Development of Ligands for Radiopharmaceuticals

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Porphyrins and their non-covalent interactions with biological macromolecules are of interest from the viewpoint of their role in biological systems. It has been reported that porphyrin derivatives also show selectivity to melanoma and hepatoma cancer. Meanwhile, naturally occurring peptides have the ability to traverse cell membranes and penetrate the cancer cell.
Porphyrin derivatives have been designed and synthesized according to standard methods. A specific moiety was designed for labeling with radionuclides. Candidates of peptide-based ligands were synthesized by modifying nocardiotide A. Labeling of porphyrin derivatives with ^{99m}Tc and ^{186}Re gave an efficiency of 82-97%, while a preliminary study on labeling of nocardiotide A analogs with non-radioactive iodine showed that iodine attached to a tyrosine residue.
It was shown that the moiety of porphyrins for the labeling process determines labeling efficiency. In addtion, other porphyrin derivatives need more biodistribution and pre-clinical tests for further development as the ligand for radiopharmaceuticals. Nocardiotide A analogs were successfully synthesized and easily labeled, making it as a prospective candidate for radiopeptide purpose for further studies.

Keywords:
Porphyrin, nocardiotide A analog, ligand, radiopharmaceutical, radionuclide