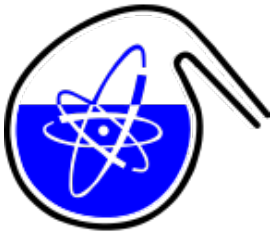

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Fast, efficient and simple method to radiolabel polymeric micelles with radionuclides

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A variety of different polymer micelles are applied in the clinic as nano-carriers for chemotherapy. For a safe and effective application, it is imperative to know how they behave in vivo. Here, we present a chelator-free method for radiolabeling of polymer micelles to enable in vivo biodistribution studies. The radiolabeling method is very simple and is achieved by just adding the radioisotope ions, i.e. 111In(III), to the micelle solution and the removal of unencapsulated radionuclides. We tested different polymers and we show that micelles composed of poly(ε-caprolactone-b-ethylene oxide) reach high 111In(III) radiolabeling efficiency (>80%) and exhibit radiolabeling stability (>90%). The results indicate that the radiolabeling is driven by two factors: the properties of the core forming block copolymer and the speciation of the radiometal salts. The formation of metal hydroxides and their precipitation in the hydrophobic core seems to be essential for achieving high radiolabeling efficiency and stability. This method was further applied to radiolabel the micelles with 177Lu(III) and in the presence of chemotherapeutic drugs such as paclitaxel (PTX). A SPECT/CT pharmacokinetic study was then applied which revealed that that the radiolabeled samples were stable in vivo. The proposed radiolabeling mechanism appears to be widely applicable and is expected to play a role any fields where tracers are desired.

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