

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
6 June 2002 (06.06.2002)

PCT

(10) International Publication Number  
**WO 02/43656 A2**

(51) International Patent Classification<sup>7</sup>: **A61K**

(21) International Application Number: PCT/US01/43375

(22) International Filing Date:  
20 November 2001 (20.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
09/723,978 28 November 2000 (28.11.2000) US

(71) Applicant (for all designated States except US): **AVON PRODUCTS, INC.** [US/US]; 1251 Avenue of the Americas, New York, NY 10020-1196 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KALAFSKY, Robert, E.** [US/US]; 24 Willowgrove Court, Ogdensburg, NJ 07439 (US). **PECHKO, Andrew, H.** [US/US]; 965 East Ridgewood Avenue, Ridgewood, NJ 07450 (US).

(74) Agent: **RUGGIERO, Charles, N., J.**; Ohlandt, Greeley, Ruggiero & Perle, LLP, One Landmark Square, 10th Floor, Stamford, CT 06901-2682 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: WATER-IN-OIL INSECT REPELLENT COMPOSITION AND METHOD OF APPLICATION TO THE SKIN

(57) Abstract: The present invention is an insect repellent water-in-oil emulsion having an internal aqueous phase and an external oil phase. It also has an amount of an insect repellent active effective to repel insects when the composition is applied to the skin. The insect repellent active is substantially present in the oil phase. Also disclosed is a method of repelling insects from the skin by applying to the skin the above composition.



**WO 02/43656 A2**

## **WATER-IN-OIL INSECT REPELLENT COMPOSITION AND METHOD OF APPLICATION TO THE SKIN**

### **BACKGROUND OF THE INVENTION**

#### **1. Field of the Invention**

The present invention relates to an insect repellent composition. More particularly, the present invention relates to an insect repellent water-in-oil emulsion that imparts repellency to the skin immediately or soon after application.

#### **2. Description of the Prior Art**

Insect repellent compositions are available commercially in a variety of product forms, such as aerosol and pump sprays, creams, gels and lotions. The compositions may be administered in preparation for a variety of outdoor activities, such as picnicking, fishing, hiking and exercise. Depending upon the product form, the compositions may be administered to clothing, as well as to skin.

A common problem associated with insect repellent oil-in-water emulsions is a delay in the onset of repellency after application to the skin. This delay is related to the time required for breaking of the internal oil phase of the repellent emulsion. Consequently, consumers can experience the onset of insect exposure due to this time delay.

Current insect repellent compositions frequently have a high water content because they are formulated as oil-in-water emulsions. Most insect repellent actives are water insoluble and must be dispersed within the oil phase of the emulsion. Since the oil phase in an oil-in-water emulsion is the internal phase rather than the external or continuous phase, the emulsion must break before the insect repellent active will be available to form a protective film to effect repellency.

Insect repellent compositions taking the form of oil-in-water emulsions are well known in the art. For example, U.S. Patent No. 5,916,541 to Stewart provides emulsions having an insect repellent, a sunscreen agent and a film former. Also, U.S. Patent No. 5,980,871 to Lukenbach et al. provides emulsions having an insect repellent agent, an inorganic sunscreen agent, and an anionic emulsifier.

U.S. Patent No. 5,989,529 to Kaplan provides emulsions having a block polymer substantive agent, a sunscreen agent and, optionally, an insect repellent. Also, U.S. Patent No. 6,030,629 to Hansenne provides cosmetic compositions in emulsion form having certain sunscreen agents, vehicles and, optionally, insect repellent agents. Further, U.S. Patent No. 5,039,516 to Goodman et al. provides emulsions having a sunscreen agent, a 2-hydroxyethyl homopolymer and, optionally, an insect repellent.

The prior art compositions set forth above are typical of those in the art. Basically, a time delay is observed in the onset of repellency after the composition is applied to the skin.

## SUMMARY OF THE INVENTION

It is an object of the present invention to provide an insect repellent composition that imparts repellency to the skin immediately or soon after application.

It is also an object of the present invention to provide such a composition that exhibits water, sweat, and wear resistance.

It is another object of the present invention to provide such a composition that is a water-in-oil emulsion.

It is still another object of the present invention to provide such a composition that provides UV protection.

It is further an object of the present invention, to provide a method of repelling insects from the skin. The method includes applying to the skin the composition described above.

These and other objects and advantages of the present invention are achieved by an insect repellent composition that is a water-in-oil emulsion having an internal aqueous phase and an external oil phase. The composition also has an amount of an insect repellent active effective to repel insects when the composition is applied to the skin. The insect repellent active is substantially present in the external oil phase. The presence of the insect repellent active in the external phase permits the insect repellent active to provide a protective repellent film on the skin immediately or soon after application.

## DETAILED DESCRIPTION OF THE INVENTION

In the present invention, it was found surprising that an effective insect repellent composition could be formulated as a water-in-oil emulsion to impart repellency to the skin immediately or soon after application. Further, the composition can be formulated so as not to impart an unpleasant feel or sensation to the skin.

The present composition imparts immediate or contemporaneous repellency to the skin due to its water-in-oil emulsion form. Although the present invention is not limited by any particular time of onset of repellency, the present composition imparts repellency to the skin faster than repellent compositions that have oil-in-water emulsion form.

As stated above, the present composition takes the form of a water-in-oil emulsion. The composition has about 5 weight percent (wt.%) to about 60 wt.%, and preferably about 15 wt.% to about 50 wt.%, of the internal water phase based on the total weight of the composition. The composition has about 40 wt.% to about 95 wt.%, and preferably about 50 wt.% to about 85 wt.%, of the external oil phase based on the total weight of the composition.

An important feature of the present invention is the use of a non-ionic emulsifying agent. Preferred non-ionic emulsifying agents are stearate polymers and their derivatives. A more preferred group of stearate polymers comprise polyhydroxystearates, polyhydroxydistearates, polyhydroxyisostearates, polyhydroxydiisostearates, polyglyceryl stearates,

polyglyceryl distearates, polyglycerylisostearates, polyglyceryldiisostearates, and their derivatives. A most preferred non-ionic emulsifying agent is PEG 30 (di)polyhydroxystearate sold by Uniqema, Inc. under the tradename Arlacel P135. It was surprisingly discovered that the use of a non-ionic emulsifier enables the formulation of an insect repellent composition as a water-in-oil emulsion, wherein the insect repellent active can be present in the external, continuous phase of the emulsion. As a result, the insect repellent active becomes immediately available upon application of the composition to the skin without the typical delay associated with other insect repellent emulsion products.

The nonionic emulsifying agent is present in the composition from about 0.1 to about 10 wt% and preferably from about 1.0 to about 7 wt% based upon the total weight of the composition.

The present composition may include useful vehicles that are known in the art. Such vehicles include, but are not limited to, water; vegetable oils; esters such as octyl palmitate, isopropyl myristate and isopropyl palmitate; ethers such as dicapryl ether and dimethyl isosorbide; alcohols such as ethanol and isopropanol; fatty alcohols such as cetyl alcohol, stearyl alcohol and behenyl alcohol; isoparaffins such as isooctane, isododecane and isohexadecane; silicone oils such as dimethicones and polysiloxanes; hydrocarbon oils such as mineral oil, petrolatum, isoeicosane and polyisobutene; polyols such as propylene glycol, glycerin, butylene glycol, pentyleneglycol and hexyleneglycol; and

mixtures of the foregoing. In particular, the polyols are preferably present in the external oil phase.

The composition can be made into any suitable product form. Such product forms include, but are not limited to, a cream, lotion, patch, and aerosol or pump spray.

The insect repellent active employed in the present composition may be any oil-soluble active known in the art. Such actives include, but are not limited to, N,N diethyl-m-toluamide (DEET), ethyl butylacetylaminopropionate (IR3535 by Merck Co.), hydroxyethyl isobutyl piperidine carboxylate (1-piperidine carboxylic acid) (Bayer KBR 3023), oil of citronella, soy bean oil, lemon grass oil, geranium/geraniol oil, other natural essential oils, p-menthane-3,8-diol, or any mixtures thereof. Other useful actives are disclosed in U.S. Patent Nos. 5,130,136 and 5,698,209, which patents are incorporated herein by reference. Preferred insect repellent actives are DEET, IR3535, p-menthane-3,8-diol and oil of citronella.

The insect repellent active is present at about 0.01 wt.% to about 70 wt.%, preferably about 0.1 wt.% to about 50 wt.%, and most preferably about 0.5 wt% to about 30 wt% based on the total weight of the composition.

Optionally, the present composition may further comprise one or more sunscreen actives. Sunscreen actives that can be used include those for UVA and UVB protection (290 to 400 nanometer solar radiation). The

sunscreen active may be any organic or inorganic compound known in the art such as oxybenzone, sulisobenzene, dioxybenzone, menthyl anthranilate, para aminobenzoic acid (PABA), octyl methoxycinnamate, octocrylene, drometrizole trisiloxane, octyl salicylate, homomenthyl salicylate, octyl dimethyl PABA, TEA salicylate, titanium dioxide, zinc oxide, butylmethoxy dibenzoylmethane (avobenzene), 4-methyl benzilidene camphor, octyl triazone, terephthalylidene dicamphor sulfonic acid, ethyl PABA, hydroxy methylphenyl benzotriazole, methylene bis-benzotriazoyltetramethylbutylphenol, bis-ethylhexyloxyphenol methoxyphenol triazine, and mixtures of the foregoing. Other sunscreen actives that can be used include those disclosed in U.S. Patent No. 5,000,937, which is incorporated herein.

Typically, sunscreen actives can range from 1.0 wt.% to 50 wt.% based on the total weight of the composition. The present composition can be formulated to deliver from about 2 to about 70 SPF in sunscreen protection.

Optionally, the composition may have a film former to improve water, sweat and wear resistance. The film former leaves a protective film on the surface of the skin either immediately or upon evaporation of volatiles in the composition. The film former can also enhance the spread characteristics of the composition, which allows the composition to be more uniformly and consistently applied to the skin. Further, the film former can help maintain the insect repellent active at the surface of the skin for a longer period of



time than it would otherwise remain without the film former. Still further, the film former affords controlled release of the insect repellent active. This amount of film former ranges from about 0.1 wt% to about 20 wt% based on the total weight of the composition.

Film-formers that can be used in the present invention include, but are not limited to, one or more acrylate copolymers such as acrylate/octylacrylamide copolymers and acrylate/vinyl acetate copolymers; cellulosic polymers such as methyl cellulose and hydroxyethyl cellulose; ethylene/acrylic acid copolymer; polyacrylic acid; C<sub>1</sub> to C<sub>5</sub> alkyl galactomannan; isododecane/ethylene mixed copolymer; adipic acid/diethylene glycol/glycerin crosspolymer; trimethylpentanediol/adipic acid copolymer; trimethylpentanediol/adipic acid/isononanoic acid; PVP/hexadecene copolymer (e.g., Ganex V-216); PVP/eicosene copolymer (e.g., Ganex V-220); alpha olefin/isopropyl maleate/MA polymer; cycloalkyl methacrylate copolymer/isododecane; trimethyl polysiloxane octadecene/MA copolymer; PPG-12/SMDI copolymer; acrylates C<sub>10</sub> to C<sub>30</sub> alkyl acrylate crosspolymer; cetyl hydroxyethylcellulose; dimethiconol; dimethicone; diglycol/cyclohexane-dimethanol/isophthalates/sulfoisophthalate copolymer; polyethylene; waxes such as beeswax and botanical waxes; polyurethane resins and mixtures of the foregoing. The polyurethane resins include Polyurethane-1, Polyurethane-2, Polyurethane-4, Polyurethane-5, and mixtures thereof. These polyurethane resins are described in the International Cosmetic

Ingredient Dictionary and Handbook, 8<sup>th</sup> edition, Printed Edition Pages 1152-1153, which is incorporated herein by reference. Additional film formers include those set forth in U.S. Patent No. 5,916,541, which is incorporated herein by reference.

Also optionally, the present composition may include one or more of the following additional ingredients: anesthetics, anti-allergens, antifungals, antimicrobials, anti-inflammatories, antiseptics, chelating agents, colorants, depigmenting agents, emollients, exfollients, fragrances, humectants, lubricants, moisturizers, pharmaceutical agents, preservatives, skin protectants, skin penetration enhancers, stabilizers, surfactants, thickeners, viscosity modifiers, and vitamins.

### **EXAMPLES**

Compositions of the present invention were prepared by admixing the ingredients set forth below. The ingredients were admixed within their corresponding phases, which were then admixed to form the compositions.

#### **Example 1**

##### **Water Phase**

31.18% Demineralized Water  
3.00% Glycerin  
0.20% Cetyl Hydroxyethylcellulose  
1.00% Magnesium Sulfate-Heptahydrate

Oil Phase

7.50% Ethylhexyl-Methoxycinnamate  
8.00% Benzophenone-3 (Oxybenzone)  
5.00% Octyl Salicylate  
8.00% Homomenthyl Salicylate  
0.10% Tocopheryl Acetate-Syn  
1.25% Carnauba Wax  
3.00% Peg-30 Dipolyhydroxytearate  
20.00% Ethyl Butylacetylaminopropionate  
3.00% PVP/Hexadecene Copolymer  
0.75% C30-38 Olefin/Isopropyl Maleate/MA Copolyol  
4.00% Zinc Oxide  
6.00% Titanium Dioxide  
0.03% Butylene Glycol

Example 2Water Phase

53.50% Demineralized Water  
1.00% Glycerin  
0.50% Cetyl Hydroxyethylcellulose  
0.50% Magnesium Sulfate-Heptahydrate

Oil Phase

7.50% Ethylhexyl-Methoxycinnamate  
3.00% Bis-Ethylhexyloxyphenol Methoxyphenol Triazine  
5.00% Methylene Bis-Benzotriazolyl Tetramethylbutylphenol  
8.00% C12-15 Alkyl Benzoate  
1.00% Avobenzene  
1.25% Carnauba Wax  
5.00% PEG-30 Dipolyhydroxystearate  
10.00% Ethyl Butylacetylaminopropionate  
3.00% PVP/Hexadecene Copolymer  
0.75% Polyglyceryl Diisostearate

Example 3Water Phase

56.90% Demineralized Water  
1.00% Pentylene Glycol  
0.50% Alkyl Galactomann  
1.00% Magnesium Sulfate-Heptahydrate

Oil Phase

7.50% Ethylhexyl-Methoxycinnamate  
3.00% Octocrylene  
5.00% Butyl Octyl Salicylate  
8.00% C12-15 Alkyl Benzoate  
0.10% Tocopheryl Acetate-Syn  
1.25% Carnauba Wax  
5.00% PEG-30 Dipolyhydroxystearate  
7.00% N,N Diethyl Toluamide  
3.00% Cetyl Dimethicone Copolyol  
0.75% Polyglyceryl Diisostearate

Example 4Water Phase

37.95% Demineralized Water  
3.00% Glycerin  
0.20% Cetyl Hydroxyethylcellulose  
1.00% Magnesium Sulfate-Heptahydrate

Oil Phase

7.50% p-Menthane Diol  
6.00% Citronella  
5.00% Soybean Oil  
8.00% Lemon Grass Oil  
0.10% Tobacco Extract  
1.25% Carnauba Wax  
3.00% PEG-30 Dipolyhydroxystearate  
5.00% Diisopropyl Adipate  
5.00% Isopropyl Palmitate  
2.00% C30-38 Olefin/Isopropyl Maleate/Ma Copolyol  
5.00% Zinc Oxide  
10.00% Titanium Dioxide

Example 5Water Phase

48.45% Demineralized Water  
3.00% Ethanol  
0.20% Cetyl Hydroxyethylcellulose  
0.50% Alkyl Galactomannan

Oil Phase

7.50% p-Menthane Diol  
6.00% Citronella  
5.00% Soybean Oil  
8.00% Lemon Grass Oil  
0.10% Tobacco Extract  
1.25% Beeswax  
3.00% PEG-30 Dipolyhydroxystearate  
5.00% Diisopropyl Adipate  
5.00% Isopropyl Palmitate  
2.00% PVP/Eicosene Copolymer  
5.00% Ethylbutylacetylaminopropionate

It should be understood that the foregoing description is only illustrative of the present invention. Various alternatives and modifications can be devised by those skilled in the art without departing from the invention. Accordingly, the present invention is intended to embrace all such alternatives, modifications and variances that fall within the scope of the appended claims.

**WHAT IS CLAIMED IS**

1. An insect repellent composition, comprising:
  - a) a water-in-oil emulsion having an internal aqueous phase and an external oil phase; and
  - b) an insect repellent active in an amount effective to repel insects when the composition is applied to the skin, wherein the insect repellent active is substantially present in the oil phase; and
  - c) a non-ionic emulsifying agent.
2. The composition of claim 1, wherein the amount of insect repellent active is about 0.01 wt.% to about 70 wt.% based on the total weight of the composition.
3. The composition of claim 1, wherein the amount of insect repellent active is about 0.1 wt.% to about 50 wt.% based on the total weight of the composition.

4. The composition of claim 1, wherein the insect repellent active is selected from the group consisting of N,N diethyl-m-toluamide, ethyl butylacetylaminopropionate, 1-piperidine carboxylic acid, oil of citronella, soy bean oil, lemon grass oil, geranium/geraniol oil, p-menthane-3,8-diol, and any mixtures thereof.

5. The composition of claim 1, further comprising a film-forming polymer.

6. The composition of claim 1, further comprising one or more sunscreen actives.

7. The composition of claim 6, wherein the sunscreen active is about 1.0 wt.% to about 50 wt.% based on the total weight of the composition.

8. The composition of claim 6, wherein the sunscreen active is selected from the group consisting of oxybenzone, octyl methoxycinnamate, octocrylene, octyl salicylate, avobenzene, homomenthyl salicylate, titanium dioxide, zinc oxide, terephthalylidene dicamphor sulfonic acid, methylene bis-benzotriazoyltetramethylbutylphenol, bis-ethylhexylphenol methoxyphenol triazine, and mixtures thereof.

9. The composition of claim 1, wherein the non-ionic emulsifying agent is a stearate polymer and/or a derivative thereof.

10. The composition of claim 9, wherein the emulsifying agent is selected from the group consisting of polyhydroxystearates, polyhydroxydistearates, polyhydroxyisostearates, polyhydroxydiisostearates, polyglyceryl stearates, polyglyderyl distearates, polyglycerylisostearates, polyglyceryldiisostearates and mixtures of the foregoing.

11. The composition of claim 9, wherein the emulsifying agent includes PEG 30 polyhydroxystearate.

12. The composition of claim 1, wherein the non-ionic emulsifying agent is present from about 0.1 wt% to about 10 wt% based on the total weight of the composition.

13. The composition of claim 1, wherein the non-ionic emulsifying agent is present from about 1.0 wt% to about 7 wt% based on the total weight of the composition.



14. The composition of claim 5, wherein the insect repellent active is about 0.1 wt.% to about 50 wt.% and the film former is about 0.1 wt.% to about 20 wt.% based on the total weight of the composition.

15. A method of repelling insects from skin, comprising applying to the skin the composition of claim 1.

16. The method of claim 15, wherein the insect repellent active is about 0.01 wt.% to about 70 wt.% based on the total weight of the composition.

17. The method of claim 15, wherein the amount of insect repellent active is about 0.1 wt.% to about 50 wt.% based on the total weight of the composition.

18. The method of claim 15, wherein the insect repellent active is selected from the group consisting of N,N diethyl-m-toluamide, ethyl butylacetylaminopropionate, 1-piperidine carboxylic acid, oil of citronella, soy bean oil, lemon grass oil, geranium/geraniol oil, p-menthane-3,8-diol, and any mixtures thereof.

19. The method of claim 15, further comprising applying a film former.

20. The method of claim 15, further comprising applying one or more sunscreen actives in an amount about 1.0 wt.% to about 50 wt.% based on the total weight of the composition.

21. The method of claim 20, wherein the sunscreen active is selected from the group consisting of oxybenzone, octyl methoxycinnamate, octocrylene, octyl salicylate, homomenthyl salicylate, titanium dioxide, zinc oxide, avobenzone, terephthalylidene dicamphor sulfonic acid, methylene bis-benzotriazoyltetramethylbutylphenol, bis-ethylhexyloxyphenol methoxyphenol triazine, and mixtures thereof.

22. The method of claim 15, wherein the non-ionic emulsifying agent is a stearate polymer and/or a derivative thereof.

23. The method of claim 22, wherein the emulsifying agent is selected from the group consisting of polyhydroxystearates, polyhydroxydistearates, polyhydroxyisostearates, polyhydroxydiisostearates, polyglyceryl stearates, polyglycerylisostearates, polyglyceryldistearates, polyglyceryldiisostearates and mixtures of the foregoing.

24. The method of claim 23, wherein the emulsifying agent includes PEG 30 polyhydroxystearate.

25. The method of claim 15, wherein the non-ionic emulsifying agent is present from about 0.1 wt% to about 10 wt% based on the total weight of the composition.

26. The method of claim 15, wherein the non-ionic emulsifying agent is present from about 1.0 wt% to about 7 wt% based on the total weight of the composition.