

DESCRIPTION

SKIN-WHITENING COMPOSITION FOR EXTERNAL APPLICATION ON SKIN CONTAINING EXTRACTS FROM PAPER MULBERRY FLOWERS AND FRUITS

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Technical Field

The present invention relates to a skin external composition comprising an extract of the flower and fruit of paper mulberry, and more particularly to a skin external
10 composition comprising, as an active ingredient, an extract of flower and fruit of paper mulberry, which shows an excellent skin-whitening effect by effectively inhibiting melanin production.

15 Background Art

Human skin color is determined by various factors, including the activity of melanocytes which produce the melanin pigment, distribution of blood vessels, skin thickness, the presence or absence of pigments such as carotenoids and
20 bilirubin, or the like. Of the factors, the dark pigment melanin which is produced from melanocytes by the action of various enzymes including tyrosinase is the most important. The production of melanin is affected by genetic factors, physiological factors such as hormone secretion, stress, etc.
25 and environmental factors such as UV radiation. Melanin

present in the skin plays an important role in protecting the skin from UV, etc. However, it is known that excessive production of melanin plays major roles in accelerating pigmentation and skin aging and inducing skin cancer. From
5 long ago, ascorbic acid, kojic acid, arbutin, hydroquinone, glutathione, their derivatives, or compounds having tyrosinase inhibitory activity have been used in cosmetics or drugs in order to treat or ameliorate anomalous skin pigmentation and excessive melanin pigmentation caused by exposure to UV.
10 However, their use is restricted because of insufficient skin whitening effect, safety issue on the skin, formulation instability when used in cosmetics, or the like.

Disclosure

15 Technical Problem

Accordingly, the present inventors have conducted studies on natural materials having high skin-whitening effects and, as a result, have found that an extract of the flower and fruit of paper mulberry has an excellent skin-whitening
20 effect, thereby completing the present invention.

Therefore, it is an object of the present invention to provide a skin external composition comprising a natural material which has an excellent skin-whitening effect and is safe for the human body.

Technical Solution

In order to accomplish the above object, the present invention provides a skin external composition for skin whitening comprising an extract of the flower and fruit of paper mulberry as an active ingredient.

Advantageous Effects

The skin external composition according to the present invention comprises, as an active ingredient, an extract of the flower and fruit of paper mulberry. The extract according to the present invention exhibits an excellent skin-whitening effect by effectively inhibiting melanin production, compared to extracts of other portions (including leaf, stem and root) of paper mulberry and is safe for use on the skin.

Best Mode

The present invention provides a skin external composition for skin whitening comprising an extract of the flower and fruit of paper mulberry as an active ingredient.

Hereinafter, the present invention will be described in detail.

Plants belonging to the genus *Broussonetia* (paper mulberry) which is used as an active ingredient in the present invention include *Broussonetia kazinoki* Sieb, *Broussonetia papyrifera* Vent and the like. These plants are deciduous

broad-leaf shrubs that are distributed in most areas of Korea (mainly the southern part), China, Taiwan, Japan and the like and grow in sunny places of mountains, places around fields, etc. The bast fiber of paper mulberry has been used as a raw material for making paper, and it is known that paper mulberry has various medicinal effects, including tonic, eyesight improvement, impotence alleviation, dropsy treatment, revivification, diuresis, palsy removal, augmentation, blood clarification, amblyopia treatment, and the like.

10 The extract of the flower and fruit of paper mulberry according to the present invention can be obtained according to any method known in the art. For example, the extract can be prepared by drying the flower and fruit of paper mulberry in sunlight or hot air and extracting the dried flower and fruit.

15 The skin external composition according to the present invention comprises an extract of the flower and fruit of paper mulberry. The extract according to the present invention exhibits an excellent skin-whitening effect by effectively inhibiting melanin production, compared to
20 extracts of other portions (including leaf, stem and root) of paper mulberry, when it is applied to the skin. Thus, the extract according to the present invention can be applied to a skin external composition for skin whitening.

 The skin external composition for skin whitening
25 according to the present invention may comprise the extract of

the flower and fruit of paper mulberry in an amount of 0.0001-50 wt% based on the total weight of the composition depending on the formulation of the composition. If the content of the extract in the composition is less than 0.0001 wt%, the skin-whitening effect of the composition will be insignificant, and if the content is more than 50 wt%, the stability of the formulation will be poor.

The composition according to the present invention may contain a cosmetically and dermatologically acceptable medium or base. The composition may be formulated as a preparation for topical application. Examples of formulations for local application include solution, gel, solid or dough anhydride, emulsion prepared by dispersing oil phase in a water phase, suspension, microemulsion, microcapsule, microgranule, ionic (liposome) and/or non-ionic vesicle, cream, skin, lotion powder, spray, and conceal stick. Also, the skin external composition according to the present invention can be formulated as a foam composition or an aerosol composition further containing a compressed propellant. In addition, the composition according to the present invention can be prepared formulated according to a conventional method known in the art.

The skin whitening composition according to the present invention may contain additives which are conventionally field in the cosmetic field or the skin science field, for example, fatty substance, organic solvent, resolvent, thickener, gelling

agent, softener, antioxidant, suspending agent, stabilizer, foaming agent, fragrance ingredient, surfactant, water, ionic or non-ionic emulsifying agent, filler, sequestering agent, chelating agent, preservative, vitamins, blocker, wetting
5 agent, essential oil, dye, pigment, hydrophilic or hydrophobic activator, lipid vesicle or other components. These additives are contained in amounts which are generally used in the cosmetic field or the skin science field.

Hereinafter, the present invention will be described in
10 further detail with reference to examples and test examples. It is to be understood, however, that these examples are for illustrative purposes only and are not intended to limit the scope of the present invention.

15 **Mode for Invention**

Preparation Example 1: Preparation of extract of flower and fruit of paper mulberry

1 kg of the flower and fruit of paper mulberry were added to 10 L of clean water and extracted by boiling in an
20 extractor equipped with a cooling condenser for 5 hours. The extract was filtered through a 300-mesh filter cloth and allowed to stand at 5 to 15 °C for 5 days, after which it was filtered through filter paper. The filtrate was concentrated under reduced pressure in a distillation device system with a
25 cooling condenser, thereby obtaining 70 g of an extract of the

flower and fruit of paper mulberry.

Preparation Example 2: Preparation of extract of leaf, stem and root of paper mulberry

1 kg of the leaf, stem and root of paper mulberry were
5 added to 10 L of clean water and extracted by boiling in an
extractor equipped with a cooling condenser for 5 hours. The
extract was filtered through a 300-mesh filter cloth and
allowed to stand at 5 to 15 °C for 5 days, after which it was
filtered through filter paper. The filtrate was concentrated
10 under reduced pressure in a distillation device system with a
cooling condenser, thereby obtaining 70 g of an extract of the
leaf, stem and root of paper mulberry.

Test Example 1: Tyrosinase inhibitory effect

The enzyme tyrosinase used in this Test Example was a
15 tyrosinase (SIGMA) extracted from mushrooms. First, the
substrate tyrosine was dissolved in distilled water at a
concentration of 0.3 mg/ml, and 1.0 ml of the solution was
placed in each test tube. Then, 1.0 ml of potassium-phosphate
buffer (0.1 M, pH 6.8) and 0.7 ml of distilled water were added
20 thereto, thereby preparing a mixed solution.

Each of the extracts of Preparation Examples 1 and 2 was
dissolved in ethanol at a suitable concentration, and 0.2 ml of
each of the extract sample solutions was added to the mixed
solution, and then reacted to react in an incubator at 37 °C for
25 10 minutes. As a control, 0.2 ml of a solvent alone was used

instead of each extract sample. 0.1 ml of a tyrosinase solution (2500 unit/ml) was added to each of the reaction solutions and allowed to react in an incubator at 37 °C for 10 minutes. Then, the test tube containing the reaction solution
 5 was quenched in ice water to stop the reaction, and the absorbance at 475 nm was measured with a photoelectric spectrophotometer. Then, the tyrosinase inhibitory activity of each of the extracts was calculated using the following equation 1, and the results of the calculation are shown in
 10 Table 1 below as the extract concentration (IC₅₀) that inhibited the enzyme activity by 50%.

[Equation 1]

$$\text{Tyrosinase activity inhibition (\%)} = [(A-B)/A] \times 100$$

wherein A: absorbance of test tube containing no test
 15 material; and

B: absorbance of test tube containing test material.

20

Table 1

Test material	Tyrosinase inhibitory activity (IC ₅₀)
Extract of flower and fruit of paper mulberry (Preparation Example 1)	1 µg/ml
Extract of leaf, stem and root of paper mulberry (Preparation Example 2)	2.5 µg/ml

As can be seen from the results in Table 1 above, the extract of the flower and fruit of paper mulberry according to the present invention more effectively inhibited melanin production compared to the extract of the leaf, stem and root of paper mulberry.

Example and Comparative Examples 1 and 2

Lotion formulations of Example and Comparative Examples 1 and 2 were prepared using the compositions shown in Table 2 below (unit: wt%).

Table 2

Component	Example	Comparative Example 1	Comparative Example 2
1. Cetearyl alcohol	1.0	1.0	1.0
2. Lipophilic glyceryl stearate	1.0	1.0	1.0
3. Glyceryl stearate SE	1.5	1.5	1.5
4. Phytosqualane	3	3	3
5. Hydeogenated polydecene	2	2	2
6. Dimethicone	0.5	0.5	0.5
7. Polysorbate 60	1	1	1
8. Sorbitan sesquioleate	0.4	0.4	0.4
9. Methylparaben	0.1	0.1	0.1
10. Propylparaben	0.05	0.05	0.05
11. Purified water	To 100	To 100	To 100
12. Butylene glycol	5	5	5
13. Polyacrylate-13* polyisobutene * polysorbate 20	0.5	0.5	0.5
14. Extract of flower and fruit of paper mulberry (Preparation Example 1)	1	-	-
15. Extract of leaf, stem and root of paper mulberry (Preparation Example 2)	-	1	-

Method for preparation of formulations of Examples and Comparative Examples 1 and 2

1) Components 11 to 15 were uniformly mixed with each

other while they were heated to 70 °C, thereby preparing an aqueous phase.

2) Components 1 to 10 were uniformly mixed with each other while they were heated to 70 °C, thereby preparing an oil phase.

5 3) The oil phase of 2) was added to the aqueous phase 1) and homomixed at 7,200 rpm for 6 minutes.

4) The mixture of 3) was cooled to room temperature.

Test Example 2: Test for skin whitening effect on human

10 skin

An opaque tape having a perforation (1.5 cm (W) x 1.5 cm (L)) was attached to the upper arm portion of each of 12 healthy men, and then the attached portion was radiated with UVB at a dose about 1.5-2 times the minimal erythema dose of
15 each subject to induce skin darkening.

After the UV radiation, each of the formulations of Examples and Comparative Examples 1 and 2 was applied on the UV-radiated portion, and a control portion (not applied with anything) was provided. The change in the state of the skin
20 was observed over 8 weeks. At 4, 6 and 8 weeks, the color of the skin was measured using the colorimeter CR2002 (Japan, Minolta). The difference (ΔL^*) in skin color between the time point of initiation of application and the time point of completion of application of each formulation was calculated
25 according to the following equation 2, and the calculation

results are shown in Table 3 below. Meanwhile, the whitening effect is evaluated by comparing the ΔL^* value between the sample-applied portion and the control portion.

[Equation 2]

5 $\Delta L^* = L^*$ value at time point of measurement - L^* value after induction of darkening at initial stage of measurement

Table 3

	Lightness (ΔL^*) of skin color		
	4 weeks	6 weeks	8 weeks
Example	1.4	1.7	1.8
Comparative Example 1	1.1	1.6	1.7
Comparative Example 2	0.2	0.6	1.2

As can be seen in Table 3 above, the human skin-whitening effect of the Example containing the extract of the flower and fruit of paper mulberry was much higher than that of Comparative Example 2 containing no paper mulberry extract and was also significantly higher than that of Comparative Example 1 containing the extract of the leaf, stem and root of paper mulberry.

Formulation Example 1: Milk lotion

Milk lotion was prepared using the composition shown in Table 4 below according to conventional method.

Table 4

Component	Content (wt%)
Purified water	Balance
Glycerin	8.0

Butylene glycol	4.0
Hyaluronic acid extract	5.0
Beta-glucan	7.0
Carbomer	0.1
Extract of flower and fruit of paper mulberry	0.05
Caprylic /capric triglyceride	8.0
Squalane	5.0
Cetearyl glucoside	1.5
Sorbitan stearate	0.4
Cetearyl alcohol	1.0
Preservative	q.s.
Fragrance	q.s.
Pigment	q.s.
Triethanolamine	0.1

Formulation Example 2: Nourishing lotion

Nourishing lotion was prepared using the composition shown in Table 5 below according to conventional method.

5 Table 5

Component	Content (wt%)
Purified water	Balance
Glycerin	3.0
Butylene glycol	3.0
Liquid paraffin	5.0
Beta-glucan	7.0
Carbomer	0.1
Extract of flower and fruit of paper mulberry	3.0
Caprylic /capric triglyceride	3.0
Squalane	5.0
Cetearyl glucoside	1.5
Sorbitan stearate	0.4
Polysorbate 60	1.5
Preservative	q.s.
Fragrance	q.s.
Pigment	q.s.
Triethanolamine	0.1

Formulation Example 3: Nourishing cream

Nourishing cream was prepared using the composition shown in Table 6 below according to conventional method.

Table 6

Component	Content (wt%)
Purified water	Balance
Glycerin	3.0
Butylene glycol	3.0
Liquid paraffin	7.0
Beta-glucan	7.0
Carbomer	0.1
Extract of flower and fruit of paper mulberry	3.0
Caprylic /capric triglyceride	3.0
Squalane	5.0
Cetearyl glucoside	1.5
Sorbitan stearate	0.4
Polysorbate 60	1.2
Preservative	q.s.
Fragrance	q.s.
Pigment	q.s.
Triethanolamine	0.1

5 Formulation Example 4: Pack

A pack was prepared using the composition shown in Table 7 below according to conventional method.

Table 7

Component	Content (wt%)
Purified water	Balance
Glycerin	4.0
Polyvinyl alcohol	15.0
Hyaluronic acid extract	5.0
Beta-glucan	7.0
Allantoin	0.1
Extract of flower and fruit of paper mulberry	0.5
Nonylphenyl ether	0.4
Polysorbate 60	1.2
Preservative	q.s.
Fragrance	q.s.
Pigment	q.s.
Ethanol	6.0

Formulation Example 5: Ointment

An ointment was prepared using the composition shown in Table 8 below according to conventional method.

5 Table 8

Component	Content (wt%)
Purified water	Balance
Glycerin	8.0
Butylene glycol	4.0
Liquid paraffin	15.0
Beta-glucan	7.0
Carbomer	0.1
Extract of flower and fruit of paper mulberry	1.0
Caprylic /capric triglyceride	3.0
Squalane	1.0
Cetearyl glucoside	1.5
Sorbitan stearate	0.4
Polysorbate 60	1.0
Preservative	q.s.
Fragrance	q.s.
Pigment	q.s.
Beeswax	4.0

CLAIMS

1. A skin external composition for skin whitening comprising an extract of flower and fruit of paper mulberry as
5 an active ingredient.

2. The skin external composition of claim 1, wherein the composition comprises the extract of flower and fruit of paper mulberry in an amount of 0.0001-50.0 wt% based on the
10 total weight of the composition.