AMENDED SPECIFICATION

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PATENT SPECIFICATION

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COMPLETE SPECIFICATION

Preparations for use in Feminine Hygiene

We, MEDILINE A.G. a Swiss Body Corporate, of Wolhusen, Lucerne, Switzerland, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to novel aerosol spray preparations useful in feminine hygiene for deodorising and disinfecting.

It is often difficult for females to maintain a high order of personal cleanliness after urination and other elimination, particularly where facilities are not available for washing the lower pelvic region. Toilet issue is often irritant to the skin and mucous membrane of this region and ineffective in complete removal of metabolic products. The resulting undesirable body odour is believed to be caused by the presence of microorganisms which by breaking down these unremoved metabolic products, liberate malodorous substances.

It is an object of the present invention to provide means whereby the above difficulties may be reduced.

We have found that a particularly convenient method of reducing the above-described body odour is to spray an antibacterial composition, formulated in an organic aerosol propellant, onto the area concerned, particularly the external vaginal area, whereby unwanted bacterial activity is reduced or prevented. Spraying is especially effective since it facilitates direct application of the bactericidal substances without the use of toilet tissues and the like and enables any particular area to be readily treated without substantial irritation of the skin or mucous membrane. Further, a spray container is easily carried, for example, in a hand-bag and does not have to be frequently replaced as is the case with medicated toilet tissues etc.

The antibacterial substance or substances used as active material in the compositions should of course be suitable for cosmetic or toiletry purposes and be substantially non-irritant to the skin and mucous membrane. In spraying with an organic aerosol propellant small quantities of the liquid propellant are usually deposited on the skin and mucous membrane and cause irritation. We have found that such irritation can be reduced or avoided completely by the use of a propellant of high volatility and by including in the aerosol composition at least 0.1% by weight of one or more emollient substances. Such emollient substances may be oils or fats or may be non-toxic oily or fatty non-ionic emulsifying agents. In the case of the emulsifying agents, the emollient effect is accompanied by improved dispersion of the bactericide in the propellant. By the terms "fat" and "oil" used herein we mean substances exerting an emollient action upon human skin and mucous membrane and being of a fatty or oily physical character. The terms thus include not only triglycerides but also substances such as long chain fatty alcohols and long chain fatty esters having similar physical and emollient properties to the natural fats and oils. Essential oils, however, such as perfume oil cannot be classed as emollient substances and are not included within the term oil as used herein, it being understood that perfume oils may additionally

[*Price 5s. 0d.*]

be included in the compositions according to the invention purely for their odoriferous properties.

According to the present invention, therefore, we provide an "aerosol spray composition" as defined herein for use in feminine hygiene comprising a highly volatile (as hereinafter defined) organic aerosol propellant, at least one organic purely synthetic bactericide suitable for cosmetic or toiletry purposes and from 0.1% to 10% by weight of an emollient substance or mixture of emollient substances selected from the group consisting of fats and oils as herein defined and oily and fatty non-ionic emulsifying agents, the weight ratio of said emollient substance to said bactericide being at least 2:1 said composition being substantially non-irritant when sprayed onto the skin and mucous membrane of the vaginal area and containing less than 10% by weight of undissolved material.

The term "aerosol spray composition" is used herein in accordance with its strict meaning in the art to designate aerosol compositions which consist mainly of propellant, the propellant acting both as carrier and driving force for the remaining ingredients, the composition being ejected from the container as a true spray or mist. Such compositions are to be distinguished from aerosol foams and creams wherein the propellant is present only in small proportions serving only to eject from the container a continuous ribbon of foam or cream.

The propellant component of this composition which is preferably a lower hydrocarbon or fluoro-aliphatic hydrocarbon or mixture of such hydrocarbons is highly volatile (by which we mean substantially at least as volatile as difluoroethane) as compared with the effective propellant component of cosmetic or toiletry aerosol spray compositions as heretofore formulated. In this way the quantity of liquid spray reaching the skin is reduced as much as possible and discomfort to the user thereby reduced. Dichlorodifluoromethane is an especially suitable propellant having a boiling point of −29.8°C. Other highly volatile propellants include difluoromonochloromethane, monochlorotrifluoromethane, difluoromethane and propane. It will be understood that the propellant component may contain a proportion of one or more propellants of low volatility such as trichlorofluoromethane, dichloromonofluoromethane, trichlorotrifluoroethane, dichlorotetrafluoroethane, monochlorodifluoroethane, monofluorodichloroethane, octafluorocyclobutane and butane provided that the total propellant component is highly volatile (as above defined). Other volatile liquids such as alcohol which by themselves are not propellants naturally may effect the volatility of the propellant component and in such cases must be regarded as part thereof and therefore taken into account in choosing the propellant component according to the invention.

The bactericidal substance may be any purely synthetic bactericide suitable for cosmetic or toiletry purposes and which is compatible with the skin and mucous membrane and a number of such substances which have been proposed for use in gynaecology and midwifery are especially suitable for the present purpose. Examples of these include certain halogeno-phenyl bactericides such as 2,4-dichloro - 3,5 - xylenol, 3,4,6 - trichlorophenol and 4 - chloro - 3,5 - xylenol and the especially preferred bactericides bis-*p*-chlorophenyl diguanidohexane and its esters such as the diacetate and digluconate and 2,2′-methylene - bis - (3,4,6 - trichlorophenol). Other useful bactericides include long-chain quaternary ammonium compounds such as cetyltrimethyl-ammonium bromide, nitrofuran derivatives such as N - (5 - nitro - 2 - furfurylidene) - 1 - aminohydantoin and N - (5-nitro - 2 - furfurylidene) - 3 - amino - 2-oxazolidone, bactericidal ureaformaldehyde condensation products and hydroxymethylene derivatives of thiourea such as N-hydroxymethyl - N′ - methyl - thiourea. Antibiotics, which are not purely synthetic and due to their too powerful action and tendency to establish resistant strains, are not cosmetically acceptable, are not to be included as bactericides in the present compositions.

It is advantageous for the bactericidal spectrum of the material to be broad and to include activity against both Gram-positive and Gram-negative bacteria. It is often desirable, therefore to use two or more bactericidal compounds to ensure a broad range of activity. It is especially useful to combine the halogeno-phenyl bactericides with the long-chain quaternary ammonium compounds since the latter also possess a useful cleansing action in assisting removal of undesirable malodorous substances or flakes of skin from the surface.

The halogeno-phenyl bactericide preferably predominates in such compositions and one useful combination is about 10 parts 2,2′-methylene bis - (3,4,6 - trichlorophenol) or bis - *p* - chlorophenyl - diguanidino hexane with 1 part by weight of a quaternary ammonium compound such as cetyltrimethyl ammonium bromide.

The choice of the emollient substance which may be used in the compositions will depend on the bactericidal substance and on the carrier liquid. Examples of non-ionic emulsifying agents include sorbitan mono-fatty acid esters and their polyoxyethylene derivatives such as sorbitan mono-oleate, mono-laurate or mono-stearate and their polyoxyethylene derivatives, oxyethylated long chain alcohols such as oxyethylated lanolin, oxyethylated oleyl alcohol and ethers of such alcohols, oxyethylated phosphoric acid esters of long chain fatty alcohols such as oleyl, lauryl or wool-fat alcohol e.g. the substance sold under the

Registered Trade Mark Hostaphat KO 280 by Farbwerke Hoechst A.G.; mono- and di-ethanolamides of long chain fatty acids such as coco- acid diethanolamide, stearic acid mono-ethanolamide or myristic acid mono-ethanolamide.

One class of compounds especially suitable as emollient substance and also serving to assist solution or suspension of halogeno-phenyl bactericides is the saturated and unsaturated long chain alcohols, such as cetyl alcohol, lauryl alcohol, myristyl alcohol, stearyl alcohol, oleyl alcohol, oleylcetyl alcohol and octyldodecanol. Emollients derived from such alcohols can also be used, for example ethers, thereof, as well as esters of higher fatty acids such as adipic acid, stearic acid, myristic acid, palmitic, linoleic or linolenic acid, e.g. the ethyl, isopropyl glyceryl, polyoxyethylated glyceryl, or polygylcol esters, for example, isopropyl myristate, isopropyl palmitate, purceline oil, sesame oil, almond oil and polyethylene glycol stearate (e.g. the substances sold under the name Chremophor A by Badische Anilin and Sodafabrik). One particularly useful fat is hydrogenated and refined fat from animal skins; this fat is relatively hard and such hard fats are preferably used in combination with a softer fat or oil e.g. purceline oil or isopropyl myristate.

It is especially preferred to use an oil or fat in combination with a non-ionic emulsifying agent. In such combinations preferred non-ionic emulsifying agents, especially when used in combination with oils such as isopropyl myristate or purceline oil, are polyethylene glycol stearate and oxyethylated orthophosphoric acid esters of long chain alcohols. All of the above substances not only aid solution or suspension of the active bactericide but also exert an emollient or soothing effect and, furthermore, assist retention of the active substance on the surface.

The concentration of the bactericidal material in the spray liquid is preferably between 0.01% by weight and 10% by weight depending on the type of liquid carrier used and the activity of the bactericide. Concentrations of the bactericide in the propellant liquids between 0.05% and 2.0%, e.g. about 0.1% by weight, are especially convenient, having regard to bactericidal activity. The concentration of surface active material or emollient is between 0.1% and 10% by weight, but the concentration of such material is preferably about twice to four times that of the bactericide, i.e. preferably 0.1 to 8.0% when the bactericide present is in its preferred proportion.

Where the bactericidal substance is insoluble in the aerosol propellant, the material may be formulated as a suspension in the propellant, e.g. by grinding to a very fine powder, for example, of a particle size below 10μ. Such a suspension can be sprayed from an aerosol container without requiring a surface active agent to maintain the material in suspension. The bactericide is, however, preferably the only undissolved substance present in the composition since the presence of further undissolved material tends to lead to difficulties in spraying.

A lower alcohol (that is an alcohol having 1—5 carbon atoms) may advantageously be present in the compositions, preferably ethanol or isopropanol. In general lower alcohols reduce the rate of evaporation of the propellant and reduce the tendency of the organic components to precipitate at the low temperatures generated by rapid evaporation. The concentration of lower alcohol in the compositions is preferably between 0.2% and 5.0% by weight. Naturally, excessive quantities of lower alcohols such as ethanol will no longer fulfill the requirement that the composition must be non-irritant to the skin and mucous membrane and that the propellant component must be highly volatile (as hereinbefore defined) and hence should not be included.

According to the invention we also provide aerosol spray units containing a composition according to the invention. Such spray units will be provided with a nozzle having a valve to allow ejection of spray liquid to take place only when the valve is opened by the user and a dip tube leading from the nozzle to the bottom of the vessel to carry liquid under pressure up to the nozzle.

The body of the aerosol container is preferably cylindrical and the walls and bottom advantageously constitute a single sheet of metal or plastic to avoid joints which would weaken the construction. Where metal is used, the inner side is preferably treated to avoid corrosion, e.g. by varnishing.

The nozzle aperture is preferably below 0.8 mm to ensure a fine uniform spray and in the case of the more volatile propellants, where the spray velocity would normally be very high and the spray thus reach the skin in liquid form before evaporation could take place, it is preferred to use a nozzle adapted to reduce the spray velocity.

In order that the invention may be well understood we give the following examples by way of illustration only. The perfume oil used in these Examples was a mixture of Eroica 3739/2 sold by Dragoco of Helzminder, Germany and IFF 1239 (Registered Trade Mark), sold by International Flavors and Fragrances, Holland:—

EXAMPLE 1

0.1 g	Cetyl-trimethylammonium bromide
0.4 g	Polyoxyethylene-sorbitan monolaurate
0.2 g	ethyl alcohol
0.1 g	perfume oil
	are stirred together and added to
70 g	Dichlorodifluoromethane in an Aerosol container.

EXAMPLE 2

0.1 g	Bis-*p*-chlorophenol diguanidohexane digluconate
0.4 g	Oxyethlated lanolin
0.2 g	Perfume oil
0.2 g	ethyl alcohol
	are stirred together and added to
70 g	Dichlorodifluoromethane in an Aerosol container.

EXAMPLE 3

0.1%	2,2'-Methylene-bis-(3,4,6-trichlorophenol)
0.5%	Isopropyl myristate
0.1%	Perfume oil and
2.0%	Ethanol (96% by volume) are mixed together and added to
20.0%	Propane-Butane and
77.3%	Difluorodichloromethane in an Aerosol container

EXAMPLE 4

0.1 g	2,2'-Methylene-bis-(3,4,6-trichlorophenol)
0.3 g	Oxyethylated oleyl alcohol
0.2 g	Oxyethylated orthophosphoric acid ester of oleyl alcohol ($C_{18}H_{35}O(CH_2CH_2O)_8PO(OH_2)$)
0.2 g	perfume oil
	are stirred together and added to
70 g	Difluorodichloromethane in an Aerosol container.

EXAMPLE 5

0.07 g	Bis-*p*-chlorophenyl diguanidohexane acetate
0.07 g	Perfume
0.1 g	Cetyl alcohol and
0.06 g	Octyldodecanol are stirred together and added to
70 g	Difluorodichloromethane in an Aerosol container.

If desired, the difluorodichloromethane may be replaced by other fluoro-chloro methane or ethane propellants, provided that the total propellant is highly volatile (as hereinbefore defined).

EXAMPLE 6

0.07 g	2,2'-Methylene-bis-(3,4,6-trichlorophenol) were dissolved in
1.00 g	ethyl alcohol (96% by volume) and lightly warmed with
0.5 g	Isopropyl myristate (pure) and
0.07 g.	Perfume oil

to give an oily liquid. The liquid was then filled into a 2.5 ounce aerosol container by the conventional vacuum-crimping method with the valve closed and the container filled with difluorodichloromethane to make up to 70 g. In place of difluorodichloromethane alone it is possible to reduce the pressure by using a mixture of monofluorotrichloromethane and difluorodichloromethane, provided that the total propellant remains highly volatile (as hereinbefore defined).

EXAMPLE 7

0.04 g	2,2'-Methylene-bis-(3,4,6-trichlorophenol) and
0.03 g	2,2'-Methylene-bis-(4-chlorophenol) are dissolved in
1.5 g	Ethylalcohol (96 Vol. %) and
0.4 g	Di-Isopropyl adipate are added followed by
0.14 g	Perfume oil and filled into an Aerosol container with Difluorodichloromethane up to a total of 70 g.

EXAMPLE 8

0.07 g	Bis(p-Chlorophenyldiguanidohexane acetate),
1.00 g	Isopropyl alcohol
0.5 g	Isopropyl myristate
0.2 g	Hydrogenated hide fat and
0.07 g	Perfume oil are mixed and Difluorodichloromethane added in an Aerosol container up to a total of 70 g.

EXAMPLE 9

70 mg	Bis-(*p*-chlorophenyldiguanidohexane) diacetate (particle size under 1 mμ)
300 mg	Sesame oil
70 mg	Perfume oil were stirred together and added to
70 mg	Difluorodichloromethane in an Aerosol container

WHAT WE CLAIM IS:—

1. An "aerosol spray composition" as herein defined for use in feminine hygiene comprising a highly volatile (as hereinbefore defined) organic aerosol propellant, at least one organic purely synthetic bactericide suitable for cosmetic or toiletry purposes and from 0.1% to 10% by weight of an emollient substance or mixture of emollient substances selected from the group consisting of fats and oils as herein defined and oily and fatty non-ionic emulsifying agents, the weight ratio of said emollient substance to said bactericide being at least 2:1, said composition being substantially non-irritant when sprayed onto the skin and mucous membrane of the vaginal area and containing less than 10% by weight of undissolved material.

2. A composition as claimed in claim 1 in which the aerosol propellant is a lower hydrocarbon or fluorinated hydrocarbon propellant.

3. A composition as claimed in claim 2 in which the aerosol propellant is propane or a fluoromethane or fluoroethane.

4. A composition as claimed in claim 2 in which the said propellant includes trichlorofluoromethane, difluoromonochloromethane, monochlorotrifluoromethane, dichlorotetrafluoroethane, difluoromonochloromethane, trichlorotrifluoroethane, difluoroethane, monochlorodifluoroethane, monofluorodichloroethane or octafluorocyclobutane.

5. A composition as claimed in claim 2 in which the said propellant is dichlorodifluoromethane.

6. A composition as claimed in any of the preceding claims in which the bactericide is a halogenophenyl bactericide.

7. A composition as claimed in claim 6 in which the bactericide includes bis-*p*-chlorophenyldiguanidohexane or its acid addition salts.

8. A composition as claimed in claim 6 in which the bactericide includes one or more of 2,2' - methylene - bis - (3,4,6 - trichlorophenol), 2,4 - dichloro - 3,5 - xylenol, 3,4,6-trichlorophenol and 4 - chloro - 3,5 - xylenol.

9. A composition as claimed in any of claims 1 to 5 in which the bactericide is a long-chain quaternary ammonium bactericide.

10. A composition as claimed in claim 9 in which the bactericide is cetyl-trimethyl-ammonium bromide.

11. A composition as claimed in any of claims 6 to 10 comprising a mixture of a halogeno-phenyl bactericide and a long chain quaternary ammonium bactericide.

12. A composition as claimed in claim 11 in which the ratio of halogenophenyl bactericide to long chain ammonium bactericide is

EXAMPLE 8

0.07 g	Bis(p-Chlorophenyldiguanidohexane acetate),
1.00 g	Isopropyl alcohol
0.5 g	Isopropyl myristate
0.2 g	Hydrogenated hide fat and
0.07 g	Perfume oil are mixed and Difluorodichloromethane added in an Aerosol container up to a total of 70 g.

EXAMPLE 9

70 mg	Bis-(*p*-chlorophenyldiguanidohexane) diacetate (particle size under 1 mμ)
300 mg	Sesame oil
70 mg	Perfume oil were stirred together and added to
70 mg	Difluorodichloromethane in an Aerosol container

WHAT WE CLAIM IS:—

1. An "aerosol spray composition" as herein defined for use in feminine hygiene comprising a highly volatile (as hereinbefore defined) organic aerosol propellant, at least one organic purely synthetic bactericide suitable for cosmetic or toiletry purposes and from 0.1% to 10% by weight of an emollient substance or mixture of emollient substances selected from the group consisting of fats and oils as herein defined and oily and fatty nonionic emulsifying agents, the weight ratio of said emollient substance to said bactericide being at least 2:1, said composition being substantially non-irritant when sprayed onto the skin and mucous membrane of the vaginal area and containing less than 10% by weight of undissolved material.

2. A composition as claimed in claim 1 in which the aerosol propellant is a lower hydrocarbon or fluorinated hydrocarbon propellant.

3. A composition as claimed in claim 2 in which the aerosol propellant is propane or a fluoromethane or fluoroethane.

4. A composition as claimed in claim 2 in which the said propellant includes trichlorofluoromethane, difluoromonochloromethane, monochlorotrifluoromethane, dichlorotetrafluoroethane, difluoromonochloromethane, trichlorotrifluoroethane, difluoroethane, monochlorodifluoroethane, monofluorodichloroethane or octafluorocyclobutane.

5. A composition as claimed in claim 2 in which the said propellant is dichlorodifluoromethane.

6. A composition as claimed in any of the preceding claims in which the bactericide is a halogenophenyl bactericide.

7. A composition as claimed in claim 6 in which the bactericide includes bis-*p*-chlorophenyldiguanidohexane or its acid addition salts.

8. A composition as claimed in claim 6 in which the bactericide includes one or more of 2,2' - methylene - bis - (3,4,6 - trichlorophenol), 2,4 - dichloro - 3,5 - xylenol, 3,4,6-trichlorophenol and 4 - chloro - 3,5 - xylenol.

9. A composition as claimed in any of claims 1 to 5 in which the bactericide is a long-chain quaternary ammonium bactericide.

10. A composition as claimed in claim 9 in which the bactericide is cetyl-trimethylammonium bromide.

11. A composition as claimed in any of claims 6 to 10 comprising a mixture of a halogeno-phenyl bactericide and a long chain quaternary ammonium bactericide.

12. A composition as claimed in claim 11 in which the ratio of halogenophenyl bactericide to long chain ammonium bactericide is

* C L M S *

about 10:1 by weight.

13. A composition as claimed in any of claims 1—12 in which the concentration of bactericide is between 0.01% and 10% by weight.

14. A composition as claimed in claim 13 in which the concentration of bactericide is between 0.05% and 2.0% by weight.

15. A composition as claimed in claim 14 in which the weight ratio of emollient to bactericide is between 2:1 and 4:1.

16. A composition as claimed in any of the preceding claims containing a perfume.

17. A composition as claimed in any of the preceding claims in which the oily or fatty emulsifyiing agent is a polyoxyethylated alcohol or an ether or ester thereof, an oxyethylated phosphoric acid ester of a long-chain alcohol or a mono- or di-ethanolamide of a long-chain fatty acid.

18. A composition as claimed in claim 17 in which the polyoxyethylated alcohol is a polyethylene sorbitan mono-fatty acid ester, oxyethylated lanoline, oxyethylated oleyl alcohol, an oxyethylated phosphoric acid ester of oleyl alcohol, lauryl alcohol or woolfat alcohol or a mono- or di-ethanolamide of coco-acid, stearic acid or myristic acid.

19. A composition as claimed in any of claims 1—16 in which the oily or fatty emollient substance is a saturated or unsaturated long-chain alcohol.

20. A composition as claimed in claim 19 in which the emollient substance is cetyl, lauryl, myristyl, stearyl, oleyl, oleyl-cetyl or octyl dodecyl alcohol or an ether thereof.

21. A composition as claimed in any of claims 1—16, in which the emollient substance is an ester of adipic acid.

22. A composition as claimed in any of claims 1—16 in which the emollient substance is an ester of linoleic, linolenic or myristic acid or palmitic acid or stearic acid.

23. A composition as claimed in claim 21 or claim 22 in which the ester is an ethyl, glyceryl, oxyethylated glyceryl, isopropyl or polyglycol ester.

24. A composition as claimed in any of claims 1—16 in which the emollient substance is hydrogenated and refined fat derived from animal skins.

25. A composition as claimed in claim 24 in which said hydrogenated and refined fat is admixed with a softer fat or oil.

26. A composition as claimed in any of the preceding claims comprising one or more fats or oils together with one or more fatty or oily non-ionic emulsifying agents.

27. A composition as claimed in claim 25 or claim 26 in which the emollient substance contains isopropyl myristate and either polyethylene glycol stearate or an oxyethylated orthophosphoric acid ester of a long chain alcohol.

28. A composition as claimed in any of the preceding claims containing a lower alcohol.

29. A composition as claimed in claim 28 in which the lower alcohol is ethanol or isopropyl alcohol.

30. A composition as claimed in claim 28 containing 0.2 to 5.0% by weight of said lower alcohol.

31. A composition as claimed in claim 22 or claim 23 in which an ester of linoleic, linolenic, myristic, palmitic or stearic acid is used as sole emollient substance, the bactericide being in the form of a suspension sufficiently fine for spraying as an aerosol.

32. A composition as claimed in any of claims 1—5 in which the bactericide is a nitrofuran derivative, a bactericidal urea-formaldehyde condensation product or a bactericidal hydroxymethylene thiourea derivative.

33. A composition as claimed in claim 32 in which the bactericide is N - (5 - nitro-2 - furfurylidene) - 1 - aminohydantoin; N-5 - nitro - 2 - furfurylidene) - 3 - amino - 2-oxazolidone or N - hydroxymethyl - N'-methyl - thiourea.

34. A composition as claimed in claim 1 substantially as herein described.

35. A composition as claimed in claim 1 substantially as herein described with reference to any of the Examples.

36. An aerosol spray unit containing a composition as claimed in claim 1.

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