

Public Abstract

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Title:Investigating Technetium-99m/Rhenium(V)-Cyclized Octreotide Analogues Using Experimental And Computational Methods

Radiolabeled peptides have been of long-standing interest as cancer imaging and radiotherapy agents. Two radioactive isotopes of rhenium are potentially useful for peptide-targeted radiotherapy. Re-186 has a half-life of 90 h and emits particles similar to high energy electrons (beta particles) with a maximum energy of 1.07 MeV, along with a 137 keV gamma ray (9%); Re-188 has a half-life of 17 h and emits beta particles of 2.1 MeV maximum energy, along with a 155 keV gamma ray (15%). The beta particles can be used for killing tumor cells and the gamma rays are useful for cancer imaging. We have developed a Re-labeled peptide (an octreotide analogue) that targets the somatostatin receptor, for potential use as a biological vehicle to carry the radioactive metal to the tumor. In this peptide, Re is directly incorporated into the peptide sequence. The somatostatin receptor binding affinity in cancer cells was evaluated. In addition, computer calculations were performed for various model molecules of octreotide and the Re-labeled peptide. The radioactive Tc-99m-labeled peptide was used as a surrogate for radiolabeling studies and chemical stability studies as it is in the same group of the periodic table as Re. Investigating the peptide using both experimental methods and computational modeling advanced the understanding of the structure-activity relationship and the chemical stability of Re-labeled octreotide analogues and underlined the application of Re-186- and 188-based radiopharmaceuticals for cancer treatment.