

ABSTRACT

Doctoral thesis

David Korec

Charles University in Prague, Faculty of Pharmacy in Hradec Králové

Department of Biophysics and Physical Chemistry

In this doctoral thesis was to find optimal conditions for radiolabelling of DOTA-peptide Sargastrin with metallic radionuclides ^{111}In or ^{177}Lu in such a quality that the labeled peptides can also be used at radiopharmacie department for biological experiments. Minimum required radiochemical purity for these experiments was 97%. Modified peptide-DOTA Sargastrin can be succesfully labeled with lutetium-177 with a radiochemical purity greater than 99% at pH 4.52, and heating at 80°C for 20 minutes. In the same way was DOTA-Sargastrin labeled with indium-111 with a maximum achieved radiochemical purity of 98.7%.

It was found that a peptide labeled with lutetium showed slightly higher lipophilicity as its elution time was about 20 seconds longer than the elution time of the peptide labeled with indium. It is probably due to the different coordination prperties of both metals.

In studying the stability it was shown that the radiochemical purity of ^{177}Lu -DOTA-Sargastrin remained greater than 99% up to 48 hours when stored in the fridge. The purity of ^{111}In -DOTA-Sargastrin withstand in the specified limit purity approximately 1.5hours after preparation. The radiochemical purity of the labeled peptides was determined by HPLC and ITLC technics. When comparing the two methods of analysis of radiolabeled DOTA-Sargastrin, the HPLC analysis proved to be more reliable. In the records we have found two forms, first the peak of the labeled peptide and peak of low molecular weight form, which featured free radionuclide.