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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 68Ga-PET bone imaging. Bone-targeting bis(phosphonic) acid moiety, as a distant, non-coordinating group was appended to the 1,4,7-triazacyclonone-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 71Ga, 31P and 1H NMR spectroscopy. Complex formation proceeds through intermediate steps involving bis(phosphonate) coordination. Hydrolysis of amide bond of the carboxoamidebis(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 (milimolar 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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