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DEVELOPMENT OF RHO-B LOADED NANOCAPSULES AND MICROCAPSULES TO EVALUATE THE EFFECT OF SIZE IN THE *EX VIVO* SKIN PENETRATION

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Introduction: Polymeric nanoparticles, such as nanocapsules, are submicrometric devices (10–1000 nm) in which an oily-core is surrounded by a polymeric wall. Their main advantages include the control of the drug release and the drug protection against physical or chemical degradation[1]. Microparticulated carriers have been developed to modify the pharmacokinetic profiles of drugs, to protect unstable molecules from degradation and to reduce the drug toxicity[2]. In the past years, different strategies have been proposed to increase or to control the skin permeation and to circumvent inadequate physicochemical characteristics of several substances[3].
Objective: The aim of the present work is to study the relationship between the *ex vivo* skin permeation of rhodamine B (RHO-B), used as a model target core, encapsulated in nanocapsules (NC) and microcapsules (MC), and the particle sizes distribution using different pig skin conditions: intact, waxed, intact irradiated with UVA and waxed irradiated with UVA.
Materials and Methods: Two formulations of poly(ε-caprolactone) were prepared by different methods: the MC1 suspension by emulsification-solvent diffusion[4] and the NC2 by interfacial deposition of preformed polymer[5]. A mixture of the capric/caprylic triglycerides was used to form the particles oily-core. The formulations were first analyzed by laser diffraction (LD) to verify the size scale distribution, mean size and polydispersity (SPAN). For the formulation which presented size in nanometric scale (NC2), measures were taken for the particle size distribution, average diameter and polydispersityindex (PDI) using photon correlation spectroscopy (PCS) as this technique is more specific to the nanometer range. The electrophoretic potential of the particles surface (zeta potential) were estimated by electrophoretic mobility. A potentiometer was used to determine the pH values of the suspensions.
Results and Discussion: The results of LD showed a monomodal distribution of particle size, with a mean size of 7 µm for MC1 (Fig. 1.a red) and of 192 nm for NC2 (Fig. 1.a green). The SPAN values were lower than 1,80 for both systems. By PCS, NC2 presented average diameter and PDI values of 187 nm and 0.08, respectively (Fig. 1.b). The pH values were close to 5. The value of zeta potential was negative for both formulations (-9,28 mV for MC1 and -25,60 mV for NC2).
Conclusions: The results demonstrated that both formulations presented satisfactory values in the physicochemical characterization. Therefore, the next step is the onset of skin permeation experiments.

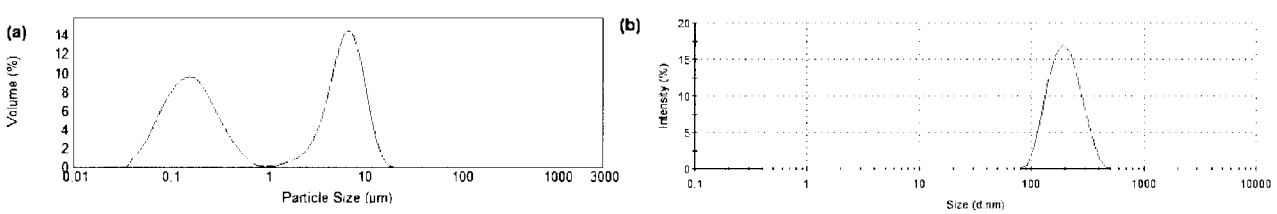

Fig. 1. Size distribuition profile of (a) NC2 and MC1 and (b) NC2.

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