Biodistribution and pharmacokinetics of the alphavbeta3-selective tracer 18F-galacto-RGD in cancer patients.

(18)F-Galacto-RGD has been developed for PET of alpha(v)beta(3) integrin expression, a receptor involved in, for example, angiogenesis and metastasis. Our aim was to study the kinetics and biodistribution of (18)F-Galacto-RGD in cancer patients.

**METHODS:** Nineteen patients with metastases of malignant melanoma (n = 7), sarcomas (n = 10), or osseous metastases (n = 2) were examined. After injection of 133-200 MBq (18)F-Galacto-RGD, 3 consecutive emission scans from the pelvis to the thorax or dynamic emission scans of the tumor over 60 min, followed by 1 static emission scan of the body, were acquired. Time-activity curves and standardized uptake values (SUVs) were derived by image region-of-interest analysis with image-based arterial input functions. Compartmental modeling was used to derive the distribution volume for muscle tissue and tumors.

**RESULTS:** (18)F-Galacto-RGD showed rapid blood clearance and primarily renal excretion. SUVs in tumors ranged from 1.2 to 9.0. Tumor-to-blood and tumor-to-muscle ratios increased over time, with peak ratios of 3.1 +/- 2.0 and 7.7 +/- 4.3, respectively, at 72 min. The tumor kinetics were consistent with a 2-tissue compartment model with reversible specific binding. Distribution volume values were, on average, 4 times higher for tumor tissue (1.5 +/- 0.8) than those for muscle tissue (0.4 +/- 0.1). The data suggest that there was
only minimal free and bound (specific or nonspecific) tracer in muscle tissue. CONCLUSION: 
(18)F-Galacto-RGD demonstrates a highly favorable biodistribution in humans with specific receptor 
binding. Most important, this study shows that (18)F-Galacto-RGD allows visualization of 
alpha(v)beta(3) expression in tumors with high contrast. Consequently, this tracer offers a new 
strategy for noninvasive monitoring of molecular processes and may supply helpful information for 
planning and controlling of therapeutic approaches targeting the alpha(v)beta(3) integrin.