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Labeling of PSMA-11 with 68Ga in NaHCO3

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Background
Prostate specific membrane antigen (PSMA) is a type II membrane protein which is widely expressed on the surface of prostate cancer cells. One of the functions of PSMA is to be receptor mediating the ligand internalization. This feature of PSMA is employed in the diagnostic and therapeutic procedures that use PSMA as an antigen target.

Over the years, small molecules with high affinity for PSMA have been developed and labeled with positron emitters (e.g. 68Ga, 18F, 11C, 64Cu, or 86Y). One of these radiolabeled ligands, [68Ga] PSMA-11, is the most frequently used tracer for PET imaging of the prostate cancer. PSMA-11 has a strong binding affinity for the PSMA protein and is effectively internalized in the prostate cancer cells.

The aim of this work is to test a new approach to the labeling of the PSMA-11 ligand with 68Ga eluted from the Galli Eo generator (IRE Elit) in slightly alkali milieu.

Methodology
The 68Ga-eluate was loaded to the Oasis MCX cartridge. The cartridge was activated before use and thoroughly washed with water after the loading 68Ga. The radionuclide 68Ga was eluted from the cartridge with 0.1M NaHCO3 (pH = 8.5). The precursor PSMA-11 was mixed directly with the eluate. Activities were determined using an ionizing chamber, pH was measured for all samples that were further analyzed by LC-MS and HPLC systems.

Results and discussion
A total of 18 experiments of labeling PSMA-11 with 68Ga were performed. In these experiments, altogether 34 samples of PSMA-11 were labeled and subjected to radiochemical purity test. All the samples were compared with the standard for [68Ga]PSMA-11. In more than 20 samples radiochemical yields (RCY) exceeded 90 % and in only 5 samples the RCY dropped below 50 %.
Table 1 shows average values of pH, activity, retention times (RT) and radiochemical yields (RCY) with standard deviations (STDEV) for samples of 68Ga-labeled PSMA-11 in 0.1M NaHCO3.

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Tab. 1. Results for labeling of PSMA-11 –average values of pH, activity, retention times (RT) and RCY with standard deviations (STDEV)

Conclusion
A new method of labeling PSMA-11 ligand with 68Ga in 0.1M NaHCO3 (pH = 8.5) using Oasis MCX cartridges was developed and tested. The results demonstrated that the method is straightforward, rapid (the whole process of labeling takes 10–15 min) and reproducible.

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