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The Synthesis of the New Phosphonates and Study of Their 68Ga Complexes as the Promising Agents for Bone Imaging

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At present a large attention is given to the synthesis and study of new organic compounds as the potential components of bone imaging agents. Phosphonates are promising class of organic compounds for these purposes. Their 99mTc-based derivates are used for bone imaging with the Single Photon Emission Computed Tomography (SPECT) [1]. Imaging with 68Ga-labeled radiopharmaceuticals have gained growing interest, and they play an increasing role in nuclear medicine.

In this work we developed an original and useful synthetic approaches for the production of phosphonates derivatives of acyclic analogs of crown-ethers-podands [2] (I-II) and new of cyclene derivatives (III-IV).

The structures and purity of I-IV were confirmed by the NMR 1H, 13C and 31P spectroscopy and of complexes of phosphonates I-IV were investigated as the promising agents for bone imaging with the Positron Emission Tomography (PET).

Thin layer chromatography (TLC) systems was used for the analysis of 68Ga-labeling reaction products. Two systems were found to be the best. First system comprises silica gel instant TLC (ITLC) plates with the 0.1 M citric acid solution as mobile phase. Second system comprises aluminum backed silica gel plates with the mixture of acetonitrile/water at a ratio of 1:1 as mobile phase.

The effect of conditions on the labeling process was studied. The influence of the pH, concentration of the ligand and the reaction temperature on the yield of labeling was examined.

- 1. Palma E., Correia D. G., Campello M.P. and Santos I. Bisphosphonates as radionuclide carriers for imaging or systemic therapy.// Mol. BioSyst., 2011, 7, P. 2950–2966.
- 2. Baulin V.E, Syundyukova V.Kh., Tsvetkov E. N. Phosphoryl-containing podands. Acid type monopodands with phosphinylphenylic terminal groups // Zh. Obshch. Khim. (in Russian), 1989, No.1, P.62-67.

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