Synthesis of novel galactose-PLGA nanoparticles containing doxorubicin for hepatocyte targeting

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Abstract

One of the major goals within cancer therapies is to increase drug concentration in the tumor, decrease the systemic dose and, at the same time, attack only cancer cells. Drug delivery systems able to target specific cells/tissues due to recognition processes could be one of the solutions. These systems can therefore increase the drug at the active site, decrease therapeutic quantities, increase efficiency and reduce toxicity [1].

To treat liver diseases, it is possible to synthetize galactose conjugates for specific recognition by the asialoglycoprotein receptor (ASGPR) in hepatocytes. ASGPR recognizes terminal galactose or *N*-acetylgalactosamine residues, which makes ASGPR a potential target to the liver [2]. Our study is focused on the synthesis of di-galactose compounds to evaluate their interaction with ASGPR in order to use these ligand as a hepatocyte recognition unit. These compounds will be covalently attached to a biocompatible polymer [3] that will be used to produce nanoparticles containing an encapsulated drug. The produced nanoparticles should have an amphiphilic structure that allows the drug capture in the hydrophobic center (biopolymer part) whilst the outside of the nanoparticle is hydrophilic, with galactose residues for the ASGPR.

Under this context, we present the synthesis of a di-galactose-PLGA conjugate that is subsequently used to prepare nanoparticles containing doxorubicin. The produced nanoparticles are characterized in terms of morphology and size, drug release profile and cellular recognition and cell cytotoxicity using human hepatoma cells (Hep G2), a suitable *in vitro* model for the study of galactose interaction with asialoglycoprotein receptors.

References

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Figures

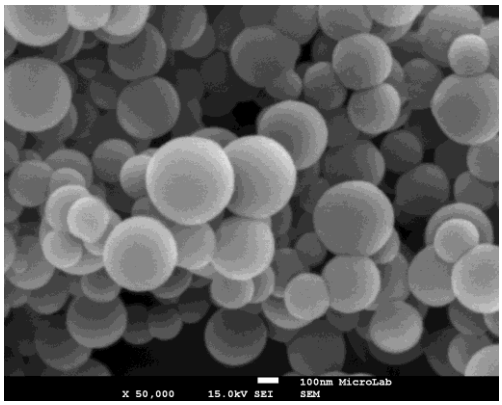

Figure 1. SEM image of the produced di-galactose-PLGA nanoparticles

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