin being leached out by water.
2. It protects the skin from aqueous noxae.
3. It protects the skin from alkaline noxae.
4. It impedes neither the perspiratio insensibilis or, above all, perspiration generally.
5. It imparts a pleasant, soft feel to the epidermis.
6. It is extremely well tolerated by the skin.
7. The areas where is is formed are physiologically correct, that is to say most sebum is deposited in those areas where it is most needed by the skin as a natural protective substance.

In this context the distribution of skin fat is to be interpreted so that a small proportion is formed in the skin all over, whereas the bulk is supplied by the sebaceous glands. This locally formed bulk should disperse evenly over the surrounding areas by spreading so that in the ideal case a certain equilibrium is set up between the locally produced amount and the spreading speed. However, this equilibrium can be disturbed in two ways: on one hand the surface texture of the skin may resist good spreading, and on the other the amount of sebum formed no longer flows off completely. Whereas the flowing sebum has a soft, creamy consistency, nonflowing sebum tends to harden. This phenomenon produces invariably a stowage at the upper end of the gland which, in especially aggravating cases, may result in acnelike formations. In all these cases a preparation that furthers the flow of sebum from the gland and its distribution on the skin would prove valuable.

The preparations now commercially available are only partially suitable for this purpose. Cleansers and also powders remove a varying amount of lipides and lipoids and thereby damage the protective film. Gelatinous preparations based on glycerin or higher alcohols or on carbohydrates have no solvent power for the sebum. Best results should be achieved with fatty emulsions since their ingredients are capable of dissolving sebum. They have, however, a number of serious disadvantages:

1. They deposit extraneous lipides on the skin.
2. They cannot but suffer from an unphysiological distribution since their application cannot be so regulated as is required by the state of the skin.

3. Overdosing and other undesirable effects cannot be avoided.
4. They produce a varying occlusive effect since the film of fat extends over the whole of the skin area.
5. The film of fat additionally applied to the skin requires additional investment of a washing agent for its removal, which again involves the risk of overdosing these agents, or at least produces an increased deposition of extraneous bodies on the skin.

But it is above all the use of washing agents, especially highly concentrated ones, that dermatologists warn against.

It would therefore mean deviating from the object of the invention if it were endeavored to achieve the desired effect with the aid of water-insoluble fats or oils in the pure form. While such substances are capable of dissolving skin fat, additional washing agent is needed to remove them.

The present invention is based on the unexpected observation that substances of the above formula satisfy the requirements. They are good solvents for skin fat but, since they are water-soluble they can be removed with a minumum of washing agent. In addition, they distribute the sebum over the appropriate surface area. Moreover, they cause distribution in depth which has two special advantages: The increased supply of sebum improves the resistive capacity of the epidermis, and this preparation will develop a good cosmetic effect even in cases where the production of sebum is as such excessive. Therefore, such a liquefying and solving effect does not cause the undesirable stowages of sebum in the skin. The preparations of the invention are accordingly particularly suitable for the treatment of acne-infested skin.

The preparations are applied to the skin in a liquid or semiliquid form advantageously in the form of an aqueous solution. If required, these solutions may be thickened, for example with a gelling agent such as a polyacrylic acid preparation, for example Carbopol 934 (registered trade mark) covered in U.S. Pat. No. 2,798,053, a propyleneglycol alginic acid ester, for example Pectalgin ester BV or MV (r.t.m.), Pectalgin EA/KN (r.t.m.) or ionic cellulose ethers such as Klucel HA (r.t.m.; Herkules) covered in U.S. Pat. No. 3,278,521 to facilitate the application. When polyacrylic acid preparations are used it is advantageous to add a suitable base, such as diisopropanolamine, for neutralization. While administration in the form of an emulsion is technically possible, this would require additional extraneous fats and also substantial amounts of emulsifiers so that products of this kind do not belong to the specially preferred variants of the invention.

The new preparations advantageously contain the active ester in a concentration from about 20 to 50 percent.

The preparations of the invention may also contain further assistants, for example preservatives, gelling or thickening agents, perfumes and the like, and also substances that produce a special effect on the skin, such as local anaesthetics, strong disinfectants, keratolytics, metabolics and the like.

The following examples, which are performed in the usual manner, for instance in aqueous solutions, illustrate a few variants of the object of the invention without, however, limiting the scope of the invention thereto.

EXAMPLE 1

		grams
Diethyleneglycol monoethyl ether	acetate	22.6
Carbopol 934 (r.t.m.)		2.0
diisopropanolamine		5.3
water		70.1
		100.0

EXAMPLE 2

		grams
Diethyleneglycol monoethyl ether	acetate	41.7
water		51.0
Carbopol 934 (r.t.m.)		2.0
diisopropanolamine		5.3

		100.0

EXAMPLE 3

		grams
Diethyleneglycol monoethyl ether	acetate	21.0
water		77.13
Klucel HA (r.t.m.)		1.87
		100.00

EXAMPLE 4

Distilled water		77.13
Klucel HA (r.t.m.)		1.87
methoxytrigylcol acetate		21.00
		100.00

EXAMPLE 5

Distilled water		77.13
Klucel HA (r.t.m.)		1.87
ethylenegycol diacetate		21.00
		100.00

EXAMPLE 6

Methoxytrigycol acetate	22.6 g.	or	41.7
Carbopol 934 (r.t.m.)	2.0 g.		2.0
distilled water	70.1 g.		51.0
diisopropanolamine	5.3 g.		5.3
	100.0 g.		100.0

EXAMPLE 7

Ethyleneglycol monoacetic acid ester	22.6 g.	or	41.7
Carbopol 934 (r.t.m.)	2.0 g.		2.0
distilled water	70.1 g.		51.0
diisopropanolamine	5.3 g.		5.3
	100.0 g.		100.0

EXAMPLE 8

Diethylenegycol monoethyl ether acetate	22.6 g.	or	41.7
Carbopol 934 (r.t.m.)	2.0 g.		2.0
distilled water	70.1 g.		51.0
diisopropanolamine	5.3 g.		5.3
	100.0 g.		100.0

EXAMPLE 9

Ethylenegylcol diacetic acid ester	22.6
Carbopol 934 (r.t.m.)	2.0
distilled water	70.1
diisopropanolamine	5.3
	100.0

What is claimed is:

1. A method for distributing the sebaceous secretions of the skin which comprises applying to the skin an effective amount of a composition consisting essentially of, as active ingredient, about 20–50 percent of a water-soluble ester of the formula

$$R—O—(Alk—O)_n—R'$$

in which R is lower alkanoyl, R' is lower alkyl, *n* is an integer from one to four and Alk is lower alkylene containing at most three carbon atoms and at least two carbon atoms in the chain between the two oxygen atoms, an inert aqueous cosmetic carrier and a thickening agent.

2. A method for distributing the sebaceous secretions of the skin which comprises applying to the skin an effective amount of a composition consisting essentially of, as active ingredient, a water-soluble ester of the formula

$R—O—(Alk—O)_n—R'$ in which R is lower alkanoyl, R' is lower alkyl, Alk is 1,2-lower alkylene having at most three carbon atoms and *n* is an integer from one to four, an inert aqueous cosmetic carrier and a thickening agent.

3. A method for distributing the sebaceous secretions of the skin which comprises applying to the skin an effective amount of a composition consisting essentially of, as active ingredient, a water-soluble ester of the formula

$$R—O—(Alk—O)_n—R'$$

in which R is alkanoyl having two to five carbon atoms, R' is methyl or ethyl and *n* is an inter from one to four, an inert aqueous cosmetic carrier and a thickening agent.

4. A method of claim 3, in which the water-soluble ester is diethylene glycol monoethyl ester acetate.

5. A method of claim 3, in which the water-soluble ester is diethylene glycol monomethyl ether acetate.

6. A method of claim 3, in which the water-soluble ester is methoxy-triglycol acetate.

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