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Supporting Information

69451 Weinheim, Germany

^{18}F-labelling of peptides by means of an organosilicon-based fluoride acceptor

Ralf Schirrmacher,* Gerrit Bradtmöller, Esther Schirrmacher, Oliver Thews, Julia Tillmanns, Thomas Siessmeier, Hans G. Buchholz, Peter Bartenstein, Björn Wängler, Christof M. Niemeyer, Klaus Jurkschat*

General Procedures. All solvents were dried and purified by standard procedures. All reactions were carried out under an inert atmosphere (argon) using Schlenk techniques. Bruker DPX-300, Bruker DRX-400 and Bruker DRX-500 spectrometers were used to obtain ^{1}H, ^{13}C, ^{19}F, ^{29}Si NMR spectra. ^{1}H, ^{13}C, ^{19}F, ^{29}Si NMR chemical shifts δ are given in ppm and were referenced to Me_4Si, CCl_3F, in the case of ^{13}C NMR spectra the solvent resonance was used as the internal standard (C_6D_6: δ = 128.0 ppm, $CDCl_3$: δ = 77.0 ppm). Elemental analyses were performed on a LECO-CHNS-932 analyser. Mass spectra (EI) were obtained with a Finnigan MAT 8230. Identification of all major ions was assisted by comparison of experimental und calculated isotope distribution patterns. The *m/z* values reported correspond to those of the most intense peaks in the corresponding isotope pattern. Mass spectra of peptides were recorded with a Ciphergen MALDI.

The organosilanes Ph_3SiCl, Ph_3SiF, *t*$BuPh_2SiCl$, *t*Bu_2SiCl_2 and Ph_3SiOH were purchased from ABCR. Bis-Boc-amino-oxyacetic acid was obtained from Novabiochem® (Merck).

Di-*tert*-butyliodophenylsilane: A solution of iodine chloride (0.76 mL, 14.9 mmol) in dichloromethane (20 mL) was added at room temperature within 30 min to a solution of di-*tert*-butylphenylsilane[1] (3.28 g, 14.9 mmol) in dichloromethane (50 mL). After the reaction mixture had been stirred for further 10 min the solvent was removed in vacuo. The dark brown residue was transferred into a sublimation apparatous and the volatiles were removed by sublimation for 6 hours at 60 °C / 4 × 10^{-4} mbar. The remaining oil was then purified by Kugelrohr distillation to give 4.02 g (78% yield) di-*tert*-butyliodophenylsilane as yellow oil with a bp of 110 °C (5 × 10^{-4} mbar).

^{1}H NMR (500.13 MHz, C_6D_6): δ 7.90–7.95 (complex pattern, 2H, H_o), 7.09–7.14 (complex pattern, 3H, $H_{m,p}$), 1.13 (s, 18H, CH_3). **^{13}C{^{1}H} NMR** (100.63 MHz, C_6D_6): δ 136.6 (C_o),

131.9 (C_i), 130.0 (C_p), 127.9 (C_m), 28.9 (CH_3), 22.6 (CCH_3). **^{29}Si{^{1}H} NMR** (59.63 MHz, C_6D_6): δ 38.8 (s). **MS (EI, 70 eV):** *m/z* (%) 346 (M^+, 34), 288 (88), 247 (94), 219 (10), 185 (100), 155 (15), 135 (12), 105 (38), 57 (14). **Anal.** Calcd for $C_{14}H_{23}ISi$ (346.32): C, 48.6; H, 6.7; Found: C, 49.1; H, 7.1.

Di-*tert*-butylchlorophenylsilane: A suspension of silver chloride (1.67 g, 4.82 mmol) and di-*tert*-butyliodophenylsilane (2.07 g, 14.43 mmol) in acetonitrile (50 mL) was stirred for 6 d under exclusion of light. After the solvent had been removed under reduced pressure dichloromethane (100 mL) was added to the residue, and the suspension was filtered. The filtrate was concentrated under reduced pressure and was purified by Kugelrohr distillation in vacuo to give 0.67 g (54% yield) di-*tert*-butylchlorophenylsilane as a colorless oil, bp 115–120 °C (1 mbar). The purity was estimated by ^{1}H NMR spectroscopy to be 95%.
^{1}H NMR (400.13 MHz, C_6D_6): δ 7.75–7.82 (complex pattern, 2H, H_o), 7.13–7.19 (complex pattern, 3H, $H_{m,p}$), 1.08 (s, 18H, CH_3). **^{13}C{^{1}H} NMR** (100.63 MHz, C_6D_6): δ 135.2 (C_o), 133.0 (C_i), 129.9 (C_p), 127.9 (C_m), 28.1 (CH_3), 22.2 (CCH_3). **^{29}Si{^{1}H} NMR** (59.63 MHz, C_6D_6): δ 26.9 (s). **MS (EI, 70 eV):** *m/z* (%) 254 (M^+, 24), 197 (72), 155 (100), 93 (84), 63 (15), 57 (11). **Anal**. Calcd for $C_{14}H_{23}ClSi$ (254.87): C, 66.0; H, 9.1; Found: C, 66.3; H, 9.4.

***tert*-Butylfluorodiphenylsilane:** To a solution of *tert*-butylchlorodiphenylsilane (8.83 g, 32.1 mmol) in dichloromethane (30 mL) was added triphenyltinfluoride (17.79 g, 48.2 mmol) and the resulting suspension was stirred for 10 d at room temperature (reaction control with ^{19}Si NMR spectroscopy). Then the suspension was stirred with aqueous potassium fluoride solution (200 mL, 10% w/w) for 15 min. After water (200 mL) had been added, the organic phase was separated and the aqueous suspension was extracted with dichloromethane (3 × 150 mL). The combined organic phases were dried with $MgSO_4$ and filtered. The solvent of the filtrate was removed under reduced pressure. The remaining oil was purified by distillation in vacuo to give 4.06 g (49% yield) *tert*-butylfluorodiphenylsilane as a colorless oil with bp 82–92 °C (6×10^{-4} mbar), that crystallized after a few hours (mp 29–30 °C). Further product (2.18 g, 25% yield) with a purity of 94% (estimated by ^{1}H NMR spectroscopy) was obtained as a second fraction (bp 92–95 °C, 6×10^{-4} mbar; mp 29–32 °C).
^{1}H NMR (400.13 MHz, C_6D_6): δ 7.70–7.77 (complex pattern, 4H, H_o), 7.12–7.20 (complex pattern, 6H, $H_{m,p}$), 1.08 (d, $^4J(^1H–^{19}F)$ = 1.1 Hz, 9H, CH_3). **^{13}C{^{1}H} NMR** (75.48 MHz, C_6D_6): δ 134.8 (d, $^3J(^{13}C–^{19}F)$ = 3 Hz, C_o), 133.0 (d, $^2J(^{13}C–^{19}F)$ = 15 Hz, C_i), 130.5 (s, C_p), 128.2 (s, C_m), 26.1 (s, CH_3), 19.2 (d, $^2J(^{13}C–^{19}F)$ = 13 Hz, CCH_3). **^{19}F NMR** (282.38 MHz,

C_6D_6): δ –184.4 (s, $^1J(^{19}F–^{29}Si)$ = 291 Hz). **^{29}Si{^{1}H} NMR** (59.63 MHz, C_6D_6): δ 4.0 (d, $^1J(^{29}Si–^{19}F)$ = 290 Hz). **MS (EI, 70 eV):** *m/z* (%) 258 (M^+, 19), 201 (100), 47 (14). **Anal**. Calcd for $C_{16}H_{19}FSi$ (258.41): C, 74.4; H, 7.4; Found: C, 74.4; H, 7.3.

Di-*tert*-butylfluorophenylsilane (4): To a solution of di-*tert*-butyliodophenylsilane (3.24 g, 9.36 mmol) in dichloromethane (30 mL) was added tetrabutylammonium difluorotriphenylstannate[2] (6.49 g, 10.3 mmol). The suspension was stirred for 2 d at room temperature. After dichloromethane (150 mL) had been added, the reaction mixture was filtered and the filtrate was washed with water (4 × 300 mL). The organic phase was dried with $MgSO_4$, filtered and the solvent was removed under reduced pressure. The remaining oil was then purified by Kugelrohr distillation to give 1.93 g (87% yield) di-*tert*-butylfluorophenylsilane with a bp 75–80 °C (5×10^{-4} mbar).

^{1}H NMR (400.13 MHz, C_6D_6): δ 7.65 (m, 2H, H_o), 7.13–7.22 (complex pattern, 3H, $H_{m,p}$), 1.05 (d, $^4J(^1H–^{19}F)$ = 1.1 Hz, 18H, CH_3). **^{13}C{^{1}H} NMR** (75.48 MHz, C_6D_6): δ 134.2 (d, $^3J(^{13}C–^{19}F)$ = 4 Hz, C_o) 133.9 (d, $^2J(^{13}C–^{19}F)$ = 13 Hz, C_i), 129.9 (s, C_p), 128.0 (s, C_m), 27.5 (s, CH_3), 20.3 (d, $^2J(^{13}C–^{19}F)$ = 12 Hz, CCH_3). **^{19}F NMR** (282.36 MHz, C_6D_6): δ –190.9 (s, $^1J(^{19}F–^{29}Si)$ = 298 Hz). **^{29}Si{^{1}H} NMR** (59.63 MHz, C_6D_6): δ 13.8 (d, $^1J(^{29}Si–^{19}F)$ = 298 Hz). **MS (EI, 70 eV):** *m/z* (%) 238 (M^+, 30), 181 (59), 139 (100), 77 (54), 47 (19). **Anal.** Calcd for $C_{14}H_{23}FSi$ (238.42): C, 70.5; H, 9.7; Found: C, 70.4; H, 9.8.

Di-*tert*-butyldifluorosilane: Di-*tert*-butyldichlorosilane (11.50 g, 53.92 mmol) was added to a suspension of tetrabutylammonium difluorotriphenylstannate[2] (68.00 g, 107.85 mmol) in dichloromethane (40 mL). The reaction is exothermic and the tetrabutylammonium difluorotriphenylstannate dissolved. Stirring was continued for 24 h after which the solvent was distilled off. The residue was extracted three times with *n*-hexane (200 mL each). The *n*-hexane of the combined extracts was evaporated in vacuo and the oily residue was fractionated to give 3.13 g (32% yield) of di-*tert*-butyldifluorosilane as colourless liquid, bp. 130–132 °C. Another 1.42 g (15% yield) of the product was obtained by continuous extraction of the residue from the reaction by using a Soxhlet apparatus, followed by distillation.

^{1}H NMR (300.13 MHz, $CDCl_3$): δ 1.07 (t, $^4J(^1H–^{19}F)$ = 1.1 Hz, 18H, CH_3). **^{13}C{^{1}H} NMR** (75.48 MHz, $CDCl_3$): δ 26.0 (s, CH_3), 19.1 (t, $^2J(^{13}C–^{19}F)$ = 13 Hz, CCH_3). **^{19}F NMR** (282.38 MHz, $CDCl_3$): δ –160.1 (s, $^1J(^{19}F–^{29}Si)$ = 326 Hz). **^{29}Si{^{1}H} NMR** (59.63 MHz, $CDCl_3$): δ –7.6 (t, $^1J(^{29}Si–^{19}F)$ = 326 Hz).

2-[*p*-(Di-*tert*-butylfluorosilyl)phenyl]-1,3-dioxolane: At –78°C and under magnetical stirring, a solution of *n*-butyllithium in *n*-hexane (c = 1.6 mol/L, 10.1 mL, 16.1 mmol) was added to a solution of 2-(*p*-bromphenyl)-1,3-dioxolane[3] (3.69 g, 16.1 mmol) in THF (30 mL). After the reaction mixture had been stirred for 2 h at –78 °C the resulting suspension was added dropwise over a period of 20 min to a cooled solution (–70 °C) of di-*tert*-butyldifluorosilane (3.05 g, 16.9 mmol) in THF (30 mL). The reaction mixture thus obtained was stirred for 1 h at –70 °C and then allowed to warm to room temperature at which stirring was continued for further 3 d. After the solvent had been distilled off, diethyl ether (150 mL) was added to the residue and the resulting mixture was hydrolysed with water (150 mL) under ice-cooling. The organic layer was separated and the aqueous layer was extracted four times with diethyl ether (50 mL each). After the combined organic phases had been dried over magnesium sulphate the latter was filtered and the solvent was removed in vacuo. The residue was purified by Kugelrohr distillation. According to its ^{1}H NMR spectrum, the major fraction (2.62 g) with a bp 115–130 °C (5×10^{-4} mbar) contains 70% 2-[*p*-(di-*tert*-butylfluorosilyl)phenyl]-1,3-dioxolane (1.8 g, 52% yield) and 30% 2-(*p*-butylphenyl)-1,3-dioxolane. This mixture was used without further purification for the synthesis of *p*-(di-*tert*-butylfluorosilyl)benzaldehyde.

^{1}H NMR (300.13 MHz, $CDCl_3$): δ 7.59 (m, 4H, H_{arom}), 5.83 (s, 1H, C*H*), 4.09 (m, 4H, CH_2), 1.08 (d, $^{4}J(^{1}H–^{19}F)$ = 1.1 Hz, 18H, CH_3). **^{13}C{^{1}H} NMR** (75.48 MHz, $CDCl_3$): δ 138.9 (s, C_i), 134.8 (d, $^{2}J(^{13}C–^{19}F)$ = 14 Hz, C_p), 134.0 (d, $^{3}J(^{13}C–^{19}F)$ = 4 Hz, C_m), 125.6 (s, C_o), 103.6 (s, *C*H), 65.3 (s, *C*H_2), 27.2 (s, *C*H_3), 20.2 (d, $^{2}J(^{13}C–^{19}F)$ = 12 Hz, *C*CH_3). **^{19}F NMR** (282.38 MHz, $CDCl_3$): δ –191.5 (s, $^{1}J(^{19}F–^{29}Si)$ = 298 Hz). **^{29}Si{^{1}H} NMR** (59.63 MHz, $CDCl_3$): δ 14.1 (d, $^{1}J(^{29}Si–^{19}F)$ = 298 Hz).

***p*-(Di-*tert*-butylfluorosilyl)benzaldehyde (5):** A solution containing 2.41 g of a mixture consisting of 2-[*p*-(di-*tert*-butylfluorosilyl)phenyl]-1,3-dioxolane (70%) and 2-(*p*-butylphenyl)-1,3-dioxolane in acetone (50 mL), and *p*-toluene sulfonic acid (15 mg) was heated at reflux for 3 h. After the solvent had been removed in vacuo, the residue had again been dissolved in acetone (50 mL) and another amount of *p*-toluene sulfonic acid (15 mg) had been added, the reaction mixture was heated at reflux for further 3 h followed by distillation in vacuo of the acetone. The residue was dissolved in a mixture of *n*-hexane/acetic acid ethyl ester (8:2) and filtered through a column (hight = 11 cm, diameter = 2.5 cm) filled with silica gel 60 (Geduran Si 60®, 0.063–0.200 mm, Merck, Germany). After the solvent of the filtrate

had been removed in vacuo the residue was purified by Kugelrohr distillation to give 0.75 g (52% yield) *p*-(di-*tert*-butylfluorosilyl)benzaldehyde as yellow oil, bp 95–100 °C (5×10^{-4} mbar), which solidified (mp 40–41 °C).

^{1}H NMR (300.13 MHz, $CDCl_3$): δ 10.05 (s, 1H, C*H*O), 7.84 (m, 4H, H_{aromat}), 1.07 (d, $^{4}J(^{1}H–^{19}F)$ = 1.3 Hz, 18H, CH_3). **^{13}C{^{1}H} NMR** (75.48 MHz, $CDCl_3$): δ 192.5 (s, *C*HO), 142.2 (d, $^{2}J(^{13}C–^{19}F)$ = 13 Hz, C_p), 136.9 (s, C_i), 134.5 (d, $^{3}J(^{13}C–^{19}F)$ = 4 Hz, C_m), 128.4 (s, C_o), 27.2 (s, CH_3), 20.2 (d, $^{2}J(^{13}C–^{19}F)$ = 12 Hz, CCH_3). **^{19}F NMR** (282.38 MHz, $CDCl_3$): δ –191.1 (s, $^{1}J(^{19}F–^{29}Si)$ = 300 Hz). **^{29}Si{^{1}H} NMR** (59.63 MHz, $CDCl_3$): δ 13.8 (d, $^{1}J(^{29}Si–^{19}F)$ = 300 Hz). **IR** (KBr): $\tilde{n}$ (C- O) 1705 cm^{-1}. **Elemental analysis:** calculated (%) for $C_{15}H_{23}FOSi$ (266.43 g/mol): C 67.6, H 8.7, found: C 67.8, H 8.7.

Synthesis of 6: To amminooxy derivatized Tyr^3-octreotate (2 mg, 1.46 µmol) dissolved in a mixture of acetonitrile (32 µL)/water (4 µL), *p*-(di-*tert*-butylfluorosilyl) benzaldehyde, *p*-(*t*$Bu_2FSi)C_6H_4C(O)H$ (**5**, 2 mg, 7.5 µmol) were added and stirred in a small reaction vessel for 3.5 h. Water (200 µL) was added and the precipitate was lyophilised and washed three times with diethylether (50 µL). The white precipitate was dissolved in acetonitrile (100 µL)/water (400 µL) and lyophilised again. To obtain pure **6**, the crude peptide (purity > 90%) was purified by means of preparative HPLC. Molecular weight determinations were carried out by MALDI-TOF mass spectrometry using a Ciphergen Maldi. Calculated mass: m/z = 1369.57; observed mass: m/z = 1369.5

HPLC column: LiChrospherRP-18 selectB 5 µ (10 x 250 mm)

gradient: 100 % water (+ 0.1 % TFA) after 30 min 100% CH_3CN (+ 0.1 % TFA), flow: 2.5 mL/min

R_t (**6**): 25 min

Radioactivity detection: For radioactivity detection of compounds **1-3, [^{18}F]5** an HPLC system (Dionex P680 HPLC) with UV detection (Dionex UVD 170U/340U) and radioactivity detector (Raytest) was used for the determination of radiochemical yields as well as for quantitative purification of [^{18}F]organofluorosilanes. For radio-TLC analysis, a TLC-radioactivity scanner (Raytest, miniGita) was used.

HPLC-condition for **1-3**: column: PerfectSil 120 C4 5µm, 100 × 4.0 mm, MZ-ANALYTICAL, Germany

gradient: 30/70 CH_3CN/H_2O; after 30 min 100% CH_3CN, flow: 0.7 mL/min

R_T-^{18}F-organofluorosilanes: 18–20 min

HPLC conditions for [^{18}F]**5**: column LiChrospher RP-18 selectB 5µ (4.5 x 250 mm), MZ-ANALYTICAL, Germany

Gradient: 100 % water (+ 0.1 % TFA) after 30 min 100% CH_3CN (+ 0.1 % TFA), flow: 0.7 mL/min

R_t ([^{18}F]**5**): 25 min

TLC-conditions for **1-3**: RP18-TLC stripes (Merck), Solvent: cyclohexane 100%, R_f ([^{18}F]F^-) = 0, R_f ([^{18}F]organosilanes **1-3**) = 0.6–0.8. The feasibility of using silicon containing RP18-TLC plates for the determination of RCY has been proved by using HPLC purified **1-3**, spiked with unlabeled fluorosilane standards. Only one radioactivity spot as well as one UV absorbtion could be detected. No retention of the radioactivity along the TLC plate was observed proving that no reaction between the TLC material and the labelled compounds occurred.

Preparation of $^{18}F^-$/Kryptofix2.2.2.®/K^+ complex: No-carrier-added (nca) aqueous $^{18}F^-$ (9000–18000 MBq) prepared by the $^{18}O(p,n)^{18}F$ nuclear reaction on an enriched [^{18}O]water (95%) target was added to a solution of K_2CO_3 (1 N, 10 µl) and Kryptofix2.2.2.® (10 mg) in CH_3CN (800 µL). The water was removed by evaporation to dryness with CH_3CN (2 × 1 mL) using a stream of nitrogen at 80°C. The "dried" $^{18}F^-$/Kryptofix2.2.2.®/K^+ complex was dissolved in acetonitrile (400–1000 µL) and used for labelling.

^{18}F-Fluorination of triorganochlorosilanes with $^{18}F^-$/Kryptofix2.2.2.®/K^+-complex: To the triorganochlorosilane [Ph_3SiCl: 0.75 mg (5 µM/mL); *t*$BuPh_2SiCl$: 1.3 mg (9 µM/mL); $(t\text{Bu})_2$PhSiCl: 1.5 mg (11.8 µmol/mL)] the $^{18}F^-$/Kryptofix2.2.2.®/K^+ complex (100–800 MBq) in acetonitrile (0.5 mL) was added and reacted for 10–15 min at room temperature without stirring. 50–150 µl of water were added and the resulting mixture was injected onto an HPLC-column (PerfectSil 120 C4 5 µm, 100 × 4.0 mm, MZ-ANALYTICAL, Germany). The fraction containing the product (R_t = 18–20 min) was collected, diluted with the same amount of water and passed through a solid phase column (C18-SepPac®, Merck). The trapped ^{18}F-triorganofluorosilane was eluted with ethanol (1 mL) and diluted with physiological saline solution (0.9%) to give an injectable solution for animal experiments.

^{18}F-Labelling of 4 by isotopic exchange: A stock solution of **4** in acetonitrile was prepared (0.5 µg/µL (2.1 µmol/mL)) and used for preparing solutions of 20, 10, 5, 2.5 and 1 µg in acetonitrile. To these solutions, the appropriate amount of $^{18}F^{-}$/Kryptofix2.2.2.®/K^{+} complex (10-20 MBq) in acetonitrile was added to obtain a total volume of 100 µL. Aliquots were taken after 1, 5, 15, 30 and 60 min and were investigated by radio HPLC and radio TLC.
To determine the specific activity of **3**, $^{18}F^{-}$/Kryptofix2.2.2.®/K^{+} complex (1 GBq) in acetonitrile (50 µL) was added to **4** (1 µg, 4.1 nM) in acetonitrile (50 µL) and reacted at room temperature for 15 min. An aliquot was taken (10 µL), analysed by means of radio HPLC and the specific activity was determined using a UV calibration curve. To obtain pure compound **3**, the crude reaction mixture was diluted with water (5 mL) and passed through a solid phase column (C-18-SepPac®, Merck, Germany). Unreacted $^{18}F^{-}$ was removed by washing the column with water and pure compound **3** was finally eluted with ethanol (1 mL).

Determination of human-serum stability of compound 1, 2 and 3: 3–4 mL of fresh human blood from healthy volunteers were centrifuged at 10000 g × 5 min. The serum (1 mL) was transferred into an Eppendorf vial (2 mL) and 20 µL of a solution of HPLC-purified **1**, **2** or **3** (2–4 MBq) in ethanol were added at 37.4°C. Aliquots were taken after 10, 30 and 60 min and analysed by means of radio HPLC.

Animal PET experiments: Male Sprague-Dawley rats (body weight 240–300 g; Charles River Wiga, Sulzfeld, Germany) were used for the analysis of the *in vivo* distribution. All experimentation had previously been approved by the regional animal ethics committee and was conducted according to German federal law. Animals were allowed access to a standard diet (type 1324, Altromin, Lage, Germany) and acidified water *ad libitum* prior to experiments. All experiments were performed in general anaesthesia with sodium pentobarbital (40 mg/kg i.p., Narcoren, Merial, Hallbergmoos, Germany). Animals lay supine on a thermostatically controlled heating pad. For i.v. injection of the PET tracer a polyethylene catheter was placed into the right external jugular vein. This catheter was also used for administration of additional anaesthetic as necessary. Animals breathed room air spontaneously through a tracheal tube during the entire experiment. The different ^{18}F-triorganofluorosilanes (**1**-**3**) were dissolved in isotonic saline and rapidly injected in the

jugular vein with an activity of 20–40 MBq. For in-vivo-measurement of biodistribution of the new ^{18}F-triorganofluorosilanes **2** and **3** we used a Philips Mosaic small animal PET scanner with an axial field-of-view of 11.9 cm and a gantry diameter of 18 cm. The spatial resolution (transaxial and radial) is 2.4 mm (FWHM). With tracer injection dynamic emission scans were acquired over 50 min consisting of 10 frames à 5 min covering whole body except the brain.

Images were reconstructed using RAMLA algorithm (*Daube-Witherspoon, M. E.; Matej, S.; Karp, J. S. Assessment of image quality with a fast fully 3D reconstruction algorithm. In: Siebert J. A., ed. 2001 IEEE Nuclear Science Symposium and Medical Imaging Canference. Piscataway, NJ: Institute of Electrical and Electronics Engineers, Inc.; 2002: M14-2*) with standard parameters (blob radius: 2.5; numbers of iterations: 2; relaxation parameters: 0.024). Dynamic images were converted to ANALYZE format and ROI analysis was performed using PMOD (Pmod Inc.,Zuerich, Switzerland). Irregular ROI were drawn manually over liver, lung, lumbar spine, sacral bone, kidneys and a soft tissue region in the left upper abdomen.

Time-activity-curves were plotted and ratios to unspecific soft tissue were calculated.

Animal PET-data for compound **2** and **3**

animal PET data of compound **2**

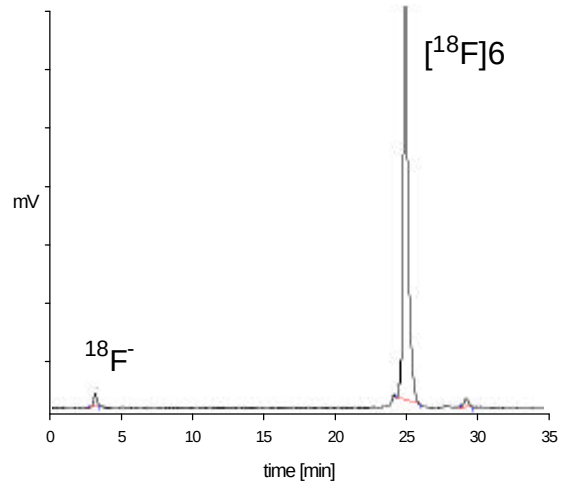
[sec]	lumbar vertebra [cps/voxel]	liver [cps/voxel]	kidney [cps(voxel]	lung [cps/voxel]	sacrum [cps/voxel]
0	1,51	12,37	4,73	5,21	1,52
300	2,42	11,14	9,41	1,91	2,30
600	3,15	7,78	17,15	1,37	3,37
900	4,44	6,24	20,75	1,26	4,27
1200	5,07	5,15	17,69	1,16	5,24
1500	6,09	4,12	16,29	1,04	6,47
1800	6,65	3,56	12,41	0,99	7,06
2100	7,43	3,18	8,11	0,95	7,84
2400	8,18	2,77	6,43	0,77	8,24
2700	8,51	2,24	6,17	0,79	8,80

animal PET data of compound **3**[a]

[sec]	sacrum [cps/voxel]	lumbar vertebra [cps/voxel]	liver [cps/voxel]	lung [cps/voxel]
0	0,72	0,80	11,27	3,85
300	0,95	1,00	8,34	1,53
600	1,17	1,15	5,19	1,01
900	1,31	1,68	3,74	0,87
1200	1,55	1,68	2,59	0,74
1500	1,78	1,97	2,13	0,61
1800	1,94	2,00	1,69	0,58
2100	2,20	2,38	1,56	0,59
2400	2,29	2,53	1,35	0,57
2700	2,47	2,87	1,26	0,57

[a]radioactivity in kidneys was not detectable

A



B

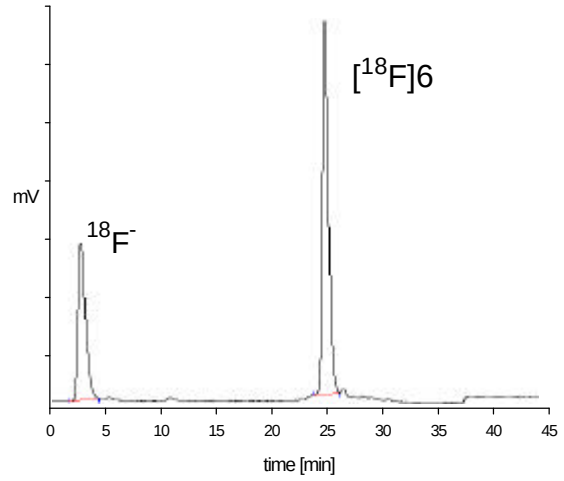


Figure S1. Crude radio-HPLC chromatograms of the synthesis of [^{18}F]**6** using two different labelling procedures **A** and **B**. **A**: **6** (100 µg, 74 nM), $^{18}F^-$/Kryptofix2.2.2.®/K^+ complex (280-360 GBq), CH_3CN (800 µL), rt, 10-15 min; **B**: **6** (100 µg, 74 nM) in CH_3CN (40 µL), $^{18}F^-$/[^{18}O]H_2O (200-300 µL, 175-250 MBq), 95°C, 30 min.

References

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