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(54) Title: THERAPEUTIC AGENTS

(57) Abstract: A rinse-off composition suitable for topical application comprising a skincare active ingredient capable of regulating the barrier properties of the skin and a carrier therefor, said carrier comprising a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition; b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and c) a miscible non-aqueous film former. The invention particularly relates to skincare active ingredients which are substantially insoluble in water. The composition is especially useful in the treatment of eczema, psoriasis, rosacea-prone skin, inflamed skin and acne. On applying the composition to the skin and rinsing off, an emulsion is formed which may be washed away and a film deposited on the skin comprising a therapeutically effective amount of said skincare active.

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## **THERAPEUTIC AGENTS**

The present invention relates to a rinse-off composition capable of delivering a skincare active to the skin to achieve a beneficial therapeutic effect, to a process to prepare said composition and to uses thereof.

The skin is a complex organ and is subject to many biological and microbiological mechanisms. When functioning properly, the skin has very effective barrier properties, being water-proof, flexible and permeable to certain substances. However, it is a common occurrence that one or more processes regulating the condition of the skin are impaired, and the skin does not function effectively or is uncomfortable and/or disfiguring to the patient. For example, the skin may have some dysfunction which may lead to dryness or cracking or even more severe conditions, such as eczema or psoriasis. In addition, the functioning of the skin is subject to further factors, including the normal ageing process as well as extrinsic factors such as UV-radiation, pollution, and contact with many substances, such as detergents, chemicals on clothing and medical treatments. All these factors may affect the barrier properties of the skin to varying degrees.

Such conditions may be treated with compositions comprising one or more skincare actives. These compositions are generally applied topically and are chosen to have a beneficial effect on the skin to counteract one or more of the above effects. The skincare active or combination of actives, chosen according to the particular therapeutic and cosmetic effect desired, contributes to the overall appearance and well-being of the skin. The choice of the carrier in the composition is also important as the use of a convenient, pleasant to use composition leads to higher compliance.

It is well established that active ingredients arranged to be administered topically must be presented in a carrier which facilitates absorption through the layers of the dermis and epidermis to permit the active to be absorbed at the relevant site of action to achieve a desired therapeutic effect. Providing a

satisfactory formulation to optimise delivery can be a particular problem for many skincare active ingredients, especially insoluble active ingredients. Firstly, it may be necessary to soubilise these active ingredients so that they can pass effectively through the outer skin membrane and reach the site of action. It is also required that the formulation is cosmetically acceptable to the user and is stable on storage, including maintaining the efficacy of the active and also keeping a satisfactory dispersion thereof throughout the skincare composition.

Many reported skincare developments concern improvements in formulating compositions containing skincare active ingredients. However, in cases where there is an impairment of the barrier function, there arises the further potential problem that the skin may not permit satisfactory transport of the active therethrough to the site of action. Furthermore, the effect of having dry or damaged skin is that as well as being uncomfortable, due to excess dryness and/or less flexibility than desired, a patient suffers pain and/or discomfort when substances are applied thereto as the skin may be cracked. Care must also be taken that skincare compositions capable of delivering actives do not exacerbate existing skin conditions. In addition, many compositions do not have the desired level of cosmetic acceptability for the user. Many compositions leave a sticky residue and/or may be non-homogenous leaving smears which take a significant time period to disappear and may rub off the skin and/or stain clothing. Poor cosmetic acceptability also leads to problems in ensuring compliance with the desired therapeutic regime in applying the correct amount of the composition at the correct intervals to ensure optimum treatment. Accordingly, in providing a suitable composition, effective treatment should be combined with ease of administration.

Due to the above noted disadvantages, the user may not apply the skincare composition onto the skin for a satisfactory period in order to allow a sufficient dose of active to be administered to the site of action. Thus, inconvenience and cosmetic unacceptability are factors in reducing compliance with administering a desired active. One way of improving the

regularity of application to the skin is to combine the application of the therapeutic composition with existing body cleansing routines. This avoids the inconvenience of requiring an extra stage of skin care, particularly if it is necessary to wait for an oily residue to disappear. However, the application of detergent formulations to dry and cracked skin may be uncomfortable to the patient and may even contribute further to the skin disorder, particularly if it is associated with dysfunction of the skin barrier.

Accordingly, it is desired to provide an improved composition containing a skincare active capable of maintaining and/or restoring the barrier properties of the skin which does not have the above disadvantages.

In accordance with the present invention, it has now been found that a skincare composition may be provided which is capable of being applied to the skin and which may be rinsed off, leaving a therapeutically effective amount of skincare active or actives present on the skin to have the desired effect. This may be achieved by combining said desired a skincare active or actives in a composition with a carrier system comprising a physiologically acceptable oil in an amount of 25% or more by weight of the composition, in combination with a surfactant selected from an anionic or non-ionic surfactant and a non-aqueous film former, miscible with said oil. Such a combination provides an easily administrable, convenient to use and therapeutically effective composition comprising one or more skincare active ingredients capable of regulating the barrier properties of the skin, which composition may be administered topically and rinsed off substantially immediately.

Accordingly, the present invention provides a rinse-off composition suitable for topical application, said composition comprising a skincare active ingredient capable of regulating the barrier properties of the skin and a carrier therefor, said carrier comprising

- a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
- b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and

c) a miscible non-aqueous film former.

The term "rinse-off" as used herein means that said composition is applied to a desired area on the skin surface and rinsed therefrom by applying water to the area. The rinsing process removes a portion of the composition from the skin but also deposits a film containing a remaining portion of the composition on the skin. The composition may be applied to the skin in the presence or absence of water, for example during or before a shower or bath. However, the composition is rinsed away with water. In order to aid the rinsing process, preferably the composition is rubbed or wiped from the skin as it is washed away by the water. The rinsing step follows substantially after the application of the composition to a given area on the skin. However, the rubbing action to apply said composition and the rubbing action to rinse away the composition may occur substantially simultaneously. In this process, an emulsion is formed between the rinsing water and the oil in the composition, facilitated by the surfactant. As the emulsion is rinsed off, a non-greasy film is deposited on the skin, said film containing therapeutic amounts of said skincare active or actives.

A composition provided in accordance with the present invention is for topical application to a skin surface. Accordingly, the composition will be cosmetically and/or dermatologically acceptable to the user.

It has been found that the above described carrier combination has allowed the preparation of a composition for topical application which contains a very high oil content, namely 25% or more by weight of the composition. However, notwithstanding the amount of oil, the composition is capable of forming a satisfactory emulsion which can be rinsed off the skin with water which not only leaves an effective amount of the active ingredient on the skin but achieves this without undesirable residues being associated therewith. The efficacious nature of the composition is a result of the combination of surfactants and high level of oil, together with the effect of the film former. In addition, even though the composition is adapted to be rinsed off the skin, the combination of the emulsion formed and film deposited provides that the

composition is still effective to deposit a therapeutic amount of active ingredient present in the composition on the skin. Accordingly, the amount of active ingredient to be incorporated in the composition falls within an acceptable limit.

A particular advantage achieved with a composition according to the present invention is a substantial improvement in the regulation of the skin barrier function. For example, following application of the composition there is a comfortable skin feeling without the tightness normally associated with dry and cracked skin and a substantial improvement in skin feel. Also, a non-oily residue is provided after rinsing, leaving a protective film providing a moisturising effect. Furthermore, the composition also provides advantageous stability properties and is cosmetically acceptable to the user, is convenient to use and is easily applied. The composition may also provide advantageous hydration properties. In addition, due to the mild and effective formulations, a noticeable increase in compliance has been found which leads to better therapeutic treatment. The present system may allow the formulation of hydrophilic and/or lipophilic skincare active ingredients in both aqueous and non-aqueous compositions as desired. The presentation of lipophilic ingredients to the skin surface generally presents additional formulation issues in order to solubilise said actives, thus it is a particular advantage of the present invention that substantially insoluble or lipophilic ingredients can be used in the subject compositions.

In accordance with the present invention, the composition includes at least one skincare active ingredient capable of regulating the barrier properties of the skin, for example maintaining and/or restoring and/or improving the function of the skin barrier. Such properties generally improve the feel and appearance of the skin. Typically, the user will have a skin condition which requires treatment, although it may be a temporary skin condition, such as an allergic reaction through exposure to an irritant environment or caused by UV radiation. The skincare active ingredient used in accordance with the present invention regulates the function of the skin to allow it to provide an effective barrier between the external environment and the internal organs of

the body. Commonly, an abnormal skin barrier function results in dry skin conditions in which cracking of the skin occurs leading to general discomfort, such as eczema or psoriasis, or inflammatory conditions. Skincare actives conventionally used for regulating the barrier properties of the skin may be used in compositions according to the invention. Preferably, the skincare active ingredient is effective to treat dysfunction in the skin barrier. More preferably, the skincare active ingredient is useful to treat dry skin conditions and/or inflamed skin conditions.

Most preferably, the skincare active ingredient is useful to treat one or more skin conditions selected from eczema, psoriasis, rosacea-prone skin, inflamed skin and acne.

Suitable actives include those known to be useful in the treatment of dry skin conditions, including eczema, for example Allantoin, Dexpanthenol, Lanolin Alcohol, Omega 6 Fatty Acids, Hamamelis, Hyaluronic acid, Evening Primrose Oil, Cholesterol and Ceramides; psoriasis, for example Urea and Polidocanol; rosacea-prone skin for example Ruscogenin and Glycyrrhetic Acid; and sensitive, allergenic-prone skin for example Acetylhexapeptide-1 and Bisabolol. Said skincare actives may also include anti-inflammatory compounds, for example selected from the following: steroidal agents selected from hydrocortisone, fluocinolone acetonide, halcinonide, halobetasol propionate, clobetasol propionate, betamethasone dipropionate, betamethasone valerate, and triamcinolone acetonide, and non-steroidal anti-inflammatory agents selected from aspirin, ibuprofen, ketoprofen, naproxen, aloe vera gel, aloe vera, licorice extract, pilewort, Canadian willow root, zinc, and allantoin.

In addition, anti-acne agents, including those which have an exfoliation effect on the skin, for example, salicylic acid, may be used with advantage in the present invention. A preferred anti-acne agent is salicylic acid. Salicylic acid is preferably incorporated into the composition according to the invention as the free acid. However, the pH of the composition may, and generally will, be such that the salicylic acid exists in the composition in dissociated form. As

the composition may well contain cationic counterions, the salicylic acid may then be thought of as being present in salt form. Alternatively, the salicylic acid may be incorporated into the composition in salt form, eg as a salt with a Group I metal, such as sodium salicylate. As used herein, unless the context requires otherwise, any and all references to salicylic acid should be taken to encompass references to the acid and to dissociated forms and salts thereof.

In particular, preferred active ingredients may include hydrophilic moisturizing agents or regenerating agents or anti-inflammatories and the liposoluble active ingredients may include healing, nutritional or anti-inflammatory agents. One or more hydrosoluble active ingredients may be selected from sodium lactate (keratolytic and moisturizing), extracts of *Hafnia biolysate* (anti-inflammatory and growth factors), extracts of *Klebsiella pneumoniae* and biolysate (anti-elastase and anti-collagenase).

In a preferred aspect of the present invention, the composition includes two or more skincare active ingredients. If more than one active is used in the composition, preferably each active has an effect in treating the barrier function of the skin, especially dysfunction of the skin barrier. Further preferably, at least one skincare active ingredient is lipophilic or substantially insoluble in water. A composition according to the invention may comprise a combination of hydrophilic and lipophilic active ingredients. However, preferably each of the skincare actives employed in the composition is lipophilic.

Suitably, the total amount of active ingredient present in the composition is from 0.01% to 30% by weight of the composition, preferably from 0.05% to 15% by weight, more preferably from 0.08% to 5% by weight and most preferably from 0.1% to 1% by weight of the composition. Each active will generally be deposited on the skin in conventional amounts according to its intended use as known by a person skilled in the art. It is generally found that up to 30% skincare active is retained on the skin from that present in the composition, more typically up to 20%, particularly up to 10%. Accordingly, the composition should be formulated to contain approximately between 3

and 20 times the amount of active required to be present on the skin, more usually between 5 and 10 times the amount of active required. It is a surprising feature of the present invention that a therapeutically effective amount of skincare active can be retained in the skin from a rinse-off composition. Preferred actives are low dosage actives, which may be formulated in the composition in an amount up to 10% by weight of the composition, of which at least 10% of the amount in said composition weight is retained on the skin after rinsing.

An especially preferred active ingredient useful in accordance with the present invention is a ceramide. The ceramide may be of natural, synthetic or semi-synthetic origin. The ceramide is preferably selected from ceramides of animal origin (particularly from ox brain or milk), of vegetable origin (particularly from wheat), extracted from micro-organisms (particularly yeasts) or genetically modified organisms or ceramides similar to naturally occurring ceramides, such as trihydroxypalmitamidohydroxypropyl myristyl ether commercially available as ceramide H03 or myristyl palmitamido-serinate commercially available as DERMACIDE, both compounds available from the Sederma Company, 78612 Le Perray en Yvelines Cedex, France.

The ceramide content of the compositions of the invention can vary from 0.00001% to 5% by weight of the composition, preferably from 0.0005% to 1% by weight and more preferably from 0.0001% to 0.1% by weight.

In a preferred embodiment according to the present invention, the composition contains a source of linoleic acid, for example a vegetable oil. Preferably, the linoleic acid source comprises one or more vegetable oils rich in linoleic acid containing at least 5% by weight linoleic acid, preferably at least 10% by weight and more preferably at least 20% by weight linoleic acid. As a source of linoleic acid, evening primrose oil (*Oenothera Biennis*) is preferred.

The linoleic acid content of the composition can also be obtained by mixing two or more of these oils. A semi-synthetic oil can also be used, for example

triglyceride enriched with linoleic acid. The content of oil rich in linoleic acid of the compositions according to the invention can vary from 0.1% to 20% by weight, preferably from 0.25% to 10% by weight. The linoleic acid content of the compositions can vary from 0.01 to 15%, preferably from 0.02% to 5% by weight.

In a further preferred embodiment according to the present invention, the composition also contains a source of cholesterol. Such sources are well known to a person skilled in the art.

The cholesterol is preferably used in an amount of at least 0.001% by weight of the composition, more preferably at least 0.005% by weight, especially at least 0.01% by weight and most preferably at least 0.02% by weight. The cholesterol is preferably used in an amount up to 1% by weight of the composition, more preferably up to 0.5% by weight, especially up to 0.2% by weight and most preferably up to 0.1% by weight. Accordingly, preferably cholesterol is present in an amount of from 0.001% to 1% by weight, more preferably in an amount of from 0.005% to 0.5% by weight and most preferably in an amount of from 0.01% to 0.1% by weight.

Preferably, the linoleic acid is present in the composition in combination with a ceramide, said linoleic acid being in an amount of 1 to 200 parts by weight per part by weight ceramide, more preferably 10 to 100 parts by weight per part by weight ceramide. Preferably, the cholesterol is present in the composition in combination with a ceramide, said cholesterol being in an amount of 1 to 200 parts by weight per part by weight ceramide, more preferably 10 to 100 parts by weight per part by weight ceramide.

An especially preferred embodiment of the present invention comprises evening primrose oil (*Oenothera Biennis*), cholesterol and ceramide H03. Conveniently, this combination may be employed to an extent of linoleic acid in an amount of 1 to 200 parts by weight per part by weight ceramide and cholesterol in an amount from 1 to 200 parts by weight per part by weight ceramide.

If desired, the compositions of the invention can optionally also contain an additional auxiliary hydrosoluble or liposoluble active ingredient, useful in treating conditions other than regulating the barrier properties of the skin. Other auxiliary actives may include anti-microbial or antibacterial agents, anti-viral agents, anti-fungal agents and anthelmintic agents. These may be used in combination with the skincare active ingredients effective to regulate the barrier properties of the skin to treat desired symptoms. Preferred auxiliary active ingredients may also include one or more of the following:

antimicrobial or antibacterial compounds, for example selected from the following:

triclosan, neomycin, clindamycin, polymyxin, bacitracin, benzoyl peroxide, hydrogen peroxide, tetracyclines such as doxycycline or minocycline, sulfa drugs such as sulfacetamide, penicillins, cephalosporins such as cephalexin, and quinolones such as lomefloxacin, ofloxacin or trovafloxacin;

antiviral compounds, for example selected from acyclovir, tamvir, and penciclovir;

antifungal compounds, for example selected from the following: farnesol, clotrimazole, ketoconazole, econazole, fluconazole, calcium or zinc undecylenate, undecylenic acid, butenafine hydrochloride, ciclopirox olamine, miconazole nitrate, nystatin, sulconazole, and terbinafine hydrochloride; and

anthelmintic compounds, for example metronidazole.

A composition according to the present invention comprises a carrier comprising a physiologically acceptable oil for topical application. In being suitable for topical application, the oil is cosmetically and/or dermatologically acceptable. The oil is present in a sufficient amount to solubilise any insoluble skincare active ingredient for delivery onto and absorption into the

skin, even though the composition is rinsed off the skin with water substantially immediately after application. Preferably, the oil also has emollient properties on the skin and thus may also contribute to an enhancement of the barrier properties of the skin, in addition to that provided by the skincare active ingredient.

The physiologically effective oil useful in accordance with the present invention may be any cosmetically and/or dermatologically acceptable synthetic or natural oil known to the person skilled in the art. Such oils preferably provide emollient properties on the skin, for example to maintain the soft, smooth and pliable appearance of the skin. Emollients function by their ability to act as lubricants and reduce flaking on the surface of the skin. Suitably, the oily phase excipients may be hydrocarbons, silicone oils, triglycerides, waxes, fatty acids, fatty acid alcohols and esters thereof, mineral oils, vegetable oils and hydrogenated vegetable oils, lanolin or mixtures thereof. Examples of hydrocarbons include paraffins (for example paraffinum liquidum and white soft paraffin), petrolatum, hydrogenated polyisobutene, alkyl benzoates, isohexadecane, isododecane, isononyl isonanoate, diisopropylcyclohexane; examples of silicone oils include dimethicone, cyclomethicone or cetyldimethicone; examples of triglycerides include natural triglycerides and synthetic or semi-synthetic triglycerides; examples of waxes include vegetable waxes such as carnauba wax, animal waxes such as beeswax, and mineral waxes such as paraffin wax, ozokerite; examples of esters of fatty acids or alcohols include isopropyl palmitate, isopropyl myristate, dioctylmaleate, glyceryl oleate and cetostearyl isononanoate, octyl palmitate; examples of mineral oils include Vaseline oil; examples of vegetable oils include jojoba oil, soy bean oil, coconut oil, sunflower seed oil, apricot kernel oil, shea butter, avocado oil and examples of hydrogenated vegetable oils include hydrogenated palm oil.

The oil selected for any composition may depend on the type of composition desired. Preferred oils are silicone oils, mineral oils, vegetable oils and triglycerides. A further preferred group of oils are mineral oils, vegetable oils and triglycerides, especially mineral oils and vegetable oils. More preferably,

the mineral oil comprises paraffinum liquidum or petrolatum or a mixture thereof. A particularly preferred source of paraffinum liquidum is Versagel available from Penreco, 138 Petrolia Karns City USA. This ingredient may be combined with additional paraffinum liquidum or petrolatum as required in order to improve the emollient properties. Preferably, the vegetable oil comprises at least one oil selected from soy bean oil, jojoba oil and coconut oil. Soybean oil is especially preferred.

Such oils may be used in an amount of 25% or more of the composition, preferably at least 35% by weight of the composition. The oil content may be determined by whether it is desired to formulate the composition according to the invention as an aqueous emulsion or a non-aqueous system. In non-aqueous systems, the oil is preferably present in the composition in an amount greater than 30% by weight, more preferably greater than 35% by weight, advantageously greater than 40% by weight and most preferably greater than 45% by weight of the composition. The oil generally provides the largest component by weight of the composition.

In one embodiment, in which the composition contains a high oil content, for example where the oil provides the continuous phase in a single phase composition, the oil comprises the major ingredient of the composition. It may, for example, form over half of the composition by weight, preferably greater than 60% by weight, more preferably greater than 70% by weight, and most preferably greater than 80% by weight of the composition. The oil may be used up to an extent of 99% by weight of the composition, however, for cosmetic acceptability, additional ingredients may be desired. Thus, upper limits to the amount of oil present in the composition may conveniently be values such as 90% by weight, 94% by weight, 95% by weight or 98% by weight. The oil is suitably present in an amount from 25% to 99% by weight of the composition, preferably from 50% to 98% by weight, more preferably from 60% to 95% by weight and most preferably from 70% to 94% by weight of the composition.

In another embodiment of the invention where the composition comprises an aqueous emulsion, the oil content may be 25% by weight of the composition or more, preferably 30% or more by weight, more preferably 34% or more by weight and most preferably 38% or more by weight of the composition.

Upper limits to the amount of oil present when the composition comprises an aqueous emulsion may conveniently be values such as 70% by weight, 60% by weight, 50% by weight or 45% by weight of the composition. The oil is advantageously present in an amount from 25% to 70% by weight, preferably from 30% to 60% by weight, more preferably from 34% to 50% by weight and most preferably from 38% to 45% by weight of the composition.

In emulsions, preferably, the ratio of oil to water is in the range 1:5 to 5:1 parts by weight, preferably 1:1 to 2:1 parts by weight. In aqueous emulsions, the water preferably forms between 10% and 50% by weight, more preferably between 20% and 30% by weight, of the composition.

A composition according to the present invention comprises a surfactant. The surfactant used is capable of forming an emulsion substantially immediately with the physiologically acceptable oil in the presence of water, even when the composition comprises a high oil content, ie 25% or more by weight of the composition. The surfactant allows the formation of an emulsion which may be rinsed off by water. Following topical application to the skin and rinsing off with water, a portion of the composition is rinsed off the skin and a further portion of the composition is retained on the skin to form a cosmetically acceptable film on the skin. It is a particular advantage of the invention that the formation of the emulsion allows a substantial proportion of the active to be retained on the skin, even though water is applied to rinse the composition away. The composition may also have wetting and cleaning properties on the skin.

The surfactant is selected from one or more non-ionic or anionic surfactants or a mixture thereof, although small amounts of cationic and/or amphoteric surfactants may also be incorporated in compositions according to the

present invention, either as separate components or admixed in combination with other surfactants.

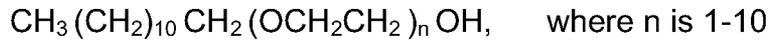
Examples of non-ionic surfactants include the class of ethoxylated fatty alcohols and other surfactants such as oleth-5, PEG-5 cocamide, polysorbate 20, PEG-40 hydrogenated castor oil, alkyl poly(ethylene oxide), copolymers of poly(ethylene oxide) and poly(propylene oxide) (commercially called Poloxamers or Poloxamines), alkyl polyglucosides, including: octyl glucoside, decyl maltoside, fatty alcohols, cetyl alcohol, oleyl alcohol; examples of anionic surfactants includes the class of alkyl ether sulphates, such as sodium lauryl sulphate, sodium laureth sulphate, sodium dodecyl sulfate, ammonium lauryl sulfate, ammonium laureth sulphate, sodium C12-15 pareth sulphate and disodium laureth sulfosuccinate, soaps or fatty acid salts ; examples of cationic surfactants include cationic modified guar, alkyltrimethylammonium salts, for example cetrimonium chloride, cetyl trimethylammonium bromide, cetylpyridinium chloride, polyethoxylated tallow amine, benzalkonium chloride, benzethonium chloride and behentrimonium chloride; examples of amphoteric surfactants include the class of alkylamido alkyl amines and dodecyl betaine, dodecyl dimethylamine oxide, cocamidopropyl betaine, cocamidopropyl hydroxysultaine, sodium lauroamphoacetate, cocoamphoglycinate or sodium cocoamphoacetate.

Surfactants having a high HLB value are preferred. For example, non-ionic surfactants preferably have a HLB in the range 6-20, more preferably 6-12. Anionic surfactants preferably have a HLB greater than 20.

In one embodiment, a preferred class of surfactants has only an emulsifying action without a detergent action, ie emulsifiers. This may be useful where it is desired to improve the barrier properties of the skin without requiring the skin to be cleaned first. This forms a preferred feature in non-aqueous systems.

Accordingly, one class of preferred surfactants are emulsifying surfactants, particularly non-ionic emulsifying surfactants, for example ethoxylated fatty

alcohols, preferably ethylene glycol ethers of lauryl alcohol that conform to the formula



The person skilled in the art appreciates that this includes each of the available materials from laureth-1 up to laureth -10 (including laureth -2, -3, -4, -5, -6, -7, -8 and -9). Preferred surfactants are laureth-2, laureth-4, laureth-6.5 or a mixture thereof, especially laureth-2 and/or laureth-4. Further preferably emulsifying surfactants are used in a composition substantially free of water.

However, another emulsifier (or a mixture of emulsifiers) capable of providing an oil-in-water emulsion in compositions containing a high level of oil may be used in accordance with the present invention. Such an emulsion may be formed either on admixture with any water present in the composition and/or on rinsing the composition off the skin with water. Known cosmetically acceptable emulsifiers include:

- a) sesquioleates such as sorbitan sesquioleate, available commercially for example under the trade name Arlacel 83 (ICI), or polyglyceryl-2-sesquioleate;
- b) ethoxylated esters of derivatives of natural oils such as the polyethoxylated ester of hydrogenated castor oil available commercially for example under the trade name Arlacel 989 (ICI);
- c) silicone emulsifiers such as silicone polyols available commercially for example under the trade name ABIL WS08 (Th. Goldschmidt AG);
- d) ethoxylated fatty alcohols, for example the emulsifiers available commercially under the trade name Brij (ICI);
- e) sorbitan esters, for example the emulsifiers available commercially under the trade name Span (ICI);
- f) ethoxylated sorbitan esters, for example the emulsifiers available commercially under the trade name Tween (ICI);
- g) ethoxylated fatty acid esters such as ethoxylated stearates, for example the emulsifiers available commercially under the trade name Myrj (ICI);

- h) ethoxylated mono-, di-, and tri-glycerides, for example the emulsifiers available commercially under the trade name Labrafil (Alfa Chem.);
- i) non-ionic self-emulsifying waxes, for example the wax available commercially under the trade name Polawax (Croda);
- j) ethoxylated fatty acids, for example, the emulsifiers available commercially under the trade name Tefose (Alfa Chem.);
- k) methylglucose esters such as polyglycerol-3 methyl glucose distearate available commercially under the name Tegocare 450 ( Degussa Goldschmidt); or
- l) mixtures thereof.

Such emulsifying surfactants are preferably present in an amount up to 15% by weight of the composition, more preferably in an amount up to 10% by weight, especially in an amount up to 5% by weight, for example 4% or 2% by weight of the composition. The lower amount of the surfactant will depend on the amount of oil present in the composition and the type of composition required, for example, the properties of the emulsion formed on rinsing or whether a high level of oil needs to be left on the skin, for example minimum values of 0.1% by weight, 0.5% by weight and 1% by weight could be considered to provide efficacious results. In accordance with the present invention in order to achieve the desired emulsifying effect, it is preferred to employ an anionic and/or non-ionic surfactant in an amount of at least 2.5% by weight, especially at least 3.5% by weight of the composition. Thus, suitably the surfactants, especially non-ionic surfactants, may be present in an amount of from 0.1% to 15% by weight, preferably from 0.5% to 10% by weight, more preferably from 1% to 5% by weight, most preferably from 2.5% to 5% by weight and especially from 3.5% to 5% by weight of the composition.

A second embodiment of the invention provides aqueous emulsions. Such systems may include the surfactants, including emulsifiers, described above. However, a preferred emulsifier system maintains a stable emulsion on storage but is capable of forming a foam when applied to the skin on rinsing with water. Thus, in aqueous systems, advantageously an emulsifying

surfactant is combined with a surfactant with detergent action. A preferred class of surfactants are structured surfactants which cause the compositions to form a foam and have a detergent action. This may be useful where it is desired to clean the skin before applying a skincare active to improve the barrier properties of the skin. This forms a preferred feature of aqueous systems.

In a preferred embodiment of the invention where the carrier comprises an aqueous emulsion, a valuable surfactant combination includes

- a) an alkyl ether sulphate commercially available Sodium Trideceth Sulphate, present in surfactant systems under the trade names Cedepal TD (from Stepan, Northfield, Illinois, USA), Genapol XRO (from Clariant, Munchenstein, Switzerland) and Miracare SLB 205 (from Rhodia, Aubervilliers, France); and/or
- b) an alkylamido alkylamine commercially available as a betaine, for instance Sodium Lauroamphoacetate, present in surfactant systems under the trade names Genegen LDA (from Clariant), Miranol HMA and Miracare SLB 205 (both from Rhodia); and/or
- c) Alkanolamide commercially available as Cocamide DEA, present in surfactant systems under the trade name Comperlan 100 (Cognis, Dusseldorf, Germany).

When the composition is in the form of an aqueous composition comprising one or more structuring ingredients which foam on application to the skin, for example by rubbing into the skin in the presence of water, the anionic surfactant may aid the production of liquid crystals. Liquid crystals may provide advantageous skin care characteristics, for example in terms of skin penetration and hydration than conventional washes. It is believed that this may occur as the liquid crystals are formed into a similar self-organizing structure as natural stratum corneum lipids, and thus show a high affinity to the skin. The liquid crystals provide both a structure for the incorporation of the oil which will be released on skin and also provide a rich lather. Sufficient surfactant should be incorporated into the carrier to generate a satisfactory detergent foam.

A preferred surfactant is a mixture of an anionic surfactant with an amphoteric surfactant, preferably comprising a mixture of sodium trideceth sulphate and sodium lauroamphoacetate, such as is available from Rhodia, 93308 Aubervilliers, France under the trade name Miracare SLB 205. Such surfactants may be present in an amount up to 50% by weight of the composition, preferably up to 45% by weight of the composition, more preferably in an amount up to 40% by weight, especially in an amount up to 35% by weight and most preferably up to 30% by weight of the composition. The lower amount of the surfactant will depend on the amount of oil present in the composition and the type of composition required, for example whether a lather is required or the amount of film deposited on the skin, for example minimum values of 1% by weight, 10%, by weight and 25% by weight could be considered to be preferred. Thus, suitably in structured compositions, the total surfactant may be present in an amount of from 1 to 45% by weight, preferably from 10% to 45% by weight, more preferably from 15% to 45% by weight and most preferably from 25% to 30% by weight of the composition. The composition may also include additional anionic and non-ionic emulsifiers as desired. A preferred surfactant combination comprises an ethylene glycol ether of lauryl alcohol, sodium trideceth sulphate and sodium lauroamphoacetate.

A composition according to the invention also comprises a non-aqueous film former arranged to retain said active on the skin when the composition is rinsed off. The film former is capable of forming a membrane on the skin arranged to support the skincare active ingredient in a stable system at the same time as an emulsion of the composition is rinsed from the skin. Preferably, the film former comprises a gel-forming polymer. Suitably, the film-former is present in the composition in an amount from 0.01% to 10% by weight, preferably from 0.05% to 8% by weight, more preferably from 0.1% to 5% by weight, of the composition.

In order to provide a satisfactory carrier to form a stable emulsion, it will be appreciated that the film former is miscible with the carrier comprising the oil

and the surfactant. The choice of film former may be determined by the presence of water in the carrier but will be within the formulation knowledge of the person skilled in the art to provide a miscible combination of film former with the components of the carrier depending on whether an aqueous or non-aqueous carrier is required.

The film former is arranged to provide a membrane comprising said active ingredients on the skin when the composition is rinsed away. The membrane may be in the form of a film or a gel layer, preferably a gel. The non-aqueous film former may be a hydrocarbon polymer film former, for example a styrene copolymer, preferably a hydrogenated alkylene-styrene copolymer, more preferably a hydrogenated butylene/ethylene/styrene copolymer or a hydrogenated ethylene/propylene/styrene copolymer or a mixture thereof. A particularly suitable styrene copolymer is incorporated in Versagel M750 (Mineral oil, hydrogenated butylene/ethylene/styrene copolymer; hydrogenated ethylene/propylene/styrene copolymer) available from Penreco. These copolymers are preferred in non-aqueous systems. A gel may be formed on interaction between the styrene copolymer and the oil and forms a protective membrane when it is applied to the skin. The film former serves to deposit and maintain a layer of the oil containing the skincare active on the surface of the skin. A styrene copolymer film-former is preferably present in the composition in an amount from 0.1% to 10% by weight of the composition, more preferably from 1% to 5% by weight of the composition.

In a further embodiment of the invention such as an aqueous detergent system, the film former may be combined with a structuring agent, said structuring agent being capable of forming a foam on application to the skin in the presence of water, the film former also being capable of reacting with water and forming a gel. The formation of the gel on the skin underneath the foam, thus acts to deposit a film containing said active on the surface of the skin. If desired, the film former may be integrated in the lamellar liquid phase. On topical application and rinsing with water, a film or gel layer on the skin is formed and the skincare active deposited on the surface of the skin for

transport through the skin membrane to the site of action. The detergent foam is rinsed from the skin.

In such systems, a cationic polysaccharide film former is preferred, especially a cationic guar gum derivative. A particularly preferred aqueous emulsion comprises a cationic guar gum derivative comprising hydroxypropyl guar hydroxypropyltrimonium chloride, for example available under the trade name Jaguar C-162 from Rhodia, Aubervilliers, France. Preferably, the cationic polysaccharide is present in an amount from 0.02% to 2% by weight, more preferably 0.05 to 1% by weight of the composition.

Compositions according to the present invention may be in the form of oily dispersions, oil-in-water emulsions, foams or structured liquids which may be emulsions or may be capable of forming foams when shear forces are applied. In the case of emulsions or dispersions, the composition will generally contain a solvent system or other continuous liquid phase. Such a system may be aqueous.

Compositions according to the present invention may be substantially free of water or may contain water in an appropriate amount, for example up to 75% by weight of the composition. When water is present, it is preferably used in an amount of at least 1% by weight of the composition, more preferably at least 5% by weight, especially at least 10% by weight and most preferably at least 20% by weight. The maximum amount of water which may be present in the carrier is up to 75% by weight of the composition. The upper limit of water will depend on the amounts of other ingredients incorporated in the composition so that the water may form the remainder of the composition up to 100% by weight. Suitably, water may be used in an amount up to 60% by weight of the composition, more preferably up to 50% by weight, especially up to 40% by weight and most preferably up to 30% by weight. Accordingly, when water is present it is preferably used in an amount from 1% to 75% by weight, more preferably in an amount of from 5% to 60% by weight and most preferably in an amount of from 10% to 40% by weight of the composition.

In a composition according to the invention, the viscosity may be in the range from 1 to 100,000 mPa.s, preferably from 5 to 50,000 mPa.s. The viscosity of the composition may be measured using a Brookfield RVT viscometer equipped with a spindle 6 rotating at 10rpm after 2 minutes.

The composition according to the invention may contain optional ingredients, for example to improve the therapeutic effect, to improve the manufacturing process, to improve storage stability and or increase acceptability to the consumer.

The composition may additionally comprise other components which will be well known to those skilled in the art. These include, for example:

- a) Emulsion stabilising salts such as sodium chloride, sodium citrate or magnesium sulphate.
- b) Preservatives – ingredients which prevent or retard microbial growth and thus protect the composition from spoilage. Examples of preservatives include such as propylparaben, bronopol, sodium dehydroacetate, polyhexamethylenebiguanide hydrochloride, isothiazolone and diazolidinylurea.
- c) Chelating agents or sequestering agents (sequestrants) – ingredients that have the ability to complex with and inactivate metallic ions in order to prevent their adverse effects on the stability or appearance of the composition, as described above. Examples of chelating agents are ethylenediamine tetraacetic acid and its salts, notably the dipotassium and especially the disodium or tetrasodium salt.
- d) Abrasives – ingredients used to assist in the removal of unwanted tissue or foreign materials from the skin during application of the composition. Abrasives commonly comprise fine solid particles. One example of a suitable abrasive is polyethylene beads.

e) pH adjusters – Ingredients used to control the pH of the composition. Examples of pH adjusters are inorganic salts such as sodium hydroxide, and organic bases such as triethanolamine.

f) Perfumes and colourings;

g) Sun-filters and sun-screens for solar radiation;

h) Vitamin extracts; and

i) Anti-oxidants.

The composition according to the invention is applied to the skin for example by rubbing or massaging into the skin and is then rinsed off, for example with water. Although a portion of the composition is washed away, a thin film containing the solubilised actives is retained on the skin and it has been found that this is sufficient to deliver a beneficial therapeutic effect even with very low quantities of active ingredients. The composition retained on the skin has been found to be easy and comfortable to apply, does not have a drying effect and has a pleasant feel on the skin. It may also hydrate as well as softening the skin and reduces the dryness associated with many skin conditions.

According to a further aspect of the invention, there is provided a method for the prophylactic or remedial treatment of acne, which method comprises the topical application of a rinse-off composition to the skin of a patient, the composition comprising a skincare active ingredient capable of regulating the barrier properties of the skin and a carrier, said carrier comprising

- a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
- b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
- c) a miscible non-aqueous film former.

It will be appreciated that the method according to this aspect of the invention may be a therapeutic method, but will often be a primarily cosmetic method, the objective of which is to reduce or eliminate externally visible, and often unsightly, symptoms of acne.

According to a further aspect of the invention, there is provided the use of a rinse-off composition to treat a skin condition associated with dysfunction of the skin barrier, said composition comprising a skincare active ingredient capable of regulating the barrier properties of skin and a carrier therefor, said carrier comprising

- (a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
- (b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
- (c) a miscible non-aqueous film former.

A portion of said composition is capable of forming an emulsion in the presence of water and a further portion is capable of forming a film comprising said skincare active ingredient on the affected skin.

According to a further aspect of the invention, there is provided the use of a carrier in the manufacture of a rinse-off composition for the treatment of a dry and/or inflamed skin condition, said composition comprising a skincare active ingredient capable of regulating the barrier properties of skin, said carrier comprising

- (a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
- (b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
- (c) a miscible non-aqueous film former.

According to a further aspect of the invention, there is provided a method of depositing a therapeutically effective amount of a skincare active ingredient on the surface of dry and/or inflamed skin, said skincare active ingredient being capable of regulating skin barrier function, comprising the steps of:

- i) administering a rinse-off composition comprising said active ingredient admixed with a carrier, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and
- ii) applying water to rinse a portion of said composition from the skin and deposit a film comprising a further portion of the composition on the skin.

According to a further aspect of the invention, there is provided a method of treating dysfunction of the skin barrier comprising

- i) the administration of a rinse-off composition, said composition comprising a skin care active ingredient capable of regulating skin barrier function and a carrier therefor, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and
- ii) applying water to form an emulsion capable of being rinsed from the skin leaving a film deposit comprising a therapeutically effective amount of said active ingredient on the skin.

According to a further aspect of the invention, there is provided a method of moisturising the skin comprising

- i) the administration of a rinse-off composition, said composition comprising a skin care active ingredient capable of regulating skin barrier function and a carrier therefor, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and

ii) applying water to form an emulsion capable of being rinsed from the skin leaving a film deposit comprising a therapeutically effective amount of said active ingredient on the skin.

In a further method of the invention, a skincare active ingredient effective in regulating the skin barrier function is administered to the skin in a rinse-off composition comprising the steps of

- i) combining said active ingredient with a carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
  - b) a surfactant selected from an anionic, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous surfactant film former;
- ii) applying said composition to the skin; and
- iii) applying water to rinse away a portion of said composition and form a film comprising a therapeutically effective amount of said active on the skin.

A further aspect of the present invention provides a method of retaining a skincare active ingredient effective in the treatment of skin barrier function on the surface of the skin comprising administering a rinse off composition comprising said active ingredient admixed with a carrier comprising

- a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
- b) a surfactant selected from an anionic or a non-ionic surfactant or a mixture thereof; and
- c) a miscible non-aqueous surfactant film former,

followed by applying water to rinse away a portion of said composition and form a film comprising a therapeutically effective amount of said active on the skin.

The present invention also provides a process to prepare a rinse off composition as previously described comprising combining said active ingredient with said physiologically acceptable oil. Preferably, said active

ingredient is combined with a mixture of said oil and said surfactant. Further ingredients may be incorporated into the oil/active ingredient mixture before and/or after mixing with said active ingredient. One or more active ingredients may be added at different stages of the process. In one embodiment of the process, a composition may be formed in which the oil provides the continuous phase. In another embodiment of the process, an aqueous emulsion is formed. When an aqueous emulsion is formed, preferably the one or more surfactants are mixed with the water, followed by the addition of the oil. Preferably, the oil phase includes one or more active ingredients.

A process according to the invention may also include the step of heating said oil, optionally in combination with one or more additional ingredients, to a temperature in the range 50-100°C. The one or more active ingredients may be included in the mixture before or after the heating stage.

In the following examples, there are described several preferred embodiments to illustrate the invention. However, it is to be understood that the invention is not to be limited to the specific embodiments.

In the examples, trihydroxypalmitamidohydroxypropyl myristyl ether is available from Sederma, Paris, France under the trade name Ceramide H03.

In Examples 1-3, a mixture of paraffinum liquidum (90%), hydrogenated ethylene/propylene/styrene copolymer (5%), hydrogenated butylene/ethylene/styrene copolymer (5%), butyl hydroxyl toluene (0.1%), tetradibutyl pentaerithrityl hydroxyhydrocinnamate (0.01%) is available from Penreco, 138 Petrolia Karns City USA under the trade name Versagel;.

In Examples 4-7, the anionic surfactant is a mixture of sodium trideceth sulphate (~20%), sodium lauramphoacetate (~33.5%) and sodium chloride (~2.5%) is available from Rhodia, under the trade name Miracare SLB 205.

Example 1 : In-shower conditioner

<u>Ingredient</u>	<u>% w/w</u>
Petrolatum	15.0
Laureth-6.5	3.0
Hydrogenated Butylene/Ethylene/ Styrene Copolymer	1.5
Hydrogenated Ethylene/Propylene/ Styrene Copolymer	1.5
Zinc Oxide	1.0
Oenothera Biennis	0.25
Cholesterol	0.05
Butyl Hydroxy Toluene	0.03
Tetradibutyl Pentaerithrityl Hydroxyhydrocinnamate	0.003
Trihydroxypalmitamidohydroxypropyl Myristyl Ether	0.002
Paraffinum Liquidum	to 100%

Method

A mixture of petrolatum, laureth-6.5, hydrogenated butylene/ethylene/styrene copolymer, hydrogenated ethylene/propylene/ styrene copolymer, cholesterol, butyl hydroxy toluene, tetradibutyl pentaerithrityl hydroxyhydrocinnamate, trihydroxypalmitamidohydroxypropyl myristyl ether and paraffinum liquidum was heated to 85°C and maintained at this temperature for 20 minutes. Zinc oxide was added followed by homogenisation. The mixture was cooled with stirring and the remainder of the ingredients added.

Example 2: In-shower conditioner

<u>Ingredient</u>	<u>% w/w</u>
Petrolatum	15
Laureth-4	4
Hydrogenated Butylene/Ethylene/Styrene Copolymer	1.5
Hydrogenated Ethylene/Propylene/Styrene Copolymer	1.5
Zinc Oxide	1.0

Oenothera Biennis	0.25
Cholesterol	0.05
Butyl Hydroxy Toluene	0.03
Tetradibutyl Pentaerithrity Hydroxyhydrocinnamate	0.003
Trihydroxypalmitamidohydroxypropyl Myristyl Ether	0.002
Paraffinum Liquidum	to 100%

### Method

The composition of Example 2 was prepared in a similar manner to that described in Example 1.

### Example 3 : In-shower conditioner

<u>Ingredient</u>	<u>% w/w</u>
Petrolatum	20.0
Laureth-4	4.0
Cera Microcristallina	3.0
Hydrogenated Butylene/Ethylene/Styrene Copolymer	1.0
Hydrogenated Ethylene/Propylene/Styrene Copolymer	1.0
Zinc Oxide	1.0
Oenothera Biennis	0.25
Parfum	0.3
Cholesterol	0.05
Butyl Hydroxy Toluene	0.02
Tetradibutyl Pentaerithrityl Hydroxyhydrocinnamate	0.002
Trihydroxypalmitamidohydroxypropyl Myristyl Ether	0.002
Tocopherol	qs
Paraffinum Liquidum	to 100%

### Method

The composition of Example 3 was prepared in a similar manner to that described in Example 1.

Example 4 : Structured Liquid

<u>Ingredient</u>	<u>% w/w</u>
Hydroxypropyl guar hydroxypropyltrimonium chloride	0.2
Disodium EDTA	0.05
Anionic surfactant	30.0
Laureth-2	2.0
Soya Oil	41.0
Oenothera Biennis	0.25
Cholesterol	0.05
Anti-oxidant <sup>1</sup>	0.05
Trihydroxypalmitamidohydroxypropyl Myristyl Ether	0.002
Citric Acid	0.8
Parfum	0.9
Preservative	0.9
Water	to 100%

Note; 1. Anti-oxidant is a blend of lecithin (~40%), tocopherol (~17%), ascorbyl palmitate (~35%) and hydrogenated palm glycerides available from Cognis under the trade name Controx VP.

Method

The EDTA was dissolved in water and the surfactants added with stirring until clear solution was obtained. Stirring was continued whilst the Laureth-2 was mixed in. A pre-mixture of guar, 2% soybean oil, antioxidant, cholesterol and trihydroxypalmitamidohydroxypropyl myristyl ether was formed by heating to 65°C with mixing. The pre-mixture was added to the surfactant-water-phase with stirring until homogenous. Stirring was continued to form a homogeneous mixture as each of the remaining soybean oil, preservative and Oenothera Biennis and the parfum were added at separate stages. Citric acid was added to form a composition having a pH in the range 5 - 5.5. Finally, the sodium chloride was added and the mixture stirred for 45 minutes.

Example 5 : Structured Liquid

<u>Ingredient</u>	<u>%w/w</u>
Disodium EDTA	0.05
Anionic surfactant	25.0
Laureth-2	2.0
Anti-oxidant <sup>1</sup> (as Example 4)	0.05
Soya Oil	42.0
Hydroxypropyl Guar Hydroxypropyltrimonium Chloride	0.2
Cholesterol	0.05
Trihydroxypalmitamidohydroxypropyl Myristyl ether	0.002
Oenothera Biennis	0.25
Parfum	0.5
Enteromorpha Compressa Extract <sup>2</sup>	2.0
Citric Acid	0.8
Sodium chloride	2.0
Preservative	0.9
Water	to 100%

Note : 2. Enteromorpha Compressa Extract (20%) is contained in butylene glycol (40%) and glycerine (40%) available as Enteline 2 from Secma.

Method

The composition of Example 5 was prepared in a similar manner to that described in Example 4.

Example 6 : Structured Liquid Carrier

<u>Ingredient</u>	<u>% w/w</u>
Hydroxypropyl guar hydroxypropyltrimonium chloride	0.2
Phenoxyethanol	1.0
Disodium EDTA	0.05
Anionic surfactant	30.0

Laureth-2	2.0
Coconut Oil	40.0
Tocopherol	0.1
Citric Acid	0.8
Sodium Chloride	2.0
Parfum	0.5
Preservative	0.9
Water	to 100%

### Method

The composition of Example 6 was prepared in a similar manner to that described in Example 4. To this carrier may be added one or more skincare active ingredients

### Example 7 : Structured Liquid

<u>Ingredient</u>	<u>% w/w</u>
Hydroxypropyl guar hydroxypropyltrimonium chloride	0.2
Phenoxyethanol	1.0
Disodium EDTA	0.05
Anionic surfactant	30.0
Laureth-2	3.0
Coconut Oil	40.0
Tocopherol	0.1
Citric Acid	1.0
Sodium Chloride	2.0
Parfum	0.5
Preservative	1.0
Water	to 100%

Method

The composition of Example 7 was prepared in a similar manner to that described in Example 4. To this carrier may be added one or more skincare active ingredients.

Example 8 : Structured Liquid

<u>Ingredient</u>	<u>% w/w</u>
Hydroxypropyl guar hydroxypropyltrimonium chloride	0.2
Disodium EDTA	0.05
Sodium Trideceth Sulphate	5.7
Sodium lauroamphoacetate	9.5
Sodium chloride	2.7
Laureth-2	2.0
Soybean Oil	41.0
Oenothera Biennis	0.25
Cholesterol	0.05
Trihydroxypalmitamidohydroxypropyl Myristyl Ether	0.002
Citric Acid	0.8
Parfum	0.9
Preservative	1.0
Anti-oxidant <sup>1</sup>	0.05
Water	to 100%

Method

The composition of Example 8 was prepared in a similar manner to that described in Example 4.

## Clinical Study

### Study A

A group of 12 subjects with atopic skin in an eczema free interval was studied. Composition A was applied at least once per day for seven days and a subjective assessment made by each subject.

The effect of the carrier alone on the skin was studied to determine the effect on the skin.

### Composition A (Structured Liquid)

<u>Ingredient</u>	<u>% w/w</u>
Hydroxypropyl guar hydroxypropyltrimonium chloride	0.2
Disodium EDTA	0.05
Anionic surfactant*	30.0
Laureth-2	2.0
Tocopherol	0.1
Soya Oil	40.0
Citric Acid	0.8
Sodium chloride	2.0
Preservative	0.9
Water	to 100%

\* the anionic surfactant is a mixture of sodium trideceth sulphate (~20%), sodium lauramphoacetate (~33.5%) and sodium chloride (~2.5%) is available from Rhodia, under the trade name Miracare SLB 205.

It was found that there were no dermatological signs of intolerance or reported skin discomfort. The overall skin condition improved on average in terms of redness, scaliness and dryness. The strengths<sup>3</sup> of the product were found to be:

Imparts comfortable skin feeling  
 pleasant effect keeps on working even after rinsing  
 leaves a protective film on my skin  
 does not dry out my skin  
 after use skin feels noticeably different from before  
 skin feels hydrated  
 skin feels soft and smooth  
 protects the skin from drying out  
 is easy to apply  
 creamy texture is suitable for gentle cleansing of responsive, dry  
 skin

Notes: 3. statements with more than 67% agreement with the categories “strongly agree” and “widely agree”

To the above carrier may be added at least one skincare active ingredient, including one or more of Oenothera Biennis (0.25% w/w), cholesterol (0.05% w/w) and Trihydroxypalmitamidohydroxypropyl myristyl ether (0.002% w/w).

### Study B

A group of 12 subjects with atopic skin in an eczema free interval was studied. Composition B was applied at least once per day for seven days and a subjective assessment made by each subject. The effect of the carrier alone on the skin was studied to determine the effect on the skin.

### Composition B (In-shower conditioner)

<u>Ingredient</u>	<u>% w/w</u>
Petrolatum	20.0
Laureth-4	4.0
Cera Microcristallina	5.0
Hydrogenated Butylene/Ethylene/Styrene Copolymer	0.5
Hydrogenated Ethylene/Propylene/Styrene Copolymer	0.5

Zinc Oxide	1.0
Butyl Hydroxy Toluene	0.01
Tetradibutyl Pentaerithrityl Hydroxyhydrocinnamate	0.001
Paraffinum Liquidum	to 100%

It was found that the composition was well-tolerated by 10 out of the 12 subjects, with minor temporary intolerance reported by two.

The overall skin condition improved on average in terms of redness, scaliness and dryness. The strengths<sup>3</sup> of the product were found to be:

easy to apply  
does not dry out my skin  
skin feels hydrated

Notes: 3. statements with more than 67% agreement with the categories "strongly agree" and "widely agree"

To the above carrier may be added at least one skincare active ingredient, including one or more of Oenothera Biennis (0.25% w/w), cholesterol (0.05% w/w) and Trihydroxypalmitamidohydroxypropyl myristyl ether (0.002% w/w).

## Claims

1. A rinse-off composition suitable for topical application, said composition comprising a skincare active ingredient capable of regulating the barrier properties of the skin and a carrier therefor, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
  - b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former.
2. A composition according to Claim 1 wherein said skin care active ingredient is useful to treat one or more skin conditions selected from eczema, psoriasis, rosacea-prone skin, inflamed skin and acne.
3. A composition according to either one of Claims 1 and 2 wherein said skincare active ingredient is substantially insoluble in water.
4. A composition according to any one of the preceding claims wherein said skincare active ingredient is combined with at least one further skincare agent and/or at least one auxiliary skincare agent.
5. A composition according to Claim 4 wherein each active ingredient present in the composition is effective to treat dysfunction of the skin barrier.
6. A composition according to any one of the preceding claims, which composition comprises a total active ingredient content from 0.05% to 15% by weight of the composition.
7. A composition according to any one of the preceding claims, which composition comprises a combination of skincare active ingredients including a ceramide, linoleic acid in an amount of 1 to 200 parts by weight per part by

weight ceramide and cholesterol in an amount from 1 to 200 parts by weight per part by weight ceramide.

8. A composition according to any one of the preceding claims wherein said physiologically acceptable oil is selected from one or more of the following groups : hydrocarbons, silicone oils, triglycerides, waxes, fatty acids, fatty acid alcohols and esters thereof, mineral oils, vegetable oils and hydrogenated vegetable oils or lanolin.

9. A composition according to Claim 8 wherein said oil comprises a mineral oil selected from paraffinum liquidum or petrolatum or a mixture thereof.

10. A composition according to Claim 8 wherein said oil comprises at least one vegetable oil selected from soy bean oil, coconut oil and jojoba oil.

11. A composition according to any one of the preceding claims, which composition comprises said oil in an amount of at least 35% by weight of the composition.

12. A composition according to Claim 11, which composition comprises said oil as a continuous phase.

13. A composition according to any one of Claims 1 to 10, which composition is in the form of an aqueous emulsion.

14. A composition according to Claim 13, which composition comprises said oil in an amount from 30% to 60% by weight of the composition.

15. A composition according to any one of the preceding claims wherein said surfactant comprises an ethoxylated fatty alcohol.

16. A composition according to Claim 15 wherein said surfactant comprises at least one of laureth-2 or laureth-4.
17. A composition according to any preceding claim, which composition comprises said surfactant in an amount of at least 2.5% by weight of the composition.
18. A composition according to Claim 13, wherein said surfactant comprises one or more selected from an alkyl ether sulphate, an alkylamido alkylamine and an alkanolamide.
19. A composition according to Claim 18, which composition comprises a surfactant combination comprising an ethylene glycol ether of lauryl alcohol, sodium trideceth sulphate and sodium lauroamphoacetate.
20. A composition according to Claim 18 or Claim 19, which composition comprises said surfactant in an amount from 15% to 45% by weight of the composition.
21. A composition according to any preceding claim, wherein said film former comprises a gel-forming polymer, present in an amount from 0.01% to 10% by weight of the composition.
22. A composition according to Claim 21 wherein said gel-forming polymer is present in an amount from 0.1% to 5% by weight of the composition.
23. A composition according to Claim 21 or Claim 22 in the form of an aqueous emulsion wherein said film former comprises a cationic polysaccharide.
24. A composition according to Claim 23 wherein said film former comprises a cationic guar gum derivative.

25. A composition according to Claim 21 or Claim 22 in the form of a lipophilic single phase wherein said film former comprises a hydrogenated alkylene-styrene copolymer.
26. A composition according to Claim 25 wherein the film former comprises a butylene/ethylene/styrene copolymer or a ethylene/propylene/styrene copolymer or a mixture thereof.
27. Use of a rinse-off composition to treat a skin condition associated with dysfunction of the skin barrier, said composition comprising a skincare active ingredient capable of regulating the barrier properties of skin and a carrier therefor, said carrier comprising
- (a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
  - (b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - (c) a miscible non-aqueous film former.
28. Use of a carrier in the manufacture of a rinse-off composition for the treatment of a dry and/or inflamed skin condition, said composition comprising a skincare active ingredient capable of regulating the barrier properties of skin, said carrier comprising
- (a) physiologically acceptable oil present in an amount of at least 25% by weight of the composition;
  - (b) a surfactant selected from an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - (c) a miscible non-aqueous film former.
29. A method of depositing a therapeutically effective amount of a skincare active ingredient on the surface of dry and/or inflamed skin, said skincare active ingredient being capable of regulating skin barrier function, comprising the steps of:
- i) administering a rinse-off composition comprising said active ingredient admixed with a carrier, said carrier comprising

- a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and
- ii) applying water to rinse a portion of said composition from the skin and deposit a film comprising a further portion of the composition on the skin.

30. A method of treating dysfunction of the skin barrier comprising

- i) the administration of a rinse-off composition, said composition comprising a skin care active ingredient capable of regulating skin barrier function and a carrier therefor, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and
- ii) applying water to form an emulsion capable of being rinsed from the skin leaving a film deposit comprising a therapeutically effective amount of said active ingredient on the skin.

31. A method of moisturising the skin comprising

- i) the administration of a rinse-off composition, said composition comprising a skin care active ingredient capable of regulating skin barrier function and a carrier therefor, said carrier comprising
  - a) a physiologically acceptable oil present in an amount of at least 25% by weight of the composition,
  - b) a surfactant comprising an anionic surfactant, a non-ionic surfactant or a mixture thereof; and
  - c) a miscible non-aqueous film former; and
- ii) applying water to form an emulsion capable of being rinsed from the skin leaving a film deposit comprising a therapeutically effective amount of said active ingredient on the skin.

32. A process to prepare a composition as claimed in any one of Claims 1 to 26 comprising the steps of combining an active ingredient capable of regulating skin barrier function with at least one component of a carrier, said carrier comprising a pharmacologically acceptable oil, a surfactant selected from an anionic and a non-ionic surfactant and a non-aqueous film former, and formulating additional ingredients as required into said composition.
33. A process as claimed in Claim 32 comprising combining said active ingredient in a mixture of said oil and at least one of said surfactant and said film former.
34. A process according to either one of claims 32 or 32 in which a single phase lipophilic composition is formed.
35. A process according to either one of claims 33 and 34 including the further step of heating said oil, optionally in combination with one or more additional ingredients, to a temperature in the range 50-100°C.
36. A process according to either one of claims 32 or 33 in which water is additionally incorporated in the composition to form an aqueous emulsion.