SUMMARY

Fine dry particulate retinoid compositions suitable for use in topical formulations, as well as methods of making the same, are provided. In the dry particulate retinoid compositions, the retinoid active agent is associated with the particles, e.g., via entrapment in the pores of the particles and/or ionic binding and/or non-covalent binding to the surface of the particles and/or loosely associated with the particles. Also provided are topical formulations which include the dry particulate retinoid compositions of the invention, and methods of using the same.

In one aspect of the present disclosure, there is provided a fine dry particulate retinoid composition that includes a retinoid active agent(s) present inside of the pores of nanoporous calcium particles and/or on the surface of the particles and/or loosely associated with the particles.

In another aspect of the present disclosure, there is provided a topical formulation comprising:

a fine dry particulate retinoid composition as disclosed herein; and

a topical delivery vehicle.

In a further aspect of the present disclosure, there is provided a topical formulation for use in delivering a retinoid active agent to a subject, comprising:

(a) a fine dry particulate retinoid composition as disclosed herein; and

(b) a topical delivery vehicle.

In another aspect of the present disclosure, there is provided a use of a topical formulation comprising:

(a) a fine dry particulate retinoid composition as disclosed herein; and

(b) a topical delivery vehicle;

in the manufacture of a medicament for delivering a retinoid active agent to a subject.

In a further aspect of the present disclosure, there is provided a method comprising:

(a) combining:

(i) nanoporous calcium particles comprising a porous structure that defines an internal space; and

(ii) an retinoid active agent;

in the presence of a solvent to produce a mixture; and

(b) removing the solvent from the mixture to produce a fine dry particulate retinoid composition.

DETAILED DESCRIPTION

Fine dry particulate retinoid compositions suitable for use in topical formulations, as well as methods of making the same, are provided. In the dry particulate retinoid compositions, the retinoid active agent is associated with the particles, e.g., via entrapment in the pores of the particles and/or ionic binding and/or non-covalent binding to the surface of the particles and/or loosely associated with the particles. Also provided are topical formulations which include the dry particulate retinoid compositions of the invention, and methods of using the same.

Before the present invention is further described, it is to be understood that this invention is not limited to particular embodiments described, as such may vary. It is also to be understood that the terminology used herein is for the purpose of describing particular embodiments only, and is not intended to be limiting, since the scope of the present invention will be limited only by the appended claims.

Where a range of values is provided, it is understood that each intervening value, to the tenth of the unit of the lower limit unless the context clearly dictates otherwise, between the upper and lower limit of that range and any other stated or intervening value in that stated range, is encompassed within the invention. The upper and lower limits of these smaller ranges may independently be included in the smaller ranges and are also encompassed within the invention, subject to any specifically excluded limit in the stated range. Where the stated range includes one or both of the limits, ranges excluding either or both of those included limits are also included in the invention.

Certain ranges are presented herein with numerical values being preceded by the term "about." The term "about" is used herein to provide literal support for the exact number that it precedes, as well as a number that is near to or approximately the

10. The fine dry particulate retinoid composition according to any of the preceding claims, wherein the composition further comprises an antioxidant component.

11. The fine dry particulate retinoid composition according to Claim 10, wherein the antioxidant component comprises one or more of: BHT, Ascorbyl Palmitate, Thioctic Acid (Alpha Lipoic Acid), Calcium Ascorbate, a tocopherol, a mixed tocopherol composition and Resveratrol.

12. The fine dry particulate retinoid composition according to Claim 11, wherein the antioxidant component is selected from the group consisting of: BHT and Ascorbyl Palmitate, Thioctic Acid (Alpha Lipoic Acid) and Calcium Ascorbate, Mixed Tocopherols and Thioctic Acid (Alpha Lipoic Acid) and Resveratrol, and Mixed Tocopherols and Thioctic Acid (Alpha Lipoic Acid).

13. A topical formulation comprising:
a fine dry particulate retinoid composition according to any of Claims 1 to 12; and
a topical delivery vehicle.

14. The topical ~~composition~~ formulation according to Claim 13, wherein the topical delivery vehicle is an aqueous topical delivery vehicle.

15. A ~~method of delivering a retinoid active agent to a subject, the method comprising:~~
~~applying a~~ topical formulation for use in delivering a retinoid active agent to a subject, comprising:
(a) a fine dry particulate retinoid composition according to any of Claims 1 to 12; and
(b) a topical delivery vehicle~~;~~
~~to a topical region of the subject to deliver the retinoid active agent to the subject~~.

16. Use of a topical formulation comprising:

(a) a fine dry particulate retinoid composition according to any of Claims 1 to 12; and

(b) a topical delivery vehicle;

in the manufacture of a medicament for delivering a retinoid active agent to a subject.

~~16~~17. A method comprising:

(a) combining:

(i) nanoporous calcium particles comprising a porous structure that defines an internal space; and

(ii) an retinoid active agent;

in the presence of a solvent to produce a mixture; and

(b) removing the solvent from the mixture to produce a fine dry particulate retinoid composition.

~~17~~18. The method according to Claim ~~16~~17, wherein the method is a method of making any of the compositions or formulations of Claims 1 to 15.

~~18~~19. The method according to Claim ~~17~~18, wherein the particles and retinoid active agent are combined under negative pressure.