عنوان مقاله:

Preparation and preclinical study of [۶۸Ga] Ga-(Pip)-Nle-CycMSHhex : Optimized production with an in-house ۶۸Ge/۶۸Ga generator

محل انتشار:

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خلاصه مقاله:

Introduction: The early diagnosis of melanoma is crucial for treatment and management of this aggressive malignancy. The present study describes the preparation and preclinical evaluation of ۶۸Ga-radiolabeled DOTA-۴amino-(I-carboxymethyl) piperidine (Pip)-Nle-cysMSHhex peptide ([۶۸Ga]Ga-CCZ۰۱۰۴۸) as a potential aide for PET imaging and early diagnosis of malignant melanoma.Methods: Various parameters were assessed to optimize the final preparation processes. The radiochemical purity of the final complex was checked using RTLC and HPLC methods. The stability of the radiolabeled complex was studied at ۱۵, ۳۰, ۶۰ and ۱۲۰-min post-injection. The partition coefficient was also studied. Cellular studies of the labeled peptide were measured using B۱۶F۱۰ cells at different post-treatment intervals. The biodistribution of the labeled compound was evaluated using normal and tumor-bearing mice.Results: [۶۸Ga]Ga-(Pip)-Nle-cysMSHhex radiolabeled complex was prepared with a specific activity of ۱۱۸.۴ TBq/mmol and radiochemical purity > ۹۹% at optimized conditions. The results of stability studies show that the radiolabeled compound is stable in PBS buffer and human serum after ۱۲۰ min. The cellular studies demonstrated that a binding affinity of [۶۸Ga]Ga-(Pip)-Nle-cysMSHhex on B۱۶F۱۰ cells and the internalization of the complex increased from about ۳۱% in ۳۰ min to ۶۲% in ۲۴۰ min post-treatment. The biodistribution studies showed excretion of major portion of the tracer through the kidneys. The remainder of the tracer mostly accumulated at the tumor site. No significant uptake in non-target organs was observed at any interval following injection.Conclusion: The [۶۸Ga]Ga-(Pip)-Nle-cysMSHhex radiolabeled complex has the potential as a PET imaging agent for evaluation of metastatic malignant melanoma.

کلمات کلیدی:

Melanoma, [۶۸Ga]Ga-CCZ۰۱۰۴۸, α-MSH, PET, Biodistribution

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