(clindamycin) are high alcohol foams. Unfortunately, high alcohol foams were found to sting and burn for some psoriasis patients, and alcohol was removed from the clobetasol foam and Polysorbate 60 was replaced with polyoxyl 20 cetostearyl ether to launch the first emollient topical pharmaceutical foam Olux-E®. Finacea® topical foam has a very similar composition to Olux-E® since it contains Propylene glycol but no alcohol and uses the surfactant blend of polysorbate 80 and polyoxyl 40 stearate to form the foam lamella. The latest advance in topical pharmaceutical foam technology is Amzeeq® topical minocycline foam for the treatment of acne and rosacea. This product does not contain solvents but uses a blend of multiple natural oils to dissolve minocycline combined with hydrogenated castor oil as the surfactant to form foam lamella.

Brief Summary

There is provided an aerosol foam composition comprising an API with water solubility below 60 mg/l. The aerosol foam composition is preferably an oil in water emulsion containing an emulsifier blend of cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate; in combination with a propellant. The propellant is a mixture of liquefied hydrocarbon gases preferably a propane/isobutane/butane blend. The hydrocarbon propellant results in an aerosol foam containing an API with low water solubility which is stable, has consistent physical properties, excellent aesthetics, and no discernable degradation of the API after long term (storage at ambient temperature for more than 24 months) or accelerated storage conditions (storage at 40°C and 75% relative humidity for 6 months).

In one aspect, there is provided an aerosol foam comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion; and a propane/isobutane/butane propellant blend, wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

In another aspect, there is provided use of a composition comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion; and a propane/isobutane/butane propellant blend in the manufacture of a medicament for treating a patient with an inflammatory skin condition, wherein the medicament is in a form of an aerosol foam and is to be topically administered, and wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

In another aspect, there is provided a method for solubilizing an active pharmaceutical ingredient with a water solubility below 60 mg/l in an aerosol foam, comprising

combining an active pharmaceutical ingredient with a water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion, and

combining the oil in water emulsion with a propane/isobutane/butane propellant blend to produce an aerosol foam,

wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

In another aspect, there is provided an aerosol foam comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, ceteareth-10 phosphate and diethylene glycol monoethyl ether in an oil in water emulsion, and a propane/isobutane/butane propellant blend, wherein said oil in water emulsion has a viscosity of 4,000-11,000 cP, wherein said foam does not include hexylene glycol, wherein said diethylene glycol monoethyl ether is in an amount of 25% w/w to 35% w/w, wherein said aerosol foam is emitted from a container but collapses after application to a subject's skin, and wherein said aerosol foam has a foam half-life of more than 60 seconds.

Claims

1. An aerosol foam comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion; and a propane/isobutane/butane propellant blend, wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

2. The aerosol foam according to claim 1, wherein said oil in water emulsion has a viscosity of 4,000-11,000 cP.

3. The aerosol foam according to claim 1, wherein said propellant and oil in water emulsion are in a ratio of about 1:8 to 1:6.

4. The aerosol foam according to claim 1, wherein said aerosol foam is emitted from a container but collapses after application to a subject's skin.

5. The aerosol foam according to claim 1, wherein said active pharmaceutical ingredient is selected from the group consisting of ketoconazole, econazole nitrate, ivermectin, clobetasol propionate, calcipotriene, halobetasol propionate, tazarotene, oxymetazoline free base and desonide.

6. The aerosol foam according to claim 1, further comprising at least one component selected from the group consisting of hexylene glycol and diethylene glycol monoethyl ether.

7. The aerosol foam according to claim 6, wherein said diethylene glycol monoethyl ether is in an amount of 25% w/w to 35% w/w.

8. The aerosol foam according to claim 6, further comprising at least one additional component selected from the group consisting of a solvent, moisturizer, surfactant or emulsifier, polymer or thickener, preservative, antioxidant, sequestering agent, stabilizer, buffer, pH adjusting solution, skin penetration enhancer, film former, dye, pigment, and fragrance.

9. The aerosol foam according to claim 6, further comprising an additional active agent selected from the group consisting of anthralin, azathioprine, tacrolimus, coal tar, methotrexate, methoxsalen, salicylic acid, ammonium lactate, urea, hydroxyurea, 5-fluorouracil, propylthiouracil, 6-thioguanine, sulfasalazine, mycophenolate mofetil, fumaric acid esters, corticosteroids, corticotropin, vitamin D analogues, acitretin, tazarotene, cyclosporine, resorcinol, colchicine, adalimumab, ustekinumab, infliximab, bronchodialators, and antibiotics.

10. The aerosol foam according to claim 1, wherein said cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate are in an emulsifier blend in an amount of 2 to 4% by weight of the total composition.

11. The aerosol foam according to claim 10, wherein said emulsifier blend is in an amount of 2% by weight of the total composition.

12. The aerosol foam according to claim 1, further comprising water in an amount of 55 to 70% by weight of the total composition.

13. The aerosol foam according to claim 1, wherein said active pharmaceutical ingredient is in an amount of 0.05 to 2% by weight of the total composition.

14. The aerosol foam according to claim 1, wherein said aerosol foam comprises said active pharmaceutical ingredient, white petrolatum, isopropyl palmitate, cetearyl alcohol, dicetyl phosphate, ceteareth-10 phosphate, hexylene glycol, diethylene glycol monoethyl ether, methylparaben, propylparaben, purified water and a propane/isobutane/butane propellant blend.

15. Use of a composition comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion; and a propane/isobutane/butane propellant blend in the manufacture of a medicament for treating a patient with an inflammatory skin condition, wherein the medicament is in a form of an aerosol foam and is to be topically administered, and wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

16. The use according to claim 15, wherein said aerosol foam further comprises hexylene glycol and/or diethylene glycol monoethyl ether.

17. The use according to claim 16, wherein said diethylene glycol monoethyl ether is in an amount of 25% w/w to 35% w/w.

18. The use according to claim 15, wherein said aerosol foam is to be administered 1-2 times per day.

19. The use according to claim 15, wherein said patient is suffering from a proliferative, inflammatory and/or allergic dermatoses.

20. The use according to claim 19, wherein said proliferative, inflammatory and allergic dermatoses is selected from the group consisting of psoriasis (vulgaris), eczema, acne, Lichen simplex, Lichen sclerosus, Prurigo nodularis, sunburn, pruritus, alopecia areata, hypertrophic scars, discoid lupus erythematosus, and pyodermias.

21. The use according to claim 19, wherein said patient is suffering from an inflammatory dermatoses.

22. The use according to claim 21, wherein said patient is suffering from atopic dermatitis.

23. The use according to claim 15, wherein said aerosol foam does not include hexylene glycol.

24. A method for solubilizing an active pharmaceutical ingredient with a water solubility below 60 mg/l in an aerosol foam, comprising

combining an active pharmaceutical ingredient with a water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, and ceteareth-10 phosphate in an oil in water emulsion, and

combining the oil in water emulsion with a propane/isobutane/butane propellant blend to produce an aerosol foam,

wherein said active pharmaceutical ingredient with water solubility below 60 mg/l is not roflumilast.

25. An aerosol foam comprising an active pharmaceutical ingredient with water solubility below 60 mg/l, cetearyl alcohol, dicetyl phosphate, ceteareth-10 phosphate and diethylene glycol monoethyl ether in an oil in water emulsion, and a propane/isobutane/butane propellant blend, wherein said oil in water emulsion has a viscosity of 4,000-11,000 cP, wherein said foam does not include hexylene glycol, wherein said diethylene glycol monoethyl ether is in an amount of 25% w/w to 35% w/w, wherein said aerosol foam is emitted from a container but collapses after application to a subject's skin, and wherein said aerosol foam has a foam half-life of more than 60 seconds.