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(54) Title: EXFOLIATING COSMETIC FORMULATION COMPRISING POWDERED SAPPHIRE

(57) Abstract: The invention provides a cosmetic formulation which comprises a mechanical exfoliant comprising powdered sapphire, optionally a chemical exfoliant and a cosmetically acceptable excipient; a method of cosmetic treatment which method comprises a step of applying a cosmetic formulation to a person in need of such treatment wherein the cosmetic formulation comprises a mechanical exfoliant comprising powdered sapphire, optionally a chemical exfoliant and a cosmetically acceptable excipient; and the use of powdered sapphire as a mechanical exfoliant in a cosmetic formulation.



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EXFOLIATING COSMETIC FORMULATION COMPRISING POWDERED SAPPHIRE

[001] The present invention relates to an improved cosmetic formulation which is suitable for use in exfoliation, a method of cosmetic treatment and use of powdered sapphire as a mechanical exfoliant in a cosmetic formulation.

5 [002] There is continued interest in cosmetic formulations which help provide a user with a rejuvenated appearance, one of the most important approaches to which is an improvement in skin health and condition. A variety of approaches is used including particulate (mechanical) exfoliating compositions and chemical peels. Particulate exfoliating compositions use particles of an abrasive material to mechanically remove the oldest dead skin cells on the skin's outermost surface (stratum
10 corneum layer of the epidermis). Mechanical exfoliation ranges from home-use cosmetic products to microdermabrasion carried out in a dermatologist's clinic. Chemical peels use chemicals such as an alpha hydroxy acid (AHA), beta hydroxy acid (BHA), resorcinol, and retinoic acid to regenerate skin by removal of one or more layers of old skin. The majority of chemical peels need to be carried under the supervision of a professional such as a dermatologist, plastic surgeon, or trained nurse/therapist.

15 [003] Accordingly, there is a need for a new exfoliating cosmetic formulation which is suitable for regular self-application by a user in daily life.

[004] A way of ameliorating these problems has been sought.

[005] According to the invention there is provided a cosmetic formulation which comprises a mechanical exfoliant and a cosmetically acceptable excipient wherein the mechanical exfoliant
20 comprises powdered sapphire.

[006] According to the invention there is also provided a method of cosmetic treatment which method comprises a step of applying a cosmetic formulation to a person in need of such treatment wherein the cosmetic formulation comprises a mechanical exfoliant comprising one or more particles of sapphire and a cosmetically acceptable excipient.

25 [007] According to the invention there is further provided use of a powdered sapphire as a mechanical exfoliant in a cosmetically acceptable formulation. In particular, the invention provides use of a powdered sapphire as a mechanical exfoliant in a cosmetic formulation according to the invention.

[008] It has surprisingly been found that a cosmetic formulation comprising a mechanical exfoliant
30 which comprises powdered sapphire has an improved effect compared to known exfoliating formulations. Without being bound by a particular theory, it is believed that the greater exfoliating effect is due to the hardness of sapphire which is typically 8 to 9 Mohs. Sapphire is second only to

diamond in the Mohs scale of mineral hardness, as a member of the aluminium oxide family of corundum minerals.

[009] In some embodiments, the powdered sapphire may comprise a synthetic sapphire, a synthetic padparadscha, a natural sapphire and/or a natural padparadscha. In some embodiments, the one or
5 more particles of sapphire may comprise a synthetic sapphire and/or a natural sapphire.

[0010] In some embodiments, the powdered sapphire used in the cosmetic formulation according to the invention may have a size range of from about 50, for example from about 100 to about 500, for example to about 250 micrometres. Particles having a suitable size for inclusion in the formulation according to the invention may be prepared by any known separation technique, such as crushing or
10 powdering using, for example a zirconium bore and sieving. The particle size of a particle used in the invention may be measured using microscopic analysis or by laser diffraction.

[0011] In some embodiments, the mechanical exfoliant used in the invention may comprise an additional particulate material. Examples of an additional particulate material include pearl powder, pumice or volcanic rock powder (such as rhyolite or lava stone), a micro-bead, organic material (such
15 as bamboo powder, crushed apricot kernel and/or nut shell (such as almond shell)), and/or a crystalline material (such as sugar, rose quartz or salt).

[0012] In some embodiments, the cosmetic formulation according to the invention may additionally comprise a chemical exfoliant. Examples of suitable chemical exfoliants include an alpha hydroxy acid (for example a fruit acid (such as citric acid, glycolic acid, lactic acid, malic acid and/or tartaric acid)),
20 beta hydroxy acid (for example salicylic acid), trichloroacetic acid, resorcinol and/or retinoic acid. In some embodiments, the chemical exfoliant may be an alpha hydroxy acid. It has surprisingly been found that there is a combined synergistic effect on skin exfoliation and/or refinement by the cosmetic formulation according to the invention when the formulation comprises a chemical exfoliant.

[0013] In some embodiments, the cosmetic formulation according to the invention may be an exfoliating cosmetically acceptable formulation. In particular, it may be an exfoliating cosmetic formulation which is suitable for regular use by a domestic user in removing dead skin cells from an outermost skin surface such as a skin surface of a face, hands or feet. By regular use, it is meant that the formulation according to the invention is suitable for daily or weekly use by a domestic user. By domestic user, it is meant that the formulation according to the invention is suitable for self-
25 application by a person who is in need of such treatment.

[0014] The amount of the mechanical exfoliant and chemical exfoliant used in the cosmetic formulation according to the invention can be chosen such that mechanical exfoliation is the dominant effect of the formulation or that the formulation has a balanced mechanical and chemical exfoliation

effect. This may enable a user of the cosmetic formulation according to the invention to choose what kind of exfoliation is sought. Thus, it has been found that the formulation according to the invention comprising a chemical exfoliant provides an optimal preparation to address everyday skin exfoliation by means of combining one of the best mechanical mineral exfoliants known (sapphire), in terms of Mohs mineral hardness score, with one of the variety of chemical exfoliants used in dermatological practice. The proportions of the mechanical exfoliant and the chemical exfoliant can be altered along a spectrum whereby at one end of the spectrum, mechanical exfoliation is the dominant feature where the formulation comprises a proportion of mechanical exfoliant having a greater cosmetic effect than the chemical exfoliant whereas at the opposite end of the spectrum, substantially balanced mechanical and chemical exfoliation is provided because the formulation comprises a proportion of chemical exfoliant having a similar cosmetic effect to the mechanical exfoliant. In some embodiments, the cosmetic formulation may have a sapphire powder concentration and a chemical exfoliant concentration which is preselected by a consumer. Thus the cosmetic formulation according to the invention can become a stronger skin exfoliator by varying two key parameters: the concentration of sapphire powder and the concentration of the chemical exfoliant. Through the above combination of mechanical exfoliant and chemical exfoliant agents, the present invention intends to provide an optimal and balanced solution for home exfoliation of the facial skin, performed by the user/patient himself/herself without need of professional supervision, in a preparation that at its gentlest (least concentrated) is suitable for daily application, and at its most concentrated is suitable for once weekly or fortnightly application.

[0015] In some embodiments, the cosmetic formulation according to the invention may additionally comprise a cosmetically acceptable cleansing agent. Cosmetically acceptable cleansing agents suitable for use in the present invention would be well known to a person of skill in the art.

[0016] The cosmetically acceptable excipient used in the invention is generally any cosmetically acceptable ingredient which may be used with the mechanical exfoliant to form a cosmetically effective formulation. In some embodiments, the cosmetically acceptable excipient used in the cosmetic formulation according to the invention may comprise a diluent, carrier, lubricant, thickener, moisturiser, conditioner, perfume, colorant and/or pH adjuster. Suitable cosmetically acceptable excipients would be well known to a person of skill in the art.

[0017] In some embodiments, the cosmetic formulation according to the invention may additionally comprise a cosmetically active ingredient. Examples of suitable active ingredients include a vitamin (such as vitamin A, vitamin C and/or vitamin E), an antioxidant (such as resveratrol, meadowfoam seed oil or a grape extract), and/or an anti-inflammatory (such as salix alba). Suitable cosmetically active ingredients would be well known to a person of skill in the art.

[0018] In some embodiments, the cosmetic formulation according to the invention may comprise the following ingredients in the following percentages by weight (wherein the amounts of each ingredient used has a total of 100%):

- a. From 0.1 to 40% by weight of one or more mechanical exfoliant;
- 5 b. From 0 to 20% by weight of a chemical exfoliant;
- c. From 0 to 30% by weight of an additional particulate material;
- d. From 0 to 50% by weight of a cosmetically active ingredient;
- e. From 0 to 35% by weight of a cleansing agent; and
- f. Up to 99.9% by weight of a cosmetically acceptable excipient.

10 [0019] In some embodiments, the method of cosmetic treatment according to the invention may be applied to the skin of a person in need of cosmetic treatment, for example facial skin. In some embodiments, the method of cosmetic treatment may comprise an initial step of cleansing the face of the person. In some embodiments, the method of cosmetic treatment may comprise a final rinsing step.

15 [0020] The invention will now be illustrated by the following examples which are not intended to limit the scope of the claimed invention.

PREPARATION EXAMPLES 1A to 1C

[0021] Three cosmetically acceptable formulations according to the invention in the form of a foaming facial scrub exfoliating complex were prepared as follows:

20 [0022] Each foaming facial scrub is formed from five parts having the ingredients listed in Table 1 for each of Examples 1A, 1B and 1C. The aqueous phase (A) was heated to 70 degrees Celsius and mixed slowly till uniform. The lipid phase (B) was heated to 70 degrees Celsius, and then phase B was mixed with phase A with homogenisation. The entire admixture was then cooled to 50 degrees Celsius, and phase D (ethylhexyl glycerine and glyceryl caprylate) was added. Xanthan gum and chondrus crispus
25 (phase C) were then added, with homogenisation. The entire admixture was further cooled to 40 degrees Celsius, and phase E was added with slow stirring.

TABLE 1

Part	Ingredient (INCI/CTFA name)	Example 1A (% By weight)	Example 1B (% By weight)	Example 1C (% By weight)
A	Deionised water (excipient)	52.15	55.65	55.4
	Disodium Cocoamphodiacetate (cleansing agent)	7.5	7.5	7.5
	Sodium Methyl Cocoyl Taurate	7.5	7.5	7.5
	Lauryl betaine	7.5	7.5	7.5
	Sodium Lauroyl Methyl Isethionate	8.0	8.0	8.0
	Glycerin	1.0	1.0	1.0
B	Cocoa butter (excipient)	0.25	0.5	0.5
	Shea butter	0.25	0.25	0.25
	Glyceryl stearate	7.0	7.0	7.0
	Limnanthes Alba Seed Oil (cosmetically active ingredient)	0.4	0.4	0.4
C	Chondus Crispus (Carrageenan) (excipient)	1.0	1.0	1.0
	Xanthan gum	0.5	0.5	0.5
D	Ethylhexyl glycerine (excipient)	1.5	1.5	1.5
	Glyceryl caprylate	1.5		
E	Parfum (excipient)	0.2	0.2	0.2
	Rhyolite powder (Pumice) (mechanical exfoliant)	2.0	0	0
	Sapphire powder (mechanical exfoliant)	1.0	1.5	1.0
	Lactic Acid 88% (chemical exfoliant)	0.75	0	0.75
	Total	100	100	100

[0023] Each formulation was adjusted to have a pH of 5.6.

EXAMPLE 2

5 [0024] The formulation according to the invention as prepared in Example 1A was tested by a one week single blind user trial of 50-100 healthy male and female subjects.

[0025] The trial involved healthy female or male subjects aged 18 or over who had provided written informed consent. The exclusion criteria were subjects who are pregnant, breastfeeding, or planning to become pregnant or begin breastfeeding; and subjects with any reported skin condition (e.g. 10 eczema, psoriasis), except mild facial acne.

[0026] Participation in the study was discontinued for any of the following reasons:

- a. Subject wishes to discontinue;
- b. The investigator decides it is in the best interest of the subject;

- c. Suspected adverse effects from the test article;
- d. Inter-current illness;
- e. Violation of any pre-defined prohibition such as use of different skin care product;
and/or
- 5 f. Development of an exclusion criterion.

[0027] Data from subjects who withdrew may be included in the final clinical report, but may be excluded from the final data analysis. Subjects will not be followed up after their withdrawal from the study, except in the case of a serious adverse event. Withdrawn subjects will not be replaced.

[0028] The study method was as follows:

- 10 a. The test product in the form of the formulation according to the invention (skincare product) was formulated, developed and tested to comply with the applicable regulations (EU Cosmetics Directive 2013);
- b. The full INCI (International Nomenclature of Cosmetic Ingredients) list was be provided to the principal investigator of the study;
- 15 c. Subjects were asked to apply the formulation according to the invention to their facial skin, massage and rinse off, as per the usage instructions provided by the formulator;
- d. Subjects were blinded to the name and constituent ingredients of the formulation. The principal investigator was not blinded;
- e. Each subject was asked to complete a Self-Perception Questionnaire (SPQ) at the end
20 of the study; and
- f. Comparison to a characteristic-matched benchmark skincare product was performed, in which the same subjects complete an equivalent SPQ one week after use of the benchmark product.

[0029] Examples of questions in the Self-Perception Questionnaire (SPQ) with details about
25 how they relate to the efficacy of the formulation include:

- a. My skin felt smoother after use of the test product (relates to exfoliating and conditioning);
- b. My skin felt more hydrated 1 hour after use of the test product (relates to more effective hydration (with a moisturiser) after prior exfoliation with the formulation
30 according to the invention);
- c. My skin felt more hydrated 5 hours after use of the test product (relates to more effective and long-lasting hydration (with a moisturiser) after prior exfoliation with the formulation according to the invention);

- 5
- d. After using the test product, my skin felt more rejuvenated/looked younger (relates directly to exfoliation as repeated use over the course of the week would see skin layers renewed/re-surfaced to a greater or lesser degree);
 - e. After using the test product, my skin felt softer (relates to conditioning in the context of exfoliation that does not dehydrate or over-abrade the skin);
 - f. After using the skincare product, my skin felt soothed and more comfortable (relates to skin conditioning, and a general perception of renewed, healthier skin);
 - 10 g. I felt the test product was still active 8 hours after application (relates to whether the results achieved by the formulation according to the invention are immediate (on day of application) and/or cumulative (after a week or more));
 - h. I noticed a significant reduction or softening in fine lines and wrinkles 3 days after application of the test product (relates to whether the formulation according to the invention provides a professional grade exfoliation by means of a gentle product suitable for use at home);
 - 15 i. I noticed a significant reduction or softening in fine lines and wrinkles one week after application of the test product (relates to a more cumulative effect than question (g) or (h); this time frame can be extrapolated to a month or more e.g. 6 months); and
 - j. My skin appeared more uniform/homogenous one week after application of the test product (relates particularly to the use of a combination of mechanical and chemical exfoliation in the formulation according to the invention. Uniformity of the dermal appearance relates to the cumulative effect of types of exfoliation over a week).
- 20

[0030] The study showed that formulation according to the invention was preferred by the subjects.

CLAIMS

1. A cosmetic formulation which comprises a mechanical exfoliant comprising powdered sapphire and a cosmetically acceptable excipient.
2. A formulation as defined in Claim 1 wherein the powdered sapphire comprises a synthetic sapphire, a synthetic padparadscha, a natural sapphire and/or a natural padparadscha, preferably the powdered sapphire comprises a synthetic sapphire and/or a natural sapphire.
3. A formulation as defined in Claim 1 or Claim 2 which additionally comprises a chemical exfoliant, preferably the chemical exfoliant comprises an alpha hydroxy acid.
4. A formulation as defined in Claim 3 wherein mechanical exfoliation is the dominant effect of the formulation.
5. A formulation as defined in Claim 3 which has a substantially balanced mechanical and chemical exfoliation effect.
6. A formulation as defined in any one of the preceding Claims which additionally comprises a cleansing agent.
7. A formulation as defined in any one of the preceding Claims wherein the cosmetically acceptable excipient comprises a diluent, carrier, moisturiser, conditioner, lubricant and/or thickener.
8. A formulation as defined in any one of the preceding Claims which additionally comprises a cosmetically active ingredient; preferably the active ingredient comprises a vitamin, an antioxidant, and/or an anti-inflammatory.
9. A formulation as defined in any one of the preceding Claims wherein the mechanical exfoliant comprises an additional particulate material; preferably the additional particulate material comprises pearl powder, pumice or volcanic rock powder, a micro-bead, organic material, and/or a crystalline material.
10. A formulation as defined in any one of the preceding Claims wherein the one or more particles of sapphire have a size range of from about 50, preferably from about 100 to about 500, preferably about 250 micrometres.
11. A formulation as defined in any one of the preceding Claims which comprises the following ingredients in the following percentages by weight:
 - a. From 1 to 40% by weight of one or more particles of sapphire;
 - b. From 0 to 20% by weight of a chemical exfoliant;

- c. From 0 to 30% by weight of an additional particulate material;
- d. From 0 to 50% by weight of a cosmetically active ingredient;
- e. From 0 to 35% by weight of a cleansing agent; and
- f. Up to 99% by weight of an excipient;

5 wherein the percentage values total 100% by weight.

12. A cosmetic formulation substantially as hereinbefore described and/or illustrated with reference to the Examples.

13. A method of cosmetic treatment which method comprises a step of applying a cosmetic formulation to a person in need of such treatment wherein the cosmetic formulation comprises a
10 mechanical exfoliant comprising one or more particles of sapphire and a cosmetically acceptable excipient.

14. A method as defined in Claim 13 wherein the cosmetic formulation is as defined in any one of claims 1 to 11.

15. A method as defined in Claim 13 or Claim 14 wherein the application step comprises applying
15 the cosmetic formulation to skin of a person in need of cosmetic treatment; preferably to facial skin.

16. A method as defined in any one of Claims 13 to 15 which comprises an initial step of cleansing the person.

17. A method as defined in any one of Claims 13 to 16 which comprises a final rinsing step.

18. A method substantially as hereinbefore described and/or illustrated with reference to the
20 Examples.

19. Use of powdered sapphire as a mechanical exfoliant in a cosmetically acceptable formulation.

20. Use as defined in claim 19 wherein the cosmetic formulation is as defined in any one of claims 1 to 11.

INTERNATIONAL SEARCH REPORT

International application No
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A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K8/02 A61K8/26 A61Q19/00
ADD.
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)
EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DE 10 2006 029879 A1 (CLARIANT INT LTD [CH]) 3 January 2008 (2008-01-03)	1,2,7,8, 11-15, 18-20
Y	production example 3; paragraphs [0028], [0137] paragraph [0167] - paragraph [0168]; examples 4,5	1-20
X	US 7 179 152 B1 (RHOADES DEAN L [US]) 20 February 2007 (2007-02-20) claims 1,5,8,10 ----- -/--	1,2, 12-15, 18-20

Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance	"T" 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
"E" earlier application or patent but published on or after the international filing date	"X" 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
"L" 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)	"Y" 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
"O" document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family
"P" document published prior to the international filing date but later than the priority date claimed	

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Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer Werner, Stefan
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INTERNATIONAL SEARCH REPORT

International application No
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE tkd1 [Online]</p> <p>2005, "Nila Sodhana", XP002769373, retrieved from http://www.tkd1.res.in/tkd1/langdefault/common/home.asp Database accession no. VK1/1031A abstract</p> <p style="text-align: center;">-----</p>	1,2,12
Y	<p>US 3 092 111 A (SAPERSTEIN ROSE B ET AL) 4 June 1963 (1963-06-04) column 2, line 64 - column 3, line 12; tables IV,V</p> <p style="text-align: center;">-----</p>	1-20
X	<p>DE 195 41 735 A1 (ROLLER IRIS [DE]) 15 May 1997 (1997-05-15) claim 10</p> <p style="text-align: center;">-----</p>	1,2,12
X	<p>US 2003/077238 A1 (ROOVERS MARIA MAGDALENA CATHAR [NL] ET AL) 24 April 2003 (2003-04-24) paragraph [0039] - paragraph [0104]; claims 3,12,24</p> <p style="text-align: center;">-----</p>	1,2,6-8, 11-15
A	<p>EP 1 593 372 A1 (OREAL [FR]) 9 November 2005 (2005-11-09) paragraphs [0013], [0034]; examples</p> <p style="text-align: center;">-----</p>	1-20

