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(54) **Title**: ANTIPERSPIRANT COMPOSITION

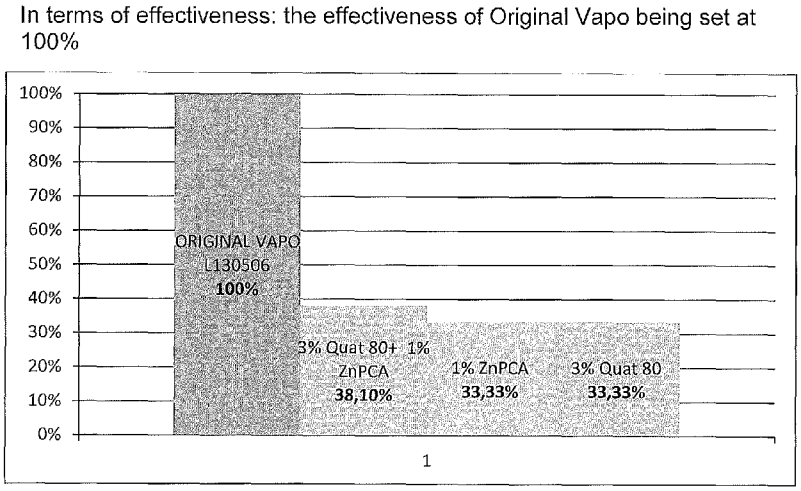


Fig. 1

(57) **Abstract**: The invention relates to an antiperspirant and/or deodorant composition comprising a quaternary silicone polymer, preferably in association with a zinc salt. The invention further relates to the use of quaternary silicone polymers as antiperspirant agents in cosmetic compositions with an antiperspirant and/or deodorant effect.

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# DESCRIPTION
# "ANTIPERSPIRANT COMPOSITION"

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## TECHNICAL FIELD

The present invention relates to an antiperspirant and/or deodorant cosmetic composition comprising a quaternary silicone polymer, preferably in association with a zinc salt. The invention also relates to the use of quaternary silicone polymers as antiperspirant agents in cosmetic compositions with an antiperspirant and/or deodorant effect.

## PRIOR ART

Sweat has a specific physiological role in regulating body temperature, keeping it at an average of around 37°C. Thermoregulation takes place through the evaporation of sweat.

Sweat is an aqueous secretion of the apocrine and eccrine glands and can have a varying composition. Proteins, amino acids, lipids, carbohydrates and water are the substances making up the sweat secreted by the apocrine gland, whereas the sweat secreted by the eccrine gland comprises sodium chloride, acetic acid, propionic acid, caprylic acid, lactic acid, urea and water. The area of the underarms, being a semi-occlusive environment, represents an ideal habitat for the proliferation of bacteria, which use the organic and inorganic components of sweat in order to grow.

When the amount of sweat increases considerably, for example, due to particular states of mind or a particularly hot climate, the growth of bacteria that use sweat secretions as a nutritive substrate is favoured. Bacterial colonies generate the production and emanation of unpleasant odours that can have a decisive impact on the social and community life of a person.

In order to avoid situations of social embarrassment, most people, in addition to carefully washing the parts affected by bacterial proliferation,

also use cosmetic products with a deodorant and above all antiperspirant action.

It often happens that the terms “antiperspirant” and “deodorant” are used interchangeably. In reality, an antiperspirant product reduces the production of sweat in the area (for example the underarms) where it is applied, whereas a deodorant is a cosmetic product that masks unpleasant odours. However, it is not rare to find products on the market which possess both functions, as they contain both antiperspirant agents and deodorant agents.

Deodorant agents commonly used in the known formulations are fragrances of a natural type (for example, plant extracts, essential oils, etc.) or a synthetic type, for example, long-lasting scented agents.

With regard to antiperspirant agents, i.e. chemical substances capable of blocking sweat formation, numerous compounds are known in the art, of both an inorganic nature, for example metal salts, and organic nature, for example polymeric substances, which have antiperspirant properties.

The metal salts commonly used in antiperspirant formulations are aluminium salts, which act with a mechanical action, causing the obstruction of the secretory ducts of the sweat glands.

It is well known that aluminium salts have a series of side effects, first of all skin irritation, caused by the excessively acidic pH. Titanium salts and zinc salts are a recent alternative to aluminium salts, equally effective in obstructing the ducts, but less irritating to the skin. Zinc salts also act by neutralizing the odours created by the fatty acids present in sweat.

Antiperspirant agents of an organic nature are polymer molecules with film-forming properties, that is, capable of creating water-insoluble polymer films that reduce the amount of moisture in the underarm area, thus creating a barrier to the passage of sweat. Antiperspirant polymers are normally more effective than metal salts in blocking the production of sweat. Known antiperspirant polymers include, for example, polyvinyl alcohol derivatives, chloroacetate derivatives, acrylate copolymers, etc.

(Prapaporn Boornme et al., J. of Clinical Dermathology, 2010; 1:(2), p. 67-72).

In light of the prior art in the field of antiperspirant/deodorant formulations, there remains a need to find new compositions that can overcome the problem of irritability and toxicity associated with aluminium salts and can therefore also be applied on injured skin. There is furthermore a need to provide new formulations that have an improved effectiveness in terms of antiperspirant action, or which in any case represent advantageous alternatives compared to the known compositions.

## SUMMARY OF THE INVENTION

With the aim of meeting the needs of the market, the Applicants have found that silicone polymers, in particular quaternary silicone polymers, commonly used as emollient or conditioning/antistatic agents in cosmetic formulations, have an antiperspirant action and can thus be used to prepare particularly effective cosmetic antiperspirant/deodorant formulations.

The invention therefore relates to the use of a silicone polymer, preferably a quaternary silicone polymer, as an antiperspirant agent, and to antiperspirant/deodorant formulations comprising at least that ingredient together with substances that are acceptable from a cosmetic viewpoint and necessary for preparing the formulation.

The invention also relates to an antiperspirant/deodorant formulation comprising an association of a silicone polymer, preferably a quaternary silicone polymer, and a zinc salt.

## BRIEF DESCRIPTION OF THE FIGURES

Figure 1 shows the results of tests on the antiperspirant effectiveness of a composition comprising both active ingredients (ZnPCA and Quaternium-80), as compared to compositions comprising only ZnPCA or only Quaternium-80 and a known antiperspirant (Original Vapo).

Figure 2 shows the antiperspirant effectiveness of compositions containing 1%, 2%, 3% and 4% ZnPCA by weight.

Figure 3 shows the antiperspirant effectiveness of compositions containing increasing percentages of Quat 80: 3%, 4%, 5% and 6% by weight.

Figure 4 shows the results of antiperspirant effectiveness tests performed on compositions containing a constant concentration of Quat-80, 3% or 4% by weight, and an increasing concentration of ZnPCA, from 1% to 4% by weight.

Figure 5 shows the results of antiperspirant effectiveness tests on compositions comprising Quat 80 in a constant concentration of 4% and ZnPCA in concentrations increasing from 2% to 4%, compared to compositions including ZnPCA alone.

Figure 6 shows the results of antiperspirant effectiveness tests on compositions comprising Quat 80 in a constant concentration of 4% and ZnPCA in concentrations increasing from 2% to 4%, compared to a composition containing 4% Quat 80 alone.

Figure 7 shows the results of antiperspirant effectiveness tests on compositions comprising ZnPCA in association with PEG-7 amodimethicone and PEG 20/27 butyl-ether dimethicone, compared to formulations containing zinc salt alone.

## DETAILED DESCRIPTION OF THE INVENTION

The present invention relates to an antiperspirant and/or deodorant composition comprising a silicone polymer, preferably in association with a zinc salt and optional excipients that are acceptable from a cosmetic viewpoint.

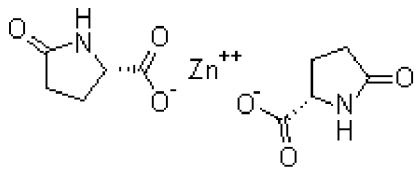
The silicone polymer according to the present invention is preferably a polydimethylsiloxane, more preferably a quaternary polydimethylsiloxane, that is having one or more quaternary ammonium groups. The silicone polymers advantageously used in the formulation of the invention are selected from among the following polymers with the INCI names:

dimethicone, dimethicone copolyol crosspolymer, silicone quaternium-80, silicone quaternium 1-13, Silicone Quaternium 22, Silicone Quaternium 86, Silicone Protein Copolymer, PEG-7 amodimethicone, silicone protein copolymers and PEG 20/27 butyl ether dimethicone.

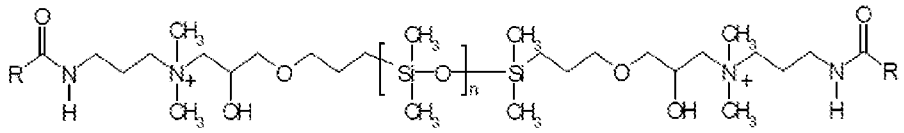
The zinc salts preferably used in the formulation of the invention are selected from among: Zn glycinate, ZnPCA, Zn citrate, Zn gluconate, Zn phenolsulfonate, Zn ricinoleate, Zn undecylenate and Zinc coceth sulfate (INCI name).

In a preferred embodiment, the formulation of the invention comprises quaternium-80 and ZnPCA.

ZnPCA is a zinc salt of pyrrolidone carboxylic acid having the following structural formula:



Quaternium-80 is a cationic silicone polymer having the following structural formula:



The silicone polymer is comprised in the composition in an amount of 0.1% to 10% by weight, preferably in an amount of 2 to 5% by weight.

The zinc salt is included in the composition in an amount of 0.1% to 10% by weight, preferably in an amount of 2 to 5% by weight.

The composition of the invention further comprises excipients of a cosmetic nature, preferably selected from among moisturizing agents (for

example, glycerin, glycols, such as decylene glycol and ethylhexylglycerin and natural moisturizing factor – NMF – components), emollient agents (for example, natural and synthetic oils, esters, oleyl erucate, PPG-15 stearyl ether, polyglyceryl-3 caprylate, tocopheryl acetate and dicaprylyl carbonate, plant extracts (for example, echinacea angustifolia root extract); emulsifying agents (for example, cethyl alcohol glyceryl stearate, laureth-23); preservative agents; complexing agents (EDTA) and fragrances.

The cosmetic excipients listed above are present in the composition in an amount of 0.5% to 30%.

The composition of the invention can be formulated in an aqueous solvent or else in an alcoholic solution, in the form of a cream, gel or spray.

The composition has a pH comprised between 3 and 7.

Through appropriate tests described in the experimental part below, the Applicants verified that the composition of the invention offers the following advantages compared to the known formulations in this field:

a) greater safety and tolerability: compared to formulations containing aluminium salts;

b) possibility of use on injured skin (for example after shaving), without there being any particular problems of skin irritation;

c) compatibility with the pH of skin (pH 5-6), whereas aluminium salt compositions always have a very low pH (around 3);

d) the composition does not leave stains on clothing, unlike formulations with aluminium salts, which form yellow sweat stains.

Furthermore, it has been experimentally verified (see below) that the combination with a silicone polymer, in addition to providing a combined action, improves the effectiveness of the zinc salt because:

- it improves the solubility of the zinc salts and thus enables a greater use of them;

- it improves effectiveness, because it enables better contact with the skin thanks to the great affinity for skin of the silicone polymer;
- the silicone polymer also makes the effect of the zinc salt more long lasting because, being water repellent, it slows down/reduces removal from the skin due to perspiration.

The invention also relates to the use of a composition comprising at least a silicone polymer, preferably in association with a zinc salt, as an antiperspirant and/or deodorant agent. The composition has a deodorant (as well as antiperspirant) function when the formulation includes deodorant agents (substances with an antimicrobial action, for example phenoxyethanol), enzyme deviators (for example, triethyl citrate), perfumes and/or fragrances suitable for masking the odour of sweat.

The invention also relates to the use of a silicone polymer, as defined above, as an antiperspirant agent.

EXPERIMENTAL PART

The antiperspirant activity of the formulations of the invention was assessed using the following protocol (Minor test).

Ten people with depilated underarms were tested. The left underarm served as a control, so that the product was applied only on the right underarm. After 24 hours the subjects were induced to “sweat” by cycling for 15 minutes. At the end, an iodine tincture was spread on both underarms, immediately followed by a distribution of starch. The latter combines with iodine, giving rise to a blue/black precipitate in the presence of sweat.

The blue/black precipitate is proportionately greater, thus more evident, when more water (= sweat) is produced.

An assessment was made by a dermatologist using a scale of values:

0 - no presence

* - very slight

** - slight

*** - evident

**** - very evident

Products tested

**L130506 Original Vapo** - 6.375% aluminium chlorohydrate + 0.98% aluminium chloride

**L140201 DEO VAPO** with 3% Quaternium-80 (equal to 1.5% Quat.80) +1% Zn PCA solution (equal to 0.12% ZnPCA)

**L140203 DEO VAPO** with ZnPCA alone = 1% (equal to 0.12% Zn PCA)

**L140205 DEO VAPO** with Quaternium 80 alone = 3% (equal to 1.5% Quat. 80)

**L140223 DEO VAPO** with 2% ZnPCA

**L140225 DEO VAPO** with 2% ZnPCA + 2% PEG 20/22 butyl ether dimethicone

**L140226 DEO VAPO** with 2% ZnPCA + 2% PEG-7 amodimethicone.

Original Vapo is a known antiperspirant composition taken as a reference, with an effectiveness set at 100%. Figure 1 shows the results of tests comparing between a composition comprising both ingredients, ZnPCA and Quaternium-80, versus compositions comprising ZnPCA alone or Quaternium-80 alone and compared to Original Vapo. The results show an enhanced effect in the case of a combined use of a zinc salt and a silicone polymer.

Tests were also conducted on the following formulations, in which the concentrations of ZnPCA and Quat-80 were varied as shown in the table.

| code | % quat 80 | % ZnPCA sol. |
|---|---|---|
| L140216 | - | 2 |
| L140217 | - | 3 |
| L140218 | - | 4 |

| | | |
|---|---|---|
| L140219 | 4 | - |
| L140220 | 5 | - |
| L140221 | 6 | - |
| L140213 | 4 | 2 |
| L140214 | 4 | 3 |
| L140215 | 4 | 4 |

Figure 2 shows the results for compositions containing 1%, 2%, 3% and 4% ZnPCA by weight.

As may be observed from the graph, an increasing concentration results in a progressive increase in antiperspirant effectiveness up to concentrations of 3%. Above 3% a drastic decrease in effectiveness can be observed, to be attributed to the fact of ZnPCA reaching its solubility limit in the formula, which causes it to precipitate.

Figure 3 shows the results of the test carried out on compositions comprising increasing percentages by weight of Quat 80: 3%, 4%, 5% and 6%.

As can be seen from the graph, effectiveness increases with increasing concentrations of the active ingredient. Inconsistent data were observed at the concentration of 5%, probably due to an accidental lack of homogeneity in the preparation.

Figure 4 shows the results of antiperspirant effectiveness tests performed on compositions containing a constant concentration of Quat-80, 3% or 4%, and a concentration of ZnPCA increasing from 1% to 4%.

As these data show, the best effectiveness (equivalent to 100%) was obtained from the combination of ZnPCA with 4% Quat80.

Confirmation is given by the data in Figure 5, which show the results of comparative tests on compositions comprising Quat 80 at a constant

concentration of 4% and ZnPCA at concentrations increasing from 2% to 4%, versus compositions including ZnPCA alone.

The results show an increase in effectiveness for the two active ingredients combined.

In particular, it is worth noting that 4% ZnPCA is practically devoid of any antiperspirant effectiveness on its own, but in combination with Quat80 it shows a significantly greater antiperspirant effect, probably because Quat80 also favours its solubilisation and thus optimal availability for the purpose of antiperspirant action.

Figure 6 shows the results of comparative tests on compositions comprising Quat 80 at a constant concentration of 4% and concentrations of ZnPCA increasing from 2% to 4%, versus a composition containing only 4% Quat 80. As can be seen from the graph, the results show an increase in effectiveness for the two active ingredients combined.

In conclusion, the tests showed that ZnPCA and Quat80 have a significant antiperspirant action. Quat 80 enhances the effectiveness of ZnPCA.

Figure 7 shows the results of comparisons between the control (untreated underarm) and the formulations **L140223 DEO VAPO** with 2% ZnPCA, **L140225 DEO VAPO** with 2% ZnPCA + 2% PEG 20/22 butyl ether dimethicone and **L140226 DEO VAPO** with 2% ZnPCA + 2% PEG-7 amodimethicone. The results show that adding polymers significantly enhances the action of zinc salts compared to when they are on their own.

Examples of formulations

| **phase** | **Vapo antiperspirant no gas formula** | |
|---|---|---|
| A | AQUA | q.s. to 100 |
| A | ZINC PCA | 3g |
| A | Quat 80 | 3g |

| | | |
|---|---|---|
| B | DENATURED ALCOHOL | 20g |
| B | DECYLENE GLYCOL | 0.3g |
| B | Ethylhexylglycerin | 1g |
| B | PARFUM | 1g |

Mix the ingredients of phase A at room temperature until obtaining a homogeneous mixture.

Mix the ingredients of phase B separately until completely blended.

Add phases A+B

| **phase** | **Aerosol antiperspirant** | |
|---|---|---|
| A | DENATURED ALCOHOL | 40.5 |
| A | AQUA | 8.5 |
| A | GLYCERIN | 1.5 |
| A | ZnPCA | 3 |
| A | Quat80 | 2 |
| A | PARFUM | 1.5 |
| A | TRIETHYL CITRATE | 1.0 |
| A | DICAPRYLYL CARBONATE | 1.0 |
| A | ETHYLHEXYLGLYCERIN | 0,5 |
| A | ECHINACEA ANGUSTIFOLIA ROOT EXTRACT, | 0,3 |
| A | POLYGLYCERYL-3 CAPRYLATE | 0,1 |
| A | TOCOPHERYL ACETATE | 0,1 |
| B | BUTANE | 22 |
| B | PROPANE | 10 |
| B | ISOBUTANE | 8 |

Add alcohol into the container at room temperature, and then all of the other ingredients of phase A one at a time (BULK); mix until completely dissolved.

On the production line, in each individual container, add the propellant mixture (phase B) to phase A under pressure at a ratio: 60% phase A/ 40% phase B

| **phase** | **Cream/roll-on antiperspirant formula** | |
|---|---|---|
| A | Cethyl Alcohol | 4 |
| A | Oleyl Erucate | 10 |
| A | Glyceryl Stearate, Peg-100 Stearate | 7 |
| A | Ppg-15 Stearyl Ether | 10 |
| A | Laureth-23 | 1 |
| B | Ethylhexylglycerin | 0.5 |
| B | Zn Pca | 2.5 |
| B | Quat 80 | 2 |
| B | EDTA | 0.1 |
| B | Aqua | q.s. to 100g |
| C | Parfum | 1,5 |

Mix the ingredients of phase A and heat them to 60-70°C

Mix the ingredients of phase B and heat them to 60-70°C.

Upon complete and homogeneous mixing of the two phases, add B to A under vigorous stirring for 10 minutes.

Cool under mechanical stirring.

Add phase C at 40°C

## CLAIMS

1. An antiperspirant and/or deodorant composition comprising a silicone polymer, preferably in association with a zinc salt and excipients that are acceptable from a cosmetic viewpoint.
2. The composition according to claim 1, wherein said silicone polymer is a polydimethylsiloxane, preferably a quaternary polydimethylsiloxane.
3. The composition according to claim 1 or 2, wherein said silicone polymers are selected from among the following polymers with the INCI names: dimethicone, dimethicone copolyol crosspolymer, silicone quaternium-80, silicone quaternium 1-13, Silicone Quaternium 22, Silicone Quaternium 86, Silicone Protein Copolymer, PEG-7 amodimethicone, silicone protein copolymers and PEG 20/27 butyl ether dimethicone.
4. The composition according to any one of claims 1 to 3, wherein said zinc salts are selected from: Zn glycinate, ZnPCA, Zn citrate, Zn gluconate, Zn phenolsulfonate, Zn ricinoleate, Zn undecylenate and Zinc coceth sulfate.
5. The composition according to any one of claims 1 to 4, comprising a zinc salt and a quaternary silicone polymer.
6. The composition according to claim 5, comprising quaternium-80 and ZnPCA.
7. The composition according to any one of claims 1 to 6, wherein said silicone polymer is comprised in an amount of 0.1% to 10%, preferably in an amount of 2 to 5% by weight.
8. The composition according to any one of claims 1 to 7, wherein said zinc salt is included in an amount of 0.1 to 10%, preferably in an amount of 2 to 5% by weight.
9. The composition according to any one of claims 1 to 8, wherein said excipients acceptable from a cosmetic viewpoint are selected from: moisturizing agents, preferably glycerin, decylene glycol,

ethylhexylglycerin, natural moisturizing factor (NMF) components; emollient agents, preferably natural and synthetic oils, esters, oleyl erucate, PPG-15 stearyl ether, polyglyceryl-3 caprylate, tocopheryl acetate and dicaprylyl carbonate; plant extracts, preferably, echinacea angustifolia root extract; emulsifying agents, preferably cethyl alcohol glyceryl stearate and laureth-23; preservative agents; complexing agents, preferably EDTA; fragrances.

10. The composition according to any one of claims 1 to 9, formulated in an aqueous solvent or alcoholic solution, in the form of a cream, gel or spray.

11. Use of a composition according to any one of claims 1 to 10 as an antiperspirant and/or deodorant agent.

12. Use of a silicone polymer as an antiperspirant agent in cosmetic antiperspirant and/or deodorant formulations.

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%

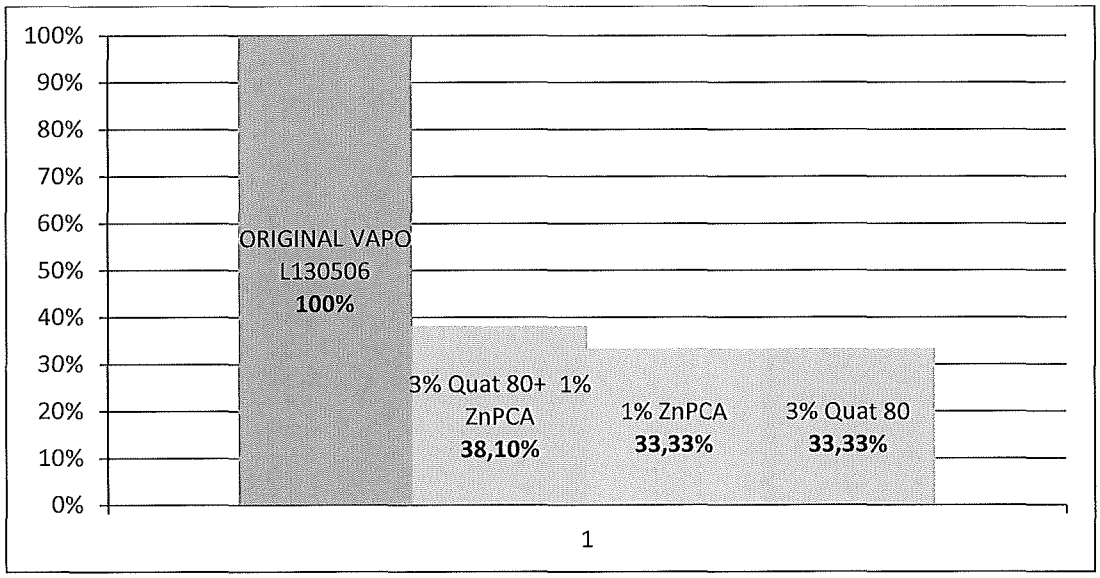



Fig. 1

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%

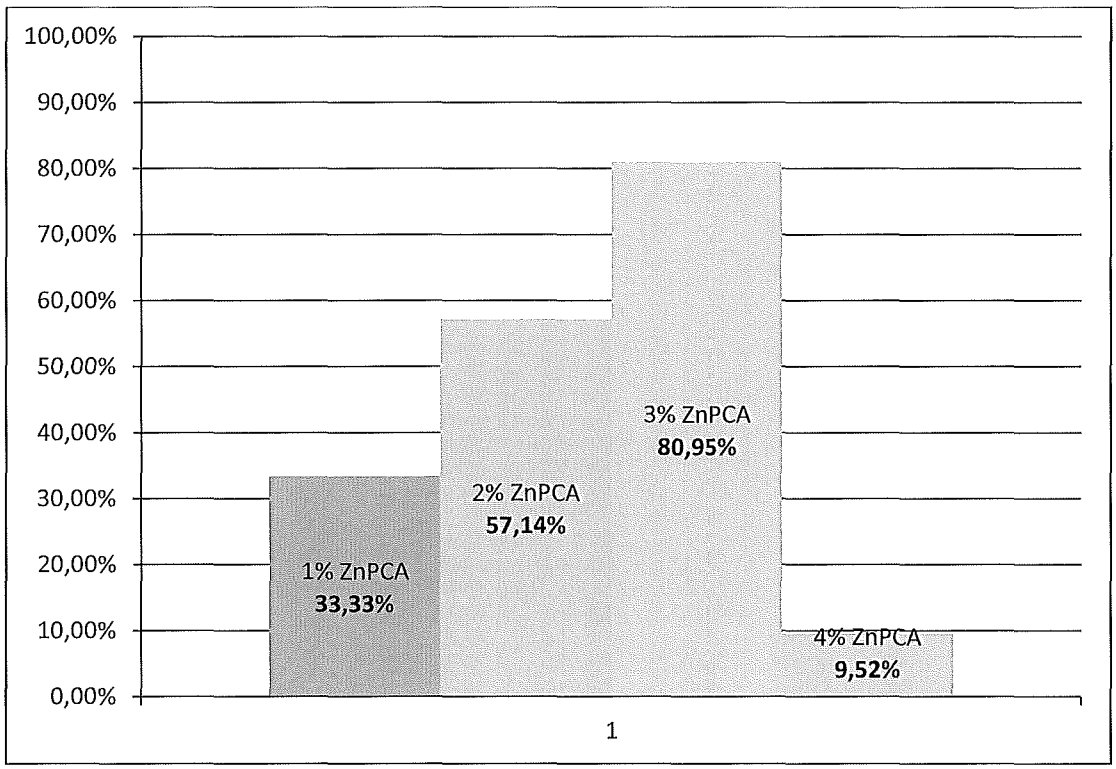



Fig. 2

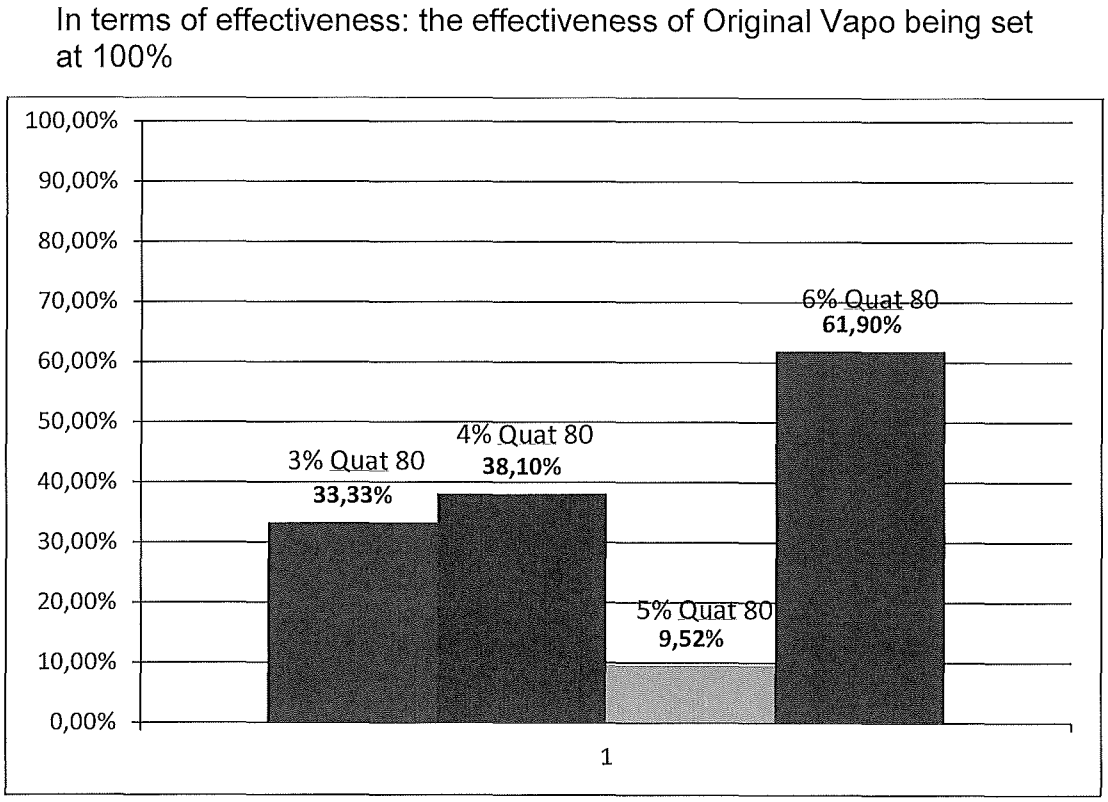

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%
100,00%
90,00%
80,00%
70,00%
60,00%
50,00%
40,00%
30,00%
20,00%
10,00%
0,00%
3% Quat 80
33,33%
4% Quat 80
38,10%
5% Quat 80
9,52%
6% Quat 80
61,90%
1

Fig. 3

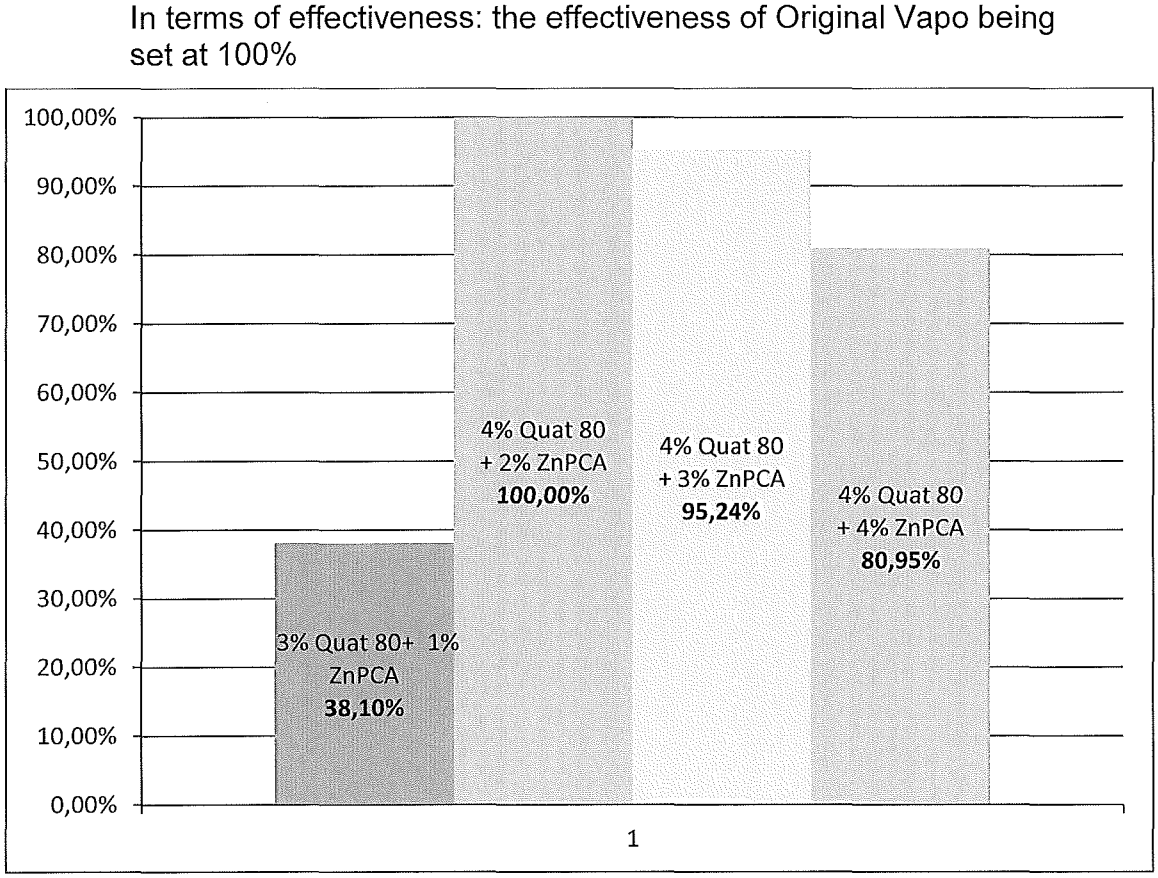

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%
100,00%
90,00%
80,00%
70,00%
60,00%
50,00%
40,00%
30,00%
20,00%
10,00%
0,00%
3% Quat 80+ 1% ZnPCA
38,10%
4% Quat 80 + 2% ZnPCA
100,00%
4% Quat 80 + 3% ZnPCA
95,24%
4% Quat 80 + 4% ZnPCA
80,95%
1

Fig. 4

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%

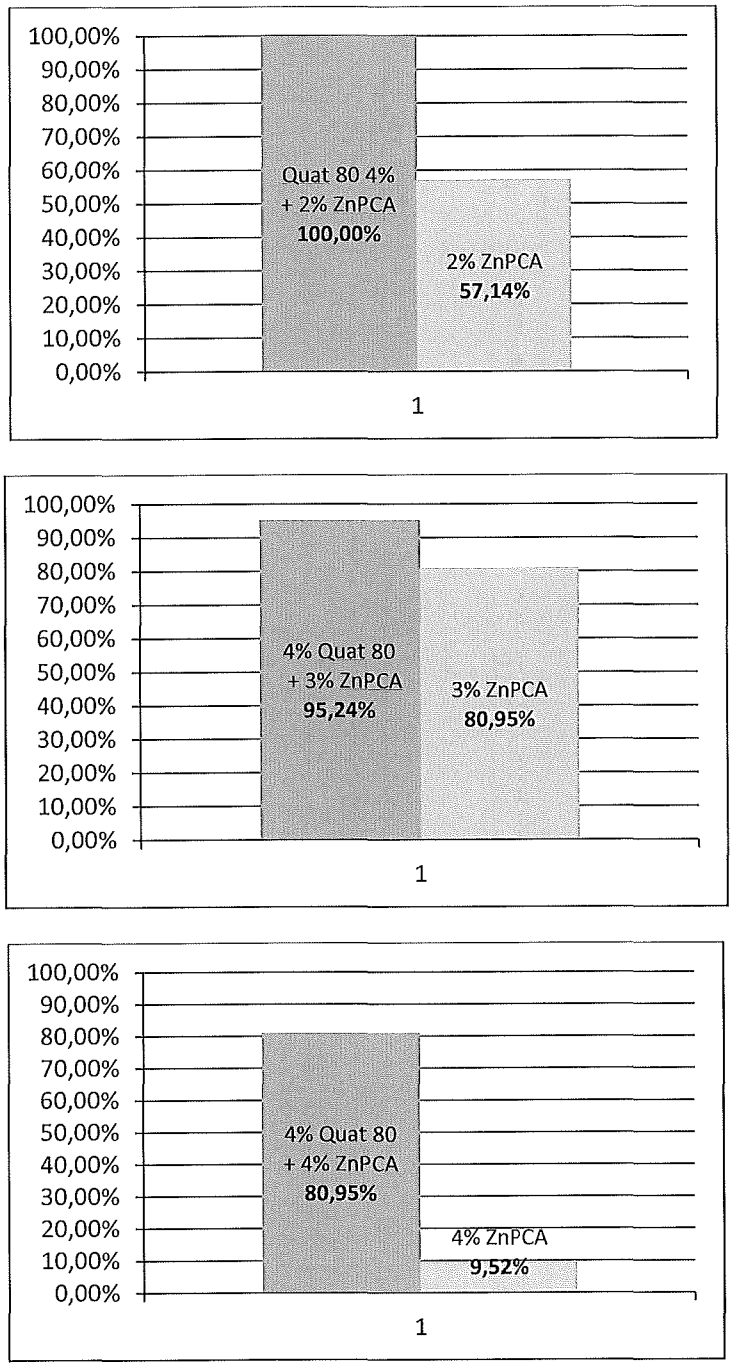



Fig. 5

In terms of effectiveness: the effectiveness of Original Vapo being set at 100%

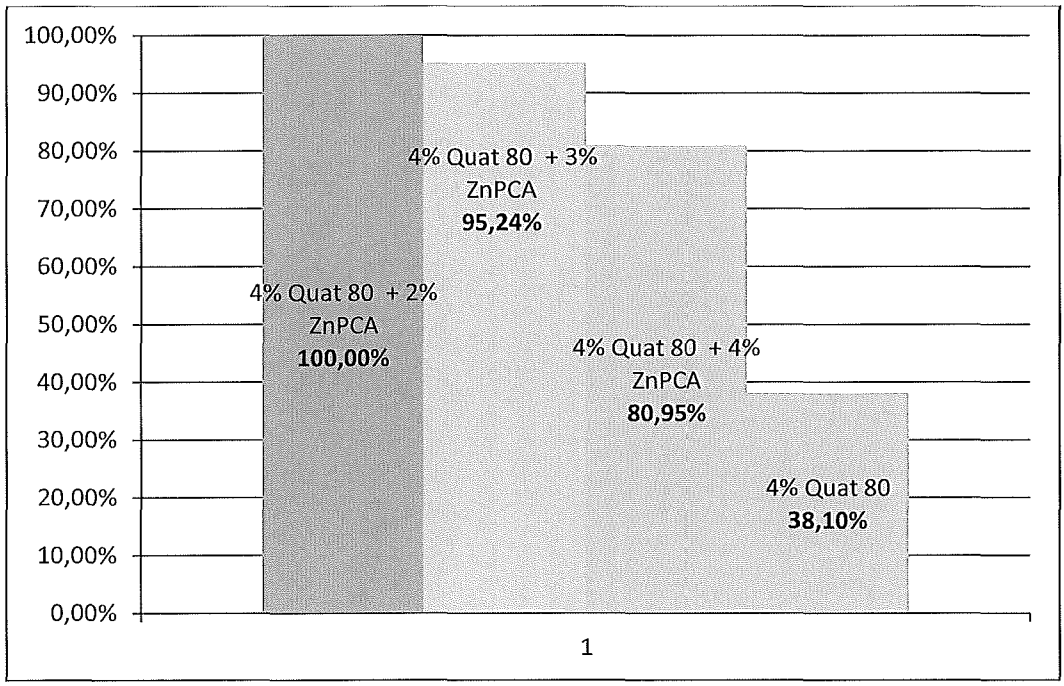



Fig. 6

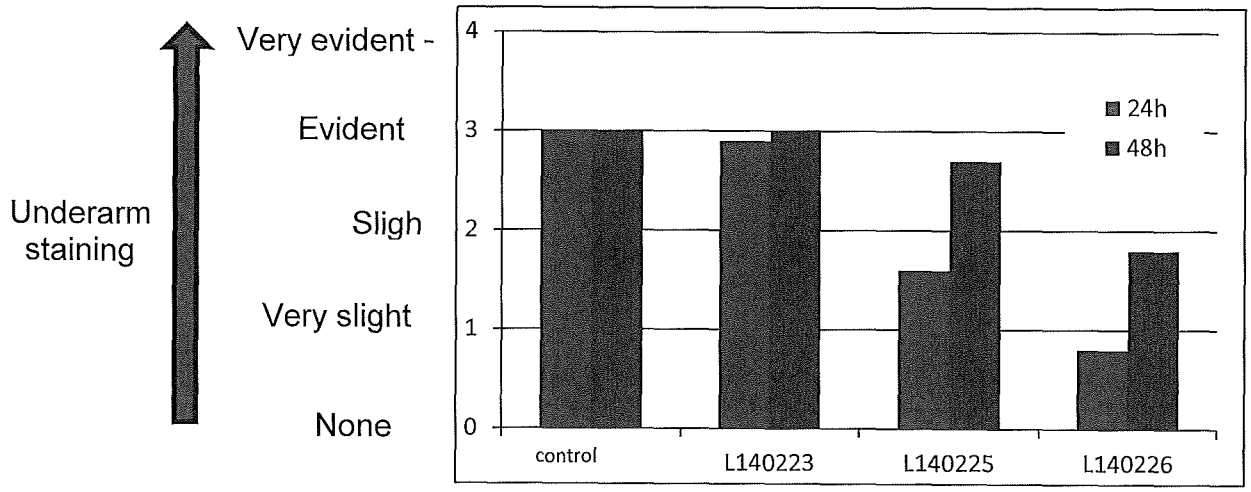

Underarm staining
Very evident -
Evident
Sligh
Very slight
None
4
3
2
1
0
24h
48h
control
L140223
L140225
L140226

Fig. 7

# INTERNATIONAL SEARCH REPORT

International application No
PCT/IB2015/059730

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K8/27 A61Q15/00 A61K8/898
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. |
|---|---|---|
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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

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| Date of the actual completion of the international search | Date of mailing of the international search report |
|---|---|
| 23 March 2016 | 05/04/2016 |

| Name and mailing address of the ISA/ | Authorized officer |
|---|---|
| European Patent Office, P.B. 5818 Patentlaan 2<br>NL - 2280 HV Rijswijk<br>Tel. (+31-70) 340-2040,<br>Fax: (+31-70) 340-3016 | Kling, Isabelle |

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International application No
PCT/IB2015/059730

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

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| X | WO 2004/010967 A1 (COLGATE PALMOLIVE CO [US]) 5 February 2004 (2004-02-05)<br>page 12, line 18 - page 13, line 2;<br>compounds I-VIII<br>page 12, line 18 - page 13, line 2<br>----- | 1-5,7-12 |

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