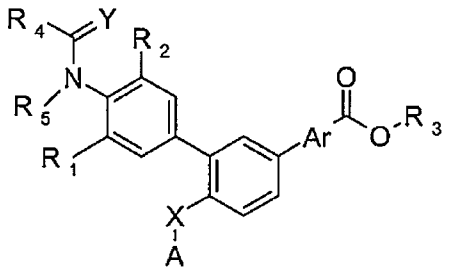
CLAIMS

1. An oil-in-water emulsion-type composition comprising

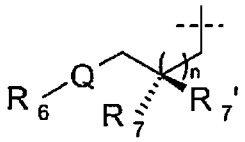
- a fatty phase comprising:

a compound with general formula (I)



where :

- R_1 is a hydrogen atom, an alkyl radical of 1 to 4 carbons or a $-CF_3$ radical;
- R_2 is a hydrogen atom, an alkyl or alkoxy radical of 1 to 4 carbon atoms or a chlorine atom;
- R_3 is a hydrogen atom, or a linear or branched alkyl or alkoxy radical of 1 to 10 carbon atoms possibly substituted by a methoxy group;
- R_4 is a hydrogen atom or an alkyl radical of 1 to 3 carbon atoms;
- R_5 is a hydrogen atom or an alkyl radical of 1 to 3 carbon atoms;
- or R_4 and R_5 together form, with the -N-C(=Y)- bond, a ring of the pyrrolidine, pyrrolidinone, piperidine or piperidinone type;
- Y denotes two hydrogen atoms or a heteroatom such as oxygen or sulfur;
- Ar denotes a 1,4-phenyl, 2,5-pyridyl, 5,2-pyridyl or 2,5-thiophenyl ring;
- X denotes an oxygen atom, possibly substituted by an alkyl or alkylamine chain or a single C-C bond;
- A denotes a hydrogen atom or the following formula:



where

- o Q is an oxygen atom or the bond –NH-;

- R_6 denotes a hydrogen atom, an alkyl radical of 1 to 6 carbon atoms, a cycloalkyl radical of 3 to 6 carbon atoms, a $-C(O)CH_2$ or $-C(O)CH_2CH_3$ radical;
- R_7 and R_7' denote, independently of each other, a hydrogen atom or a hydroxyl group, on condition that R_7 and R_7' are not simultaneously a hydroxyl group;

n is equal to 0, 1, 2 , 3, 4 or 5 ;

at least one principal solvent of compound (I) and at least one co-solvent oil of compound (I), and

- an aqueous phase comprising at least one gelifying agent.

2. The composition according to Claim 1, characterised in that the compound with general formula (I) is defined so that:

R1 is a hydrogen atom, the t-butyl or i-propyl radical;

R2 is a hydrogen atom, the t-butyl or i-propyl radical;

R3 is a hydrogen atom or the ethyl radical;

R4, R5 are independently from each other the methyl or ethyl radical or together form a pyrrolidine ring;

A is as previously defined, where R_6 denotes a hydrogen atom, the i-propyl or t-butyl radical, a cycloalkyl radical of 3 to 6 carbon atoms, a $-C(O)CH_2$ or $-C(O)CH_2CH_3$ radical.

3. The composition according to Claim 1, characterised in that the compound is 3''-tert-butyl-4'-(2-hydroxy-ethoxy)-4''-pyrrolidin-1-yl-[1,1';3',1'']-terphenyl-4-carboxylic acid.

4. The composition according to any one of the preceding claims, characterised in that it is deprived of an emulsifying agent.

5. The composition according to any one of the preceding claims, characterised in that the gelifying agent is selected from polymers of plant origin, gums, pectins, cellulose and its derivatives, polymers of microbiological origin, such as xanthan gum, and gelifying polymers of synthetic origin, and preferably from:

- the Acrylates/C10-30 Alkyl Acrylate Crosspolymer sold under the name of Pemulen TR-1 or Pemulen TR-2

- the gelifiers in the family of polyacrylamides, such as the mixture Sodium acrylamide/acryloyldimethyltaurate copolymer / isohexadecane / polysorbate 80 sold under the name of Simulgel 600PHA

- the mixture polyacrylamide/isoparaffin C13-14/laureth-7 sold under the name of Sepigel 305,

- the carbomers sold under the name of Ultrez 20®, Ultrez 10®, Carbopol 1382® or Carbopol ETD2020NF®, Carbopol 981 or even Carbopol 980,

- the polysaccharides with, by way of non-exhaustive example, xanthan gum such as Xantural180®, gellan gum sold under the name of Kelcogel, guar gum, cellulose and its derivatives, such as microcrystalline cellulose and carboxymethyl cellulose of sodium sold under the name of Avicel CL-611, hydroxypropylmethylcellulose, in particular the product sold under the name of Methocel E4M premium or hydroxyethylcellulose , in particular the product sold under the name of Natrosol HHX 250® , sodium carboxymethylcellulose , in particular Blanose cellulose gum 7F,

- the family of aluminium magnesium silicates, such as Veegum K,

- the family of acrylic polymers linked to hydrophobic chains such as PEG-150/decyl/SMDI copolymer sold under the name of Aculyn 44,

- the family of modified starches such as modified potato starch, sold under the name of Structure Solanace or their mixtures,

- the family of carrageenans, in particular those distributed among four major families : κ, λ, β, ω such as the Viscarins® and Gelcarins®.

6. The composition according to any one of the preceding claims, characterised in that the principal solvent is selected from among benzyl alcohol, laureth-4, phenoxyethanol, propylene glycol monocaprylate, pentylene glycol, dimethyl isosorbide and mixtures thereof.

7. The composition according to Claim 6, characterised in that the principal solvent is phenoxyethanol.

8. The composition according to any one of the preceding claims, characterised in that the co-solvent oil is selected from among the caprylic/ capric triglycerides, sweet almond oil, propylene glycol monocaprylate, propylene glycol laurate, sorbitan sesquioleate, diisopropyl adipate, PPG-15 stearyl ester, apricot kernel oil, PEG-6 ester, and mixtures thereof.

9. The composition according to any one of the preceding claims, characterised in that it also contains one or more additives selected from among:

-One or more preserving agents selected from among methyl parabene, propyl parabene, benzalconium chloride, phenoxyethanol sold under the name of phenoxetol, benzyl alcohol sold under the name of benzyl alcohol, Potassium sorbate sold under the name of potassium sorbate, benzoic acid, 2-bromo-2-nitropropane-1,3-diol sold under the name of Bronopol, Chlorohexidine, Chlorohexidine digluconate, chlorocresole and its derivatives, ethyl alcohol and diazolidinyl urea,

-One or more chelating agents such as EDTA (ethylene diamine tetraacetic acid) and its derivatives or salts, dihydroglycerine, citric and tartaric acids, gluconolactone sold under the name of glucono-delta-lactone SG or mixtures thereof,

- One or more antioxidants such as vitamin E and its derivatives, such as DL alpha tocopherol or tocopherol acetate; vitamin C and its derivatives, such as Ascorbyl Palmitate, Butylhydroxy toluene sold under the name of Nipanox BHT,

- One or more palliatives and/or anti-irritants such as PPG-12/SMDI copolymer under the commercial name of Polyolprepolymer-2, glycyrrhetinic acid or its derivatives, for example Enoxolone, hyaluronic acid as such or in its form of sodium hyaluronate sold under the commercial name of HYAL. NA PWD PH 15-51-45, allantoin sold under the name of RONACARE ALLANTOINE.

10. The composition according to any one of the preceding claims, characterised in that it also contains a mineral oil.

11. The composition according to any one of the preceding claims, characterised in that it also contains a moistening agent.

12. The composition according to any one of the preceding claims, characterised in that it also contains a silicone oil.

13. The composition according to any one of the preceding claims, characterised in that it also contains ethanol.

14. The composition according to any one of Claims 1 to 13, characterised in that it comprises the following ingredients:

from 0.00001% to 1% by weight and preferably from 0.0001 to 0.1 % by weight and more preferably from 0.001 by weight to 0.1% of compound of formula (I)

from 0.005 to 10 % by weight and preferably from 1 to 5% by weight of gelifying agent

from 0.2 to 5% by weight and preferably from 0.5 to 2% by weight of principal solvent

from 0.5 to 50% by weight and preferably from 4 to 30% by weight of co-solvent oil(s), and optionally:

from 0 to 20% by weight and preferably from 0 to 5% by weight of mineral oil(s)

from 0 to 50% by weight and preferably from 5 to 35% by weight of polyol(s)

from 0 to 10% by weight and preferably 0 to 4% by weight of silicone oil(s)

from 0 to 5% by weight and preferably from 0.01 to 2% by weight of preservative agent(s)

from 0 to 30% by weight and preferably from 0 to 10% by weight of ethanol

from 0 to 15% by weight and preferably from 0.1 to 10% by weight of additive(s).

15. The composition according to any one of the preceding claims, characterised in that the maximum quantity of active ingredient absorbed in the dermis and epidermis 16 hours after application is between 6 ng/cm² and 19 ng/cm².

16. The composition according to Claim 15, characterised in that the maximum quantity of active ingredient absorbed in the dermis and epidermis 16 hours after application is between 6.8 ng/cm² and 10.6 ng/cm².

17. The composition according to any one of the preceding claims, characterised in that the maximum quantity of active ingredient absorbed in the epidermis is obtained between 3 and 10 hours after application.

18. The composition according to any one of Claims 1 to 17 for use as a medicinal product.

19. The composition according to any one of Claims 1 to 18 for use for the treatment of one or more of the following pathologies:

-Dermatological complaints associated with a keratinisation disorder relating to cellular differentiation and proliferation, particularly for treating common acne, comedonic acne, polymorphic acne, rosacea acne, nodulocystic acne, conglobata acne, senile acne and secondary acnes such as solar, medicamentous or professional acne;
-Keratinisation disorders, in particular ichtyoses, ichtyosiform conditions, lamellar ichtyosis, Darrier's disease, palmoplantar keratodermias, leukoplasias, pityriasis rubra pilaris and leukoplasiform conditions, cutaneous or mucous (oral) lichen;

-Dermatological disorders with an inflammatory immune-allergic component, with or without cellular proliferation disorder, and in particular all forms of psoriasis, whether cutaneous, mucous or ungueal, and even psoriasic rheumatism, or atopical dermatitis and the different forms of eczema;
-Cutaneous disorders due to exposure to UV radiation, as well as to repair or control ageing of the skin, whether photo-induced or chronological, or to reduce pigmentations and actinic keratoses, or all pathologies associated with chronological or actinic ageing, such as xerosis, pigmentations and wrinkles;

-Any condition associated with benign dermal or epidermal proliferations, whether or not of viral origin, such as common warts, flat warts, molluscum contagiosum and verruciform epidermodysplasia, oral or florid papillomatoses;

-Dermatological complaints such as immune dermatoses such as erythematous lupus, bullous immune diseases and collagenic diseases such as sclerodermia;

-Stigmata of epidermal and/or dermal atrophy induced by local or systemic corticosteroids, or any other form of cutaneous atrophy;

-Healing complaints, or to prevent or repair stretch marks, or even to promote healing;

-Any disorder of fungal origin in the cutaneous region, such as tinea pedis and tinea versicolor;

-Pigmentation disorders such as hyperpigmentation, melasma, hypopigmentation or vitiligo ;

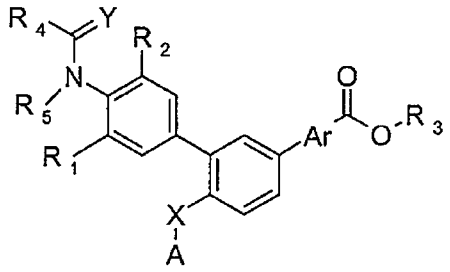
-Cancerous or pre-cancerous, cutaneous or mucous conditions such as actinic keratoses, Bowen's disease, carcinomas in-situ, keratoacanthoma and skin cancers such as basocellular carcinoma (BCC), spinocellular carcinoma (SCC) and cutaneous lymphomas such as T lymphoma.

CLAIMS

1. An oil-in-water emulsion-type composition comprising

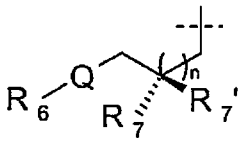
- a fatty phase comprising:

a compound with general formula (I)



where :

- R_1 is a hydrogen atom, an alkyl radical of 1 to 4 carbons or a $-CF_3$ radical;
- R_2 is a hydrogen atom, an alkyl or alkoxy radical of 1 to 4 carbon atoms or a chlorine atom;
- R_3 is a hydrogen atom, or a linear or branched alkyl or alkoxy radical of 1 to 10 carbon atoms possibly substituted by a methoxy group;
- R_4 is a hydrogen atom or an alkyl radical of 1 to 3 carbon atoms;
- R_5 is a hydrogen atom or an alkyl radical of 1 to 3 carbon atoms;
- or R_4 and R_5 together form, with the -N-C(=Y)- bond, a ring of the pyrrolidine, pyrrolidinone, piperidine or piperidinone type;
- Y denotes two hydrogen atoms or a heteroatom such as oxygen or sulfur;
- Ar denotes a 1,4-phenyl, 2,5-pyridyl, 5,2-pyridyl or 2,5-thiophenyl ring;
- X denotes an oxygen atom, possibly substituted by an alkyl or alkylamine chain or a single C-C bond;
- A denotes a hydrogen atom or the following formula:



where

- Q is an oxygen atom or the bond –NH-;

- R_6 denotes a hydrogen atom, an alkyl radical of 1 to 6 carbon atoms, a cycloalkyl radical of 3 to 6 carbon atoms, a $-C(O)CH_2$ or $-C(O)CH_2CH_3$ radical;
- R_7 and R_7' denote, independently of each other, a hydrogen atom or a hydroxyl group, on condition that R_7 and R_7' are not simultaneously a hydroxyl group;

n is equal to 0, 1, 2, 3, 4 or 5 ;

at least one principal solvent of compound (1), selected from among benzyl alcohol, laureth-4, phenoxyethanol, propylene glycol monocaprylate, pentylene glycol, dimethyl isosorbide and mixtures thereof, and at least one co-solvent oil of compound (I), selected from among the caprylic/ capric triglycerides, sweet almond oil, propylene glycol monocaprylate, propylene glycol laurate, sorbitan sesquioleate, diisopropyl adipate, PPG-15 stearyl ether, apricot kernel oil PEG-6 ester, and mixtures thereof, and

- an aqueous phase comprising at least one gelifying agent selected from cellulose and its derivatives and gelifying polymers of synthetic origin.

2. The composition according to Claim 1, characterised in that the compound with general formula (I) is defined so that:

R1 is a hydrogen atom, the t-butyl or i-propyl radical;

R2 is a hydrogen atom, the t-butyl or i-propyl radical;

R3 is a hydrogen atom or the ethyl radical;

R4, R5 are independently from each other the methyl or ethyl radical or together form a pyrrolidine ring;

A is as previously defined, where R_6 denotes a hydrogen atom, the i-propyl or t-butyl radical, a cycloalkyl radical of 3 to 6 carbon atoms, a $-C(O)CH_2$ or $-C(O)CH_2CH_3$ radical.

3. The composition according to Claim 1, characterised in that the compound is 3"-tert-butyl-4'-(2-hydroxy-ethoxy)-4"-pyrrolidin-1-yl-[1,1';3',1"]-terphenyl-4-carboxylic acid.

4. The composition according to anyone of the preceding claims, characterised in that it is deprived of an emulsifying agent.

5. The composition according to anyone of the preceding claims, characterised in that the gelifying agent is selected from

- the gelifiers in the family of polyacrylamides, such as the mixture Sodium acrylamide/acryloyldimethyltaurate copolymer / isohexadecane / polysorbate 80.

6. The composition according to any one of the preceding claims, characterised in that the principal solvent is phenoxyethanol.

7. The composition according to anyone of the preceding claims, characterised in that it also contains one or more additives selected from among:

- One or more preserving agents selected from among methyl parabene, propyl parabene, benzalconium chloride, phenoxyethanol sold under the name of phenoxetol, benzyl alcohol sold under the name of benzyl alcohol, Potassium sorbate sold under the name of potassium sorbate,

benzoic acid, 2-bromo-2-nitropropane-1,3-diol sold under the name of Bronopol, Chlorohexidine, Chlorohexidine digluconate, chlorocresole and its derivatives, ethyl alcohol and diazolidinyl urea,

- One or more chelating agents such as EDTA (ethylene diamine tetraacetic acid) and its derivatives or salts, dihydroglycerine, citric and tartaric acids, gluconolactone sold under the name of glucono-delta-lactone SG or mixtures thereof,
- One or more antioxidants such as vitamin E and its derivatives, such as DL alpha tocopherol or tocopherol acetate; vitamin C and its derivatives, such as Ascorbyl Palmitate, Butylhydroxy toluene sold under the name of Nipanox BHT,
- One or more palliatives and/or anti-irritants such as PPG-12/SMDI copolymer under the commercial name of Polyolprepolymer-2, glycyrrhetinic acid or its derivatives, for example Enoxolone, hyaluronic acid as such or in its form of sodium hyaluronate sold under the commercial name of HYAL. NA PWD PH 15-51-45, allantoin sold under the name of RONACARE ALLANTOINE.

8. The composition according to anyone of the preceding claims, characterised in that it also contains a mineral oil.

9. The composition according to anyone of the preceding claims, characterised in that it also contains a moistening agent.

10. The composition according to anyone of the preceding claims, characterised in that it also contains a silicone oil.

11. The composition according to anyone of the preceding claims, characterised in that it also contains ethanol.

12. The composition according to anyone of Claims 1 to 11, characterised in that it comprises the following ingredients:

from 0.00001% to 1% by weight and preferably from 0.0001 to 0.1% by weight and more preferably from 0.001 by weight to 0.1% of compound of formula (I)

from 0.005 to 10% by weight and preferably from 1 to 5% by weight of gelifying agent

from 0.2 to 5% by weight and preferably from 0.5 to 2% by weight of principal solvent

from 0.5 to 50% by weight and preferably from 4 to 30% by weight of co-solvent oil(s), and optionally:

from 0 to 20% by weight and preferably from 0 to 5% by weight of mineral oil(s)

from 0 to 50% by weight and preferably from 5 to 35% by weight of polyol(s)

from 0 to 10% by weight and preferably 0 to 4% by weight of silicone oil(s)

from 0 to 5% by weight and preferably from 0.01 to 2% by weight of preservative agent(s)

from 0 to 30% by weight and preferably from 0 to 10% by weight of ethanol

from 0 to 15% by weight and preferably from 0.1 to 10% by weight of additive(s).

13. The composition according to any one of Claims 1 to 12 for use as a medicinal product.

14. The composition according to any one of Claims 1 to 13 for use for the treatment of one or more of the following pathologies:

-Dermatological complaints associated with a keratinisation disorder relating to cellular differentiation and proliferation, particularly for treating common acne, comedonic acne, polymorphic acne, rosacea acne, nodulocystic acne, conglobata acne, senile acne and secondary acnes such as solar, medicamentous or professional acne;

-Keratinisation disorders, in particular ichtyoses, ichtyosiform conditions, lamellar ichtyosis, Darrier's disease, palmoplantar keratodermias, leukoplasias, pityriasis rubra pilaris and leukoplasiform conditions, cutaneous or mucous (oral) lichen;

-Dermatological disorders with an inflammatory immune-allergic component, with or without cellular proliferation disorder, and in particular all forms of psoriasis, whether cutaneous, mucous or ungueal, and even psoriasic rheumatism, or atopical dermatitis and the different forms of eczema;

-Cutaneous disorders due to exposure to UV radiation, as well as to repair or control ageing of the skin, whether photo-induced or chronological, or to reduce pigmentations and actinic keratoses, or all pathologies associated with chronological or actinic ageing, such as xerosis, pigmentations and wrinkles;

-Any condition associated with benign dermal or epidermal proliferations, whether or not of viral origin, such as common warts, flat warts, molluscum contagiosum and verruciform epidermodysplasia, oral or florid papillomatoses;

-Dermatological complaints such as immune dermatoses such as erythematous lupus, bullous immune diseases and collagenic diseases such as sclerodermia;

-Stigmata of epidermal and/or dermal atrophy induced by local or systemic corticosteroids, or any other form of cutaneous atrophy;

-Healing complaints, or to prevent or repair stretch marks, or even to promote healing;

-Any disorder of fungal origin in the cutaneous region, such as tinea pedis and tinea versicolor;

-Pigmentation disorders such as hyperpigmentation, melasma, hypopigmentation or vitiligo;

-Cancerous or pre-cancerous, cutaneous or mucous conditions such as actinic keratoses, Bowen's disease, carcinomas in-situ, keratoacanthoma and skin cancers such as basocellular carcinoma (BCC), spinocellular carcinoma (SCC) and cutaneous lymphomas such as T lymphoma.