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Optimization of the nanocapsule formulation to provide a suspension containing exclusively one type of colloid with high physical stability

C. G. Venturini(1)*, E. Jager(2) , A. Bernardi(3), A. M. O. Battastini(3), S. S. Guterres(2), and A. R. Pohlmann(1)

(1) Instituto de Química, Universidade Federal do Rio Grande do Sul, e-mail: crisdegarcia@terra.com.br
(2) Faculdade de Farmácia, Universidade Federal do Rio Grande do Sul, Porto Alegre, Brazil.
(3) Instituto de Ciências Básicas da Saúde, Universidade Federal do Rio Grande do Sul.

Abstract – PCL nanocapsule suspensions were prepared by interfacial deposition using different CCT and SM concentrations. Optimized formulation (NC) was prepared with the SM (3.85 mg.mL^{-1}) and CCT (16 mg.mL^{-1}) concentrations. The gradient density experiment showed a band corresponding to nanocapsules with density of 1.017 to 1.023 g mL^{-1}.the optimization of the nanocapsule formulation provided a suspension containing exclusively one type of colloid with high physical stability.

Nanocapsules are vesicular polymeric nanocarriers[1]. Recently, our research group has studied the influence of the concentrations of the core components and the drug release kinetics in nanocapsule formulations[2]. The results showed that increasing the concentration of lipids in the formulation either surfactant micelles or nanoemulsion was simultaneously formed with the nanocapsules. In this way, we hypothesized that decreasing the concentrations of the core components would be possible obtain formulation containing exclusively nanocapsules.To verify this hypothesis, the physical stability and density of the nanocapsules prepared using caprylic/capric trygliceride (CCT) and sorbitan monostearate (SM) as lipid core were investigated. Poly(epsilon-caprolactone) nanocapsules were prepared by interfacial deposition of polymer using different CCT and SM concentrations. For SM series (IC, IIC, IIIC, IVC, VC), the SM concentrations varied from 3.85 to 11.50 mg.mL^{-1} and for CCT series (IIIA, IIIB, IIIC, IIID, IIIE), the CCT concentrations were 16 to 47 mg.mL^{-1}. Using Turbiscan®, the relative backscattering profiles (BS) showed creaming for IIID and IIIE. Our previous work[2] has demonstrated that suspensions IVC and VC have concomitantly sorbitan monostearate micelles and nanocapsules, and the formulations IIID and IIIE presented of nanoemulsion with nanocapsules. Direct and inverse logarithmic correlations were established plotting the backscattering (%) and I* *versus* the CCT concentrations (r = 0.990 and r = 0.975, respectively). To verify if those excess of lipids could be minimized by increasing the polymer or the polysorbate 80 concentrations, additional formulations were studied (IIIEI with 15.0 mg.mL^{-1} of polymer and IIIEII with 15.4 mg.mL^{-1} of polysorbate 80). BS profiles for IIIEI and IIIEII showed creaming. The size distributions for IIIEI nanocapsules exhibited dynamic behavior, which was not observed for IIIEII. For IIIEII, density gradient experiment was performed showing 3 bands corresponding to nanocapsules, nanodispersion and nanoemulsion, which indicated that the suspensions is composed by a mixture of different colloids. In order to optimize the NC formulation, a new suspension was prepared using SM at 3.85 mg.mL^{-1} and CCT at 16 mg.mL^{-1}. This formulation presented monomodal distribution, mean diameter of 206 ± 11 nm and polydispersity index of 0.16. The BS profile showed a tendency to sedimentation suggesting that the particles are more dense than water. The gradient density experiment showed 1 band corresponding to nanocapsules with density of 1.017 to 1.023 g·mL^{-1} In conclusion, the optimization of the nanocapsule formulation provided a suspension containing exclusively one type of colloid with high physical stability.

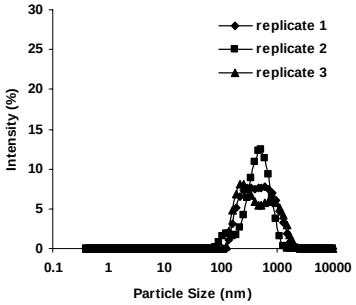

Figure 1. Profile considering light intensity from IIIEI nanocapsule.

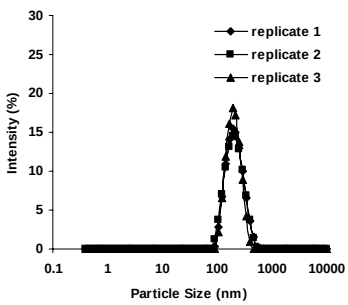

Figure 2. Profile considering light intensity from NC nanocapsule.

References

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