

Synthesis and Characterization of PDLLA nanospheres encapsulated with dexamethasone for treatment of inflammatory diseases.

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Introdução

Bioabsorbable and biodegradable polymers are extremely important in medical applications such as sutures and controlled drug release systems because they do not produce toxic products. In this sense, several researches prepare and characterize polylactic acid but do not apply it or vice-versa. The present work aimed to prepare and characterize polylactic acid and perform in vitro and in vivo tests in inflamed knees of sheep.

In this work, the polymer PDLLA was synthesized by melt polycondensation method. In order to reach a higher molecular weight of polymers, catalysts were used based on zinc and tin supported on sulfonated styrene-divinylbenzene (Sty-DVB) copolymers. PDLLA was characterized by inherent viscosity measurements, X-ray diffraction, thermogravimetric analysis and nuclear magnetic resonance of ¹H and ¹³C. PDLLA nanospheres¹ were prepared through nanoprecipitation method without and with the incorporation of dexamethasone, an anti-inflammatory drug. The polymeric nanospheres were characterized by dynamic light scattering to measure medium diameter and polydispersity index, as well, zeta potential. The encapsulation efficiency, the drug loading, the yield as well as controlled release drug profile in dialysis membrane were obtained by high performance liquid chromatography analyzes.

Resultados e Discussão

PDLLA nanospheres containing encapsulated dexamethasone with medium diameter of 80 nm and polydispersity index near 0.110 were obtained with

excellent reproducibility. The encapsulation efficiency, the drug loading, the yield were 75 %, 3.5 % and 78 %, respectively.

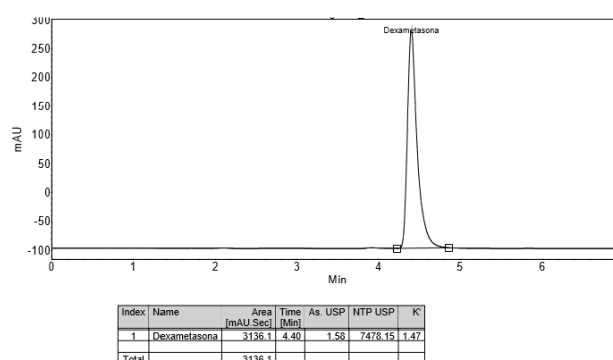


Figure 1. Dexamethasone chromatogram obtained by HPLC using C18 (150x4,6mmx3um), mobile phase ACN: H₂O pH 4 (v/v) 50:50 e solvent flux 0,7mL/min.

Conclusões

The results show that it was possible to quantify dexamethasone through HPLC in optimized conditions. The encapsulation efficiency, the drug loading, the yield were 75 %, 3.5 % and 78 %, respectively. These values are excellent when compared to literature. Also, PDLLA nanospheres have a high stability until the 10th day of study.

In vivo tests showed a much improved visual appearance of knee ligament reconstruction of sheep when nanospheres with dexamethasone is used in comparison to commercial product.

Agradecimentos

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¹ LASPRILLA, A.J.R.; MARTINEZ, G.A.R.; LUNELLI, B.H.; JARDINI, A.L.; MACIEL FILHO, R. *Bio Adv.* **2012**, *30*, 321.