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Radiolabeled angiogenesis heterodimer for glioma diagnosis

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Objective: Angiogenesis is essential for tumor growth and metastasis. Radiotracer targets in tumor vasculature offer a noninvasive method for early detection of malignancy growth, and efficient monitoring of response to therapy. The GX1 (CGNSNPKSC) and the RGD peptides specifically bind to tumor neovasculature. This study aimed to develop and evaluate the radiolabeled HYNIC-E-[c(RGDfk)-c(GX1)] heterodimer, in a model of glioma tumor cells, as a new radiotracer for angiogenesis detection. **Methods:** The conjugated peptide was radiolabeled with Technetium-99m (99mTc), using the tricine and EDDA exchange protocol. Radiochemical evaluation was performed by ITLC and was confirmed by HPLC analysis. Partition coefficient was also measured. Biodistribution studies were conducted by injection of 99mTc-HYNIC-E-[c(RGDfk)-c(GX1)] in Balb/c mice at 5, 30, 60, 120, 240, 360 and 1440 min post-injection (p.i.). In vitro studies 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 assessed in SCID mice bearing the same tumor cells, for biodistribution studies and images at 1h post-injection. Also, blocking studies were conducted. **Results:** Radiochemical purity of the radiotracer was $96.06 \pm 1.83\%$, with a retention time of 13.27 min in HPLC analysis. Partition coefficient pointed a hydrophilic profile ($\log P = -2.25 \pm 0.07$). About 47% of the product cleared from the blood in 30 min and 93% in 240 min p.i. The excretion of the radiotracer was mainly renal, with kidney uptake of $42.31 \pm 5.35\% \text{DI/g}$ 1h p.i. The radiotracer's total binding was $0.35 \pm 0.07\%$, for HUVEC cells, $1.14 \pm 0.35\%$, for U87MG cells and $0.45 \pm 0.03\%$ for T98G cells at 120 min after incubation. Tumor uptake 1h p. i. was $2.96 \pm 0.70\% \text{ID/g}$, with 52.70% of blocking for U87MG tumor. In mice bearing T98G cells, the tumor uptake was $2.49 \pm 0.30\% \text{ID/g}$, and 46.5% of the uptake was blocked. Both tumors could be well visualized by the imaging technique, specially after 1h in U87MG glioma. **Conclusion:** The radiotracer was prepared with high radiochemical purity. Biodistribution highlighted renal excretion, with a blood clearance pattern