BIO_18 - Physicochemical and stability evaluation of functionalized polymeric nanoparticles for potential drug delivery

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Introduction: Polymeric nanoparticles (NPs) have been used as delivery systems of therapeutics agents to specific regions of the body to improve biodistribution, control release and reduce toxicity of drugs conventional administration. The strategy for improve vectorization of NPs involves the surface modification with cationic peptides (CP) to facilitate internalization by cell membranes or PEGylation for enhance stability and circulation time, preventing protein corona effect (PC). Investigation of NPs properties and its interaction with proteins mimetizing the physiological environments is critical to understand the safety for biomedical application.

Objective: Characterization and evaluation of functionalized blend polymeric nanoparticles.

Methodology: The CPs to be functionalized were obtained via enzymatic hydrolysis and purified by affinity chromatography. The NPs mean diameter and zeta potential (ZP) were determined by Dinamic Light Scattering and Zeta Potential Analyzer. Encapsulation efficiency and Drug Release were made by UV/visible absorption spectrophotometry and reversed phase HPLC (HPLC-RP). PC effect was analyzed by Nano ITC. Microscale Thermophoresis (MST) was employed to verify intermolecular interactions.

Results: The NPs average size before functionalization were 224.9 nm with a 0.046 polydispersity index (PDI) and -16.53 mV ZP. After functionalization were 251.3 nm, PDI 0.022, and +0.238 mV ZP, which indicates CP coupling. Intermolecular interaction with a negative charged biomolecule validated functionalization success. Both protocols were efficient to determine encapsulation efficiency by UV/visible absorption spectrophotometry (46.66%) and by HPLC-RP (46.96%). Data from drug release at 72h showed 64% for free drug against 32% for the encapsulated drug, demonstrating a controlled release. Stability study during 4 weeks provided a 0.067 average PDI; 211.5nm average size and 2% coefficient of variation, which indicates stability of the nanoparticles. The PEGylated NP has showed potential to decrease the PC once it couples its surface at a slower rate than non-PEGylated.

Conclusion: The NPs attributes suggest efficiency of functionalization and PEGylation, furthermore showed adequate stability and physicochemical properties as nano-delivery systems.

Keywords: Nanoparticles; Functionalization; Drug delivery; Protein Corona Effect