Formulations Comprising Idebenone, N-Acetyl-S-Farnesyl-L-Cysteine and Ergothioneine and Uses Thereof

Field of the Invention

[0001] The present invention relates to the field of protection against damage from environmental stressors.

Background of the Invention

[0002] The skin is under constant attack from a variety of environmental stressors such as ultraviolet (UV) radiation from the sun. These stressors can cause damage to the skin that accumulates with age and that can result in chronic inflammation, DNA damage and reactive oxidative stress (ROS).

[0003] In order to protect against environmental stressors and to repair damage that these stressors cause, researchers have developed topical cosmetic and dermatologic preparations that contain certain active ingredients. For example, researchers have discovered that idebenone is a powerful antioxidant that protects against skin aging. Because of the benefits of this compound, it is included in the commercially available facial serum Prevage®.

[0004] Although many consumers have recognized the benefits of using Prevage®, researchers are always looking for ways to provide new and improved formulations for preventing or remediating harms that environmental stressors cause. The present invention is directed toward this goal.

Summary of the Invention

[0005] The present ~~invention~~ disclosure provides formulations for protecting against skin damage as well as methods for making and using these formulations. Through the various embodiments of the present ~~invention~~disclosure, a person may gain protection against and/or remediate damage that is caused by environmental stressors.

[0006] According to a first ~~embodiment~~aspect, the present ~~invention~~ disclosure is directed to a formulation comprising: (1) idebenone or a derivative thereof; (2) N-acetyl-S-

farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester thereof; and (3) ergothioneine or a pharmaceutically acceptable salt or ester thereof.

[0007] According to a second embodiment, the present ~~invention~~ disclosure is directed to a method of preventing a skin change or mitigating damage to the skin comprising administering to an organism (*e.g.*, a human) a formulation comprising: idebenone or a derivative thereof, N-acetyl-S-farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester thereof and ergothioneine or a pharmaceutically acceptable salt or ester thereof.

[0008] According to a third embodiment, the present ~~invention~~ disclosure provides for use of a composition or formulation in the manufacture of a medicament useful for treating a skin condition or cosmetic need. The medicament may be used in a method for treating, lessening the severity of and/or delaying onset of inflammation in a subject, including a human, in need thereof, comprising the step of administering an effective amount of a formulation comprising idebenone or a derivative thereof, N-acetyl-S-farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester thereof and ergothioneine or a pharmaceutically acceptable salt or ester thereof, a carrier and optionally an additional active ingredient. In an aspect, the present disclosure provides the use of idebenone or a derivative thereof, N-acetyl-S-farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester thereof and ergothioneine or a pharmaceutically acceptable salt or ester thereof, in the manufacture of a medicament for preventing a skin change, wherein the medicament is to be formulated as a topical preparation applied to the skin. In another aspect, the present disclosure provides the use of a formulation as disclosed above in the manufacture of a medicament for inhibiting UV induction of pro-inflammatory cytokines, wherein the medicament is to be administered to an organism that has been exposed to UV radiation. In a further aspect, the present disclosure provides the use of a formulation as disclosed above in the manufacture of a medicament for reducing sun burn cell formation, wherein the medicament is to be administered to an organism that has been exposed to UV radiation. In a further aspect, the present disclosure provides the use of a formulation as disclosed above in the manufacture of a medicament for treating inflammation. In a further aspect, the present disclosure provides the use of a formulation as disclosed above in the manufacture of a medicament for repairing DNA damage. In a further aspect, the present disclosure provides the use of a composition comprising the

formulation as disclosed above in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to scavenge for free radicals. In a further aspect, the present disclosure provides the use of a composition comprising the formulation as disclosed above in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to comprise an anti-oxidant effect. In a further aspect, the present disclosure provides the use of a composition comprising the formulation as disclosed above in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to mitigate against at least one of UV-induced pro-inflammatory cytokines and MMP production. In a further ~~aspect~~embodiment, the present ~~invention~~ disclosure provides a method for treating, lessening the severity of and/or delaying onset of inflammation in a subject, including a human, in need thereof, comprising the step of administering a provided formulation.

[0009] Various embodiments of the present ~~invention~~ disclosure provide one or more of the following advantages: (1) a synergistic reduction of IL-6 and TNF-α; (2) anti-aging and anti-inflammatory benefits by modulating MMP-1 and IL-6 levels; and (3) protection against UVB induced sun burn cell formation and IL-6 production.

Detailed Description of the Invention

[0010] Reference will now be made in detail to various embodiments of the present invention, examples of which are illustrated in the accompanying figures. In the following description, numerous specific details are set forth in order to provide a thorough understanding of the present invention. However, unless otherwise indicated or implicit from context, the details are intended to be examples and should not be deemed to limit the scope of the invention in any way.

Claims

We claim:

1. A formulation comprising: idebenone or a derivative thereof, N-acetyl-S-farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester thereof and ergothioneine or a pharmaceutically acceptable salt or ester thereof.

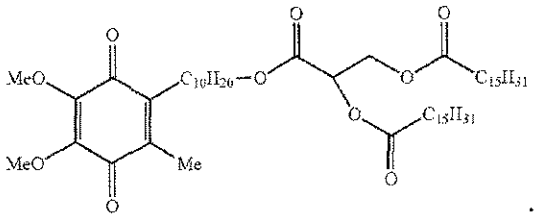
2. The formulation of claim 1, wherein

   (a) the idebenone or the derivative thereof is present in an amount of 0.0001 – 5.0 wt.% based on the total weight of the formulation;

   (b) the N-acetyl-S-farnesyl-L-cysteine or the pharmaceutically acceptable salt or ester thereof is present in an amount of 0.0001-10.0 wt. % based on the total weight of the formulation; and

   (c) the ergothioneine or the pharmaceutically acceptable salt or ester thereof is present in an amount of 0.0001-10.0 wt. % based on the total weight of the formulation.

3. The formulation of claim 2, wherein the idebenone or the derivative thereof is:



4. ~~A method for preventing a skin change, said method comprising applying a topical preparation to the skin, the preparation comprising an effective amount~~ Use of idebenone or a derivative thereof, N-acetyl-S-farnesyl-L-cysteine or a pharmaceutically acceptable salt or ester

thereof and ergothioneine or a pharmaceutically acceptable salt or ester thereof, in the manufacture of a medicament for preventing a skin change, wherein the medicament is to be formulated as a topical preparation applied to the skin.

5. ~~A method of inhibiting UV induction of pro-inflammatory cytokines, said method comprising administering~~ Use of a formulation of claim 1 in the manufacture of a medicament for inhibiting UV induction of pro-inflammatory cytokines ~~to an organism~~, wherein the medicament is to be administered to an ~~said~~ organism that has been exposed to UV radiation.

6. The ~~method~~ use of claim 5, wherein said administering is by topically applying the formulation to the organism.

7. The use ~~method~~ of claim 6, wherein the formulation is applied to a region of skin of the organism.

8. The use ~~method~~ of claim 5, wherein the cytokines comprise IL-6 or TNF-α or a combination thereof.

9. ~~A method for reducing sun burn cell formation, said method comprising administering an effective amount~~ Use of a formulation of claim 1 in the manufacture of a medicament for reducing sun burn cell formation ~~to an organism~~, wherein the medicament is to be administered to an ~~said~~ organism that has been exposed to UV radiation.

10. ~~A method for treating inflammation comprising administering an effective amount~~ Use of a formulation according to claim 1 in the manufacture of a medicament for treating inflammation ~~to a person in need thereof~~.

11. ~~A method for repairing DNA damage comprising administering an effective amount~~ Use of a formulation according to claim 1 in the manufacture of a medicament for repairing DNA damage ~~to a person in need thereof~~.

12. ~~A method for treating human skin comprising topically applying to the human skin an effective amount~~ Use of a composition comprising the formulation of claim 1 in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to scavenge ~~said treating comprises scavenging~~ for free radicals.

13. ~~A method for treating human skin comprising topically applying to the human skin an effective amount~~ Use of a composition comprising the

formulation of claim 1 in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to ~~said treating~~ comprises an anti-oxidant effect.

14. The ~~method~~ use according to claim 13, wherein said anti-oxidant effect protects against skin aging.

15. ~~A method for treating human skin comprising topically applying to the human skin an effective amount~~ Use of a composition comprising the formulation of claim 1 in the manufacture of a medicament for treating human skin, wherein the medicament is to be topically applied to the human skin, and wherein the medicament is formulated to ~~said treating~~ mitigates against at least one of UV-induced pro-inflammatory cytokines and MMP production.

16. The use ~~method~~ according to claim 15, wherein said treating mitigates against both of UV-induced pro-inflammatory cytokines and MMP production.