

PROLIPID™141 for Skin Care

INTRODUCTION

ProLipid™141 lamellar system provides benefits which no other system can deliver: outstanding moisturization, skin barrier strengthening, uniform delivery of actives and product structuring. ProLipid 141 also provides exceptional aesthetics since high levels of oily materials feel dry and silky when delivered from this lamellar gel.



PRODUCT DESCRIPTION

INCI Nomenclature for ProLipid™ 141:
Glyceryl Stearate
Behenyl Alcohol
Palmitic Acid
Stearic Acid
Lecithin
Lauryl Alcohol
Myristyl Alcohol
Cetyl Alcohol

ProLipid lamellar systems are the first of their type to deliver wide pH compatibility, electrolyte tolerance, and stable rheology and temperature profiles. To achieve these benefits, a balance of components with overlapping functionalities was chosen.

WHY THESE COMPONENTS?

ProLipid 141 contains a carefully balanced blend of ambiphilic (surfactant-like) materials which do not form micelles. Instead they have key attributes which promote the formation of lamellar gels: low water solubility, small polar head groups, large hydrophobic groups and a low charge density when combined together.

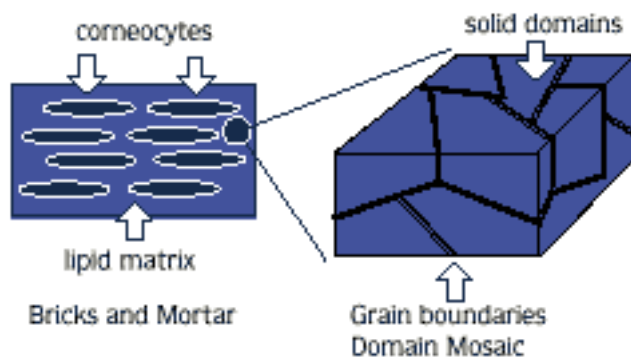
ProLipid 141 is designed to provide a lamellar gel phase across a wide range of pHs for formulation flexibility. At acidic pH, the lecithin is the primary structuring agent. At neutral and basic pH, the fatty acids are the primary structurants. The fatty alcohols and GMS promote lamellar phase formation at all pHs.

THE DOMAIN MOSAIC

Our skin forms a barrier which protects the body against uncontrolled loss of water. It also prevents external materials from indiscriminately entering our bodies. It is the outermost layer of the skin, the stratum corneum, which provides the barrier.

Traditionally, the stratum corneum has been described as a bricks-and-mortar structure in which the corneocyte "bricks" are surrounded by lipid "mortar". The lipid region is known to provide the permeability barrier needed for healthy skin, and the physical organization of the lipids is known to be critical for good barrier function.

Skin lipids have been the subject of much research in recent years, and the relatively simple "mortar" model has recently evolved into more complex "Domain Mosaic" model. In this model, skin lipids are described as having domains of solid or gel-state lipids bordered by lipids in a more fluid liquid crystalline state called a grain boundary.



Such a grain boundary arrangement provides an effective barrier that prevents the indiscriminate loss of water, yet allows controlled evaporation to regulate temperature. The fluid character of the grain boundaries represents areas where materials may diffuse in or out of the system. According to the Domain Mosaic model, lipids in the fluid grain boundaries can be lost through a process termed co-micellization detergency. Loss of any lipids from the grain boundaries disrupts the organization of stratum corneum lipids and leads to loss of barrier function. Healthy skin requires optimal stratum corneum barrier function and maintenance of skin moisture for prevention of irritation and dryness. The lamellar gel structure of ProLipid 141 mimics the structure of lipids in the stratum corneum.

SKIN BARRIER STRENGTHENING

A healthy stratum corneum is a protective barrier against environmental insult and water loss. Loss of barrier function, which can result from a number of factors, causes dry skin and is implicated in sensitive skin reactions. Because the ProLipid 141 lamellar system mimics the skin's own lipid structure, it enhances the skin's natural barrier function. This has been proven in clinical testing.

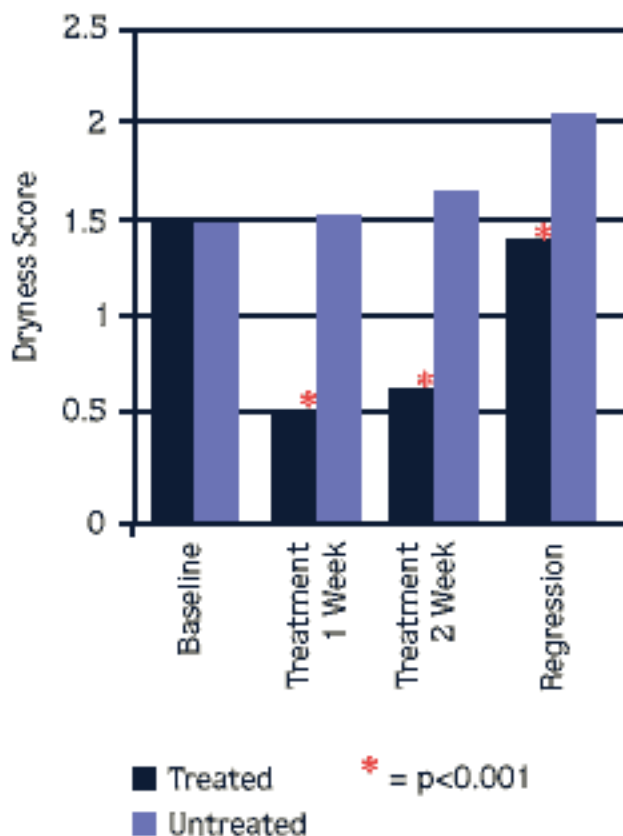
Figure 1 shows the results of a four week standard moisturization test of a simple moisturizing cream which contained 5% ProLipid 141. The principal steps of the protocol followed are listed below:

- 1st week: Legs were washed with alkaline soap twice a day (dry down period).
- 2nd and 3rd week: One leg of each panelist was treated with cream. The other leg was left untreated. Both legs were washed with alkaline soap once a day.
- 4th week: Treatment was discontinued. Legs were washed with alkaline soap once a day (regression period).
- Dryness scores were measured by an expert evaluator after each week.

Highly significant moisturization of the treated legs was observed during the treatment phase of the test, and continued through the regression phase.

Details of this test are available upon request.

Figure 1: Results of Standard Long-Term Clinical Moisturization Test on a Simple Cream containing 5% ProLipid 141



DELIVERY OF FUNCTIONAL MATERIALS

Uniform distribution of functional ingredients is crucial for optimal performance. The bilayer gel structure is ideal for this purpose because it retains an extended, evenly distributed structure upon application. This has been demonstrated in several types of products including sunscreens and color cosmetics.

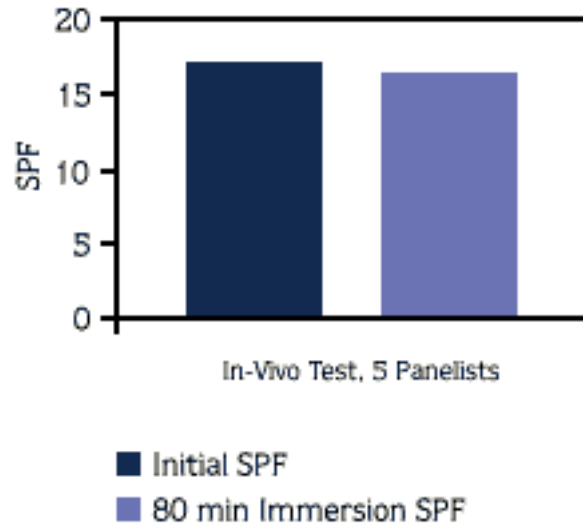
Sunscreen performance, which is very sensitive to product distribution, offers an excellent demonstration of the ability of the ProLipid 141 lamellar gel system to deliver uniform and coherent films.

The use of ProLipid 141 delivers excellent SPF from a typical sunscreen (7.5% Escalol®557, 3% Escalol®567, and 3% Escalol®587) which contains no water proofing polymers. It also provides a substantive hydrophobic film which qualifies as very water-resistant in the FDA protocol. Even distribution of sunscreen actives provides SPF

efficacy. Uniform, coherent films resist removal.

As shown below, ProLipid 141 provides both benefits.

5% ProLipid 141 Very Water-Resistant Sunscreen



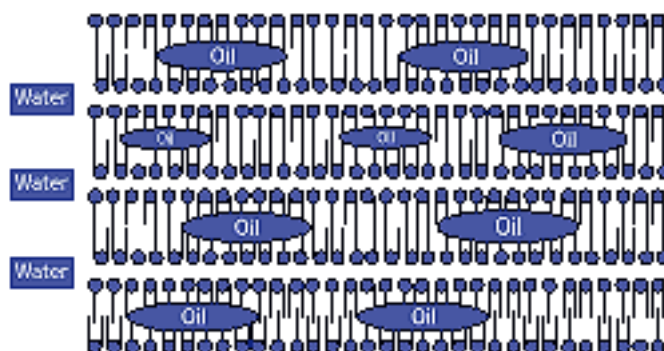
Similar benefits are achieved in a variety of other applications. Examples include uniform dispersion of pigments and inorganic sunscreens as well as even color distribution. This translates into improved wear benefits in color cosmetics.



EXCEPTIONAL AESTHETICS

High efficacy is often associated with poor sensory characteristics. When that efficacy comes from excessively oily ingredients or vehicles, customer satisfaction is low due to the unpleasant skin feel. The ProLipid lamellar system overcomes this negative trait by entrapping the oil phase within the bilayer matrix. The consumer experiences the silky, smooth afterfeel of ProLipid 141.

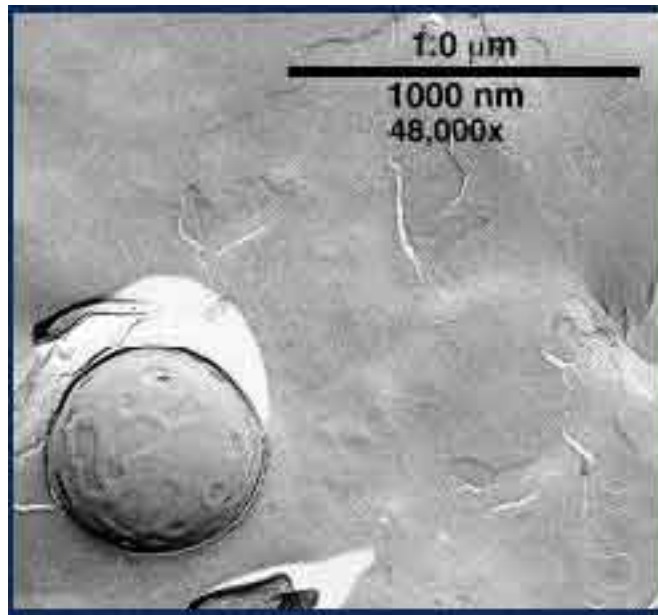
ProLipid 141 Formulations on Skin



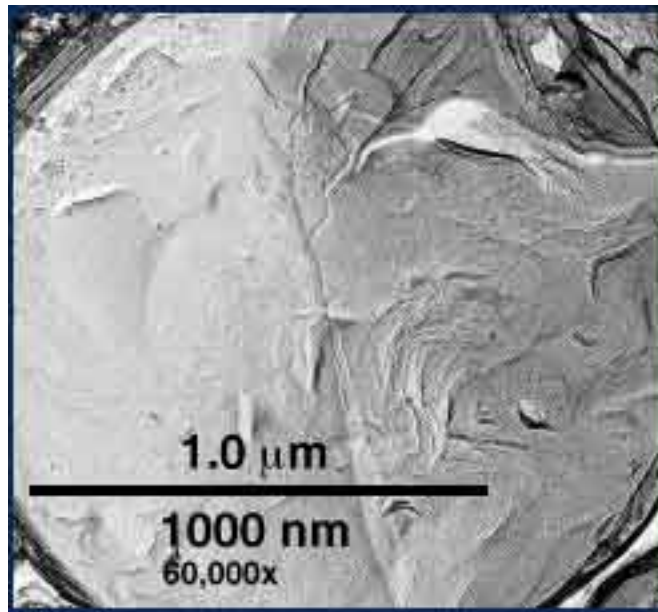
PRODUCT STRUCTURING

Lamellar gels have been used in the past to structure oil-in-water formulations. However, many exhibited increasing viscosity over time and were prone to degradation by formulation variables like pH, electrolytes, and oil loadings. ProLipid 141 is unique. Because the bilayer gel structure is maintained across a wide range of formula variables, the ProLipid 141 lamellar system offers unmatched product integrity. For this reason, materials which disrupt the bilayer gel structure (such as emulsifiers and solvents) should not be incorporated in the formula. A ProLipid 141 lamellar system is the only structurant needed in a high performance formulation.

When examined via Transmission Electron Microscopy, moisturizers based on a ProLipid lamellar gel system show no evidence of a discrete oil phase. Only lamellar phase and aqueous phase materials are present as shown in the following micrographs.



Under high power magnification, two forms of lamellar materials are observed. The primary form of organization is extended multilamellar sheets. These have a three-dimensional organization that extends for hundreds of microns, with a bilayer thickness estimated at ten nanometers. Multilamellar spherical vesicles are also present.



This micrograph of the cross section of a spherical vesicle clearly demonstrates that lamellar bilayer organization is present throughout the vesicle. No evidence of a discrete oil phase is seen indicating that the oil phase is dispersed throughout the hydrocarbon chains of the bilayers.



Formulation Guidelines	
Recommended use level	3-5%, depending on the volume and composition of the oil phase
Recommended pH range	pH 3.8 - pH 8.0
Order of addition	Generally: Melt with oil phase premix and stir until uniform <u>Sunscreens:</u> Combine Escalol [®] (s), Ganex [®] (s), Antaron [®] (s) and ProLipid 141 in one premix and remaining oil phase in another. Heat premixes to required temperature, and combine just before adding to the water phase.
Optimize (reduce) size of droplets	High shear mixing Add low levels of Ganex [®] or Antaron [®] 1.0% Ganex [®] or Antaron [®] V-216 or V-220 0.5% Ganex [®] or Antaron [®] WP-660 0.05% Ganex [®] or Antaron [®] P-904LC

Salt tolerance range	Monovalent salts $\leq 3\%$ Divalent salts $\leq 0.5\%$
Optimize (increase) high temperature stability	Add low levels (0.1 - 0.2%) of hydrocolloids
Low-energy emulsification	Use gums or cellulosic thickeners, such as HEC, CMC, Xanthan gum, or Magnesium Aluminum Silicate Add low levels of Ganex [®] or Antaron [®] 1.0% Ganex [®] or Antaron [®] V-216 or V- 220 0.5% Ganex [®] or Antaron [®] WP-660 0.05% Ganex [®] or Antaron [®] P-904LC
Preservation system	Higher levels may be required
Materials to avoid	Dimethicone > 0.5%

Application	Benefit
Suncare	Optimal SPF Water-resistance Improved aesthetics
Face & Body	Moisturization Very natural skinfeel
Sensitive Skin	Strengthened barrier
Color Cosmetics	Pigment dispersion Long-wear

PRODUCT SAFETY

ProLipid 141 lamellar gel system is a blend of well-studied and globally-approved ingredients. Each constituent has been evaluated for safety by expert committees worldwide and found to be safe and suitable across a broad range of personal care applications and use concentrations. There are no expected toxicity consequences from exposure to either the individual constituents or the blend. Although the blend has not been safety tested in animals, in clinically evaluated moisturization and barrier assessments both irritation and sensitization potential were assessed throughout the studies. None was observed. These findings have been confirmed in Human Repeated Insult Patch Testing, along with Human Phototoxicity and Photoallergy Testing.

The technology surrounding ProLipid 141 and its applications are protected by U.S. Patent number 5,849,315.

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