PHYSICO-CHEMICAL AND IN VITRO PERMEATION STUDIES OF OIL / WATER EMULSIONS STABILIZED BY THERMOREVERSIBLE COPOLYMERS

Honda, A.M.¹; Akkari, A.C.S.¹; de Araujo, D.R.¹

¹ Centro de Ciências Naturais e Humanas, Universidade Federal do ABC, São Paulo, Brazil.

Introduction and objective: Emulsions are liquid dispersions, consisting of an oil phase and an aqueous phase, often used as dosage forms for parenteral and topical use. The aim of this work was to prepare, to characterize and to evaluate the in vitro permeation of caffeine (CAF) from oil/water (o/w) emulsions composed of vegetable oil (from Copaifera officinalis) stabilized by poloxamers (PL) PL188 and PL403, looking forward skin-delivery formulations.

Materials and Methods: Emulsions were prepared by phase inversion method where the oil phase (2.5; 5 or 10 wt%) was added to the aqueous phase composed of PL188 or PL403 (2.5; 5 or 10 wt%) isolated or associated PL403/PL188 (2.5/2.5 or 5/5 wt%). Hydrodynamic diameter, polydispersion index and zeta potential measurements (at 25 and 32.5 °C) were the parameters used to characterize the emulsions droplets, drug-emulsion interaction and oil-PL188 or PL403 compatibility against time. In vitro permeation assays were performed using vertical diffusion Franz-cell (1.72 cm², permeation area at 32.5 %) across artificial membranes (nitrocellulose impregnated with isopropyl myristate, 50 nm pore), as barrier.

Results and Conclusion: CAF solubility into the oil phase was 0.992 ± 0.064 mg/mL. Formulations containing 5 and 10 % PL403 presented no separation phase and colloidal stability, even 50 days after preparation, considering the droplet hydrodynamic diamter (250-300 nm), zeta potential (-17.8 ± 0.4 mV) and polydispersion index (0.35 ± 0.02). Statistical analysis revealed no significant changes in these parameters at 25 or 32.5 °C, as well as in the presence of CAF. In vitro permeation studies revealed flux values of 0.12 ± 0.01 and 0.07 ± 0.002 µg/cm² for emulsion containing 5 and 2.5 % of oil phase, respectively. In conclusion, the presence of PL403 stabilized the o/w emulsion and also enhanced the CAF permeation.

Acknowledgments: CAPES, FAPESP, CNPq

Key Words: emulsions, poloxamer, caffeine