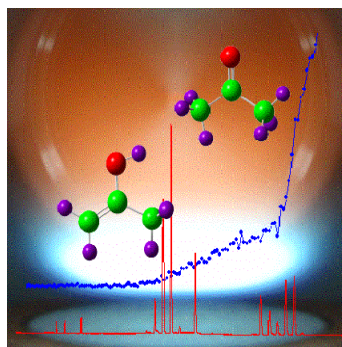


## Pro-drug approach to skin delivery

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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. 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

### Technical and scientific publications

"In-vitro and in-vivo evaluation of oligoethylene esters as dermal prodrugs of 18-b-glycyrrhetic acid" C. Puglia, C. Ostacolo, A. Sacchi, S. Laneri, F. Bonina.. J Pharm Pharmacol **58**, 2006, 311-319

'Amino acid adducts for percutaneous delivery of vitamin B3" C. Ostacolo, A. Bernardi, S. Laneri, A. Sacchi, M. Guarracino, P. Santi. '. EP 05026307.8, 2005

" $\alpha$ -Tocopherol pro-vitamins: synthesis, hydrolysis and accumulation in rabbit ear skin" C. Ostacolo, F. Marra, S. Laneri, A. Sacchi, S. Nicoli, C. Padula, P. Santi.. J. Controlled Release, **99** (2004), 403-413

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