

Chemistry of Contrast Media

Biologicals

Tumor imaging and radionuclide therapy using radiolabelled peptides: current status and future developments

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Learning Objectives:

- To present radiolabelled peptide analogues; their design, radiolabelling and preclinical as well as clinical evaluation methods
- To discuss current status of radiopeptides in (pre)clinical studies
- To discuss some future developments to improve the current status

Cancer cells overexpress peptide receptors that can be used as targets for diagnostic imaging as well as targeted radionuclide therapy. Radiolabeled peptides of different families can bind to such receptors on tumor cells with high affinity and specificity; they are being applied and hold great promise for PET and SPECT imaging after labeling with diagnostic radionuclides as well as for therapy after labeling with therapeutic radionuclides. A wide variety of peptide-receptor targeting molecules with diverse tumor targeting properties have been synthesized. Many of these, including somatostatin, bombesin, gastrin, neurotensin, Substance P, exendin, and RGD analogs, are currently under preclinical and clinical investigation. Peptide receptor imaging is currently being used clinically worldwide for imaging of neuroendocrine tumors, whereas peptide-based radionuclide therapy has shown to be an effective treatment for these tumors. It is anticipated that in the near future many more of these peptides may find applications in nuclear oncology. This presentation will focus on the current status of radiopeptides for tumor imaging and therapy as well as on future developments.

Relevant Publications:

1. Jong M de, Breeman WAP, Kwekkeboom DJ, Valkema R, Krenning EP. Tumor imaging and therapy using radiolabeled somatostatin analogues. *Accounts Chem Res* 2009;42:873-880.
2. Marion de Jong, Jeroen Essers, Wytse M. van Weerden Imaging preclinical tumour models: improving translational power. *Nature Reviews Cancer* 14, 481–493 (2014)
3. Radiolabelled peptides for oncological diagnosis Peter Laverman & Jane K. Sosabowski & Otto C. Boerman & Wim J. G. Oyen *J Nucl Med Mol Imaging* (2012) 39 (Suppl 1):S78–S92

Acknowledgements/References: Link to the YouTube movie on PRRT "Radiopeptides destroy tumour cells": https://www.youtube.com/watch?v=0YvG_MCXZsw