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Preparation and quality control of ^{177}Lu labelled radiopharmaceuticals

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Radionuclide therapy (RNT) employing radiopharmaceuticals labelled with β -emitting radionuclides is fast emerging as an important part of nuclear medicine. Radionuclide therapy is effectively utilized for bone pain palliation, thus providing significant improvement in quality of life of patients suffering from pain resulting from bone metastasis. Targeting primary diseases by using specific carrier molecules labelled with radionuclides is also widely investigated and efficacious products have been emerging for the treatment of Lymphoma and Neuroendocrine tumors.

Reactor produced ^{177}Lu is emerging as an important radionuclide for cancer therapy since it decays with half-life of 6.71d by the emission of β^- particles with E_β of 498 keV (78.6), 384 keV (9.1%) and 176 keV (12.2) to stable ^{177}Hf . The ^{177}Lu radionuclide has tissue mean range of 670 μm is considered to be more effective for the treatment of small tumour. High specific activity ^{177}Lu radionuclide ($> 8\text{Ci/mg}$) prepared in our laboratory is considered to be appropriate for labelling. Several experiments for obtaining optimum labelling yield of ^{177}Lu -EDTMP and ^{177}Lu -DOTA-Tyr3-Octreotate under different reaction parameters such pH, incubation time and reaction temperature were performed. Radiochemical purity of ^{177}Lu -EDTMP and ^{177}Lu -DOTA-Tyr3-Octreotate was determined by radio-TLC with C18 plates developed in 70:30 MeOH:10% NH_4OAc . Under these conditions ^{177}Lu -DOTA-Tyr3-Octreotate appears at R_f 0.8 while ^{177}Lu -acetate stays at the R_f 0. High labelling yield ($>98\%$) of ^{177}Lu -DOTA-Tyr3-Octreotate was obtained at pH 4.5 at a temperature of 90°C for 30 minutes incubation time.

The ^{177}Lu -EDTMP and ^{177}Lu -DOTA-Tyr3-Octreotate were further investigated for stability in acetate / ascorbate buffer and saline at room temperature ($12-15^\circ\text{C}$). The data showed that the labelled complexes were stable in buffer and saline medium for a period >24 hours.

Animal study of ^{177}Lu -EDTMP and ^{177}Lu -DOTA-Tyr3-Octreotate were performed in ~ 200 g male Sprague Dawley rats. Two hundred microlitres of the labelled ($80\ \mu\text{Ci}$) were injected into the tail veins of rats and each rat was killed at 1 hour, 2 hours, 6 hours, 12 hours, 24 hours and 72 hours. Counting were performed using Capintec dose calibrator. The biodistribution study of ^{177}Lu -EDTMP and ^{177}Lu -DOTA-Tyr3-Octreotate in rats indicate that the critical organ for ^{177}Lu -EDTMP was bone while for ^{177}Lu -DOTA-Tyr3-Octreotate the critical organ was pancreas and the excretion route was through kidney. All the rats during the study were found to show normal behaviour (movement, sleeping, eating).