Cl. 167

Published May 11, 1943

Serial No. 275,543

ALIEN PROPERTY CUSTODIAN

PRODUCTION OF VITAMIN-F PREPARATIONS

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No Drawing. Application filed May 24, 1939

This invention relates to the production of Vitamin-F preparations and has for its object to provide such a preparation which will be highly efficient and also very durable, the preparation being suitable for application, for example, through the natural pores of the skin or by way of the rectum.

It is known that various vegetable and animal fats contain a material which when applied through the natural pores of the skin and/or by way of the mouth favourably affect skin diseases and allergic diathesis. This material, which in smallest quantities is effective and cannot be synthesised from living organisms, is generally termed Vitamin-F. It is made up in detail of certain stereoisomeric forms of 9,10-linoleic acid, which makes the Vitamin-F substance effective. The pure 9,10-linoleic acid and also the natural or fractionated linseed oil fatty acids, which up to the present time have been known as Vitamin-F concentrates up to 50,000 Shepherd-Linn-Units per g., have several disadvantages. They not only change their aggregate condition with the slightest change of outside temperature, but they have a strong smell and they are also unstable in colour upon exposure to light, air, oxygen and like influences. Such Vitamin-F concentrates when preserved in the dark, become directly coloured after a few months and smell unpleasantly; also their biological effect is considerably lowered.

These disadvantages are often increased if the Vitamin-F concentrate of the 9,10-linoleic acid is diluted with other materials or is finely divided, for example, emulsified. The smell and stability of oil-in-water and water-in-oil emulsions, as often used in cosmetics in the form of creams, deteriorate noticeably with additions of Vitamin-F concentrates of the 9,10-linoleic acid type; the results are not suitable for storage or for use in tropical climates and they lose their Vitamin-F effectiveness.

It has now been surprisingly found that certain fractions of unsaturated carboxylic acids and their stereoisomeric forms containing two or more conjugated double linkages in the molecule have an increased power of Vitamin-F effectiveness as compared with that possessed by the 9,10-linoleic acid, (α, β, φ) if they are used in dilution with a biologically ineffective solid or liquid substance, for example a salve base, water or the like; whereas the pure, undiluted fatty acids of this type have been shown as applied through the skin of the tail of a rat to be not only biologically ineffective but also to lead to toxic phenomena.

According to the present invention, therefore, a process for the production of a durable highly efficient Vitamin-F preparation consists in diluting an unsaturated carboxylic acid or a stereoisomeric form thereof, containing two or more conjugated double linkages in the molecule with a solid or liquid biologically ineffective material in the form, for example, of a salve base or a powder or an oil or an aqueous liquid.

The following fatty acid fractions have been found to be highly effective for the purposes of the invention, that is, in dilution in a suitable biologically ineffective material as above described:

(1) The two-fold conjugated unsaturated 9,10-linoleic acid (trans-cis-octadecadiene acid):

$$CH_3.(CH_2)_5.(CH{=}CH)_2.(CH_2)_7{-}COOH$$

(2) The three-fold conjugated unsaturated elaeostearic acid:

$$CH_3.(CH_2)_3.(CH{=}CH)_3.(CH_2)_7{-}COOH$$

(3) The three-fold conjugated unsaturated wood fatty acid of the oiticia oils, the lican acid:

$$CH_3.(CH_2)_3.(CH{=}CH)_3.(CH_2)_4.CO.(CH_2)_2{-}COOH$$

(4) The four-fold conjugated unsaturated parinaric acid of the factor of parinarium laurinum, the constitution of which has been recently explained by H. P. Kaufmann and J. Baltes (see "Fette und Seifen", 45, 302, 1938):

$$CH_3CH_2.(CH{=}CH)_4.(CH_2)_7{-}COOH$$

Within the invention fall all preparations which are made from nautral and/or synthetic mixtures and the carboxylic acids with two or more conjugated double linkages in the molecule, including, for example:

(1) The natural fats in the form of wood oils, oiticia oil.

(2) The natural, but chemically modified, fatty oils, for example dehydrated ricinus oils, dehydrogenised fats of all kinds, and

(3) The natural, physico-chemically modified fats.

In general, unsaturated carboxylic acids containing two or more conjugated double linkages in the molecule as the term is used herein, include all such substances and/or mixture of substances which are adapted to impart to a healing preparation or a preparation for the care of the body or again a washing, dispersing or wetting medium, a Vitamin-F effect on the skin.

It has also been found that washing, dispersing and wetting agents, by the addition to them of the aforesaid conjugated unsaturated com-

pounds, partly or entirely lose their skin damaging properties, which is of special practical importance when washing the hands, the skin of the hands after washing being smooth and flexible.

Under washing means in the sense in which this term is used herein are included not only normal soaps based on fatty acid salts, but also all synthetic soaps such as the fat-alcohol-sulphonate and Turkey Red oil forms of soap, condensation products of fatty acids and albumen of the Lamepon type, and soapless washing agents, for example alkalis which have been biologically and/or by dissolving means, rendered effective, and their mixtures.

The invention is based on the discovery that whilst the carboxylic acids in question are completely biologically ineffective in the pure or unadulterated form and are generally poisonous in this form, they become profoundly affected in these respects by dilution with other, biologically ineffective materials, with the result that the acid acquires a high Vitamin-F effectiveness and its poisonous effect disappears. At the same time, a Vitamin-F preparation is formed which is highly durable in the sense of being self-protected from autoxidation, polymerisation and resulting inactivity, with the result that the preparation can be stored for long periods of time and will withstand the deleterious influence upon it of tropical climates.

Among the substances above mentioned rendered Vitamin-F effective by dilution in the manner referred to, there are some which with very little dilution are specially serviceable from the point of view of practical use in pharmacy and cosmetics, for example the 9,11 octadecadiene acid (as against the known 9,10-linoleic acid), which has the advantage of being a water clear liquid which remains liquid down to a temperature of —7°C. and is ineffective by light or air.

The following specific examples are illustrative of the invention:—

HEALING AND WOUND SALVES

Example 1

9,11 octadecadiene acid	1.0
Vaselinum album	50.0
Anhydrous eucerin sufficient to make up to	100.0

(About 2,500 Shepherd-Linn-Units per g. substrat).

Example 2

9,11 octadecadiene acid	0.4
Adeps benzoatus, sufficient to make up to	100.0

(About 1,000 Shepherd-Linn-Units per g. substrat).

Example 3

9,11 octadecadiene acid	0.25
Oleum camphoratum	2.0
Lanoline sufficient to make up to	30.0

(About 1,000 Shepherd-Linn-Units per g. substrat).

PASTE

Example 4

9,11 octadecadiene acid	1.0
Pasta Zinci, sufficient to make up to	50.0

(About 5,000 Shepherd-Linn-Units per g. substrat).

A WOUND POWDER

Example 5

9,11 octadecadiene acid	1.0
Lanoline	1.0
Boric acid	2.5
Zinc oxide	20.0
Bolus alba (white aluminium oxide, sufficient to make up to	100.0

(About 2,500 Shepherd-Linn-Units per g. substrat).

SUPPOSITORY

Example 6

9,11 octadecadiene acid	2.0
Oleum cacaonis, sufficient to make up to	100.0

(About 5,000 Shepherd-Linn-Units per g. substrat).

SKIN FUNCTION OIL

Example 7

Elaeo stearic acid	0.2
Oleum vaselini, sufficient to make up to	50.0

(About 1,000 Shepherd-Linn-Units per g. substrat).

SKIN CREAM (cold cream)

Example 8

An emulsion is made from:—

9,11 octadecadiene acid	0.4
Cetyl alcohol	20.0
Liquid paraffin	20.0
Vaselinum album	59.6
Water (37.5%)	60.0

(About 2,500 Shepherd-Linn-Units per g. substrat based on dry substance).

HAIR LOTION

Example 9

Lican acid	0.1
Spirit	60.0
Odorous spirit	5.0
Glycerine	5.0
Salicyclic acid	2.0
Distilled water, sufficient to make up to	100.0

(About 250 Shepherd-Linn-Units per g. substrat).

FACE LOTION (which at the same time is a disinfectant)

Example 10

9,11 octadecadiene acid	0.2
Triethanolamine	0.5
Glycerine	4.0
Spirit	33.0
Perfume	0.8
Distilled water	62.0

(About 500 Shepherd-Linn-Units per g. substrat).

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