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(54) **Title:** TOPICAL PERSONAL CARE AND PHARMACEUTICAL COMPOSITIONS AND USES THEREOF

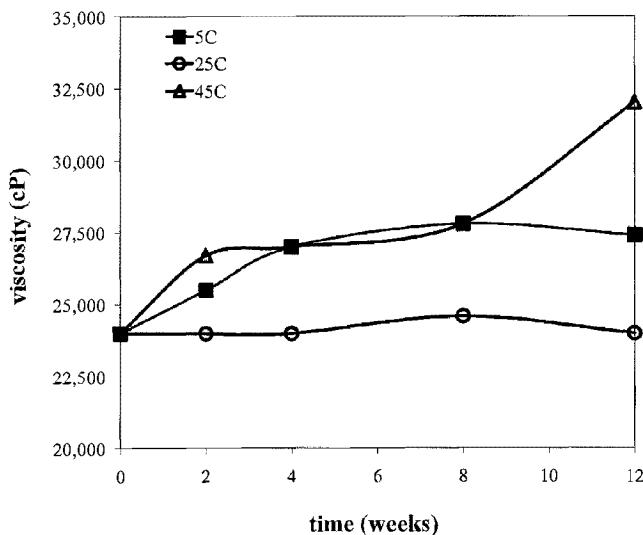


Fig. 1

(57) **Abstract:** Topical compositions are provided that have 0.5% or more of at least one personal care or pharmaceutical acid, and lightly- to moderately-crosslinked PVP, which is an effective thickener in the low pH systems. In preferred embodiments, the acid is a hydroxy acid and the composition used for personal care, or prescriptive or non-prescriptive medication indications for use on the skin, hair, scalp, foot, or lips. Also provided is the use of the topical compositions to deliver the acid(s) to the skin, hair, scalp, foot, or lips. Especially preferred is a use to reduce irritation and stinging compared to an equivalent compositions not having lightly- to moderately-crosslinked PVP.

**TOPICAL PERSONAL CARE AND PHARMACEUTICAL COMPOSITIONS AND
USES THEREOF**

FIELD OF THE INVENTION

[0001] The present invention relates to topical compositions comprising at least one personal care acid or one pharmaceutical acid, and lightly- to moderately crosslinked poly(*N*-vinyl-2-pyrrolidone) (“PVP”). The lightly- to moderately crosslinked PVP has been found to provide unique thickening effects in acidic systems that are essentially stable (*e.g.*, do not phase separate and maintain rheological properties) even with prolonged storage.

[0002] Particularly, the invention relates to the compositions having 0.5% (% w/w) or more of at least one personal care acid or pharmaceutical acid. These compositions ideally have an acidic pH, especially a pH less than 6, and more preferably a pH less than 4, and especially preferably less than 2. These formulations find application on the skin, hair, scalp, foot, or lip of an mammal, preferably man, as a smoothing composition, a moisturizing composition, a skin firming composition, a skin lightening composition, an age-spot composition, a shampoo, or a cream for use around the eyes or mouth.

[0003] Surprisingly, the topical compositions described herein deliver the personal care and/or pharmaceutical acid with reduced skin irritation, a significant breakthrough in this field where discomfort issues are well known.

DESCRIPTION OF RELATED ART

[0004] Topical personal care and pharmaceutical compositions are products consumers around the globe have come to depend and rely on for the innumerable benefits they impart. Sold both by prescription and over-the-counter (non-prescriptive), they are applied to the exterior of the body to the skin, scalp, hair, feet, and lips. They may be cosmetic in effect, meaning they impart primarily aesthetically beneficial results (like minimizing fine lines and wrinkles), or they may relieve or cure clinical conditions (like acne vulgaris or warts), or fall somewhere between the cosmetic and medical indications. Across all these uses, many different product forms are employed, and vary

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from thickened “semi-solids” like foundations, concealers, lipsticks, and lip balms, to creamy emulsions, gels, ointments, and lotions, or may be lighter “bodied” compositions such as liquid soaps, washes, and rinses. In short, topical personal care and pharmaceutical compositions are ubiquitous in today’s modern world.

[0005] It has been known for some time that acidic personal and pharmaceutical compositions elicit special responses when applied topically. In this broad concept, the term *low pH* means having a pH of 6 or less. More particularly, low pH compositions can cause an increase in epidermis exfoliation to alleviate skin conditions (*e.g.*, hyperkeratosis, dry/flaky/itchy skin), enhance moisturization to help minimize the appearance of lines and wrinkles, increase dermal thickness, and increase dermal perfusion (vascular effects). A review of these actions as related to a particular type of acids, hydroxy acids and retinoids, is provided in Ramos-e-Silva, *et al.*, “Hydroxy acids and retinoids in cosmetics,” *Clinics in Dermatog.*, 2001; 19:460-466, which is hereby incorporated in its entirety by reference. Also, an instructive review of alpha hydroxy acids, including the types, mechanisms of action, formulations, and treatment results, is provided by Van Scott, E.J., “Alpha-hydroxyacids in the treatment of signs of photoaging,” *Clinics in Dermat.*, 1996; 14: 217-226, which also is incorporated in its entirety by reference. This article recognizes pHs in the range from 0.6 to 4.0.

[0006] While low pH topical compositions can provide useful benefits to the consumer, they can pose real challenges to the formulation scientist, production staff, and even the consumer. It is well appreciated by one skilled in the art that low pH fluids can be difficult to thicken, or to maintain a stable viscosity and/or pH. Thickeners commonly used in low pH systems include xanthan gum and magnesium aluminum silicate combinations. At addition levels to create “thick” or “stiff” consistencies, these thickeners may cause pilling (localized formulary incompatibility that leads to coagulation) or impart an unpleasant, stringy texture to the end product.

[0007] Alternatively, acrylic acid polymers, and polyacrylamides may be used. Their manufacturers usually recommend dispersing them in water and then neutralizing to attain a desired viscosity target, which simply is not possible when the product inherently remains strongly acidic.

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[0008] Other thickeners are known. For example, Carbopol[®] Aqua SF-1, a lightly crosslinked acrylate copolymer is sold by The Lubrizol Corporation. Product information indicates it is effective at a pH of 3.5 and higher. Also sold by The Lubrizol Corporate is Carbopol[®] Aqua CC Polymer, a polyacrylate-1 crosspolymer. The product white paper recommends neutralizing the polymer between a pH of 3.5 to 4.0, and, optionally, the pH can be adjusted (higher) by the addition of base. However, there still remains a need for a thickening agent that is effective at pHs of 6 or less, more preferably at very low pHs of 4 or less, and especially at extremely low pH of 2 or less.

[0009] Also known is U.S. patent 5,422,112, which discloses a thickener system including a combination of xanthan gum, magnesium aluminum silicate and polyacrylamide. The compositions are the to be particularly effective at low pH used especially for thickening alpha-hydroxy carboxylic acids and salts thereof. Typically, magnesium aluminum silicates have a recommended pH range of about 4.2 to 5.2, and typically are not the choice thickener for very low pH systems.

[0010] Similarly, U.S. patent 5,874,095 claims an enhanced skin penetration system comprising a nonionic polyacrylamide of high molecular weight, for improved topical delivery of drugs at low pH.

[0011] Further descriptions of acrylic acid thickeners are given in U.S. patents 2,883,351; 2,956,046; 3,035,004; and 3,436,378.

[0012] Poly(*N*-vinyl-2-pyrrolidone) and its salts and esters are described in U.S. patents 6,436,380; 6,197,281; 6,333,039; 6,685,952; and 7,108,860 as rheology modifiers or thickeners in personal care products.

[0013] U.S. patent application 2003/0118620 teaches a thickening system for cosmetic composition of low pH, comprising a polysaccharide and taurate copolymer.

[0014] Polymeric thickeners for acidic surfactant compositions are described by U.S. patent 4,552,685, and by U.S. patent 4,529,773. However, these acidic-thickened solutions require high levels of surfactant in order to solubilize the copolymers and they have higher viscosities at pH 7 than when the pH is lowered into the acidic region.

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[0015] As shown in this summary, there remains a strong demand and need for a thickening material for low pH, very low pH, and extremely low pH systems, particularly one that maintains stable viscosity, pH, and preferably viscosity and pH. Preferably, this thickener is easy to handle, readily dispersible, and provides smooth, thickened consistencies, without being stringy or creating pilling.

[0016] Interest in thickening acidic compositions stems, in part, from the growth of acid products that consumers are demanding and using. Although the use of alpha hydroxy acids as therapy for photoaged skin was known to medical doctors by 1989 (Van Scott, E.J., "Alpha hydroxy acids: procedures for use in clinical practice, *Cutis*, 1989; 43: 222-228), a non-prescriptive market demand did not exist until 1992, when Avon launched *Anew Perfecting Complex For Face* (Avon Products, Inc. website: www.avoncompany.com/brands/skincare.html). Indeed, the U.S. Food and Drug Administration (FDA) confirms that it was not until 1992 that they received the first four registrations for new consumer products containing glycolic acid as an active ingredient (Barrows, J.N., Memorandum to the Administrative File, "Guidance for Industry: Labeling for Topically Applied Cosmetic Products Containing Alpha Hydroxy Acids as Ingredients," Office of Cosmetics and Colors, CFSAN, FDA, September 12, 2002.) Market demand for these low pH, topically applied products grew such that by 1997 forty-two such product registrations were received by the FDA.

[0017] With the growth of this new market segment, consumers began to experience potentially harmful side effects like stinging, redness, and burning. Between 1992 and 2004 the FDA received 114 side-effect complaints (U.S. Food and Drug Administration, *Guidance: Labeling for cosmetics containing alpha hydroxy acids*, <http://www.cfsan/fda/gov/guidance.html>, January 10, 2005). Hence, there remains a real need for products and methods for reducing the irritation of these products while maintaining their efficacy in treating various skin and hair conditions.

[0018] As it will be explained later, the present invention is also related to lightly- to moderately-crosslinked poly(*N*-vinyl-2-pyrrolidone). This polymer was first introduced in U.S. patent 5,073,614. In that patent it is taught to be the precipitation polymerization product of *N*-vinyl-2-

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