

Abstract

The aim of this thesis was initially the formulation of nanodispersions, as carriers of bioactive compounds and also their biological evaluation as potent delivery systems. Two types of nanodispersions, namely micro- and nanoemulsions were formulated and structurally characterized, performing different methods. The nanodispersions were used as matrices for the nanoencapsulation of bioactive compounds with pharmacological interest including chemotherapeutic and anti-inflammatory agents.

The study of structural characteristics was performed by viscosity measurements, Dynamic Light Scattering (DLS), Electron Paramagnetic Resonance Spectrometry (EPR) and Cryogenic Transmission Electron Microscopy (cryo-TEM). The measurements were conducted in both empty nanodispersions and loaded nanodispersions with bioactive compounds. The structural study revealed both differences and similarities, induced both by the different composition of the formulated delivery systems and by the encapsulation of the bioactive compounds. In order to evaluate the efficacy of nanodispersions in biological applications, both *in vitro* and *ex vivo* assays were performed. The cytotoxic effect of both drug free and loaded nanodispersions, was examined through cell viability assays. For this purpose, selected colorectal and skin cancer cell lines, namely WM 164, Caco-2, HT-29 and Colon 205 were used. The mechanism of the cell death caused by the bioactive compounds was investigated through different techniques, among them Fluorescence-activated cell sorting (FACS) analysis, Comet assay and Western Blotting of specific cell death and apoptosis markers. Overall, it was found that oil-in-water (o/w) nanodispersions are appropriate delivery systems of the bioactive compounds in all cell lines tested.

The *ex vivo* experiments included different assays, namely *ex vivo* permeation study through Franz cell device and differential tape stripping. Through the *ex vivo* approach, it was found that the encapsulated compounds were promptly distributed within full-thickness of stratum corneum (SC). Moreover, the quantity of the bioactive compounds that may enter the blood circulation was determined. Subsequently, the proposed o/w nanodispersions are proper carriers to deliver bioactive compounds through skin and improve the dermal/transdermal administration of the encapsulated compounds.