Contribution ID: **233** Type: **Invited Lecture**

INVITED LECTURE - ⁹⁰Y and ¹⁷⁷Lu labelled peptides for PRRT: nuclear and radiochemical aspects

Monday 17 September 2012 11:40 (20 minutes)

Somatostatin analogues designed to target tumor cells over-expressing somatostatin receptors have been radiolabelled with 90Y- and 177Lu for peptide receptor radionuclide therapy (PRRT). Clinical trials evidenced large patient variability as regards tumor and organs uptake, thus sustaining the need of tailored dosimetry, for a treatment course with improved safety and efficacy. However, Yttrium-90 does not decay with emission of a γ photon for imaging and cannot be used to estimate radiation dosimetry. Indium-111, which can be used for imaging has been employed as a surrogate. In case of 177Lu-peptide therapy, its gamma-rays enable imaging, dosimetry, and therapy with the same compound.
The radiopharmaceuticals used for PRRT have in common a high renal activity concentration and kidneys have been identified as dose-limiting organs for PRRT, in particular during therapy with 90Y-DOTATOC. Accurate kidney dosimetry plays a key role for the assessment of radiation nephropathy.
The optimal conditions for radiolabelling DOTA-peptides with 90Y and 177Lu have been evaluated. Reaction kinetics were found to be optimal at pH 4-4.5, with a steep decrease at lower pH. The binding kinetics are time- and temperature-dependent, the reactions being completed after 20 min at 80 °C. The highest specific activity (AS) of 90Y and 177Lu correspond to a mol/mol ratio of DOTA over nuclide of 3½ and 6, respectively. In general, at constant radiolabeling AS the RCP increased proportionally with 177Lu AS, whereas at constant 177Lu AS the RCP steeply decreased with increasing radiolabeling AS.
In conclusion, DOTA conjugated peptides can be efficiently radiolabelled at high AS (>50 MBq/nmol) by 177LuCl3 up to one half-life from production, considering that the standard AS at production of commercially available 177Lu is generally > 740 GBq/mg.

Author: Dr CHINOL, Marco (European Institute of Oncology, Italy)

Co-author: Dr PAPI, Stefano (European Institute of Oncology, Italy)

Presenters: Dr CHINOL, Marco (European Institute of Oncology, Italy); Dr PAPI, Stefano (European Institute of Oncology, Italy)

Session Classification: Session 1 - Radiopharmaceutical Chemistry (radiodiagnostics, radiotherapy, theragnostics)

Track Classification: Radiopharmaceutical chemistry, radiodiagnostics, radiotherapy, theragnostics