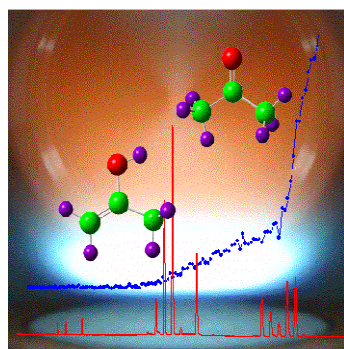


Pro-drug approach to skin delivery

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This research concerns the development of new pro-drugs of active compounds in order to increase the release of parent molecule through skin and improve its stability, its physical-chemical features and its pharmacological properties. The aim of this research, starting from bibliographic data on cutaneous action mechanisms of vitamin E, is the synthesis of vitamin E esters, that in vivo release, by cutaneous esterases, vitamin E and relative conjugated acid. The hydrolysis of the synthesized esters is studied by experiments in vitro. These derivatives are also more manageable in cosmetic formulations in comparison with free vitamin E. Actually, we are studying new prodrugs of vitamins C and B3.

Synthesized pro-drugs are then tested in order to assess skin permeation, accumulation and metabolism as well their solubility and stability in different mediums.



Pro-drugs approach to skin delivery

1. Description of the product

The prodrug approach represents a well-known tool widely employed to “temporarily” modify the physicochemical characteristics of an active compound and consequently to increase its pharmacological activity. The prodrugs are bioreversible, pharmacologically inactive derivatives of a drug molecule that require a chemical or enzymatic transformation to release the active parent in situ. For a successful dermal prodrug approach the prodrug should exhibit an adequate aqueous stability so to be easily formulated, a controlled enzymatic conversion into the parent drug within the viable tissue and an enhanced biphasic (both lipophilic and aqueous) solubility. Furthermore drug derivatization with a promoiety which possess inherent enhancing ability and/or its own biological properties would be a promising strategy to design dermal and transdermal prodrugs with increased activity.

2. Innovative aspect of the product

The pro-drug approach could be useful for pharmaceutical and cosmetic industries since it could be adopted both for pharmacologically active compounds and for cosmetic active ingredients.

3. Main advantages of the offer

Prodrug synthesis would be useful for:

- Increase pharmacokinetics properties of parent drug
- Increase stability
- Improve versatility in formulation

- Enhance activity

4. Technology key words

Prodrugs; Skin delivery; Stability.

5. Current Stage of Development

Developed phase - Tested in laboratory.

6. Intellectual Property Rights

Copyright protected

Technology key words

TEWL, cutaneous elasticity of cosmetic formulations.

Technical and scientific publications

"Synthesis, hydrolysis, and skin retention of amino acid esters of α -tocopherol". Marra F, Ostacolo C, Laneri S, Bernardi A, Sacchi A, Padula C, Nicoli S, Santi P. *J Pharm Sci*, 98:2364-2376, 2009

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