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Benzoazacrown ether as chelator for bismuth and copper radiopharmaceuticals

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Azacrown ethers are well known for binding of radiometals in order to get therapeutic or diagnostic drugs. However commonly used chelators require elevated temperatures or long duration for complexation. In this work, we synthesized and studied the complexation ability of new benzoazacrown-ethers towards bismuth and copper radioisotopes that are perspective for therapy (^{212,213}Bi, ^{67}Cu) and diagnostics (^{64}Cu). Protonation, as well as complexation constants with Cu^{2+} and Bi^{3+} of newly synthesized ligands, were determined by potentiometric titration. According to calculated values, we can conclude that among studied ligand possessing 4 carboxylic arms (L) forms complexes with the highest stability. It is shown that in pH range 2-11 for L five protonation constants can be distinguished (logβ1=10.7, logβ2=21.7, logβ3=30.2, logβ4=36.2, logβ5=42.7) and four of them correspond to macrocyclic amines and the last can be attributed to the carboxylic arm. Determined constants of complexes LCu and LBi are logβ=22.4(1) and 32.5(4), respectively. Obtained values are comparable with constants of complexes with well-known and applied in nuclear medicine chelator H4DOTA. This fact combined with fast complex formation–almost immediately (1-2minutes) at room temperature–makes this ligand very perspective as radiometal's chelator for radiopharmaceuticals. Labeling conditions of the ligand by 61,64Cu and 207Bi with the addition of a carrier to simulate radiopharmaceutical cation's concentration if necessary were optimized. Analysis of formed complexes was performed by the TLC technique. Suitable ligand concentration and pH value (NaOAc and MES buffers were used) were found for preparation of labeled compounds with a radiochemical purity >95%. Synthesized complexes have shown stability (>95%) in presence of 10-100 times excess of Ca^{2+}, Zn^{2+}, Mg^{2+}, and 0.15M NaCl during at least 24 hours. Furthermore, LBi and in smaller extent LCu demonstrate high stability in presence of 100 times excess of serum: intact LBi >95% during 24 hours and dissociation up to 10-30% of LCu during 4 hours. Chemical identity of the complex before and after serum competition was proved. According to obtained results, experiments on biodistribution of complexes in normal mice as well as biofunctionalization of L and its conjugation to biomolecules are currently under development.

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Biography

Bayirta Egorova has completed her Ph.D. at the age of 28 years from Lomonosov Moscow State University. She is Post Doc in the laboratory of radiopharmaceutical chemistry at Chemistry department Lomonosov Moscow State University.

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