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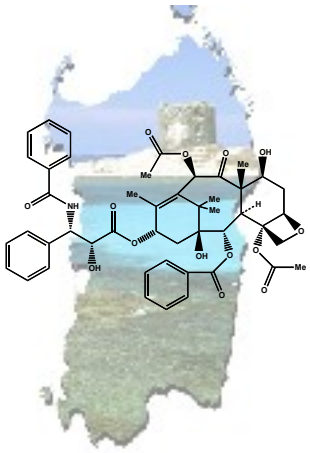

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EVALUATION OF THE VEHICLE EFFECT ON RELEASE OF DIPHENHYDRAMINE HYDROCHLORIDE FROM TOPICAL FORMULATIONS: IN VITRO AND IN VIVO PRELIMINARY STUDIES

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Diphenhydramine hydrochloride (DPH) is a histamine H1-receptor antagonist, widely used as antiallergic, antiemetic and antitussive drug in many pharmaceutical preparations[1]. DPH is available on the market in few topical dosage forms, often used for relief of itching due to insect bites and other minor skin irritations, and its percutaneous absorption was poorly investigated[2].

The aim of this study was to evaluate the vehicle effect on in vitro diffusion of DPH from new five topical formulations: microemulsion (A), microemulsion+silica (B), Na Alginate emulgel (C), Carbopol cream (D) and hydroxyethylcellulose gel (E).

Formulations were characterized in terms of viscosity and in vitro release studies that were carried out using polytetrafluoroethylene hydrophilic and polyvinylidene fluoride hydrophobic membranes. Furthermore, the skin irritation potential and the formulation effect on skin reaction induced by histamine were investigated in vivo. The commercial cream of DPH (Allergan®) was used as reference formulation.

The diffusion rate values through hydrophilic membranes showed the rank order E>A>B>C>D>Control, and decreased markedly across hydrophobic membranes showing the follow order: A>B≈C≈D>Control≈E. These results are related to both the low interactions between the vehicles and hydrophobic membrane and the hydrophilic characteristics of drug. All prepared formulations are able to improve the diffusion of drug compared with commercial cream; among the tested formulations, the microemulsions appear to be the most efficient vehicle in promoting release of DPH. After in vivo application, the formulations do not produce skin irritation and determine a reduction of the response induced by histamine.

Results suggest that the prepared formulations may be considered as alternative topical dosage form for effective local antihistaminic therapy.

1. Tipparat P, Lapanantnoppakhun S, Jakmunee J, Grudpan K. J. Pharm. Biomed. Analysis **2002**, *30*, 105–112.
2. Gennaro AR. In: Remington: The Science and Practice of Pharmacy, Pennsylvania, Mack Publishing Company, **1995**, 1225–1226.