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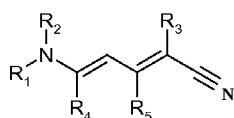
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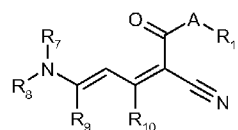
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(54) Title: COSMETIC AND/OR DERMATOLOGICAL COMPOSITION CONTAINING A MEROCYANINE DERIVATIVE COMPRISING SPECIFIC POLAR GROUPS CONSISTING OF HYDROXYL- AND ETHER-FUNCTIONALITIES



(1)



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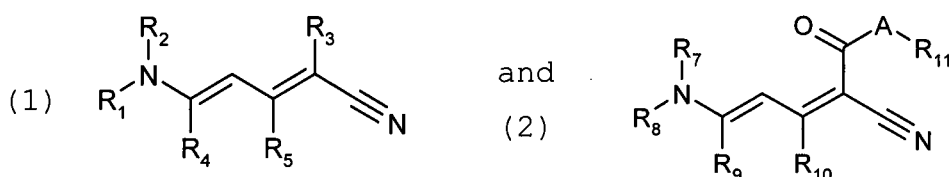
(57) Abstract: The present invention relates to a cosmetic and/or dermatological composition comprising in a physiologically acceptable medium at least one merocyanine derivative of formula (1) or (2) and/or its E,E-, E,Z- or Z,Z-geometrical isomer forms: comprising specific polar groups consisting of hydroxyl- and ether-functionalities. Another object of the present invention relates to a cosmetic process for controlling and/or improving the darkening of the skin under exposure to UV radiation and the homogeneity of the colour of the complexion which comprises the application onto the skin of a cosmetic composition as above defined. Another object of the present invention relates to a cosmetic process for protecting the keratinic materials and particularly the skin against photo-ageing which comprises the application onto the keratinic material of a cosmetic composition as above defined.



AMENDED CLAIMS

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1. Cosmetic and/or dermatological composition comprising in a physiologically acceptable medium at least one merocyanine derivative of formula (1) or (2) and/or its E/E-, E/Z- or Z/Z geometrical isomer forms:



wherein

- 10 R_1 and R_2 independently of each other are hydrogen; C_{1-22} alkyl, C_{2-22} alkenyl, C_{2-22} alkinyl, which are optionally substituted by at least one hydroxy; or R_1 and R_2 together with the nitrogen atom linking them form a $-(CH_2)_n-$ ring which is optionally interrupted by $-O-$ or by $-NH-$;
- R_3 is a $-(C=O)OR_6$ group; or a $-(C=O)NHR_6$ group;
- R_6 is C_{1-22} alkyl, C_{2-22} alkenyl, C_{2-22} alkinyl, C_{3-22} cycloalkyl or C_{3-22} cycloalkenyl, which is optionally substituted by one or more than one OH;
- 20 R_4 and R_5 are hydrogen; or R_4 and R_5 form a $-(CH_2)_n-$ ring which is optionally substituted by C_{1-4} alkyl and/or interrupted by one or more than one $-O-$ or by $-NH-$;
- n is a number from 2 to 7;
- R_7 and R_8 independently of each other are hydrogen; C_{1-22} alkyl, C_{2-22} alkenyl, C_{2-22} alkinyl, which is optionally interrupted by one or more than one O and/or substituted by one or more than one OH, C_{3-22} cycloalkyl or C_{3-22} cycloalkenyl, wherein said C_{3-22} cycloalkyl or C_{3-22} cycloalkenyl is optionally interrupted by one or
- 30 more than one $-O-$;

or R₇ and R₈ together with the nitrogen atom linking them form a -(CH₂)_n- ring which is optionally interrupted by one or more than one -O- ;

R₉ and R₁₀ are hydrogen; or R₉ and R₁₀ form
5 a -(CH₂)_n- ring which is optionally substituted by Cl-C₄alkyl and/or interrupted by -O- or by -NH-;

A is -O-; or -NH;

R_n is **ci-C₂alkyl**, C₂-C₂₂alkenyl, C₂-C₂₂alkinyl, C₃-C₂₂cycloalkyl or C₃-C₂₂cycloalkenyl, which is optionally
10 interrupted by one or more than one O; or Ci-C₂₂alkyl or C₂-C₂₂alkenyl which is substituted by **c3-C₂₂cycloalkyl** or C₃-C₂₂cycloalkenyl, wherein said C₃-C₂₂cycloalkyl or C₃-C₂₂cycloalkenyl is optionally interrupted by one or more than one -O-;

15 with the proviso that

(I) at least one of R₁, R₂, R₂ and R₆ is substituted by hydroxy;

(II) if one of R₁ is hydroxyethyl, R₂ is not hydrogen, methyl or ethyl or hydroxyethyl; and if R₁ is hydrogen,
20 R₂ is not 1-hydroxy-3-methyl-but-2-yl ;

(III) if R₆ is substituted by one or more than one OH; one of R₁ and R₂ is C₄-C₂₂alkyl; or R₁ and R₂ together with the linking nitrogen form a piperidyl or morpholinyl radical;

25 (IV) at least one of R₇ and R₈, or R₁₁ is interrupted by one or more than one -O-.

2. Composition according to claim 1, wherein

R₁ and R₂ independently of each other are hydrogen; **ci-C₂₂alkyl**, C₂-C₂₂alkenyl, C₂-C₂₂alkinyl, which are
30 optionally substituted by at least one hydroxy; or R₁ and R₂ together with the nitrogen atom linking them form a -(CH₂)_n- ring which is optionally interrupted by -O- or by -NH-;

R_3 is a $-(C=O)OR_6$ group; or a $-(CO)NHR_6$ group;

R_6 is C_1 - C_{22} alkyl, C_2 - C_{22} alkenyl, C_2 - C_{22} alkynyl, C_3 - C_{22} cycloalkyl or C_3 - C_{22} cycloalkenyl, which is optionally substituted by one or more than one OH;

5 R_4 and R_5 are hydrogen; or R_4 and R_5 form a $-(CH_2)_n$ - ring which is optionally substituted by C_1 - C_4 alkyl and/or interrupted by $-O-$ or by $-NH-$;

n is a number from 2 to 7;

10 R_7 and R_8 independently of each other are hydrogen; C_1 - C_{22} alkyl, C_2 - C_{22} alkenyl, C_2 - C_{22} alkynyl, which is optionally interrupted by one or more than one O and/or substituted by one or more than one OH; or R_7 and R_8 together with the nitrogen atom linking them form a $-(CH_2)_n$ - ring which is optionally interrupted by one
15 or more than one $-O-$;

R_9 and R_{10} are hydrogen; or R_9 and R_{10} form a $-(CH_2)_n$ - ring which is optionally substituted by C_1 - C_4 alkyl and/or interrupted by $-O-$ or by $-NH-$;

A is $-O-$; or $-NH-$;

20 R_{11} is C_1 - C_{22} alkyl, C_2 - C_{22} alkenyl, C_2 - C_{22} alkynyl, C_3 - C_{22} cycloalkyl or C_3 - C_{22} cycloalkenyl, which is optionally interrupted by one or more than one O ;

with the proviso that

(I) at least one of R_1 , R_2 and R_6 is substituted by
25 hydroxy;

(II) if one of R_1 is hydroxyethyl, R_2 is not hydrogen, methyl or ethyl or hydroxyethyl; and if R_1 is hydrogen, R_2 is not 1-hydroxy-3-methyl-but-2-yl;

(III) if R_6 is substituted by one or more than one OH;
30 one of R_1 and R_2 is C_4 - C_{22} alkyl; or R_1 and R_2 together with the linking nitrogen form a piperidyl or morpholinyl radical;

(IV) at least one of R_7 and R_8 , or R_{11} is interrupted by one or more than one $-O-$.

AMENDED SHEET (ARTICLE 19)

3. **Composition** of formula (1) according to claim 1 or 2, wherein

R₁ and R₂ independently of each other are hydrogen; C₄-
5 C₁₂alkyl; or hydroxy-C₃-C₁₂alkyl;
wherein at least one of R₁ and R₂ is hydroxy-C₃-C₁₂alkyl;
and
R₃, R₄ and R₅ are defined as in claim 1.

10 4. **Composition** of formula (1) according to any of claims 1 to 3, wherein

R₆ is C₁-C₁₂alkyl, which is optionally substituted by one or more than one hydroxy.

15 5. **Composition** of formula (1) according to claim 1 or 2, wherein

R₆ is C₁-C₁₂alkyl which is substituted by one or more than one hydroxy;
one of R₁ and R₂ is C₄-C₂₂alkyl; or R₁ and R₂ together
20 with the nitrogen atom linking them form a -(CH₂)_n-ring which is optionally interrupted by -O- and/or -NH-; and
R₄ and R₅ and n are defined as in claim 1.

25 6. **Composition** of formula (2) according to claim 1 or 2, wherein

R₁₁ is a radical of $-(CH_2)_m-O-R_{12}$ wherein
formula (1a)

R₁₂ is C₁-C₁₂alkyl; or C₁-C₆alkoxy-C₁-C₆alkyl;

m is a number from 1 to 5; and

R₇, R₈, R₉, R₁₀ and A are defined as in claim 1.

30 7. **Composition** according to any of claims 1 to 6, wherein in formulas (1) and (2)

R₁ and R₂ and R₇ and R₈ respectively together with the

linking nitrogen atom form a piperidyl radical or a morpholinyl radical.

8. Composition according to any of claims 1 to 6,
5 wherein in formulas (1) and (2) :

R₄ and R₅ and R₉ and R₁₀ respectively form a carbocyclic ring which contains 6 carbon atoms .

9. Composition according to any of claims 1 to 5 and 7
10 to 8, in which the compounds of formula (1) are selected from those wherein

R₁ and R₂ independently form each other are hydrogen; or Ci-C₂₂alkyl; or hydroxy-Ci-C₂₂alkyl ; or R₁ and R₂ together with the nitrogen atom are linked together to form a
15 piperidyl or morpholinyl radical;

R₃ is a - (C=O) OR₆ group; or a - (CO) NHR₆group;

R₆ is Ci-C₂₂alkyl, which may be substituted by one or more than one -OH;

R₄ and R₅ are hydrogen; or R₄ and R₅ are linked together
20 to form a carbocyclic ring which contains 6 carbon atoms .

10. Composition according to any of claims 1 to 9, in which the compounds of formula (1) are selected from
25 those wherein

R₁ and R₂ independently of each other are hydrogen; or hydroxy-Ci-C₂₂alkl; wherein at least one of R₁ and R₂ is hydroxy-Ci-C₂₂alkyl ;

R₃ is a - (C=O) OR₆group; or a - (C=O) NHR₆group;

30 R₆ is ci-C₂₂alkyl; and

R₄ and R₅ are hydrogen; or R₄ and R₅ are linked together to form a carbocyclic ring which contains 6 carbon atoms .

11. Composition according to claim 1, in which the compounds of formula (2) are selected from those wherein

R₇ and R₈ independently of each other are hydrogen or
5 Ci-C₈alkyl, which is optionally interrupted by one or more than one -O-;

A is -O-; or -NH;

R_n is **Ci-C₂₂alkyl**; and

R₉ and R₁₀ are hydrogen; or R₉ and R₁₀ are linked
10 together to form a carbocyclic ring which contains 6 carbon atoms.

12. Composition according to claim 1, in which the compounds of formula (2) are selected from those
15 wherein

R₇ and R₈ together with the nitrogen atom form a morpholinyl or piperidyl radical;

A is -O-; or -NH;

R_n is **Ci-C₂alkyl**; which is interrupted by one or more
20 than one -O-; and

R₉ and R₁₀ are hydrogen; or R₉ and R₁₀ are linked together to form a carbocyclic ring which contains 6 carbon atoms.

25 13. Composition according to claim 12, in which the compounds of formula (2) are selected from those, wherein

R_n is a radical of $-(CH_2)_m-O-R_{12}$, wherein

R₁₂ is Ci-C₄alkyl; or Ci-C₄alkoxy-Ci-C₄alkyl;

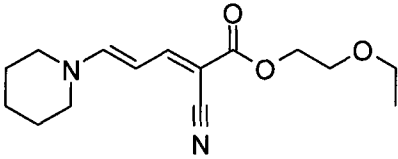
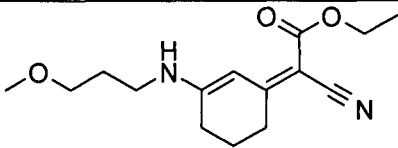
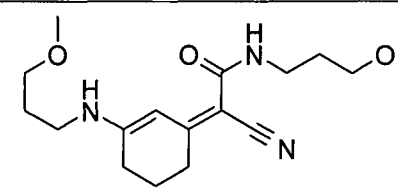
m is a number from 1 to 3;

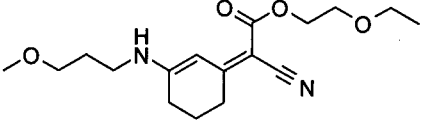
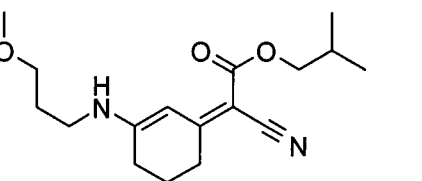
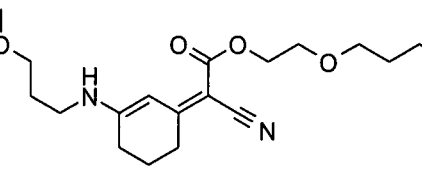
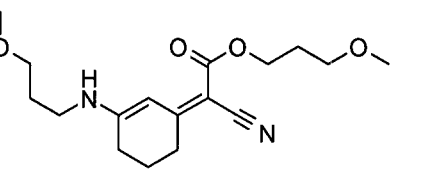
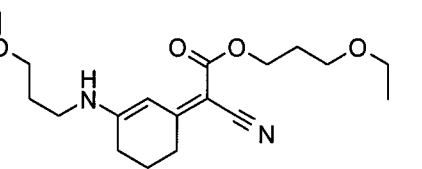
30 R₇ and R₈, independently of each other are hydrogen; **Ci-Ci₂alkyl**, which is optionally interrupted by one or more than one O; or R₇ and R₈ together with the nitrogen atom form a morpholinyl or piperidyl radical;

R_9 and R_{10} are hydrogen; or form a carbocyclic ring which contains 6 carbon atoms; and

A is -O-; or -NH.

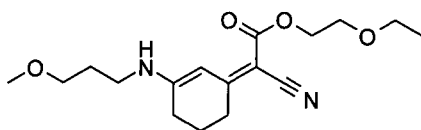
- 5 14. Composition according to any of the claims 1 to 13, in which the merocyanine derivative is selected in the group of the following compounds and their E/E, E,Z or Z/Z geometrical isomer forms:

4	 2-ethoxyethyl (2E,4E)-2-cyano-5-(piperidin-1-yl)penta-2,4-dienoate
14	 ethyl (2Z)-cyano{3-[(3-methoxypropyl)amino]cyclohex-2-en-1-ylidene}ethanoate
15	 (2Z)-2-cyano-N-(3-methoxypropyl)-2-{3-[(3-methoxypropyl)amino]cyclohex-2-en-1-ylidene}ethanamide

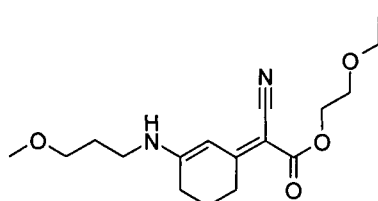
25	 2-ethoxyethyl (2Z)- cyano{3-[(3- methoxypropyl)amino]cyclo hex-2-en-1- ylidene}ethanoate
27	 2-methylpropyl (2Z)- cyano{3-[(3- methoxypropyl)amino]cyclo hex-2-en-1- ylidene}ethanoate
29	
31	
37	

15. Composition according to claim 14, wherein the merocyanine derivative is the compound 2-ethoxyethyl
 5 (2Z)-cyano{3-[(3-methoxypropyl)amino]cyclohex-2-en-1-ylidene}ethanoate (25) in its E/Z geometrical isomer

form of formula :



and/or its E/E geometrical isomer form of formula :



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16. Composition according to any of the claims 1 to 15, further containing a system for screening out both UVA radiation and UVB radiation.

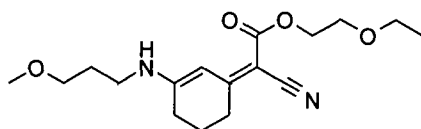
10 17. Composition according to any of the claims 1 to 16, further containing one or more complementary hydrophilic, lipophilic or insoluble organic screening agents and/or one or more inorganic screening agents which are active in UVA and/or UVB.

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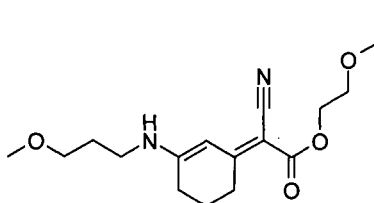
18. Composition according to any of the claims 1 to 17, further containing at least one dibenzoylmethane derivative and particularly Butyl Methoxy Dibenzoylmethane .

20

19. Composition according to any of the claims 1 to 18, containing at least one dibenzoylmethane derivative and particularly Butyl Methoxy Dibenzoylmethane and the merocyanine compound the compound 2-ethoxyethyl (2Z)-
 25 cyano {3- [(3-methoxypropyl) amino] cyclohex-2-en-1-ylidene }ethanoate (25) in its E/Z geometrical isomer form of formula :



and/or its E/E geometrical isomer form of formula :

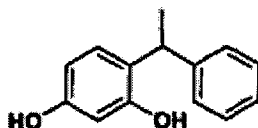


5 20. Composition according to any of the preceding claims, containing at least one fatty substance selected from oils and waxes.

21. Composition according to any of the the preceding
10 claims, containing at least one hydrophilic or lipophilic thickener.

22. Composition according to any of the preceding claims, containing at least one emulsifier of the type
15 hydrophobically modified inuline as Inuline Lauryl Carbamate .

23. Composition according to any of the preceding claims, containing at least one depigmenting agent, and
20 preferably at least one hydroxylated diphenylmethane derivative, and particularly the compound of structure:



25 24. Cosmetic process for controlling and/or improving the darkening of the skin under exposure to UV

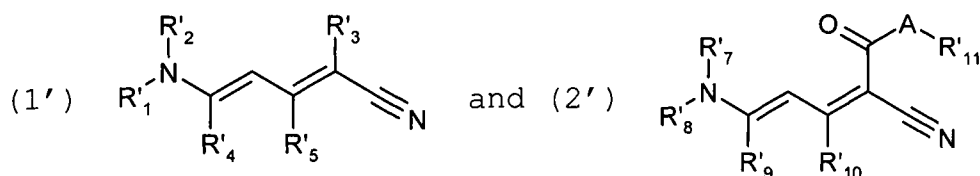
radiation and the homogeneity of the colour of the complexion which comprises the application onto the skin of a cosmetic composition as defined in any of the preceding claims.

5

25. Cosmetic process for protecting the keratinic materials and particularly the skin against photo-ageing which comprises the application onto the keratinic material of a cosmetic composition as defined in any of the preceding claims.

10

26. Use of the merocyanines of formula



R'ⁱ and R'₂ independently of each other are hydrogen; Ci-C₂₂alkyl, C₂-C₂₂alkenyl, C₂-C₂₂alkinyl, which are optionally substituted by at least one hydroxy; or R'ⁱ and R'₂ together with the nitrogen atom linking them form a -(CH₂)_n- ring which is optionally interrupted by -O- or by -NH-;

15

R'₃ is a -(C=O)OR₆ group; or a -(CO)NHR'₆ group;

20

R'₆ is Ci-C₂₂alkyl, C₂-C₂₂alkenyl, C₂-C₂₂alkinyl, C₃-C₂₂cycloalkyl or C₃-C₂₂cycloalkenyl, which is optionally substituted by one or more than one OH;

R'₄ and R'₅ are hydrogen; or R'₄ and R'₅ form a -(CH₂)_n- ring which is optionally substituted by Cl-C₄alkyl and/or interrupted by -O- or by -NH-;

25

n is a number from 2 to 7;

R'₇ and R'₈ independently of each other are hydrogen; Ci-C₂₂alkyl, C₂-C₂₂alkenyl, C₂-C₂₂alkinyl, which is optionally interrupted by one or more than one O and/or substituted by one or more than one OH; or R'₇ and R'₈

30

together with the nitrogen atom linking them form
a $-(CH_2)_n-$ ring which is optionally interrupted by $-O-$;
 R'_{9} and R'_{10} are hydrogen; or R'_{9} and R'_{10} form
a $-(CH_2)_n-$ ring which is optionally substituted by **ci**-
5 C_4 alkyl and/or optionally interrupted by $-O-$ or by $-NH-$;
 A is $-O-$; or $-NH-$;
 R'_{11} is **ci**- C_{22} alkyl, C_2 - C_{22} alkenyl, C_2 - C_{22} alkinyl, C_3 -
 C_{22} cycloalkyl or C_3 - C_{22} cycloalkenyl, which is optionally
interrupted by one or more than one O ;
10 for protecting body care products from photolytic and
oxidative degradation.