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AEROSOL SUN-SCREENING COMPOSITION

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This invention relates to compositions of matter and more particularly to aerosol compositions useful in protecting against sunburn.

Prior to this invention, various compositions were known which were effective in minimizing or preventing erythema solare, commonly known as sunburn. These compositions can be divided into three classes: greaseless compositions having an alcoholic base; greasy compositions containing vegetable or mineral oil or other tacky components; and water-based emulsions of any suitable oil. More recently, aerosol compositions have been formulated for protection against sunburn. These compositions invariably were of the greaseless type employing as a solvent for the sun screening agent, propellant, and other components, an alcohol such as ethanol or isopropanol. The use of an alcoholic solvent, however, is subject to several disadvantages. When such compositions are sprayed, the liquid components, including the alcohol, are dispersed in the form of fine droplets. Under these conditions, and because of the large surface area of the droplets, the alcohol represents a fire hazard, so that all previously known aerosol sunburn preparations were subject to this disadvantage. Furthermore, since the alcohol solvent was highly volatile and evaporated quickly, a second film-forming ingredient had to be incorporated into the composition in order to assure even distribution of the sun screening agent and protection thereby of the skin.

I have now discovered that these disadvantages can be obviated by the compositions of this invention, which essentially comprise a sun screening agent, a water-repellant, film-forming, liquid carboxylic acid ester vehicle of high flash point (hereinafter referred to simply as "the vehicle"), a propellant, and optionally but preferably a silicone. I have further discovered that by the use of the vehicles of this invention, not only is the aerosol composition rendered fire proof, but further, since the vehicle serves the dual purpose of a carrier for the various active ingredients of the composition and a water-repelling film-former on the skin, the quantity of silicone or other film-former previously employed may be reduced or eliminated.

An object of this invention, therefore, is the provision of an aerosol preparation for the prevention of sunburn, which is non-inflammable, easily applied, and which produces on the skin a continuous, substantially invisible, elastic film which provides effective protection against excessive exposure to erythemogenic actinic light.

Suitable film-forming, liquid carboxylic acid ester vehicles, utilizable in the compositions of this invention, are those which have high flash points (e. g. flash points above about 300° F.), are water-repellant, so that they are not readily removed on bathing, form thin films on contact with the skin, so that relatively large areas of skin are covered with the minimum amount of vehicle and sun screening agent, and which dissolve at least some and preferably all the other active ingredients of the compositions of this invention.

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Examples of types of suitable vehicles include the esters of higher aliphatic carboxylic acids, such as the esters of higher alkanolic acids (e. g. the mono and polyhydric alcohol esters of capric, lauric, myristic, palmitic, and stearic acid), the esters of higher alkenolic acids (e. g. the mono and polyhydric alcohol esters of citronellol, undecylenic, and oleic acid), and the esters of higher alkanedioic acids (e. g. the mono and polyhydric alcohol esters of azelaic and sebacic acid). These esters may be used either singly or in combination as the vehicle of this invention. Specific examples of suitable esters utilizable in the compositions of this invention, include the lower alkyl esters of higher fatty acids (e. g. isopropyl laurate, ethyl myristate, isopropyl myristate, ethyl palmitate, isopropyl palmitate, and butyl stearate), the polyhydric alcohol esters of higher fatty acids (e. g. diglycol laurate, sorbitol monolaurate, mannide monooleate, sorbitan monooleate, sorbitan sesquioleate, and diglycol oleate), and esters of higher alkanedioic acids, such as di-isopropyl sebacate. The particularly preferred esters are the mono-lower alkyl esters of higher fatty acids (optimally the lower alkyl esters of higher alkanolic acids).

Suitable sun screening agents are those which are capable of absorbing and dissipating erythemogenic actinic light and preferably are soluble in the vehicle. Examples of such agents are the esters of p-aminobenzoic acid and p-(lower alkyl substituted)aminobenzoic acid (e. g. the ethyl, propyl, isobutyl, butyl, glyceryl and other higher alcohol esters of p-aminobenzoic acid, p-dimethylaminobenzoic acid, and p-diethylaminobenzoic acid) and the esters of salicylic acid and p-aminosalicylic acid (e. g. the ethyl, propyl, isobutyl, benzyl, phenyl, dipropylene glycol and especially the menthyl and homomenthyl esters of salicylic acid and p-aminosalicylic acid). It should be noted, however, that the alcohol moiety of the ester has little effect on the screening activity of the ester, so that virtually any esterifying group may be used. Furthermore, any other of the known sunscreening agents can be used in the compositions of this invention, although those soluble in the vehicle are preferred because they permit the formation of a homogeneous solution.

In contrast to previously known aerosol preparations, the use of a silicone or other additional film-forming substance is not absolutely essential in the compositions of this invention, since the novel vehicles of this invention serve the dual purpose of solvent and water-repellant film-former on the skin. However, to prevent any frothing upon contact of the aerosol spray with the skin, it is desirable that a silicone, particularly a silicone fluid, also be incorporated in the compositions of this invention. Any relatively water-insoluble silicone is utilizable, as long as it is dermatologically acceptable and preferably soluble in the vehicle. Utilizable silicones include the silicone fluids such as aryl polysiloxanes (e. g. phenyl polysiloxanes), cyclic alkyl polysiloxanes (e. g. cyclic ethyl silicone tetramer), alkyl polysiloxanes (e. g. ethyl polysiloxanes and methyl polysiloxanes) and mixed polysiloxanes (e. g. methyl phenyl polysiloxanes). The viscosity of these preferred silicone fluids can range anywhere from about 25 to 15,000 centistokes.

To prepare the sun-screening film-forming active ingredients of the aerosols of this invention, the vehicle, sun screening agent, and silicone (if any) are intermixed in any order or together. Other ingredients such as a perfume or an insect repellent may also be added if desired. The proportion of sun screening agent to vehicle is not critical, but rather depends on the efficacy of the sun screening agent and hence the maximum dilution of the agent which is possible without making the composition ineffective. The preferred ratio of sun screening agent to

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vehicle, however, is in the order of one part by weight of the former to about one to about fifty parts by weight of the latter (optimally one part of sun screening agent to about seven to about ten parts of vehicle). If a silicone is used, it is preferably present in relatively small amounts, not exceeding about 40% (preferably less than about 25%) of the total weight of active ingredients.

The active ingredients are then mixed with a suitable propellant in a valved pressure container, such as one customarily employed in the aerosol industry. Suitable propellents are those which individually or in mixture have a gauge pressure less than about 50 pounds per square inch at 70° F. For use with the active ingredients of this invention, the propellant preferably is one which has a gauge pressure in the range of about 20 to 35 lbs./in.² at 70° F. (optimally about 25 to 30 lbs./in.² at 70° F.). Suitable propellents which can be used either alone or in mixture to give a gauge pressure in the hereinafore recited ranges include the halogenated lower alkanes, such as trichloromonofluoromethane, dichlorodifluoromethane, monochlorodifluoromethane, trichlorotrifluoroethane, and dichlorotetrafluoroethane. A particularly preferred propellant for the active ingredients in the compositions of this invention is one consisting of about equal proportions of trichloromonofluoromethane and dichlorodifluoromethane.

The proportion of active ingredients to propellant is not critical, but preferably should be such that there is sufficient propellant present to expel substantially the entire amount of active ingredients from the container as a spray. For this purpose, the propellant is added in the proportion of about one to about 20 parts of propellant by weight per one part of active ingredients (an optimal composition being one wherein the propellant is present in a ratio of 1 to 5 parts of propellant per part of active ingredients).

The following examples illustrate the invention:

Example 1

To 100.0 g. of homomenthyl salicylate is added with stirring 7.5 g. of a perfuming agent, such as Perfume G. D. 6763, Givaudan-Delawanna, 50.0 g. of a silicone fluid (e. g. a methyl phenyl polysiloxane of 75 ctsks. viscosity), and finally enough isopropyl palmitate to bring the mixture to a weight of 1,000.0 g., the mixture having a flash point above 310° F. The finished mixture is then strained through a fine muslin and filled into 6 ounce valved pressure cans using a ratio of 20% by weight of the solution to 80% by weight of a propellant, the propellant being an equal mixture of trichloromonofluoromethane and dichlorodifluoromethane. The cans are then sealed to give a final composition having a gauge pressure of about 28 lbs./in.² at 70° F.

In the formulation of Example 1, the perfuming agent is, of course, an optional ingredient and may be eliminated if desired. Furthermore, the specific ingredients and proportions may be changed within the limits hereinbefore noted without qualitatively affecting the nature of the final composition.

The following examples illustrate other utilizable compositions, which are mixed and formulated as in Example 1:

Example 2

One part of active ingredients consisting of:

	Percent
Homomenthyl salicylate.....	10.0
Isopropyl palmitate.....	90.0

is mixed with three parts of a propellant consisting of 40% dichlorodifluoromethane and 60% dichlorotetrafluoroethane.

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Example 3

One part of active ingredients consisting of:

	Percent
Dipropyleneglycol salicylate.....	50.0
A methyl phenyl polysiloxane of 50 ctsks. viscosity [Silicone 81431 (G. E.)].....	5.0
Isopropyl palmitate.....	15.0
Isopropyl myristate.....	15.0
Isopropyl laurate.....	15.0

is mixed with nine parts of a propellant consisting of an equal mixture of dichlorodifluoromethane and trichlorotrifluoroethane.

Example 4

One part of active ingredients consisting of:

	Percent
Ethyl p-diethylaminobenzoate.....	10.0
A methyl phenyl polysiloxane of 75 ctsks. viscosity [Silicone DC 555].....	25.0
Diisopropyl sebacate.....	65.0

is mixed with one part of a propellant consisting of 10% monochlorodifluoromethane and 90% dichlorotetrafluoroethane.

Example 5

One part of active ingredients consisting of:

	Percent
Isobutyl p-aminosalicylate.....	10.0
A methyl phenyl polysiloxane of 35 ctsks. viscosity [Silicone DC 701].....	5.0
Diglycol laurate.....	40.0
Isopropyl palmitate.....	45.0

is mixed with three parts of a propellant consisting of 40% dichlorodifluoromethane and 60% trichlorotrifluoroethane.

Example 6

One part of active ingredients consisting of:

	Percent
Solprotex (digalloyloleate).....	25.0
A methyl polysiloxane of 12,000 ctsks. viscosity [Silicone DC200].....	25.0
Sorbitol monolaurate.....	50.0

is mixed with eight parts of a propellant consisting of an equal mixture of trichloromonofluoromethane and dichlorodifluoromethane.

Example 7

One part of active ingredients consisting of:

	Percent
Ethyl p-dimethylaminobenzoate.....	20.0
A methyl polysiloxane of 500 ctsks. viscosity [Silicone S-96 (G. E.)].....	10.0
Sorbitan monooleate.....	35.0
Sorbitan sesquioleate.....	35.0

is mixed with three parts of a propellant consisting of 10% monochlorodifluoromethane and 90% trichloromonofluoromethane.

The compositions of Examples 2 through 7 may be performed if desired.

The invention may be variously otherwise embodied within the scope of the appended claims.

I claim:

1. An aerosol composition consisting essentially of: a sun-screening agent; a propellant; and a water-repellent, film-forming liquid ester vehicle of high flash point, said ester having an acid moiety selected from the group consisting of higher alkanolic acids, higher alkenolic acids and higher alkanedioic acids, said acids having not more than 18 carbon atoms, and an alcohol moiety selected from the group consisting of saturated, unsubstituted lower aliphatic monohydric alcohols, lower alkylene glycols of low molecular weight, sorbitol and mannitol.

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2. The composition of claim 1 which also contains a polysiloxane fluid.

3. The composition of claim 1 wherein the vehicle is a lower alkyl ester of a higher alkanolic acid having not more than 18 carbon atoms.

4. The composition of claim 1 in which the film-forming vehicle is present in the proportion of about one to about fifty parts by weight per part by weight of sun-screening agent, and in which the propellant is present in the proportion of about one to about twenty parts by weight per part by weight of combined sun-screening agent and film-forming vehicle.

5. The composition of claim 4 wherein the vehicle is a lower alkyl ester of a higher alkanolic acid having not more than 18 carbon atoms.

6. The composition of claim 5 wherein the sun-screening agent is selected from the group consisting of the esters of p-aminobenzoic acid, p-(lower-alkyl-substituted) aminobenzoic acid, salicylic acid and p-aminosalicylic acid.

7. The composition of claim 6 wherein the propellant comprises a halogenated lower alkane.

8. The composition of claim 5 wherein the sun-screening agent is homomenthyl salicylate.

9. An aerosol composition consisting essentially of a halogenated lower alkane propellant and sun-screening-film-forming active ingredients consisting essentially of homomenthyl salicylate, a mono-lower alkyl ester of a higher alkanolic acid having not more than 18 carbon atoms, and a polysiloxane fluid, wherein said homo-

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menthyl salicylate is present in the proportion of one part by weight of homomenthyl salicylate to about seven to about ten parts of the mono-lower alkyl ester of the higher alkanolic acid, and said propellant is present in the proportion of about five to about one part by weight of propellant per one part of the combined weight of the active ingredient.

10. The composition of claim 9 wherein the mono-lower alkyl ester of a higher alkanolic acid is a lower alkyl palmitate.

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