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Chemical aspects of Y-90 and Lu-177 labelling of Octreotide analogs.

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Several octreotide analogues radiolabelled with In-111, Y-90, Lu-177, Ga-68, Tb-161 and Tc-99m involving various chelating approaches have been reported in recent years. Out of these the In-111 DTPA-octreotide (Â®Octreoscan, Covidien) remains a gold standard for Somatostatin Receptors Scintigraphy (SRS). The new SPECT agent, 99mTc labeled analog of octreotide, 99mTc-HYNIC-octreotide (Tc-99m HYNIC-TOC, Â®Tektrotyd, Polatom) has been granted Marketing Authorization for SRS in the last period as well. In addition, there is a growing interest for 68Ga-labelled octreotide analogues for PET, utilizing DOTA as chelator for Ga.68 DOTA-derivatised octreotides are playing predominant role in targeted radioimmunotherapy of neuroendocrine tumors with the use of beta-emitting radionuclides like Y-90 or Lu-177, hence either SPECT or PET diagnosis is important for staging, patient qualification to therapy and therapy follow up. In preparation of therapeutic doses, the highest labeling yield, radiochemical purity and specific activity are the goals to be achieved when developing labeling strategies in order to deliver the highest radiation dose to the tumor and at the same time sparing the adjacent tissue. On the other hand the diagnostic value of the new receptor specific agents and the therapeutic efficacy of the receptor mediated therapy are strongly enhanced by specific activity of the labeled molecule. Chelators providing stable complexes with radiometals suitable for therapy usually have high stability constants for other metals as well. Therefore aspects such as radionuclide production mode, the arising specific activity of the radionuclide and the accompanying radionuclide and chemical impurities have to be considered. At lower specific activities of radiometal larger amounts of peptide are necessary to obtain satisfactory radiolabelling yield, these high concentrations of peptide increase the risk of receptor saturation in vivo. Additionally, reagents and materials used in the production process and in the labeling reaction itself can be a source of chemical contaminants, which may compete with radiometal in complex formation. Usually therapeutic doses are prepared at high radioactive concentrations, when radiolysis is affecting their stability; therefore the addition of free-radical scavengers is advisable. The optimal labeling conditions therefore are not only limited to the selection of a proper buffer, pH and

incubation parameters, but require detailed knowledge about the chemical composition of the radionuclide solution and the peptide-chelator system.

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The Targeting of G-protein Coupled Receptors with Radiopeptides for Imaging and Internal Radionuclide Therapy.

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No abstract available

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An update on our experience with Peptide Receptor Radiotherapy (PRRT) in Neuroendocrine Tumours (NETs)

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No abstract available

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Lanreotide-based Diagnosis and Treatment of Cancer Patients: Current Status, Indications, Future Directions"

Prof. Roy Moncayo, University of Innsbruck, Austria

No abstract available