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**Wharton**

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(54) **COMPOSITION CONTAINING SUCRAFATE AND A TOPICAL ANESTHETIC FOR HUMANS AND ANIMALS AND METHOD OF USE THEREOF**

6,165,997 A \* 12/2000 Cohen et al. .... 514/148  
6,194,455 B1 \* 2/2001 Wharton ..... 514/532  
6,372,786 B2 \* 4/2002 Wharton ..... 514/532

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EP 230023 \* 7/1987  
WO WO89/00047 \* 1/1989

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**Related U.S. Application Data**

(63) Continuation-in-part of application No. 09/779,384, filed on Feb. 8, 2001, now Pat. No. 6,372,786, and a continuation-in-part of application No. 09/321,946, filed on May 28, 1999, now Pat. No. 6,194,455.

(51) **Int. Cl.**<sup>7</sup> ..... **A61K 31/235**; A61K 31/715

(52) **U.S. Cl.** ..... **514/532**; 514/53; 514/546; 514/882

(58) **Field of Search** ..... 514/532, 53, 546, 514/882; 424/422, 401

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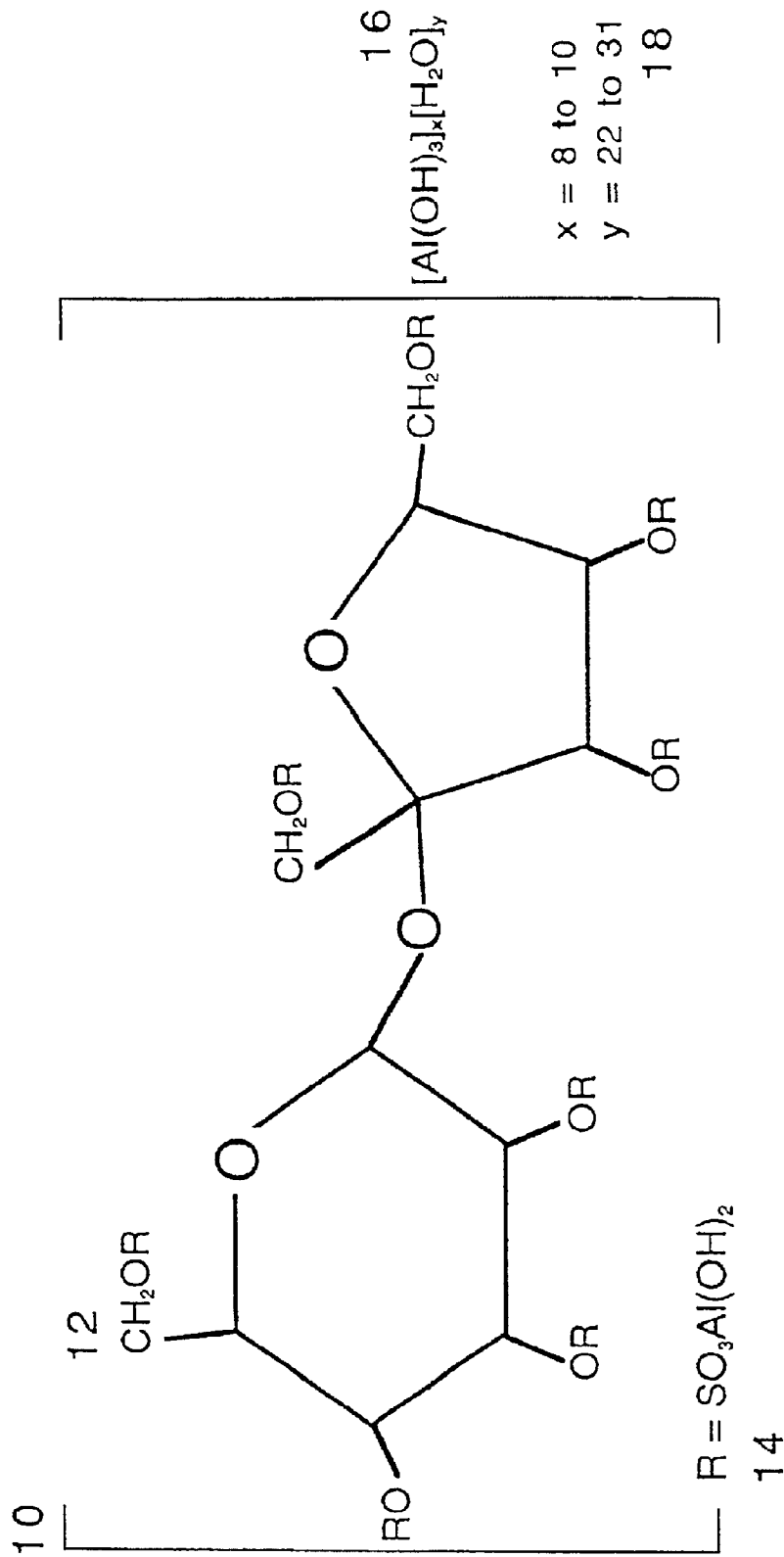
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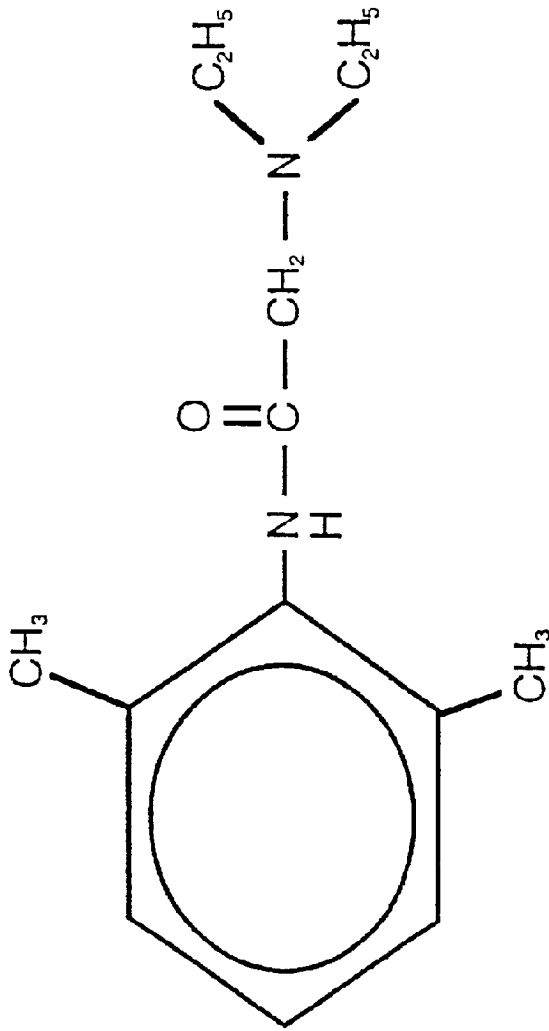
(57) **ABSTRACT**

A composition and method of treating plurality of conditions utilizes a combination of sucralfate and a topical anesthetic such as lidocaine. The composition finds utility in treating the symptoms of burns or mange and to relieve the associated irritations and discomfort.

**6 Claims, 2 Drawing Sheets**



**Fig. 1**



20

22. C<sub>14</sub>H<sub>22</sub>N<sub>2</sub>O

24 m.w. 234.3

**Fig. 2**

**COMPOSITION CONTAINING SUCRALFATE  
AND A TOPICAL ANESTHETIC FOR  
HUMANS AND ANIMALS AND METHOD OF  
USE THEREOF**

REFERENCE TO RELATED APPLICATIONS

This application is a Continuation-in-Part Application of U.S. patent application Ser. No. 09/321,946 filed May 28, 1999, now patented U.S. Pat. No. 6,194,455 and U.S. patent application Ser. No. 09/779,384 filed Feb. 8, 2001 now patented U.S. Pat. No. 6,372,786.

BACKGROUND OF THE INVENTION

1. Field of the Invention

The instant invention relates generally to compositions for treating skin ulcers, burns and mange and particularly to compositions for human medical or veterinary use containing sucralfate in combination with a topical anesthetic for treating a variety of medical conditions.

2. Description of the Related Art

Compositions containing sucralfate or topical anesthetics are known in the art. For example, U.S. Pat. No. 5,246,697 (Conte, U. et al., 21 Sep. 1993) discloses the use of a sucralfate-containing gel as a vehicle for the application of drugs having topic activity.

U.S. Pat. No. 5,411,738 (Hind, H., 2 May 1995) discloses a composition and method for alleviating associated with shingles utilizing the topical administration of lidocaine.

U.S. Pat. No. 5,478,814 (Packman, E. W., 2 May 1995) discloses a composition and method for treating hemorrhoids utilizing the topical administration of sucralfate in combination with a topically therapeutic agent, including an anesthetic.

U.S. Pat. No. 5,707,653 (Goldberg, A. H., 13 Jan. 1998) discloses a composition for treating internal or external ulcers which contains sucralfate in combination with an antibiotic.

U.S. Pat. No. 6,194,455 (Wharton, common inventor) discloses cure for skin ulcers.

SUMMARY OF THE INVENTION

The present invention is concerned with compositions for treating skin ulcers, burns and mange and particularly to compositions for human medical or veterinary use containing sucralfate in combination with a topical anesthetic for treating a variety of medical conditions.

A primary object of the present invention is to provide a composition and method for treating a wide variety of skin ulcers, burns and mange which utilizes a sucralfate in combination with a topical anesthetic such as lidocaine.

Another object of the present invention is to provide a composition and method able to relieve irritations and discomfort caused by poison ivy, poison oak, poison sumac, bedsores, sore throats, burns, mange, surgical incisions and skin ulcers on both humans on animals.

A further object of the present invention is to provide a composition and method for preventing the formation of herpes ulcers.

An additional object of the present invention is to provide a composition and method for promoting healing of diabetic ulcers.

Another object of the present invention is to provide a composition for treating skin ulcers which contains sucralfate in combination with a topical anesthetic and an antibiotic.

A further object of the present invention is to provide a composition and method for treating skin ulcers which provides both immediate relief from pain and long-lasting healing of the ulcer.

Another object of the present invention is to provide a composition and method for treating skin conditions such as acne, eczema, shingles, psoriasis, ringworm, jock itch, athlete's foot, burns, and abrasions, which contains sucralfate in combination with one or more antibiotics, a topical anesthetic, or both.

Still another object of the invention is to provide a composition and method for treating sinus conditions containing sucralfate in combination with a topical anesthetic such as lidocaine.

Still another object of the invention is to provide a composition and method for treating burns containing sucralfate in combination with a topical anesthetic such as lidocaine.

Still another object of the invention is to provide a composition and method for treating mange containing sucralfate in combination with a topical anesthetic such as lidocaine.

The foregoing and other objects, advantages and characterizing features will become apparent from the following description of certain illustrative embodiments of the invention.

The novel features which are considered characteristic for the invention are set forth in the appended claims. The invention itself, however, both as to its construction and its method of operation, together with additional objects and advantages thereof, will be best understood from the following description of the specific embodiments when read and understood in connection with the accompanying drawings. Attention is called to the fact, however, that the drawings are illustrative only, and that changes may be made in the specific construction illustrated and described within the scope of the appended claims.

BRIEF DESCRIPTION OF THE DRAWING  
FIGURES

Various other objects, features and attendant advantages of the present invention will become more fully appreciated as the same becomes better understood when considered in conjunction with the accompanying drawings, in which like reference characters designate the same or similar parts throughout the several views.

FIG. 1 illustrates the chemical structure for sucralfate.

FIG. 2 illustrates the chemical structure for lidocaine.

DESCRIPTION OF THE PREFERRED  
EMBODIMENTS

Turning now descriptively to the drawings, in which similar reference characters denote similar elements throughout the several views, the Figures illustrate a composition for treating skin ulcers of the present invention. With regard to the reference numerals used, the following numbering is used throughout the various drawing figures.

**10** chemical structure for sucralfate as an aluminum complex

**12** noncomplexed portion of **10**

**14** identification of R-groups of **12** as  $-SO_3Al(OH)_2$

**16** aluminum complex portion of **10**

definition of x and y from **16**

**20** chemical structure of lidocaine

**22** chemical formula for lidocaine

**24** molecular weight of lidocaine

FIGS. 1 and 2 illustrate a composition for treating skin ulcers, burns and mange of the present invention. In its broadest embodiment, the present invention comprises a topically-applicable composition including sucralfate **10** and a topical anesthetic or an antibiotic.

Sucralfate is an a-D-glucopyranoside,  $\beta$ -D-fructofuranosyl-, octakis-(hydrogen sulfate), aluminum complex as illustrated in FIG. 1. It is sold under the trade name CARAFATE<sup>®</sup>, in both tablet and liquid suspension form. It is most commonly dispensed for use in treating duodenal ulcers. The present invention is based on the finding that sucralfate, in combination with other active components such as topical anesthetics, antibiotics, antioxidants, vitamins and the like, can effectively treat a wide variety of topical conditions.

In preferred form, the composition of the invention includes sucralfate and lidocaine in combination. Lidocaine (Xylocaine), is an amide originally synthesized from cocaine, and is one of the most widely used local anesthetics in use today. It is administered parenterally for ventricular arrhythmias, subcutaneously for minor surgical procedures, and topically to mucosal surfaces prior to invasive procedures. Available forms include a topical solution (2–4%), a rectal suppository (10%), an aerosol (10%), a jelly (2%), viscous lidocaine (2%), and a solution for intravenous and subcutaneous administration (0.5–2%).

The sucralfate is normally provided in suspension form, at a concentration of 1 g sucralfate per 10 ml of suspension. The preferred concentrations for the present invention results from the combination of 1 drop (about 0.1 g) of 2% lidocaine mixed together with about 0.25 fluid ounces (about 7.5 ml) of sucralfate suspension. When mixed in this proportion, the suspension thickens to the consistency of a gel, providing for ready administration to the patient.

Thus prepared, the composition of the present invention can be used to effectively treat a wide range of skin ailments, providing pain relief and promoting healing of any open ulcers. Additionally, further active components may be added to the composition as needed. For example, antibiotics and/or antifungal agents, vitamins such as vitamins A, C and E, plants extracts such as aloe vera or other plant materials which may promote healing, and combinations thereof.

Sucralfate binds preferentially to affected sites to form a protective coating thereon. Accordingly, the compositions of the present invention provide for the preferential delivery, to the wound site, of the various active components via the sucralfate in the composition. The effectiveness of the sucralfate in acting as a preferential carrier may be enhanced when the active component has an affinity for sucralfate, as many pharmaceutical products do. For example, the antibiotics tetracycline, doxycycline, nalidixic acid, the fluoroquinolone antibiotics ciprofloxacin, norfloxacin and ofloxacin, and the antifungal ketoconazole all have been shown to have some affinity for sucralfate and may find particular utility in the compositions of the present invention.

In addition to these compounds which adsorb to the sucralfate, other antibiotics also find utility in the compositions of the invention. This includes sulfa drugs and other antibiotics such as bacitracin, trimethoprim, penicillin and erythromycin. A preferred antibiotic is a combination of trimethoprim and sulfamethoxazole, in a weight ratio of 1:5, respectively, sold under the tradename Bactrim<sup>™</sup>.

The composition has been used to treat effectively many different ailments. For example, it has been used effectively to relieve pain and promote healing of diabetic ulcers, herpes ulcers, shingles, eczema, acne, psoriasis, skin rashes, burns

and abrasions, and the like. The composition is further used effectively to reirritations and discomfort caused by poison ivy, poison oak, poison sumac, bedsores, soar throats, burns, surgical incisions and skin ulcers on humans, animals and mange. In each instance, immediate relief from the pain caused by the ulcers, and much more rapid healing of the wounds than when left untreated or treated by conventional methods was reported in the case of humans and visible in the case of animals and mange. The composition has also been shown to be effective in treating sinus conditions where pain and inflammation are implicated. When used as a gargle, the composition has proven effective in treating soar throats and minor throat irritations such as those caused by colds and flu.

The composition of the present invention has shown further, unexpected utility in preventing the development of herpes ulcers. It has been found that, when the composition of the present invention is applied to a nascent herpes outbreak (when a small bump occurs on the skin, the typical foreshadowing of an outbreak), the bump recedes within 1 ½ to 2 days, then disappears without developing into a herpes ulcer. Additionally, patients report that after using the composition of the invention to stem the outbreak, the time between outbreaks is greatly extended, typically by a factor of 3 to 4. Therefore, the invention provides prophylactic utility for preventing herpes outbreaks. It is anticipated that such prophylactic utility extends also to other recurring conditions, such as psoriasis, diabetic ulcers, and the like.

The present invention can greatly alleviate the symptoms of chicken pox, and promotes the rapid healing of the associated skin eruptions such that scarring is avoided. The results are similar for treatment of infected skin rashes; pain relief was immediate and the skin appeared completely healed within 2 to 3 days.

In such conditions where antibiotics or antifungal agents are useful, the composition has also shown great efficacy. Such conditions include, for example, acne vulgaris, ringworm, jock itch, athlete's foot, conditions where infection is a concern, such as any open wounds, and the like.

It will be understood that each of the elements described above, or two or more together, may also find a useful application in other types of applications differing from the type described above. This includes, for example, veterinary applications as well as the medical applications described herein.

While the invention has been illustrated and described as embodied in a composition for treating skin ulcers, it is not intended to be limited to the details shown, since it will be understood that various omissions, modifications, substitutions and changes in the forms and details of the formulation illustrated and in its operation can be made by those skilled in the art without departing in any way from the spirit and scope of the present invention.

Without further analysis, the foregoing will so fully reveal the gist of the present invention that others can, by applying current knowledge, readily adapt it for various applications without omitting features that, from the standpoint of prior art, fairly constitute essential characteristics of this invention.

What is claimed as new and desired to be protected by Letters Patent is set forth in the appended claims.

I claim:

1. The method of treating burns comprising the topical administration of a gel comprising a pharmaceutically effective amount of sucralfate, lidocaine and a combination of trimethoprim and sulfamethoxazole wherein sucralfate and lidocaine in a weight ratio of sucralfate to lidocaine in a

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range of about 500:1 to about 200:1 in a pharmaceutically acceptable carrier.

2. The method as recited in claim 1, wherein said sucralfate and lidocaine are present in a weight:weight ratio of about 375:1, respectively.

3. The method as recited in claim 1, wherein said method is also used to treat burns and accelerating the healing of the burns.

4. The method as recited in claim 3, wherein said composition further includes aloe and vitamin E.

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5. The method as recited in claim 1, wherein said method comprising steps of mixing an aqueous suspension of sucralfate, present in the concentration of 1 gram sucralfate per 10 ml of suspension, with a 2% lidocaine solution, then mixing until a resulting composition achieves a gel-like consistency, and then applying to the affected area.

6. The process as recited in claim 5, wherein about 0.1 g of 2% lidocaine solution is added to each 7.5 ml of sucralfate suspension.

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