Genti-Fol™ SA

Substantive Keratolytic Complex

Code # 07246

INCI Designation: Betaine Salicylate

Background Information

Beta-hydroxy acids (BHAs) can enhance the rate of stratum corneum exfoliation in much the same way as alpha-hydroxy acids (AHAs), although with suggested improvements in the minimization of irritation often associated with AHAs. The benefits of salicylic acid, an aromatic b-hydroxy acid, in skin care are well established.[1-3] The use of salicylic acid in cosmetic products can improve the fine lines and wrinkles associated with intrinsic aging,[4] as well as treat acne through topical application.[5] In addition, salicylic acid has been suggested as a therapeutic agent for ameliorating the effects of photoaging, the undesirable deterioration of the skin brought on by excessive exposure to ultraviolet radiation from the sun.[6] The effects of photoaging on the skin are manifested as wrinkles, sagging, discolorations and other undesirable physical changes.

Likewise, the benefits of trimethylglycine in skin care are also well established including an ability to increase skin moisturization, skin elasticity and skin hydration among other dermatological improvements.[7-8] Trimethylglycine is an especially interesting molecule because it possesses both an anionic charge and a cationic charge on the same molecule. The natural presence of the cationic charge is particularly relevant to skin care applications as it is well established that human skin, under normal physiological conditions, has an overall anionic charge. Therefore, molecules that possess a cationic charge have a natural affinity (substantivity) towards the skin.

Technical Data	
Solubility	Complete
Cell Turnover	Complete

Typical Properties	
Appearance	Free Flowing Powder
Odor	Characteristic
Color	White

Applications

Anti-acne and Anti-microbial Formulations
Exfoliation Applications with Anti-Irritation Claims
Leave-on and Rinse-off Applications

Toxicological Studies

RIPT	Non-primary irritants (at 4%)
	Non-primary sensitizers (at 4%)
Epi-Dermal	Mild (at 4%)
Epi-Ocular	Non-irritating (at 4%)

06/18/03 v1.6

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Product Data

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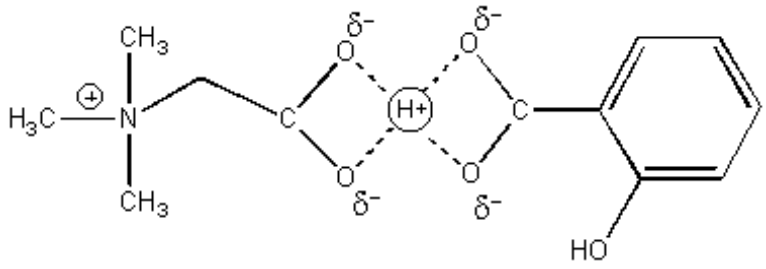
Product Information

Genti-Fol SA is a new product advancement from the laboratories of **Arch Personal Care** that combines the benefits of salicylic acid with the unique and gentle skin improvement properties of Trimethylglycine. The product is an internal salt represented by the schematic illustration below. In this chemical structure, the anionic portion of the salicylic acid molecule shares a common charge with the anionic portion of the trimethylglycine molecule. This complexes the salicylic acid tightly to the trimethylglycine molecule and isolates the cationic charge of the trimethylglycine to create a "*Substantive Keratolytic Complex*". Thus, the new molecular entity offers the combined benefits of both the salicylic acid moiety and the trimethylglycine moiety. This new product becomes ideal for leave-on and rinse off compositions that require mild exfoliation and antimicrobial properties blended with substantial moisturizing and anti-irritation claims.

Substantive Keratolytic Complex



GentiFol SA Solubility Characteristics

Material	***Salicylic Trimoniumglycine (10%)***
SDA 40 Alcohol	S
PEG – 8	S
Pentylene Glycol	S
Tween 20	PS
Sodium Laureth Sulfate (90%)	S
Sodium Lauryl Sulfate (90%)	S
Cocamidopropyl Betaine (90%)	S
Ammonium Lauryl Sulfate (90%)	S

PS = Partly Soluble 1 – 10 % by weight
S = Soluble 10 % by weight or higher

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Solubility vs pH

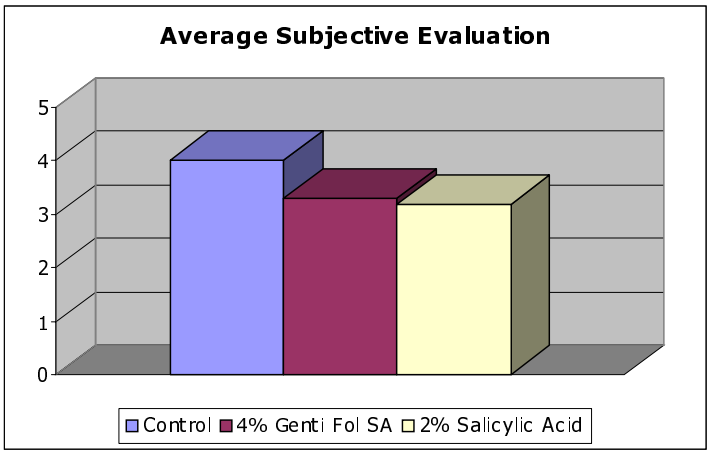
5%, 10%, and 50% Salicylic Trimoniumglycine in Water	
pH	
As is 2.80	Insoluble
3.80 – 4.20	Soluble*
* Neutralized with AMP 95	

In vivo Cell Renewal Study

An in house, *in vivo* study was conducted on seven individual test volunteers to look at the effectiveness of Genti-Fol SA to exfoliate skin in comparison to controlled untreated exfoliation and to a product that contained salicylic acid. The products tested included a 2 wt% solution of Salicylic acid in butylene glycol, and a 4 wt% solution of Genti-Fol SA in butylene glycol (equivalent to 2 wt% salicylic acid content). The test individuals included men and women of various ages and each participant was instructed to apply the test products once in the morning and again in the evening. The study was terminated after ten test days as individual dansyl chloride spots began to disappear.

A- Salicylic Acid
B- Genti Fol SA

A 1 to 5 grading scale was used to assess the level of remaining Dansyl Chloride stain after 10 days. As expected, the control sites retained the highest level of stain. Genti Fol SA and Sal Acid performed equally as well.



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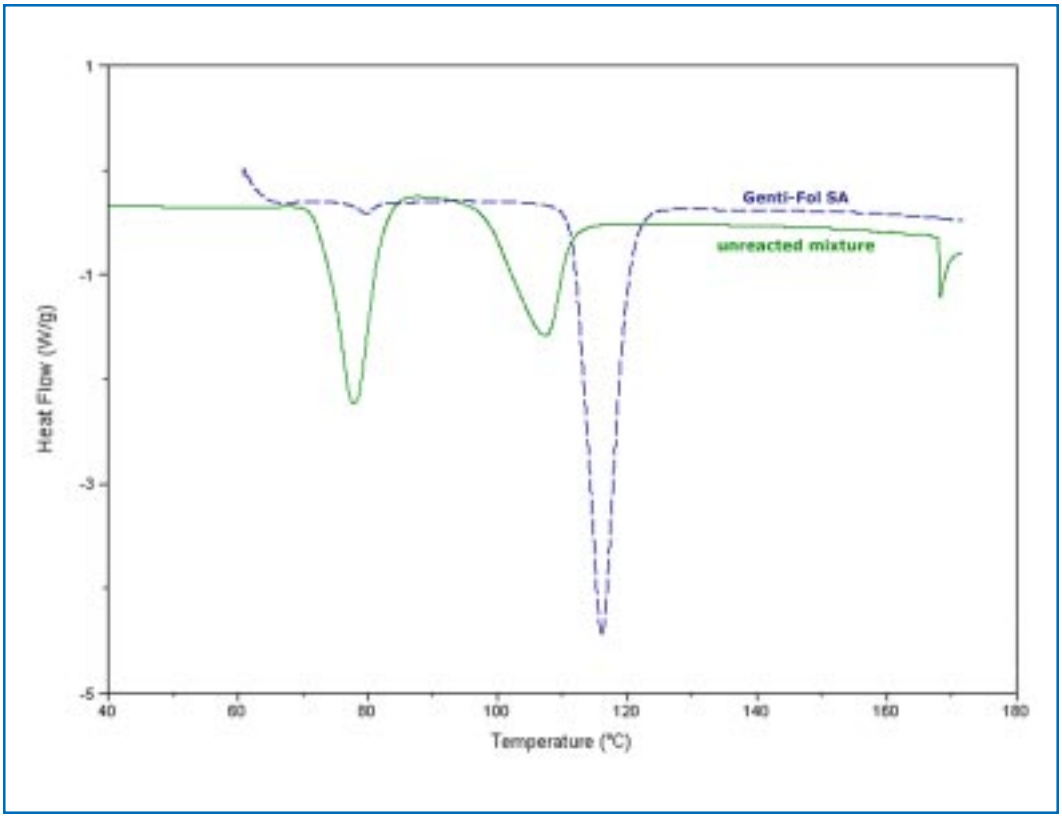
Using state-of-the-art differential scanning calorimetry (DSC) analysis, Arch Personal Care is able to confirm that the reaction of betaine with salicylic acid to make **Genti-Fol SA** offers a very clean product. In the Figure below, we show examples of overlaid thermograms for an unreacted mixture of betaine and salicylic acid (green spectrum) and for **Genti-Fol SA** (blue spectrum) which appears as a single peak with a thermal maximum at ~118°C. Differential Scanning Calorimetry operates by applying a heating cycle to solid products and accurately measuring energy absorption over a range of temperatures. The peak signals in the thermograms indicate temperatures where an individual molecular species absorbs (endothermic) or releases (exothermic) excess energy. In low molecular weight solid products this temperature is typical of a melting point transition. In the particular example below, the thermogram shows the difference between a fully reacted, pure product and a simple blend of the two components.



References

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