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### Glioma targeting with radiolabeled GX1 peptide

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**Objectives:** Early diagnosis and treatment of glioma is important for optimal clinical outcome. The GX1 (CGNSNPKSC) peptide motif, selected from a phage display library, specifically binds to tumor neovasculature. The aim of the study was the evaluation of HYNIC-PEG4-GX1 radiolabeled with <sup>99m</sup>Tc in glioma tumor cells. **Methods:** The conjugated peptide was radiolabeled with <sup>99m</sup>Tc (74-1850 MBq), using the tricine and EDDA exchange protocol (Faintuch et al, 2005). In vitro studies of <sup>99m</sup>Tc-HYNIC-PEG4-GX1 were performed in human umbilical vein endothelial cells (HUVEC), and human glioma cells (U87MG and T98G), at 5, 30, 60, 90 and 120 min of incubation. The radiotracer was also evaluated in SCID mice bearing the U87MG or T98G tumor cells, 1 hour post injection. Blocking studies were conducted and images were acquired. **Results:** Radiochemical purity of the radiotracer was  $98.83 \pm 0.87\%$ , with a retention time of 12.67 min in HPLC analysis. The radiotracer total binding after 60 min of incubation was  $0.41 \pm 0.04\%$  for HUVEC cells,  $0.69 \pm 0.06\%$  for U87MG cells, and  $0.54 \pm 0.05\%$  for T98G cells, decreasing in later times. The excretion of the radiotracer was mainly renal, with kidney uptake in the range of 14.57 to 22.31 % ID/g. Tumor uptake 1h post injection was  $1.52 \pm 0.34 \%ID/g$  for U87MG cells and it was 52.63% blocked. For the T98G model, tumor uptake was lower ( $0.78 \pm 0.44 \% ID/g$ ), and it was 42.3% blocked. **Conclusion:** The new angiogenesis radiotracer represented by the peptide GX1 exhibited favorable biodistribution and specificity results. It should be considered a promising candidate for diagnostic applications in glioma. **Reference:** B. L. Faintuch, R. L. S. R. Santos, A. L. F. M. Souza, T. J. Hoffman, M. Greeley & Dr. C. J. Smith (2005): <sup>99m</sup>Tc-HYNIC-Bombesin (7-14)NH<sub>2</sub>: Radiochemical Evaluation with Co-ligands EDDA (EDDA=Ethylenediamine-N,N'-diacetic Acid), Tricine, and Nicotinic Acid. *Synthesis and Reactivity in Inorganic and Metal-Organic Chemistry*, 35:1, 43-5. **Aknowledgements:** The authors are grateful for a postgraduate Grant by Fundação de Amparo a Pesquisa do Estado de São Paulo (Fapesp 2011/12405-0)