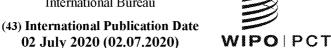
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## (54) Title: COMPOSITION FOR BRIGHTENING OR WHITENING KERATIN MATERIALS

(57) Abstract: Provided herein is a composition for brightening or whitening keratin materials in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising: (i) at least one skin brightening or whitening active ingredient selected from hydroxylated diphenylmethane derivatives; (ii) at least one oil selected from C4-C24 fatty acid ester of glycerol; and (v) at least one hydrophilic thickening agent selected from copolymer obtained from monomers possessing ethylenic unsaturation and possessing a sulpho group and other monomers possessing ethylenic unsaturation without a sulpho group. It also relates to a cosmetic process for brightening or whitening keratin materials, in particular the human skin.



## COMPOSITION FOR BRIGHTENING OR WHITENING KERATIN MATERIALS

# **TECHNICAL FIELD**

The present invention relates to a cosmetic composition. In particular, the present invention relates to a composition for brightening or whitening keratin materials, in particular human skin.

## **BACKGROUND ART**

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Human skin colour depends on various factors, and in particular on the seasons of the year, on race and on gender; it is mainly determined by the nature and the concentration of melanin produced by melanocytes. Melanocytes are specialized cells which synthesize melanin by means of specific organelles, melanosomes. In addition, at various times in their life, certain individuals experience the appearance of dark and/or coloured spots on the skin and more especially on the hands, which give the skin heterogeneity.

For various reasons, some of current compositions for caring for and/or making up keratin materials, in particular the skin, are in the form of a dispersion of the oil-in-water (O/W) type consisting of an aqueous phase and an oily phase.

O/W dispersions are the ones most sought in the cosmetics field, since they comprise an aqueous phase as the external phase, which gives them, when applied to the skin, a fresher, less greasy and lighter feel than W/O products.

However, due to their natural feature, the conventional oil-in-water dispersions are not totally satisfying, in particular in terms of instant and long-term brightening or whitening of the skin.

Efforts have been made to introduce silicone resins, styrene type copolymers in combination with pigments and whitening active agents, into the oil-in-water dispersions. However, the inventors found it difficult to obtain an oil-in-water dispersion with a good brightening or whitening on the skin, without oil depositing on the surface of product.

Therefore, there exists a need for formulating a composition to overcome the difficulties mentioned above.

In particular, there is a need to formulate a composition in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, with a brightening or whitening effect on keratin materials, without oil depositing on the surface of the dispersion.

## **SUMMARY OF THE INVENTION**

The inventors have found that such a need can be achieved by the present invention.

Thus, according to one aspect, the present invention relates to a composition for brightening or whitening keratin materials in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising:

(i) at least one skin brightening or whitening active ingredient selected from hydroxylated diphenylmethane derivatives;

- (ii) at least one oil selected from C4-C24 fatty acid ester of glycerol; and
- (iii) at least one hydrophilic thickening agent selected from copolymer obtained from monomers possessing ethylenic unsaturation and possessing a sulpho group and other monomers possessing ethylenic unsaturation without a sulpho group.

The composition according to the present invention is advantageous in several respects.

Firstly, the composition according to the present invention has a brightening or whitening effect to keratin materials, in particular human skin.

In addition, the composition of the present invention is uniform without oil depositing on the surface of the dispersion.

According to another aspect, the present invention also relates to a cosmetic process for brightening or whitening keratin materials, in particular human skin, comprising the step of applying the composition according to the present invention on the keratin materials.

For the purposes of the present invention, the term "keratin material" is intended to cover human skin, mucous membranes such as the lips, the nails. Human skin, in particular facial skin, is most particularly considered according to the present invention.

## **DETAILED DESCRIPTION OF THE INVENTION**

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In that which follows and unless otherwise indicated, the limits of a range of values are included within this range, in particular in the expressions "of between" and "ranging from ... to ...".

Moreover, the expression "at least one" used in the present description is equivalent to the expression "one or more".

Throughout the instant application, the term "comprising" is to be interpreted as encompassing all specifically mentioned features as well optional, additional, unspecified ones. As used herein, the use of the term "comprising" also discloses the embodiment wherein no features other than the specifically mentioned features are present (*i.e.* "consisting of").

According to one aspect, the present invention relates to a composition for brightening or whitening keratin materials in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising:

- (i) at least one skin brightening or whitening active ingredient selected from hydroxylated diphenylmethane derivatives;
  - (ii) at least one oil selected from C4-C24 fatty acid ester of glycerol; and
- (v) at least one hydrophilic thickening agent selected from copolymer obtained from monomers possessing ethylenic unsaturation and possessing a sulpho group and other monomers possessing ethylenic unsaturation without a sulpho group.

# Skin brightening or whitening active ingredient

The composition according to the present invention comprises at least one skin brightening or whitening active ingredient selected from hydroxylated diphenylmethane derivatives.

# **Hydroxylated diphenylmethane derivatives**

The hydroxylated diphenylmethane derivative that can be used in the composition of the present invention is preferably selected from those of formula (1) below:

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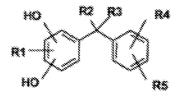
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(1)

in which:

 $R_1$  is selected from a hydrogen atom, a methyl group, a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 4 carbon atoms, an -OH group and a halogen,

 $R_2$  is selected from a hydrogen atom, a methyl group, and a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms,

 $R_3$  is selected from a methyl group or a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms,

 $R_4$  and  $R_5$  are, independently of one another, selected from a hydrogen atom, a methyl group, a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms, an -OH group or a halogen.

The –OH,  $R_1$ ,  $R_4$  and  $R_5$  groups may be in the ortho-, meta- or para-position with respect to the bond formed with the carbon linking the two aromatic nuclei to one another.

According to one preferred embodiment of the present invention, use is made of a compound of formula (1) in which:

- R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> denote a hydrogen atom;
- R<sub>3</sub> is a methyl group;
- the –OH groups are in the ortho- and para-position with respect to the bond formed with the carbon linking the two aromatic nuclei to one another.

This compound corresponds to formula (2) below:

(2)

known as 4-(1-phenylethyl)-1,3-benzenediol or 4-(1-phenylethyl)-1,3-dihydroxybenzene or otherwise known as phenylethyl resorcinol or phenylethylbenzenediol or styryl resorcinol. This compound has a CAS number 85-27-8. Such a compound is sold under the name Symwhite 377® or Bio 377 by the company Symrise.

If presents, the hydroxylated diphenylmethane derivative is present in amount ranging from 0.1 wt.% to 2 wt.%, preferably from 0.1 wt.% to 1 wt.%, more preferably from 0.1 wt.% to 0.5 wt.%, relative to the total weight of the composition.

# 10 Flavonoids

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According to a preferred embodiment according to the present invention, the composition further comprises at least one flavonoid as skin brightening or whitening active ingredient.

Flavonoids are a specific group of polyphenols, and are the most plentiful group of polyphenol compounds, making up about two-thirds of the total phenols in consumed feed. Flavonoids are further categorized, according to chemical structure, into chalcones, flavones, flavanones, flavanols, flavonols, dihydroflavonols, isoflavonoids, neoflavonoids, catechins, anthocyanidins, and tannins. Over 4,000 flavonoids have been identified, many of which occur in fruits, vegetables and beverages (tea, coffee, beer, wine and fruit drinks). The flavonoids have been reported to have antiviral, anti-allergic, antiplatelet, anti-inflammatory, antitumor and antioxidant activities. Flavonoids protect lipids and vital cell components from damaging oxidative stress by efficiently scavenging free radicals.

Preferably, the flavonoid used is flavone.

Baicalin, a component of Chinese medicinal herb Huang-chin, is a flavone, a type of flavonoid. It is a potent antioxidant that demonstrates potent effects against oxidative stress diseases, inflammation, allergy, cancer, bacterial infections, etc.

Baicalin is found in several species in the genus Scutellaria, including Scutellaria baicalensis and Scutellaria lateriflora. There are 10 mg/g baicalin in Scutellaria galericulata leaves. It is also present in the bark isolate of the Oroxylum indicum tree.

In one embodiment according to the present invention, baicalin is used in the form of scutellaria baiclensis root extract.

If presents, the flavonoid is present in an amount ranging from 0.1 wt.% to 2 wt.%, preferably from 0.1 wt.% to 1 wt.%, more preferably from 0.1 wt.% to 0.5 wt.%, relative to the total weight of the composition.

# **Hydrotrope**

According to a preferred embodiment according to the present invention, the composition further comprises at least one hydrotrope.

A single type of hydrotrope may be used, but two or more different types of hydrotrope may be used in combination.

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Hydrotropes may be a diverse class of compounds characterized by an amphiphilic molecular structure and ability to dramatically increase the solubility of poorly soluble organic molecules in water. Many hydrotropes have aromatic structure with an ionic moiety, while some of them are linear alkyl chains, as listed in the table below. Although hydrotropes noticeably resemble surfactants and have the ability to reduce surface tension, their small hydrophobic units and relatively shorter alkyl chain distinguish them as a separate class of amphiphiles.

Common hydrotropic molecules include: sodium 1,3-benzenedisulfonate, sodium benzoate, sodium 4-pyridinecarboxylate, sodium salicylate, sodium benzene sulfonate, caffeine, sodium p-toluene sulfonate, sodium butyl monoglycolsulfate, 4-aminobenzoic acid HCl, sodium cumene sulfonate, *N*,*N*-diethylnicotinamide, *N*-picolylnicotinamide, N-allylnicotinamide, 2-methacryloyloxyethyl phosphorylcholine, resorcinol, butylurea, pyrogallol, N-picolylacetamide 3.5, procaine HCl, proline HCl, nicotinamide, pyridine, 3-picolylamine, sodium ibuprofen, sodium xylenesulfonate, ethyl carbamate, pyridoxal hydrochloride, sodium benzoate, 2-pyrrolidone, ethylurea, N,N-dimethylacetamide, N-methylacetamide, and isoniazid. Hydrotropes can be found in Lee J. et al., "Hydrotropic Solubilization of Paclitaxel: Analysis of Chemical Structures for Hydrotropic Property", Pharmaceutical Research, Vol. 20, No. 7, 2003; and Hodgon T.K., Kaler E.W., "Hydrotropic Solutions", Current Opinion in Colloid and Interface Science, 12, 121-128, 2007.

Cosmetically acceptable hydrotropes are preferable hydrotropes that can be used in cosmetic compositions. While hydrotropes represent a broad class of molecules used in various fields, cosmetic applications will be limited due to safety and tolerance restrictions. Preferred hydrotropes in cosmetics are listed as below:

Name of hydrotropes	Structure
Nicotinamide (Vitamin B3)	N NH2
Caffeine	CH3 CH3 CH4x
Sodium PCA	

Sodium Salicylate	***
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The suitability of a hydrotrope for use in cosmetic compositions can be determined using tests known in the art for determining effects of compounds on skin, and bioavailability methods.

An advantage of using hydrotropes is, once a stable solution is obtained, further dilution doesn't influence the stability of the solution. This is very different from organic solvents that are commonly used to increase the water solubility of actives. Typically, an aqueous dilution of organic solvents with pre-dissolved actives results in crystallization or precipitation.

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The hydrotrope may have a logP being from -0.7 to 6, preferably from -0.7 to 1.0, preferably from -0.5 to 0.7 for non-ionic hydrotropes, and preferably from -0.7 to 5.5 for ionic hydrotropes (e.g. acidic hydrotropes).

Formulator will adjust pH in order to reach the best state of transparency with hydrotropes.

A logP value is a value for the base-ten logarithm of the apparent octan-1-ol/water partition coefficient. The logp values are known and are determined by a standard test which determines the concentration of the (c) compound in octan-1-ol and water. The log P may be calculated according to the method described in the article by Meylan and Howard: *Atom/Fragment contribution method for estimating octanol-water partition coefficients*, J. Pharm. Sci., 84: 83-92, 1995. This value may also be calculated using numerous commercially available software packages, which determine the log P as a function of the structure of a molecule. By way of example, mention may be made of the Epiwin software from the United States Environmental Agency.

The values may especially be calculated using the ACD (Advanced Chemistry Development) Solaris software V4.67; they may also be obtained from Exploring QSAR: hydrophobic, electronic and steric constants (ACS professional reference book, 1995). There is also an Internet site which provides estimated values (address: http://esc.syrres.com/interkow/kowdemo.htm).

It is preferable that the hydrotrope be selected from the group consisting of oxothiazolidinecarboxylic acid, Vitamin B3 and derivatives thereof, preferably niacinamide, xanthine bases, preferably caffeine, camphor benzalkonium methosulfate, ellagic acid, hydroxyphenoxy propionic acid, diethyllutidinate, terephthalylidene dicamphor sulfonic acid, ferulic acid, salicylic acid, phloretine, acetyl trifluoromethylphenyl valylglycine, resveratrol, 4-butylresorcinol, apigenin, phenylethyl resorcinol, prasterone, benzophenone-3, butyl methoxydibenzoylmethane, capryloyl salicylic acid, ethylhexyl salicylate, and jasmonic acid derivatives, preferably sodium tetrahydrojasmonate. Vitamin B3 and derivatives thereof,

xanthine bases such as caffeine, and jasmonic acid derivatives, which are described below in more detailed manner, are more preferable.

(Vitamin B3 and Derivatives Thereof)

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Vitamin B3, also called vitamin PP, is a compound of the following formula (3):

in which R may be -CONH<sub>2</sub> (niacinamide), -COOH (nicotinic acid or niacin), or CH<sub>2</sub>OH (nicotinyl alcohol), -CO-NH-CH<sub>2</sub>-COOH (nicotinuric acid) or -CO-NH-OH (niconityl hydroxamic acid). Niacinamide is preferable.

Vitamin B3 derivatives that may be mentioned include, for example, nicotinic acid esters such as tocopherol nicotinate, amides derived from niacinamide by substitution of the hydrogen groups of -CONH<sub>2</sub>, products from reaction with carboxylic acids and amino acids, esters of nicotinyl alcohol and of carboxylic acids such as acetic acid, salicyclic acid, glycolid acid or palmitic acid.

Mention may also be made of the following derivatives: 2-chloronicotinamide, 6-methylnicotinamide, 6-aminonicotinamide, N-methylnicotinamide, N,N-dimethylnicotinamide, N-(hydroxymethyl)nicotinamide, quinolinic acid imide, nicotinanilide, N-benzylnicotinamide, N-ethylnicotinamide, nifenazone, nicotinaldehyde, isonicotinic acid, methylisonicotinic acid, thionicotinamide, nialamide, 2-mercaptonicotinic acid, nicomol and niaprazine, methyl nicotinate and sodium nicotinate.

Other vitamin B3 derivatives that may also be mentioned include its inorganic salts, such as chlorides, bromides, iodides or carbonates, and its organic salts, such as the salts obtained by reaction with carboxylic acids, such as acetate, salicylate, glycolate, lactate, malate, citrate, mandelate, tartrate, etc.

It is preferable that the Vitamin B3 or a derivative thereof has a log P being from -0.7 to 6, preferably from -0.6 to 5, more preferably -0.5 to 4.

(Xanthine Base)

Among the xanthine bases which may be used according to the present invention, mention may be made of: caffeine, theophylline, theobromine, acefylline, xanthinol nicotinate, diniprophylline, diprophylline, etamiphylline and its derivatives, etophylline, proxyphylline, pentophylline, propentophylline, pyridophylline, and bamiphylline, without this list being limiting.

It is preferable that the xanthine base be selected from the group consisting of caffeine, theophylline, theobromine, acefylline and mixtures thereof. These xanthine bases are known as inhibitors of phosphodiesterase, which is the enzyme responsible for the degradation of

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cAMP. By increasing the intracellular content of cAMP, these xanthine bases promote lipolytic activity and thus constitute first-rate slimming active agents.

As examples of plant extracts containing xanthine bases, mention may be made in particular of extracts of tea, of coffee, of guarana, of Paraguay tea, and of cola, without this list being limiting.

It is preferable that the xanthine base has a log P being from -0.7 to 6, preferably from -0.6 to 5, more preferably -0.5 to 4, and even more preferably from -0.3 to 2.

(Jasmonic Acid Derivative)

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The jasmonic acid derivative is a compound selected from those corresponding to the following formula (4):

in which:  $R_1$  represents a COOR $_3$  radical,  $R_3$  denoting a hydrogen atom or a  $C_1$ - $C_4$  alkyl radical optionally substituted by one or more hydroxyl groups;  $R_2$  represents a hydrocarbon radical which is saturated or unsaturated, which is linear and which has from 1 to 18 carbon atoms or which is branched or cyclic and which has from 3 to 18 carbon atoms; and their optical isomers, and corresponding salts.

Preferably,  $R_1$  denotes a radical selected from -COOH, -COOMe (Me: methyl group), -COO-CH<sub>2</sub>-CH<sub>3</sub>, -COO-CH<sub>2</sub>-CH(OH)-CH<sub>2</sub>OH, -COOCH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>OH or -COOCH<sub>2</sub>-CH(OH)-CH<sub>3</sub>. Preferably  $R_1$  denotes a -COOH radical.

Preferably,  $R_2$  denotes a saturated or unsaturated linear hydrocarbon radical preferably having from 2 to carbon atoms. In particular,  $R_2$  can be a pentyl, pentenyl, hexyl or heptyl radical.

According to one embodiment, the compound of formula (I) is selected from 3-hydroxy-2-[(2Z)-2-pentenyl]cyclopentaneacetic acid or 3-hydroxy-2-pentylcyclopentaneacetic acid and is preferably 3-hydroxy-2-pentylcyclopentaneacetic acid.

The salts of the compounds which can be used according to the present invention are chosen in particular from alkali metal salts, for example sodium or potassium salts; alkaline earth metal salts, for example calcium, magnesium or strontium salts; metal salts, for example zinc, aluminum, manganese or copper salts; salts of ammonium of formula NH<sub>4</sub><sup>+</sup>; quaternary ammonium salts; organic amine salts, such as, for example, methylamine, dimethylamine, trimethylamine, triethylamine, ethylamine, 2-hydroxyethylamine, bis(2-hydroxyethyl)amine or tris(2-hydroxyethyl)amine salts; or lysine or arginine salts. Use is preferably made of salts selected from sodium, potassium, calcium, magnesium, strontium, copper, manganese or zinc salts.

It is preferable to use the following compound as the jasmonic acid derivative (Mexoryl SBO).

It is preferable that the jasmonic acid derivative has a log P being from -0.7 to 6, preferably from -0.6 to 5, more preferably -0.5 to 4.

Preferably, the hydrotrope is present in an amount ranging from 0.1 wt.% to 10 wt.%, preferably from 0.5 wt.% to 8 wt.%, more preferably from 1 wt.% to 5 wt.%, relative to the total weight of the composition.

# Oil selected from C4-C24 fatty acid ester of glycerol

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The composition according to the present invention comprises at least one oil selected from C4-C24 fatty acid esters of glycerol.

The C4-C24 fatty acid esters of glycerol may be of plant or synthetic origin. The C4-C24 fatty acid may have linear or branched, saturated or unsaturated chain.

The oil is especially heptanoic or octanoic acid triglycerides, or alternatively wheatgerm oil, sunflower oil, grapeseed oil, sesame seed oil, corn oil, apricot oil, castor oil, shea oil, avocado oil, olive oil, soybean oil, sweet almond oil, palm oil, rapeseed oil, cottonseed oil, hazelnut oil, macadamia oil, jojoba oil, alfalfa oil, poppy oil, pumpkin oil, marrow oil, blackcurrant oil, evening primrose oil, millet oil, shea butter, or caprylic/capric acid triglycerides, for instance those sold by the company Stéarineries Dubois or those sold under the names of Miglyol 810°, 812° and 818° by the company Dynamit Nobel.

Preferably, the oil selected from C4-C24 fatty acid ester of glycerol is present in an amount ranging from 0.1 wt.% to 10.0 wt.%, preferably from 0.2 wt.% to 5.0 wt.%, more preferably from 0.5 wt.% to 3.0 wt.%, relative to the total weight of the composition.

## Hydrophilic thickening agent

The composition according to the present invention comprises at least one hydrophilic thickening agent selected from copolymer obtained from monomers possessing ethylenic unsaturation and possessing a sulpho group and other monomers possessing ethylenic unsaturation without a sulpho group.

The hydrophilic thickening agent is preferably anionic.

The hydrophilic thickening agent used in the composition of the present invention is soluble or dispersible or swellable in water. The hydrophilic thickening agent used in accordance with the present invention can be in the free form or in the partially or completely neutralized form. These polymers can optionally comprise at least one

hydrophobic group and can then constitute an amphiphilic polymer (or hydrophobic modified polymer).

Preferably, the hydrophilic thickening agent in accordance with the present invention can be partially or completely neutralized by an inorganic base (sodium hydroxide, potassium hydroxide, aqueous ammonia) or an organic base, such as mono-, di- or triethanolamine, an aminomethylpropanediol, N-methylglucamine, basic amino acids, such as arginine and lysine, and the mixtures of these compounds. They are generally neutralized. The term "neutralized" is understood to mean, in the present invention, polymers which are completely or virtually completely neutralized, that is to say neutralized to at least 90%.

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The hydrophilic thickening agent used in the composition of the present invention generally has a number-average molecular weight ranging from 1000 to 20 000 000 g/mol, preferably from 20 000 to 5 000 000 g/mol and more preferably still from 100 000 to 1 500 000 g/mol.

The monomers possessing ethylenic unsaturation and possessing a sulpho group are selected in particular from vinylsulphonic acid, styrenesulphonic acid, (meth)acrylamido( $C_1$ - $C_{22}$ )alkylsulphonic acids, N-( $C_1$ - $C_{22}$ )alkyl(meth)acrylamido( $C_1$ - $C_{22}$ )alkylsulphonic acids, such as undecylacrylamidomethanesulphonic acid, and also their partially or completely neutralized forms, and their mixtures.

According to a preferred embodiment of the invention, the monomers ethylenic unsaturation and possessing a sulpho group are selected from (meth) acrylamido ( $C_1$ - $C_{22}$ ) alkylsulphonic acids, such as, for example, acrylamidomethanesulphonic acid, acrylamidoethanesulphonic acid, acrylamidopropanesulphonic acid, 2-acrylamido-2-methylpropanesulphonic acid, 2-methacrylamido-2-methylpropanesulphonic acid, 2-acrylamido-2,4,4-trimethylpentanesulphonic acid, 2-methacrylamidododecylsulphonic acid, 2-acrylamido-2,6-dimethyl-3-heptanesulphonic acid, and also their partially or completely neutralized forms, and their mixtures.

Use is more particularly made of 2-acrylamido-2-methylpropanesulphonic acid (AMPS) and of its partially or completely neutralized forms.

The monomers possessing ethylenic unsaturation without a sulpho group can be selected from hydrophilic monomers possessing ethylenic unsaturation.

The hydrophilic monomers possessing ethylenic unsaturation can be selected, for example, from (meth)acrylic acids, their alkyl derivatives substituted at the  $\beta$  position or their esters obtained with monoalcohols or mono- or polyalkylene glycols, (meth)acrylamides, vinylpyrrolidone, vinylformamide, maleic anhydride, itaconic acid, maleic acid or the mixtures of these compounds.

The copolymers according to the present invention may or may not be crosslinked.

When the copolymers are crosslinked, the crosslinking agents can be selected from the compounds possessing polyolefinic unsaturation commonly used for the crosslinking of polymers obtained by radical polymerization.

Mention may be made, for example, as crosslinking agents, of divinylbenzene, diallyl ether, dipropylene glycol diallyl ether, polyglycol diallyl ethers, triethylene glycol divinyl ether, hydroquinone diallyl ether, ethylene glycol or tetraethylene glycol di(meth)acrylate, trimethylolpropane triacrylate, methylenebisacrylamide, methylenebismethacrylamide, triallylamine, triallyl cyanurate, diallyl maleate, tetraallylethylenediamine, tetraallyloxyethane, trimethylolpropane diallyl ether, allyl (meth)acrylate, allyl ethers of alcohols of the series of the sugars, or other allyl or vinyl ethers of polyfunctional alcohols, and allyl esters of phosphoric and/or vinylphosphonic acid derivatives, or the mixtures of these compounds.

According to a preferred embodiment of the invention, the crosslinking agent is selected from methylenebisacrylamide, allyl methacrylate or trimethylolpropane triacrylate (TMPTA). The degree of crosslinking generally ranges from 0.01 mol % to 10 mol % and more particularly from 0.2 to 2 mol %, with respect to the polymer.

The hydrophilic thickening agent used in the composition according to the present invention can be selected in particular from (1) crosslinked anionic copolymers of acrylamide or methacrylamide and of 2-acrylamido-2-methylpropanesulphonic acid, in particular those which are provided in the form of a W/O emulsion, such as those sold under the name of Sepigel 305 by Seppic (CTFA name: Polyacrylamide/C13-14 Isoparaffin/Laureth-7) or under the name of Simulgel 600 by Seppic (CTFA name: Acrylamide/Sodium acryloyldimethyltaurate copolymer/Isohexadecane/Polysorbate 80), (2) copolymers of (meth)acrylic acid or of (meth)acrylate and of 2-acrylamido-2-methylpropanesulphonic acid, in particular those which are provided in the form of a W/O emulsion, such as those sold under the name of Simulgel NS by Seppic (sodium 2-acrylamido-2-methylpropanesulphonate/hydroxyethyl acrylate copolymer as a 40% inverse emulsion in Polysorbate 60 and squalane) (CTFA name: hydroxyethyl acrylate/sodium acryloyldimethyltaurate copolymer/squalane/polysorbate 60) or under the name of Simulgel EG by Seppic (acrylic acid/2-acrylamido-2methylpropanesulphonic acid in the form of a sodium salt copolymer as a 45% inverse emulsion in isohexadecane/water) (CTFA name: Sodium Acrylate/Sodium Acryloyldimethyltaurate Copolymer/Isohexadecane/Polysorbate 80), and (3) copolymers of 2acrylamido-2-methylpropanesulphonic acid and of vinylpyrrolidone or of vinylformamide, such as the products sold under the Aristoflex AVC names by Clariant.

In a preferred embodiment, the hydrophilic thickening polymer used is selected from crosslinked anionic copolymers of acrylamide or methacrylamide and of 2-acrylamido-2-methylpropanesulphonic acid.

Preferably, the hydrophilic thickening agent is present in amount ranging from 0.1 wt.% to 4 wt.%, preferably from 0.3 wt.% to 2 wt.%, and more preferably from 0.3 wt.% to 1.2 wt.%, relative to the total weight of the composition.

# **Aqueous phase**

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The composition of the present invention comprises at least one aqueous phase.

The aqueous phase of the composition according to the present invention comprises water and optionally one or more water-miscible or at least partially water-miscible compounds, for instance  $C_2$  to  $C_8$  lower polyols or monoalcohols, such as ethanol and isopropanol.

The term "polyol" should be understood as meaning any organic molecule comprising at least two free hydroxyl groups. Examples of polyols that may be mentioned include glycols, for instance butylene glycol, propylene glycol, and isoprene glycol, caprylyl glycol, glycerol(i.e. glycerin) and polyethylene glycols.

The aqueous phase may also comprise any common water-soluble or water-dispersible additive as mentioned below.

The aqueous phase may represent from 30 wt.% to 98 wt.%, preferably from 30 wt.% to 95 wt.%, better still from 50 wt.% to 90 wt.% and even better still from 60 wt.% to 90 wt.% relative to the total weight of the composition.

# 15 Oily phase

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The composition according to this invention comprises at least one oily phase.

Preferably, the oily phase is dispersed oily phase.

The oily phase may further comprise, in addition to the oil selected from C4-C24 fatty acid ester of glycerol as disclosed above, additional oil(s).

The term "oil" refers to any fatty body in liquid form at room temperature (20-25°C) and atmospheric pressure. These oils may be of animal, plant, mineral or synthetic origin.

The oils may be volatile or non-volatile.

The term "volatile oil" refers to any non-aqueous medium capable of evaporating from the skin or lips, in less than one hour, at room temperature (20-25°C) and atmospheric pressure (760 mmHg). The volatile oil is a volatile cosmetic oil, liquid at room temperature. More specifically, a volatile oil has an evaporation rate of between 0.01 and 200mg/cm²/min, inclusive.

The term "non-volatile oil" is intended to mean an oil remaining on the skin or lips at ambient temperature and atmospheric pressure. More specifically, a non-volatile oil has an evaporation rate strictly below 0.01 mg/cm<sup>2</sup>/min.

To measure this evaporation rate, 15g of oil or a mixture of oils to be tested are introduced into a crystallizer, 7cm in diameter, placed on a scale located in a large  $0.3\text{m}^3$  chamber temperature-controlled at a temperature of 25°C, and humidity-controlled with a relative humidity of 50%. The liquid is left to evaporate freely, without stirring, by providing ventilation with a fan (PAPST-MOTOREN, reference 8550 N, rotating at 2700 rpm) positioned vertically above the crystallizer containing the solvent, with the blades directed toward the crystallizer and at a distance of 20cm from the base of the crystallizer. The mass of oil remaining in the crystallizer is measured at regular intervals. The evaporation rates are expressed in mg of oil evaporated per surface area unit (cm²) and per time unit (minute).

The oils that are suitable for the present invention may be hydrocarbon-based, silicone-based or fluorine-based.

According to the invention, the term "silicone oil" refers to an oil including at least one silicon atom, and in particular at least on Si-O group.

The term "fluorine oil" refers to an oil including at least one fluorine atom.

The term "hydrocarbon oil" refers to an oil containing primarily hydrogen and carbon atoms.

The oils may optionally include oxygen, nitrogen, sulfur and/or phosphorus atoms, for example, in the form of hydroxyl or acid radicals.

Preferably, the oily phase including the oil selected from C4-C24 fatty acid ester of glycerol is present in an amount of less than 25 wt.%, preferably from 0.1 wt.% to 20 wt.%, more preferably from 0.5 wt.% to 10 wt.%, relative to the total weight of the composition.

## **Additives**

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In a known manner, the composition of the present invention may also contain one or more additives that are common in cosmetics or dermatology.

Examples of adjuvants that may be mentioned include gelling agents, active agents, preserving agents, antioxidants, fragrances, solvents, salts, sunscreens (= UV-screening agents), additional dyestuffs, basic agents (triethanolamine, diethanolamine or sodium hydroxide), and mixtures thereof.

These additives are used in the usual proportions in the cosmetics field, for example from 0.01% to 30% of the total weight of the composition, and, depending on their nature, they are introduced into the aqueous phase of the composition or into the oily phase, or alternatively into vesicles or any other type of vector.

These additives and the concentrations thereof must be such that they do not modify the desired properties for the composition of the present invention.

According to a preferred embodiment, the present invention relates to a composition for brightening or whitening keratin materials in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising, relative to the total weight of the composition:

(i) as skin brightening or whitening active ingredients:

from 0.1 wt.% to 0.5 wt.% of at least one hydroxylated diphenylmethane derivatives selected from those of formula (1) below:

(1)

in which:

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- R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> denote a hydrogen atom;

- R<sub>3</sub> is a methyl group;

- the –OH groups are in the ortho- and para-position with respect to the bond formed with the carbon linking the two aromatic nuclei to one another; and

from 0.1 wt.% to 0.5 wt.% of at least one flavone;

(ii) from 0.5 wt.% to 3.0 wt.% of at least one oil selected from heptanoic triglyceride, octanoic acid triglyceride, wheatgerm oil, sunflower oil, grapeseed oil, sesame seed oil, corn oil, apricot oil, castor oil, shea oil, avocado oil, olive oil, soybean oil, sweet almond oil, palm oil, rapeseed oil, cottonseed oil, hazelnut oil, macadamia oil, jojoba oil, alfalfa oil, poppy oil, pumpkin oil, marrow oil, blackcurrant oil, evening primrose oil, millet oil, shea butter and caprylic/capric acid triglycerides;

(iii) from 0.3 wt.% to 1.2 wt.% of at least one hydrophilic thickening agent selected from crosslinked anionic copolymers of acrylamide or methacrylamide and of 2-acrylamido-2-methylpropanesulphonic acid, copolymers of (meth)acrylic acid or of (meth)acrylate and of 2-acrylamido-2-methylpropanesulphonic acid and copolymers of 2-acrylamido-2-methylpropanesulphonic acid and of vinylpyrrolidone or of vinylformamide; and

(iv) from 1 wt.% to 5 wt.% of at least one hydrotrope selected from oxothiazolidinecarboxylic acid, Vitamin B3 and derivatives thereof, preferably niacinamide, xanthine bases, preferably caffeine, camphor benzalkonium methosulfate, ellagic acid, hydroxyphenoxy propionic acid, diethyllutidinate, terephthalylidene dicamphor sulfonic acid, ferulic acid, salicylic acid, phloretine, acetyl trifluoromethylphenyl valylglycine, resveratrol, 4-butylresorcinol, apigenin, phenylethyl resorcinol, prasterone, benzophenone-3, butyl methoxydibenzoylmethane, capryloyl salicylic acid, ethylhexyl salicylate, and jasmonic acid derivatives, preferably sodium tetrahydrojasmonate.

Preferably the composition of the present invention is for example in the form of a lotion, cream, gel or liquid foundation, more preferably in the form of a gel, and they are prepared according to the conventional methods in the cosmetic field.

Advantageously, the composition of the present invention is translucent.

By "translucent composition", it means a composition having a turbidity value less or equal to 2000NTU after diluted 20 times, with NTU meaning Nephelometric Turbidity Unit.

The turbidity may be measured according to the following protocol:

The samples of the composition to be tested are loaded in a lab turbidimeter sample cell and the turbidity value is measured with a Hach Turbidimeter 2100AN, according to the instructions of the manufacture.

# 5 Method and use

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The composition according to the present invention is intended for topical application and can especially constitute a composition intended for brightening or whitening keratin materials, and especially human skin.

Thus, in another aspect, the present invention relates to a cosmetic process for brightening or whitening keratin materials, in particular skin, comprising the step of applying the composition as defined above to the keratin materials.

The present invention is illustrated in greater detail by the examples described below, which are given as non-limiting illustrations.

The percentages are weight percentages by active ingredient, or active matters.

In the examples that follow, the weight percentages are indicated relative to the total weight of the composition.

# **Examples**

Example 1: Preparation of the composition according to invention and comparative formulas

The compositions according to invention formula (inv.) and comparative formulas (comp.) listed in below table were prepared:

INIC Name	Comp.1	Comp.2	Comp.3	Inv.
INIC Name	(% w/w)	(% w/w)	(% w/w)	(% w/w)
DIPROPYLENE GLYCOL	5	5	5	5
ETHANOL	5	5	5	5
PEG-20 METHYL GLUCOSE	0.2	0.2	0.2	0.2
SESQUISTEARATE				
CAFFEINE	0.8	0.8	0.8	0.8
NIACINAMIDE	0.92	0.92	0.92	0.92
SCUTELLARIA BAICALENSIS ROOT	0.2	0.2	0.2	0.2
EXTRACT				
SODIUM HYDROXIDE	0.02	0.022	0.028	0.028
XANTHAN GUM	0.2	0.2	0.2	0.2
CAPRYLIC/CAPRIC TRIGLYCERIDE		1	1	1
ISOPROPYL LAUROYL	0.75			
SARCOSINATE				

INIC Name	Comp.1	Comp.2	Comp.3	lnv.
inic name	(% w/w)	(% w/w)	(% w/w)	(% w/w)
PHENYLETHYL RESORCINOL	0.3	0.3	0.3	0.3
AMMONIUM				
POLYACRYLOYLDIMETHYL	-	-	1	-
TAURATE				
POLYACRYLAMIDE	-	0.57	-	-
ACRYLAMIDE/SODIUM				
ACRYLOYLDIMETHYLTAURATE	0.68	-	-	0.68
COPOLYMER				
WATER	Qs to 100	Qs to 100	Qs to 100	Qs to 100

Note: the amount of SCUTELLARIA BAICALENSIS ROOT EXTRACT is calculated by weight of baicalin.

Ingredients for Phase A: DIPROPYLENE GLYCOL, PEG-20 METHYL GLUCOSE SESQUISTEARATE, WATER.

Ingredients for Phase B: CAPRYLIC/CAPRIC TRIGLYCERIDE (or ISOPROPYL LAUROYL SARCOSINATE), PHENYLETHYL RESORCINOL, BIS-PEG/PPG-16/16 PEG/PPG-16/16 DIMETHICONE (and) CAPRYLIC/CAPRIC TRIGLYCERIDE.

# 10 Preparation process:

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- 1, Adding all ingredients for phase A to a beaker, heating to 75°C, stirringing until dissolved;
  - 2. adding Xanthan gum into phase A, until homogenized;
  - 3. heating all ingredients for phase B to 80 °C, mix until dissolved;
- 4 adding phase B into phase A, homogenized 15 minutes;
  - 5, adding polymer ACRYLAMIDE/SODIUM ACRYLOYLDIMETHYLTAURATE COPOLYMER (or AMMONIUM POLYACRYLOYLDIMETHYL TAURATE, or POLYACRYLAMIDE), stirring until homogeneous;
    - 6, cooling down to 28°C;
- 7, mixing Ethanol until dissolved, and introducing it into the beaker.

# Example 2: evaluation of the composition invention and comparative formulas

Whether there is oil depositing on the surface of the compositions according to comparative formulas and invention formula was observed by naked eyes.

The turbidity value of the compositions according to comparative formulas and invention formula was measured by HACH TURBIDIMETER 2100AN.

The results were listed in below table.

	Comp. 1	Comp. 2	Comp. 3	Inv.
CTA DILITY	Oil	Oil	Oil	Without oil
	depositing	depositing	depositing	depositing
STABILITY	on the	on the	on the	on surface
	surface	surface	surface	
TURBIDITY(NTU)	N/A	N/A	N/A	2000

N/A: not tested.

The composition according to invention formula has a translucent appearance with a turbidity value of 2000NTU and there is no oil depositing on the surface of the composition.

# **CLAIMS**

1. A composition for brightening or whitening keratin materials in the form of an oilin-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising:

- (i) at least one skin brightening or whitening active ingredient selected from hydroxylated diphenylmethane derivatives;
  - (ii) at least one oil selected from C4-C24 fatty acid ester of glycerol; and
- (iii) at least one hydrophilic thickening agent selected from copolymer obtained from monomers possessing ethylenic unsaturation and possessing a sulpho group and other monomers possessing ethylenic unsaturation without a sulpho group.
- 2. Composition of claim 1, wherein the hydroxylated diphenylmethane derivatives are selected from those of formula (1) below:

(1)

in which:

 $R_1$  is selected from a hydrogen atom, a methyl group, a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 4 carbon atoms, an -OH group and a halogen,

 $R_2$  is selected from a hydrogen atom, a methyl group, and a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms,

 $R_3$  is selected from a methyl group or a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms,

 $R_4$  and  $R_5$  are, independently of one another, selected from a hydrogen atom, a methyl group, a saturated or unsaturated, linear or branched hydrocarbon chain containing from 2 to 5 carbon atoms, an -OH group or a halogen.

- 3. Composition of claim 1 or 2, wherein the hydroxylated diphenylmethane derivative is present in amount ranging from 0.1 wt.% to 2 wt.%, more preferably from 0.1 wt.% to 1 wt.%, even more preferably from 0.1 wt.% to 0.5 wt.%, relative to the total weight of the composition.
- 4. Composition of any one of claims 1 to 3, wherein the at least one oil is selected from heptanoic triglyceride, octanoic acid triglyceride, wheatgerm oil, sunflower oil, grapeseed oil, sesame seed oil, corn oil, apricot oil, castor oil, shea oil, avocado oil, olive oil, soybean oil, sweet almond oil, palm oil, rapeseed oil, cottonseed oil, hazelnut oil, macadamia oil, jojoba oil, alfalfa oil, poppy oil, pumpkin oil, marrow oil, blackcurrant oil, evening primrose oil, millet oil, shea butter and caprylic/capric acid triglycerides.
  - 5. Composition of any one of claims 1 to 4, wherein the oil selected from C4-C24 fatty

acid ester of glycerol is present in an amount ranging from 0.1 wt.% to 10.0 wt.%, preferably from 0.2 wt.% to 5.0 wt.%, more preferably from 0.5 wt.% to 3.0 wt.%, relative to the total weight of the composition.

- 6. Composition of any one of claims 1 to 5, wherein the hydrophilic thickening agent is selected from crosslinked anionic copolymers of acrylamide or methacrylamide and of 2-acrylamido-2-methylpropanesulphonic acid, copolymers of (meth)acrylic acid or of (meth)acrylate and of 2-acrylamido-2-methylpropanesulphonic acid and copolymers of 2-acrylamido-2-methylpropanesulphonic acid and of vinylpyrrolidone or of vinylformamide.
- 7. The composition according to any one of claims 1 to 6, wherein the hydrophilic thickening agent is present in amount ranging from 0.1 wt.% to 4 wt.%, preferably from 0.3 wt.% to 2 wt.%, and more preferably from 0.3 wt.% to 1.2 wt.%, relative to the total weight of the composition.
- 8. Composition according to any one of claims 1 to 7, wherein the composition further comprises at least one flavonoid as skin brightening or whitening active ingredient.
- 9. Composition according to claim 8, wherein the flavonoid is selected from chalcones, flavones, flavanones, flavanols, flavonols, dihydroflavonols, isoflavonoids, neoflavonoids, catechins, anthocyanidins, and tannins.
- 10. Composition according to any one of claims 1 to 9, wherein the composition further comprises at least one hydrotrope.
- 11. Composition according to claim 10, wherein the hydrotrope is selected from the group consisting of oxothiazolidinecarboxylic acid, Vitamin B3 and derivatives thereof, preferably niacinamide, xanthine bases, preferably caffeine, camphor benzalkonium methosulfate, ellagic acid, hydroxyphenoxy propionic acid, diethyllutidinate, terephthalylidene dicamphor sulfonic acid, ferulic acid, salicylic acid, phloretine, acetyl trifluoromethylphenyl valylglycine, resveratrol, apigenin, prasterone, benzophenone-3, butyl methoxydibenzoylmethane, capryloyl salicylic acid, ethylhexyl salicylate, and jasmonic acid derivatives, preferably sodium tetrahydrojasmonate.
- 12. A composition for brightening or whitening keratin materials in the form of an oil-in-water dispersion, comprising an oily phase dispersed in an aqueous phase, and comprising, relative to the total weight of the composition:
  - (i) as skin brightening or whitening active ingredients:

from 0.1 wt.% to 0.5 wt.% of at least one hydroxylated diphenylmethane derivatives selected from those of formula (1) below:

(1)

in which:

- R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> denote a hydrogen atom;
- R<sub>3</sub> is a methyl group;
- the –OH groups are in the ortho- and para-position with respect to the bond formed with the carbon linking the two aromatic nuclei to one another; and

from 0.1 wt.% to 0.5 wt.% of at least one flavone;

- (ii) from 0.5 wt.% to 3.0 wt.% of at least one oil selected from heptanoic triglyceride, octanoic acid triglyceride, wheatgerm oil, sunflower oil, grapeseed oil, sesame seed oil, corn oil, apricot oil, castor oil, shea oil, avocado oil, olive oil, soybean oil, sweet almond oil, palm oil, rapeseed oil, cottonseed oil, hazelnut oil, macadamia oil, jojoba oil, alfalfa oil, poppy oil, pumpkin oil, marrow oil, blackcurrant oil, evening primrose oil, millet oil, shea butter and caprylic/capric acid triglycerides; and
- (iii) from 0.3 wt.% to 1.2 wt.% of at least one hydrophilic thickening agent selected from crosslinked anionic copolymers of acrylamide or methacrylamide and of 2-acrylamido-2-methylpropanesulphonic acid, copolymers of (meth)acrylic acid or of (meth)acrylate and of 2-acrylamido-2-methylpropanesulphonic acid and copolymers of 2-acrylamido-2-methylpropanesulphonic acid and of vinylpyrrolidone or of vinylformamide;
- (iv) from 1 wt.% to 5 wt.% of at least one hydrotrope selected from oxothiazolidinecarboxylic acid, Vitamin B3 and derivatives thereof, preferably niacinamide, xanthine bases, preferably caffeine, camphor benzalkonium methosulfate, ellagic acid, hydroxyphenoxy propionic acid, diethyllutidinate, terephthalylidene dicamphor sulfonic acid, ferulic acid, salicylic acid, phloretine, acetyl trifluoromethylphenyl valylglycine, resveratrol, 4-butylresorcinol, apigenin, phenylethyl resorcinol, prasterone, benzophenone-3, butyl methoxydibenzoylmethane, capryloyl salicylic acid, ethylhexyl salicylate, and jasmonic acid derivatives, preferably sodium tetrahydrojasmonate.
- 13. A cosmetic process for brightening or whitening keratin materials, in particular human skin, comprising the step of applying the composition of any one of claims 1 to 12 on the keratin materials.

#### INTERNATIONAL SEARCH REPORT

International application No.

## PCT/CN2018/123389

## A. CLASSIFICATION OF SUBJECT MATTER

 $A61K\ 8/06(2006.01)i;\ A61K\ 8/49(2006.01)i;\ A61K\ 8/81(2006.01)i;\ A61Q\ 19/02(2006.01)i$ 

According to International Patent Classification (IPC) or to both national classification and IPC

# B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

A61K; A61Q

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

DWPI; SIPOABS; CNKI; CNABS; STN on the Web: OREAL, brighte+, white+, keratin, oil, water, diphenylmethane, triglyceride, flavonoid, hydrotrope, acrylamide, acryloyldimethyltaurate

# C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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Y	US 2011052512 A1 (L'OREAL) 03 March 2011 (2011-03-03) the abstract, description paragraphs 4, 112, claims 1-20	8-13
Y	WO 2015002872 A1 (L'OREAL, ET AL.) 08 January 2015 (2015-01-08) the abstract, claims 1-8, description Preparation B	8-13
Y	US 2002016358 A1 (NOF CORP.) 07 February 2002 (2002-02-07) the abstract, claims 1-6, description paragraph 6	8-13
A	WO 2017070933 A1 (L'OREAL, ET AL.) 04 May 2017 (2017-05-04) the abstract, claims 1-14	1-13
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	Further documents are listed in the continuation of Box C.	<b>/</b>	See patent family annex.
* "A" "E" "L" "O" "P"	Special categories of cited documents:  document defining the general state of the art which is not considered to be of particular relevance earlier application or patent but published on or after the international filing date document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) document referring to an oral disclosure, use, exhibition or other means document published prior to the international filing date but later than the priority date claimed	"T" "X" "Y"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art document member of the same patent family
Date	of the actual completion of the international search	Date	of mailing of the international search report
	10 September 2019		30 September 2019
Name	e and mailing address of the ISA/CN	Auth	orized officer
6 1	National Intellectual Property Administration, PRC 5, Xitucheng Rd., Jimen Bridge, Haidian District, Beijing 00088 China		CUI,Chuanming
Facsi	imile No. ( <b>86-10</b> ) <b>62019451</b>	Tele	phone No. <b>86-(10)-53961869</b>

# INTERNATIONAL SEARCH REPORT Information on patent family members

International application No.

# PCT/CN2018/123389

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