03-129

ENCAPSULATION OF ALL-TRANS-RETINOIC ACID INTO K-CARRAGEENAN-GELATIN MICROPARTICLES

Perrechil, F.(1); Silva, L.A.(2); Leonardi, G.R.(3); Dambros, R.(2);
(1) UNIFESP; (2) UNICAMP; (3) ;

All-trans-retinoic acid (RA) is the acidic form of the vitamin A and have been widely used for topical application in the treatment of acne, wrinkles, hyperpigmentation, keratinization disorders, stretch marks and cellulitis [1]. Nevertheless, the use of this drug can be limited due to its chemical instability [2] and high incidence of side effects [1]. Many strategies have been studied to reduce the unwanted characteristics of retinoic acid, such as the encapsulation in controlled delivery systems [3]. However, there are still few works that studied the encapsulation of retinoic acid in biopolymer-based particles [4, 5]. Thus, the main objective of this study was to produce microparticles from gelatin and k-carrageenan by the complex coacervation for encapsulation of retinoic acid for a future application in topical formulations. In order to produce the microparticles, retinoic acid was first dispersed in pequi oil and this mixture was used to prepare an oil-in-water (O/W) emulsion. For this, 1.5 g pequi oil containing 0.5% (w/v) RA and 48.5 g gelatin solution (4.5% w/v) at 50°C were homogenized at 14,000 rpm for 3 minutes using an Ultra Turrax model T25 (IKA, USA). Then, 50 g k-carrageenan solution (1.5% w/v) at 50°C was slowly added to the O/W emulsion, under magnetic stirring. The pH was then adjusted to 3.5 and the system was slowly cooled to room temperature. The biopolymer concentrations and pH used to prepare the microparticles were determined by preliminary studies. Microparticles were filtered and stored in the dark under refrigeration and analyzed in relation to particle size distribution by the laser diffraction (Cilas 1190, Cilas, France), optical microscopy (Primo Star microscope, Zeiss, Germany), microparticle yield, encapsulation efficiency and retinoic acid stability. For the stability evaluation, free oil containing RA was used as control. The results showed that particles of around 55 µm diameter were successfully produced with a yield of 75.6% and around 100% encapsulation efficiency. The evaluation of stability showed that the encapsulated RA was stable until 28 days of evaluation, while the RA in the free oil (control) was almost 50% degraded in the same period. Therefore, it could be concluded that biopolymer-based microparticles produced by complex coacervation can be a good alternative to encapsulate retinoic acid. References: [1] Kong, R. et al. (2016). Journal of Cosmetic Dermatology, 15, 49–57. [2] Raminelli, A. C. P. et al. (2018). Current Medicinal Chemistry, 25, 3703–3718. [3] Brisaert, M. et al. (2001). Journal of Pharmaceutical and Biomedical Analysis, 26(5–6), 909–917. [4] Lira, A. A. M. et al. (2009). Journal of Microencapsulation, 26(3), 243–250. [5] Ridolfi, D. M. et al. (2012). Colloids and Surfaces B: Biointerfaces, 93, 36–40.