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(54) **UTILISATION DE SOLVANTS FLUORES POUR LA**

**FORMULATION DE LOTIONS POUR SOINS CAPILLAIRES**

(54) **USE OF FLUORINATED SOLVENTS FOR THE FORMULATION  
OF HAIR LOTIONS**

(57) L'invention concerne une lotion pour soins capillaires caractérisée en ce qu'elle contient un solvant constitué par au moins un hydrofluoroéther de formule générale (I):  $C_nH_mF_{2n+1-m}-O-C_pH_qF_{2p+1-q}$  dans laquelle  $n = 1 \text{ à } 20$ ;  $m = 0 \text{ à } 2n+1$ ;  $p = 1 \text{ à } 20$ ;  $q = 0 \text{ à } 2p+1$ .

(57) The invention concerns a hair lotion characterised in that it contains a solvent consisting of at least a hydrofluoroether of general formula (I)  $C_nH_mF_{2n+1-m}-O-C_pH_qF_{2p+1-q}$  in which  $n = 1 \text{ to } 20$ ;  $m = 0 \text{ to } 2n+1$ ;  $p = 1 \text{ to } 20$ ;  $q = 0 \text{ to } 2p+1$ .

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## DEMANDE INTERNATIONALE PUBLIÉE EN VERTU DU TRAITE DE COOPERATION EN MATIÈRE DE BREVETS (PCT)

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<b>(21) Numéro de la demande internationale:</b> PCT/FR98/02545 <b>(22) Date de dépôt international:</b> 26 novembre 1998 (26.11.98) <b>(30) Données relatives à la priorité:</b> 97/15118                      26 novembre 1997 (26.11.97)                      FR <b>(71) Déposant (pour tous les Etats désignés sauf US):</b> ARCHIMEX [FR/FR]; Parc d'Innovation de Bretagne Sud, Case postale 31, F-56038 Vannes Cedex (FR). <b>(72) Inventeurs; et</b> <b>(75) Inventeurs/Déposants (US seulement):</b> SURBLED, Michel [FR/FR]; 1, impasse de l'Ecole, Dilliec, F-56250 Saint-Nolff (FR). LEMAIRE, Benoît [FR/FR]; La Parquerie, Route de Calzac, F-56370 Sarzeau (FR). MENGAL, Philippe [FR/FR]; 16, rue Beaumanoir, F-56120 Josselin (FR). MOMPON, Bernard [FR/FR]; 10, allée Diderot, F-56000 Vannes (FR). <b>(74) Mandataire:</b> VIDON, Patrice; Cabinet Patrice Vidon, Immeuble Germanium, 80, avenue des Buttes de Coësmes, F-35700 Rennes (FR).		<b>(81) Etats désignés:</b> AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, brevet ARIPO (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), brevet eurasién (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), brevet européen (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), brevet OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).  <b>Publiée</b> <i>Avec rapport de recherche internationale.</i>
<b>(54) Title:</b> USE OF FLUORINATED SOLVENTS FOR THE FORMULATION OF HAIR LOTIONS <b>(54) Titre:</b> UTILISATION DE SOLVANTS FLUORES POUR LA FORMULATION DE LOTIONS POUR SOINS CAPILLAIRES <b>(57) Abstract</b> <p>The invention concerns a hair lotion characterised in that it contains a solvent<sub>n</sub> consisting of at least a hydrofluoroether of general formula (I): <math>C_nH_mF_{2n+1-m}-O-C_pH_qF_{2p+1-q}</math> in which <math>n = 1</math> to 20; <math>m = 0</math> to <math>2n+1</math>; <math>p = 1</math> to 20; <math>q = 0</math> to <math>2p+1</math>.</p> <b>(57) Abrégé</b> <p>L'invention concerne une lotion pour soins capillaires caractérisée en ce qu'elle contient un solvant constitué par au moins un hydrofluoroéther de formule générale (I): <math>C_nH_mF_{2n+1-m}-O-C_pH_qF_{2p+1-q}</math> dans laquelle <math>n = 1</math> à 20; <math>m = 0</math> à <math>2n+1</math>; <math>p = 1</math> à 20; <math>q = 0</math> à <math>2p+1</math>.</p>		

## **Use of fluorinated solvents for the formulation of hair lotions**

The invention relates to the field of the cosmetic and pharmaceutical industry.

More precisely, the invention relates to hair lotions for cosmetic or pharmaceutical use.

5        Hair lotions are generally employed to stimulate the hair, remove dandruff and other impurities from the scalp, and to remove excess sebum secreted by the scalp. Certain hair lotions can also be used for therapeutic purposes. These lotions generally have specific actions.

10        Their formulation generally includes:

- a vehicle, most often made up of 30 to 50° ethanol, chosen for its antiseptic properties and its ability to rapidly evaporate;
- emollients, such as, for example, castor oil and its
- 15 derivatives, which compensate for the dehydrating effect of the ethanol;
- coating polymers that make arranging one's hair easier;
- active anti-sebum, anti-dandruff, overgreasing or pharmaceutical substances.

20        Lotions intended to prevent hair loss may, in addition contain:



- vasodilatory compounds, such as, for example, extracts of capsicum, cinchona or nicotinic esters;
- biological extracts such as, for example, placental derivatives, amniotic liquid, mucopolysaccharides;
- 5 - panthenol or derived ethers (pantothenyl- ethyl ether) which would be factors for the growth of hair;
- amino-acids
- vitamin complexes.

10 Perfume substances, such as camphor or essential oils, colorants as well as stabilizers (anti-oxidants, pH correctors) can complement the basic composition of such hair lotions.

These lotions are generally presented in the form of a single phase or two phase liquid system. In the case of single phase systems, the various constituents of the lotion are  
15 dissolved or dispersed in water or in a water-alcohol mixture. In the case of lotions with two liquid phases, de-odorized light petroleum is added to the formulation. This particular feature enables one to add various lipophilic constituents sparingly soluble in the water/alcohol phase. The immiscibility of the  
20 light petroleum and the water or water/alcohol solutions leads to the formation of the two liquid phases.

As has already been made clear above, ethanol which is generally used as a vehicle in hair lotions, leads to a certain number of disadvantages.

25 Hence, when present at high concentrations (30 to 50%), it has a dehydrating action on the scalp which can lead to skin irritation. This aggressive effect must be neutralized by the addition of an emollient to the formulation.

Daily use of hair lotions containing alcohol leads to  
30 absorption of the alcohol through the skin, sometimes in large quantities. For obvious health reasons, this absorption of alcohol must be avoided.

Furthermore, certain religions condemn the absorption of alcohol, whether it be by oral consumption or absorption  
35 through the skin and therefore the use of perfumes and

cosmetic products containing alcohol is forbidden to people practising these religions.

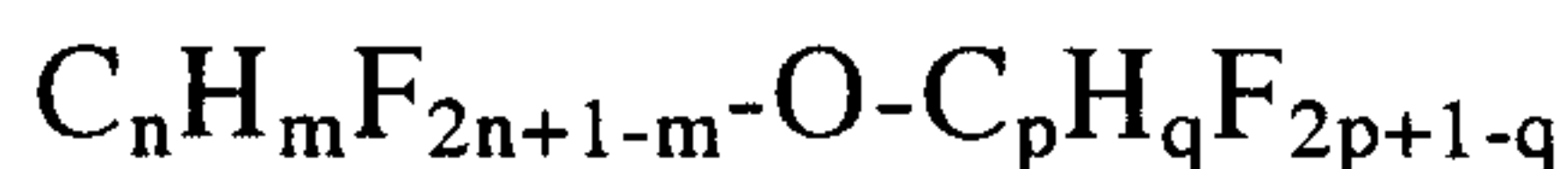
It should also be noted that the quantities of alcohol and petroleum in hair lotions are sufficiently large to lead to acute intoxication when such lotions are accidentally ingested, in particular by young children.

The light petroleum fractions, also frequently used in the hair lotion formulations also have recognized toxicity. On frequent or prolonged contact with the skin, light petroleum fractions destroy the lipo-acid cutaneous coating and can cause dermatosis of variable seriousness with the risk of secondary allergy. The vapor is an irritant for mucous membranes. At high concentration, they exert a narcotic action on the central nervous system (headaches, dizziness, somnolence). Certain light petroleum products, officially called petroleum spirit A, petroleum spirit B and petroleum spirit C, have vapors rich in hexane and have been the source of serious polyneuritis, particularly in Japan and in certain European countries such as France. Accidental ingestion of these petroleum spirits are capable of causing serious hepato-digestive attacks and sometimes nervous troubles (coma, respiratory incidents) with serious prognoses. In addition, the possible presence of benzene in these solvents can have hematological implications.

The objective of this invention is to propose hair lotions which do not contain ethanol.

Another objective of this invention is to permit the manufacture of such lotions which also do not contain any light petroleum spirit.

These objectives are achieved thanks to the invention which relates to a hair lotion characterized in that it contains a solvent constituted by at least one totally or partially fluorinated hydrocarbon of general formula (I) :



in which  $n = 1$  to  $20$ ;  $m = 0$  to  $2n+1$ ;  $p = 1$  to  $20$ ;  $q = 0$  to  $2p+1$ .



Such fluorinated solvents offer crucial advantages compared to traditional organic solvents such as ethanol, used in the formulation of hair lotions of the state of the technology.

5 In the first place, they are atoxic. Various toxicological studies notably concerned with perfluorinated alkanes and perfluorinated N-methyl morpholine have been carried out on rodents. These studies have demonstrated oral atoxicity even for doses as high as 10 g/kg, for point administration, or 2 g/kg/day for 4 weeks. The perfluorinated N-methyl  
10 morpholine produces, at doses of 0,1 ml, a slight reversible irritation of the ocular conjunctive tissues but without corneal damage. On the other hand, under the same conditions, the fluorinated alkanes do not cause any ocular irritation. Furthermore, no skin irritation is observed for localized  
15 applications of 0.5 ml of fluorinated solvent.

Therefore, at the present time, there is no toxicological contra-indication whatsoever for the fluorinated solvents proposed by the invention for use in the context of hair lotions.

20 The fluorinated solvents proposed by the invention are in addition without odor or only have a slight odor. Therefore they can be integrated into hair lotion formulations without risk of masking possible perfumes.

Furthermore, it should also be noted that these fluorinated solvents are lipophilic compounds. Hence they are  
25 capable, on the one hand, of solubilizing the lipophilic constituents in the formulations and, on the other hand of contributing to the removal of sebum.

In addition, these fluorinated solvents are of interest since they are chemically inert and do not react with any of the  
30 usual constituents of cosmetic formulations. They are volatile compounds which, after evaporation, leave no trace whatsoever.

Finally, they do not present any risk to the ecosystem.

According to a preferred variant, said solvent is chosen from the group constituted by methyl-perfluorobutyl ether, perfluorinated octane and perfluorinated nonane.

It will be understood that the hair lotions according to the invention will include a fluorinated compound as described above or a mixture of such fluorinated compounds.

The invention will be more easily understood from the description that follows of non-limitative embodiments of it.

#### Example 1

The deodorized light petroleum of a commercial hair lotion is replaced by a mixture of 50% (v/v) of methyl-perfluorobutyl ether ( $\text{CH}_3\text{-O-C}_4\text{F}_9$  with  $n = 1$ ,  $m = 3$ ,  $p = 4$  and  $q = 0$ ), 25% (v/v) of perfluorinated octane and 25% (v/v) of perfluorinated nonane.

The methyl-perfluorobutyl ether enables the perfume substances to be easily solubilized. The perfluorinated octane and nonane are used because their volatility is less than that of methyl-perfluorobutyl ether. They prevent to rapid an evaporation of the organic phase when the lotion is being applied and enable the refreshing sensation that is a consequence of evaporation, to last longer.

#### Example 2

One volume of a mixture of 50% (v/v) ethyl-perfluorobutyl ether ( $\text{C}_2\text{H}_5\text{-O-C}_4\text{F}_9$  with  $n = 2$ ,  $m = 5$ ,  $p = 4$  and  $q = 0$ ) and 50% (v/v) perfluoro-octane is added to eight volumes of an aqueous solution containing water soluble active ingredients necessary for hair care. 0.1% (v/v) of a mixture of essential oils of cypress, the tea tree, chamomile and lavender, intended to perfume the composition and to provide complementary hair care, is added to this mixture.

#### Example 3

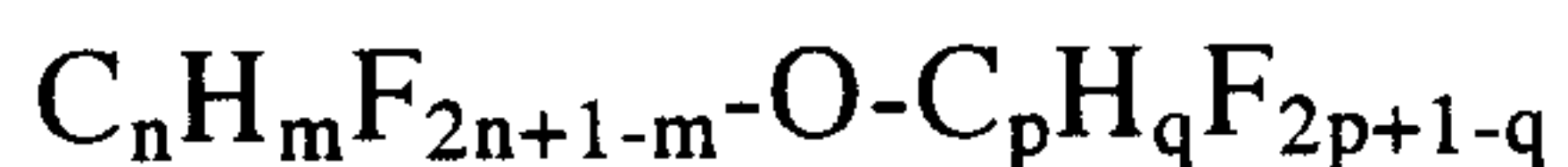
One volume of a mixture of 50% (v/v) propyl-perfluorobutyl ether ( $\text{C}_3\text{H}_7\text{-O-C}_4\text{F}_9$  with  $n = 3$ ,  $m = 7$ ,  $p = 4$  and  $q = 0$ ) and 50% (v/v) perfluoro-octane is added to eight volumes of an aqueous solution containing water soluble active

ingredients necessary for hair care. 0.1% (v/v) of a mixture of essential oils of cypress, the tea tree, chamomile and lavender, intended to perfume the composition and to provide complementary hair care, is added to this mixture.



CLAIMS

1. Hair lotion characterized in that it contains a solvent consisting of at least one hydrofluoro ether of general formula (I) :



5 in which  $n = 1$  to  $20$ ,  $m = 0$  to  $2n+1$ ;  $p = 1$  to  $20$ ;  $q = 0$  to  $2p+1$ .

2. Lotion according to Claim 1, characterized in that said solvent contains methyl-perfluorobutyl ether.

3. Lotion according to Claim 1, characterized in that said solvent contains ethyl-perfluorobutyl ether.

10 4. Lotion according to Claim 1, characterized in that said solvent contains propyl-perfluorobutyl ether.