SUMMARY

The presented dissertation thesis is dealing with an optimization of the conditions of preparation and analysis of the radiolabelled biologically active compounds. Theoretical part consists of a description of particular components of the most receptor specific radiopharmaceuticals such as radionuclides, bifunctional chelators and biologically active compounds. Further in this part of dissertation thesis the main methods of the biologically active compounds radiolabelling and chosen analytical methods usually used for purifying and quality control of the labelled compounds are described.

The experimental part of the dissertation thesis may be divided into three main parts. First part has been focused on searching for the optimal conditions for the radiolabelling of the bifunctional chelators belonging to the group of DTPA and DOTA analogues. All studied compounds have been radiolabelled with high radiochemical purity. The methods for quality control of their radiochemical purity using thin layer chromatography (ITLC-SG) and HPLC have been developed. The other part of the experimental work is dedicated to preparation of the radiolabelled receptor specific peptides, analogues of somatostatin. Three peptides have been studied (DOTA-Tyr^3-octreotate, DOTA-NOC, glu-Tyr^3-octreotate) which were radiolabelled with different radionuclides (^{111}In, ^{177}Lu, ^{90}Y and peptides containing tyrosine group also with ^{125}I). The analytical methods (HPLC and ITLC-SG) suitable for a determination of the radiochemical purity and stability of the labelled peptides have been developed. The metabolism of labelled peptides has been studied through those developed methods by analysis of the rat's urine obtained in different time intervals. The results were compared with conclusions of the biodistribution and elimination studies. In the last part of the experimental work the conditions of the antibody radiolabelling modified by cyclic and acyclic chelator have been studied. The antibody has been managed to label with ^{111}In and also with ^{90}Y, the analytical methods (SEC, HPLC, ITLC-SG) suitable for purifying and determination of the radiochemical purity of labelled antibody have been developed. The stability of radiolabelled antibody modified by cyclic chelator has been studied in different media (buffer, a presence of the competitive chelator in high concentration and in rat plasma).

All labelled compounds were applied to the rats and the biodistribution and elimination studies were completed by the employees of Department of Pharmacology and Toxicology of Faculty of Pharmacy of the Charles University from Radiopharmacy section.

Obtained results take a part in the projects within the long-term cooperation with Department of Inorganic Chemistry of Faculty of Natural Sciences of the Charles University, Azacycles s.r.o. company and foreign workplaces cooperating within the programs operated by International Atomic Energy Agency (IAEA) in Vienna and within project COST B12 EU.