SUPPLEMENTAL DATA

Phase 0 microdosing PET study using the human mini antibody F16SIP in head and neck cancer patients.

Description of Preparation of Clinical Grade ^{124}I-F16SIP

In short, after mixing of 150 MBq ^{124}I with 66 ng (44 nmol) NaI in 0.3 mL 50 mM NaOH (iodine:F16SIP molar ratio = 1:10), this solution was added to a vial and preincubated with 14.1 μg (80 nmol) ascorbic acid for 5 min. Hereafter, 200 μL 0.5M phosphate buffer, pH 7.1, 0.66 mg (8.3 nmol) F16SIP, and 565 μL PBS were added to the vial followed by adding 35 μg (81 nmol) freshly prepared iodogen in 35 μL acetonitrile (t=0). After 3 min, the reaction was stopped by adding an excess of ascorbic acid (2.5 mg, 14.1 μmol), and after 10 min purification was performed using PD10 size-exclusion chromatography (eluent: 5 mg/mL ascorbic acid in 0.9% NaCl (pH 5.0)). The mean labeling efficiency was 84.8 ± 3.3%. Finally, ^{124}I-F16SIP was formulated with native F16SIP and 5 mg/mL ascorbic acid in 0.9% NaCl and filter sterilized (total amount F16SIP to be administered was 2 mg in 20 mL). This procedure resulted in a sterile final product with endotoxin levels <2.5 EU/mL. The radiochemical purity was always >97% (98.9 ± 0.7%). HPLC analysis revealed optimal integrity of the antibody. After each preparation of ^{124}I-F16SIP, the immunoreactivity was determined by measuring binding to a serial dilution of A1-tensascin C-coated Sepharose resin essentially as described previously (*1*). Following this, the immunoreactive fraction of the ^{124}I-F16SIP preparations was 89.5 ± 2.3% at the highest resin concentration.

REFERENCE

1. Tijink BM, Perk LR, Budde M, Stigter-van Walsum M, Visser GWM, Kloet RW, et al. ^{124}I-L19-SIP for immuno-PET imaging of tumor vasculature and guidance of ^{131}I-L19-SIP radioimmunotherapy. *Eur J Nucl Med Mol Imaging*. 2009;36:1235-1244.