



Contribution ID: 1089

Type: Poster

Labelling of PSMA-617 with ^{161}Tb

Thursday, 19 May 2022 18:27 (18 minutes)

PSMA (Prostate-Specific Membrane Antigen) is a transmembrane protein which is overexpressed in most cases of prostate cancer. Expression level of this protein has a strong correlation to the stage of the disease, which makes PSMA a very attractive target for radionuclide therapy of metastasized castration-resistant prostate cancer (mCRPC) [1]. One of the most promising radioligands for the treatment of mCRPC is a small-molecule-based PSMA-617 equipped with a DOTA chelator. PSMA-617 labelled with ^{177}Lu has been successfully applied in clinics. Recently, there has been a lot of investigation put into the search for a more powerful and accessible therapeutical radiometal. A recently-introduced ^{161}Tb has similar chemical and nuclear characteristics to ^{177}Lu , but also emits substantial amount of low-energy conversion and Auger electrons, which is believed to enhance therapeutic efficacy of the radiopharmaceutical [2].

In this work, we decided to optimize the reaction conditions for the labelling PSMA-617 with ^{161}Tb and investigate the radiolytic stability of the labelled compound. Radiolabelling was achieved by adding $[^{161}\text{Tb}]\text{TbCl}_3$ (100-200 MBq) to the 1mM water solution of PSMA-617 in acetate buffer (pH 4.5). Reaction mixture was stirred for 15-30 min at 95 °C. Radiochemical yield (98 %), as well as radiolytic stability, was studied by HPLC with radiometric detection. Reaction samples were measured every 24 hours. No stabilizing additives were used in this experiment.

Promising ligand PSMA-617 was successfully labelled with ^{161}Tb . Radiolytic decomposition of the radiolabelled compound was apparent from the radiochromatograms. Further improvement of reaction conditions is warranted in order to suppress radiolytic processes.

References:

- [1] Perner, S. et al. Hum. Pathol. 2007, 38, 696-701
- [2] Muller, C. et al. Eur. J. Nucl. Med. 2019, 46, 1919-1930

Primary authors: SHASHKOVA, Elena (FJFI); MULLER, Jiri (Institute for energy technology); KOZEMPEL, Ján (Department of Nuclear Chemistry, Faculty of Nuclear Sciences and Physical Engineering, CTU Prague); SKÁLOVÁ, Marie (FNSPE, CTU in Prague); VLK, Martin (Department of Nuclear Chemistry, Faculty of Nuclear Sciences and Physical Engineering, CTU Prague); HASSFJELL, Sindre (Institute for energy technology)

Presenter: SHASHKOVA, Elena (FJFI)

Session Classification: Radiopharmaceuticals

Track Classification: Radiopharmaceutical Chemistry, Labelled Compounds