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Development of ^{68}Ga-peptide for Positron Emission Tomography Tracer

Thursday, 21 May 2015 08:00 (3 hours)

Bombesin peptide is crucial for the development of positron emission tomography (PET) tracer for human prostate cancer. The aim of this work is to optimize the labeling condition of Ga-68 with DOTA-[Pro^1,Tyr^4]-bombesin, an analog of bombesin peptide, through *in vitro* and *in vivo* studies. It was found that the radiolabeled product ^{68}Ga-DOTA-[Pro^1,Tyr^4]-bombesin was obtained in high radiochemical purity, ≥98%, without further purification. The results of *in vitro* stability study of radiolabeled compound in phosphate buffered saline, ferric chloride solution and human serum showed that ^{68}Ga-DOTA-[Pro^1,Tyr^4]-bombesin was stable for 2 hours. In vitro evaluation of radiolabeled peptide affinity to GRP receptors was performed by a competitive cell-binding assay in the human prostate cancer cell line PC-3 with ^{125}I-[Tyr^4]-bombesin as radiolabel. The calculated IC_{50} of ^{68}Ga-DOTA-[Pro^1,Tyr^4]-bombesin with PC-3 cells using GraphPad Prism was in the range of 36-123 nM with the best IC_{50} of 66 nM. The uptake of ^{68}Ga-DOTA-[Pro^1,Tyr^4]-bombesin from biodistribution study in tumor-bearing nude mice was 3 times higher in tumor than in muscle tissue at 2h post injection.

Summary

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