ABSTRACT

Labelling of DOTA-Tyr(3)-octreotate by oxidative iodination

Diploma paper

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In this diploma paper radioiodination of the somatostatin analogue DOTA-Tyr^3-octreotate is described. This peptid was radiolabelled directly via electrophilic substitution and as oxidating agent it was used chloramin-T. It oxidizes iodide to active radioiodinium ions, which are then incorporated into a tyrosine residue of the peptid.

To separate the radiolabelled peptid from unbound radioiodide two methods were used, solid phase extraction (Sep-Pak Vac 1 cc, C 18 Cartridges) and high-performance liquid chromatography (Lichrocart Lichrospher C-18, 250 x 4 mm, 5 μm). The HPLC procedure eliminate unbound radioiodide as well as di-iodo peptide and is therefor preferable.

Then the stability and pharmacokinetic properties of radioiodinated DOTA-Tyr^3-octreotate were tested. The results of biodistribution indicated the relatively rapid elimination of iodinated peptide from the kidneys and organs with high density of somatostatin receptors (pancreas, adrenal).