Synthesis of Novel Galactose-conjugated Biopolymeric Nanoparticles as Potential Liver-targeting Drug Delivery

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Abstract

Currently, one of the biggest concerns with cancer therapies is to increase drug concentration in the tumor, decrease the systemic dose and attack only the cancer cells at the same time[1]. One of the solutions to this major concern is to synthetize compounds or conjugates for targeting cells owning to recognition processes[2].

To treat liver diseases, it is possible to synthetize galactose conjugates for specific recognition in the asialoglycoprotein receptor (ASGPR). ASGPR recognizes terminal galactose or *N*-acetylgalactosamine residues, which makes ASGPR a potential target to therapeutically drug delivery systems[3]. Our study is focused on the synthesis of di-galactose compounds to evaluate the interaction and recognition degree of ASGPR.

Drug delivery systems have the advantages to increase the drug at the active site, decrease therapeutic quantities, increase efficiency and to reduce toxicity[4]. Therefore, it is possible to encapsulate the active drug into galactose-containing nanoparticles instead of creating covalent bonds. Galactose 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 that allow the recognition by the ASGPR.

The formation of the nanoparticles needs to be carefully thought because the biopolymer to this purpose should be biocompatible, non-toxic and preferably biodegradable[5]. As so, our choice for di-galactose-biopolymer conjugates was poly-(D,L-lactide-co-glycolide) (PLGA) that is biocompatible, biodegradable and is approved by Food and Drug Administration (FDA) for some clinical applications[6].

Under this context we present the synthesis of a di-galactose-PLGA conjugate that was subsequently used to prepare nanoparticles for drug delivery systems. The synthesized nanoparticles were characterized and their physical properties were also assessed.

References

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Figures

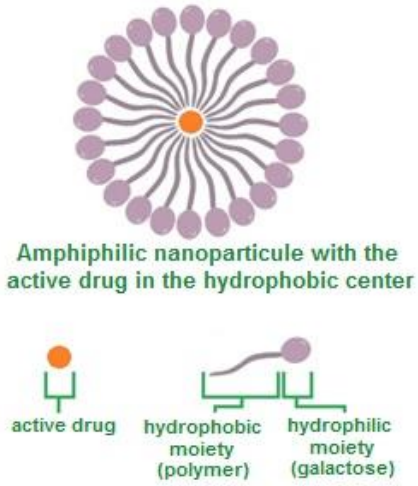

Figure 1. Structure of the amphiphilic nanoparticles with encapsulated active drug for ASGPR drug delivery.

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