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Gallium-68 complexes of NOTA-bis(phosphonates) conjugates as radiotracers for bone imaging with PET

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This work reports on synthesis, complexation and radiolabeling study of new macrocyclic ligands for selective complexation of gallium, which might serve as potential radiopharmaceuticals for ^{68}Ga -PET bone imaging. Bone-targeting bis(phosphonic) acid moiety, as a distant, non-coordinating group was appended to the 1,4,7-triazacyclononane-1,4-diacetic acid macrocyclic fragment through acetamide or methylphosphinic spacer. Complexation of Ga(III) was studied under different temperature and pH levels by means of ^{71}Ga , ^{31}P and ^1H NMR spectroscopy. Complex formation proceeds through intermediate steps involving bis(phosphonate) coordination. Hydrolysis of amide bond of the carboxamidebis(phosphonate) was also observed during the complexation reaction, leading to the Ga(III)-NOTA complex, confirmed by X-ray diffraction. Under all tested conditions, ligand with methylphosphinate linker showed faster complexation rate than the acetamide. Results from NMR studies (millimolar concentrations) were comparable with gallium-68 radiolabeling study (picomolar concentrations). In vitro sorption study showed effective binding of the complexes to hydroxyapatite, which was used as a model of real bone tissue. Selective bone uptake was confirmed by in vivo PET imaging on laboratory rats.

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