



Contribution ID: 1004

Type: Verbal

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

Tuesday, 17 May 2022 08:30 (20 minutes)

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. $^{111}\text{In(III)}$, 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 $^{111}\text{In(III)}$ 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 $^{177}\text{Lu(III)}$ and in the presence of chemotherapeutic drugs such as paclitaxel (PTX). A SPECT/CT pharmacokinetic study was then applied which revealed that the radiolabeled samples were stable *in vivo*. The proposed radiolabeling mechanism appears to be widely applicable and is expected to play a role in any fields where tracers are desired.

Primary authors: Dr DENKOVA, Antonia (TU Delft); Ms VAN DEN HEUVEL, Eline (TU Delft); Prof. BEEKMAN, Freek (TU Delft); Dr LIU, Huanhuan (TU Delft); Dr EELKEMA, Rienk (TU Delft); Dr DE KRUIJFF, Robin (TU Delft); Mr RAMAKER, Ruud (TU Delft)

Presenter: Dr DENKOVA, Antonia (TU Delft)

Session Classification: Radiopharmaceuticals

Track Classification: Radiopharmaceutical Chemistry, Labelled Compounds