Synthesis and characterization of anti-diabetic peptide loaded large pore silica nanoparticles

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Silica nanoparticles with large pores offer advantages to deliver different peptides and proteins due to their textural property related high payload capability, cyto-compatibility, biodegradability and inexpensive synthesis. It is also known that, functionalisation and coating of silica nanoparticles bring benefits to protect sensitive biologics from harsh environment such as pH and enzymes¹. Current study aims at preparing large pore silica nanoparticles (LPNSPs) tailored for enhanced loading capacity and controlled delivery of a glucagon like peptide-1 (GLP-1) analogue intended as application for potential oral delivery. Co-condensed amino-functionalized LPSNPs (size: 250nm) prepared in the study show dendrimer like morphology with pore size of 5nm and surface area of 550m²/g determined by nitrogen sorption analysis. Thermogravimetric analysis confirmed the presence of amino groups. Initially, to roll out proper loading conditions, different weight ratios of peptide and particles were assessed. An increase in loading capacity was observed from 15 to 40% for 1:5 to 2:1 peptide: particle weight ratio. Effect of pH on peptide loading was assessed and optimum loading was observed at pH 5.0 which is close to isoelectric point of the anti-diabetic peptide. A comparative study of LPSNPs with MCM-41 confirmed the utility of LPSNPs for higher payload i.e. 35% as compared to 18% for MCM-41 owing to textural properties. The loading profile is well above already reported loading capacity of similar peptides in inorganic, polymeric and lipid based nanoparticles². Initial release studies from 1:1, pH 5.0 loading samples have shown a burst release irrespective of the pH of release medium. Currently, efforts are in way with succinic (–CO (CH₂)₂COO)- and (2-dodecen-1-yl)-succinic (–CO (CH₂)₁₂COO)- functional groups to study controlled release imparted by LPSNPs.

References