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Labelling of PSMA-617 with 161-Tb

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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 177Lu 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 161Tb has similar chemical and nuclear characteristics to 177Lu, 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 161Tb and investigate the radiolytic stability of the labelled compound. Radiolabelling was achieved by adding [161Tb]TbCl3 (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 ℃. 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 161Tb. 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

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