



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07J 53/00, A61K 31/57	A1	(11) International Publication Number: WO 95/03319 (43) International Publication Date: 2 February 1995 (02.02.95)
(21) International Application Number: PCT/US94/07827 (22) International Filing Date: 13 July 1994 (13.07.94) (30) Priority Data: 08/096,086 23 July 1993 (23.07.93) US (71) Applicant: THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US). (72) Inventors: SCHWEN, Richard, John; 8741 Apalachie Drive, Cincinnati, OH 45249 (US). SINE, Mark, Richard; 2731 East U.S. 22 & 3, Morrow, OH 45152 (US). WARREN, Raphael; 6258 Robison Road, Cincinnati, OH 45213 (US). (74) Agents: REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217 (US).	(81) Designated States: CA, CN, JP, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i>	
(54) Title: CYPROTERONE ACETATE THIOACETATE (57) Abstract <p>The present invention relates to the compound cyproterone acetate thioacetate (CATA) and compositions comprising CATA. The present invention further relates to methods of treating acne and/or sebaceous gland activity comprising topical application of CATA. The present invention additionally relates to methods of regulating hair growth comprising application of compositions comprising CATA.</p>		

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CYPROTERONE ACETATE THIOACETATE

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TECHNICAL FIELD

The present invention relates to the field of sebum control and treatment of acne in mammalian skin and scalp. The present invention also relates to the field of regulating hair growth.

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BACKGROUNDAcne

The pilosebaceous gland is a principal source of oil on mammalian skin and scalp. Therefore, a benefit of controlling sebaceous gland activity (sebum secretion) includes a reduction in the level of oil found in skin and hair.

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Sebum secretion is also related to acne. Acne is a pilosebaceous disease characterized by comedo, papules, inflamed nodules and superficial pus-filled cysts. The course and severity of acne is determined by the interaction between hormones, keratinization, sebum formation and bacteria. Acne usually begins at puberty, when circulating levels of androgens increase. The pilosebaceous glands increase in size and sebum synthetic activity is elevated due to this increase in circulating levels of androgens. Follicular hyperkeratosis can also occur, causing restriction of pilosebaceous follicles and, consequently, comedo or plug formation. The comedo contains sebum, protein debris, and anaerobic microorganisms including *propionibacterium (corynebacterium) acnes (P. acnes)*. *P. acnes* thrives on the sebum and generates inflammatory free fatty acids (FFA). The FFA cause irritation in the follicular wall and can lead to rupture of the follicular wall, inducing an inflamed lesion. In severe cases, this lesion will heal with scarring.

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Existing treatments for acne include from general topical application of lotions and salves to affected skin areas, to localized (spot) topical treatment. Products used for such treatments include benzoyl peroxide, sulfur resorcinol, salicylic acid and trans-retinoic acid. The therapeutic value is limited because of poor efficacy, poor aesthetics, and lack of effect on sebum production.

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Other effective therapies for acne which reduce sebum production, include the use of antiandrogens, and cis-retinoic acid. However, because of undesirable systemic side effects, such as teratogenicity, pituitary dysfunction, and male sterility, current use is restricted to the more severe cases of acne. Antimicrobials are also somewhat effective in treating acne because they control the growth of *P. acnes*. The effectiveness of antimicrobials is limited because they do not affect sebum production.

For the foregoing reasons, there is a need for an efficacious, easily administered, agent for treating acne and/or reducing sebaceous gland activity in a mammal, having little or no undesirable side effects.

Hair Growth

5 Society in general continues to attach a stigma to hair loss. Men and women suffer from hair loss, often resulting in self-consciousness relating to the hair loss. Domestic animals, such as cats and dogs, also suffer from hair loss. While the animal is not likely to be emotionally affected by such hair loss, its owner may be, particularly if such an animal is to be shown in various
10 competitions. Additionally, increased hair growth in livestock such as sheep, thereby resulting in increased wool production, is also desirable. The desire for a healthy full head (or body, in the case of animals) of hair has resulted in a variety of approaches to the "curing" of hair loss.

One such approach involves the much publicized use of minoxidil (6-(1-piperidiny)-2,4-pyrimidinediamine 3-oxide), a potent antihypertensive agent, as a hair growth promoting agent (see US Patent Nos., 3,461,461; 3,973,061; 3,464,987; and 4,139,619). Unfortunately, not all people respond to minoxidil and the efficacy level is limited in those individuals exhibiting a response.

Another approach for "curing" hair loss involves a procedure of weaving
20 synthetic or natural hair strands into the remaining hair strands of the subject. Such a procedure is time consuming, expensive and requires follow-up re-weavings as the weaves loosen and/or the subject's existing hair strands grow. Furthermore, such a procedure does not cure hair loss, but merely masks the condition.

25 Another approach for treating hair loss is the use of hair plugs. This procedure involves the transplantation of terminal hair follicles from regions of normal hair growth on the subject's scalp to regions of thinning or no hair growth on the scalp. The procedure is time consuming, expensive and can be painful. Furthermore, the transplanted plugs, at least in the early stages following
30 transplantation, produce an unnatural look to the scalp.

For the foregoing reasons, there is a need for an easily administered, efficacious agent for treating hair loss in a mammal having little or no undesirable side effects.

Objects of the Present Invention

35 It is an object of the present invention to provide compounds useful for treating acne and/or sebaceous gland activity and/or regulating hair growth.

It is also an object of the present invention to provide compositions useful for treating acne and/or sebaceous gland activity and/or regulating hair growth.

40 It is also an object of the present invention to provide methods for treating acne and/or sebaceous gland activity.

It is also an object of the present invention to provide methods for regulating hair growth.

SUMMARY

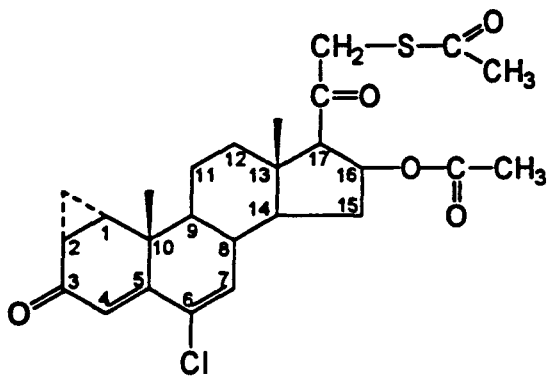
The present invention is directed to cyproterone acetate thioacetate (hereinafter "CATA") and compositions comprising CATA. Such a compound and compositions satisfy the need for an efficacious, easily administered agent for treating acne and/or sebaceous gland activity, having little or no undesirable side effects. Such a compound and compositions also satisfy the need for an efficacious, easily administered agent for regulating hair growth, having little or no undesirable side effects. The present invention is further directed to a method of treating acne and/or sebaceous gland activity in the skin of a mammal susceptible to or having acne, comprising application of a composition of the present invention. The present invention is further directed to a method of regulating hair growth in a mammal (e.g., humans and domestic animals) susceptible to or suffering from hair loss, comprising application of a composition of the present invention.

The compositions of the present invention relating to the acne treatment or hair growth regulation embodiments comprise a safe and effective amount of CATA and a pharmaceutically-acceptable or cosmetically-acceptable carrier.

These and other features, aspects and advantages of the present invention will become better understood with reference to the following description and appended claims.

DETAILED DESCRIPTION

As used herein, "cyproterone acetate thioacetate" and "CATA" mean a compound having the structure:



As used herein, "topical application" means directly laying on or spreading on outer skin.

As used herein, "cutaneous injection" means introduction of a substance beneath or within the skin by a hypodermic needle; preferably proximate to the site of desired response.

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