J Nanomed Nanotechnol 2017, 8:4(Suppl)
DOI: 10.4172/2157-7439-C1-050

A generic ^{89}Zr labeling method to quantify the *in vivo* pharmacokinetics of liposomal nanoparticles and personalize their dose titration with PET

Ran Yan
King's College London, UK

Liposomal nanoparticles are versatile drug delivery vehicles that show great promise in cancer therapy. In an effort to quantitatively measure their *in vivo* pharmacokinetics and personalize dose titration, we have developed a highly efficient ^{89}Zr liposome labeling method based on a rapid ligand exchange reaction between the membrane permeable ^{89}Zr(8-hydroxyquinolinate)4 complex and the hydrophilic liposomal cavity encapsulated deferoxamine (DFO). This novel ^{89}Zr labeling strategy allowed us to prepare radiolabeled forms of a folic acid (FA) decorated active targeting ^{89}Zr-FA-DFO-liposome, a thermosensitive ^{89}Zr-DFO-liposome, and a renal avid ^{89}Zr-PEG-DFO-liposome at room temperature with near-quantitative isolated radiochemical yields of 98±1% (n=6), 98±2% (n=5), and 97±1% (n=3), respectively. These ^{89}Zr labeled liposomal nanoparticles showed remarkable stability in PBS and serum at 37°C without leakage of radioactivity for 48 h. The uptake of ^{89}Zr-FA-DFO-liposome by the folate receptor-overexpressing KB cells was almost 15 fold higher than the ^{89}Zr-DFO-liposome *in vitro*. Positron Emission Tomography (PET) imaging and ex *vivo* biodistribution studies enabled us to observe the heterogeneous distribution of the ^{89}Zr-FA-DFO-liposome and ^{89}Zr-DFO-liposome in the KB tumor xenografts, the extensive kidney accumulation of the ^{89}Zr-FA-DFO-liposome and ^{89}Zr-PEG-DFO-liposome, and the different metabolic fate of the free and liposome-encapsulated ^{89}Zr-DFO. Thus, this technically simple ^{89}Zr labeling method would find widespread use to guide the development and clinical applications of novel liposomal nanomedicines.

ran.yan@kcl.ac.uk