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Funding Source: Life Sciences Undergraduate Research Opportunity Program

99mTc-DPR-SSS-BBN for diagnosis of human cancers

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Receptor-specific, radiolabeled peptides have become increasingly popular as targeting vectors for the development of new diagnostic radiopharmaceuticals. The over-expression of certain receptors such as the gastrin releasing peptide receptor (GRPr) on human cancer cells makes this method of drug development a viable tool for tumor targeting in vivo. Breast, pancreatic, prostate, gastric, colon, and small-cell lung cancer have demonstrated GRPr expression. In this project, we have conjugated a diaminoproionic acid (DPR) bifunctional chelator to bombesin (BBN) peptide targeting vector by solid phase peptide synthesis. BBN is an analogue of human gastrin releasing peptide (GRP) that binds to the GRPr with high affinity and specificity. A conjugate, [DPR-SSS-BBN(7-14)NH] was purified by reverse-phase high-performance liquid chromatography and characterized by electrospray-ionization mass spectrometry. Radiolabeling investigations of with fac-[99mTc(CO)3(H2O)3]+ (Isolink®) provided for the metallated conjugate [99mTc(CO)3-DPR-SSS-BBN(7-14)NH2]. This new conjugate demonstrated the ability to target specific human tumors in rodent models. In vitro cell binding studies, and in vivo biodistribution assays will be reported.