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Evaluation of a ^{68}Ga-labelled DOTA-tetrazine as a PET alternative to ^{111}In-SPECT pretargeted imaging

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Chromatographic Analysis

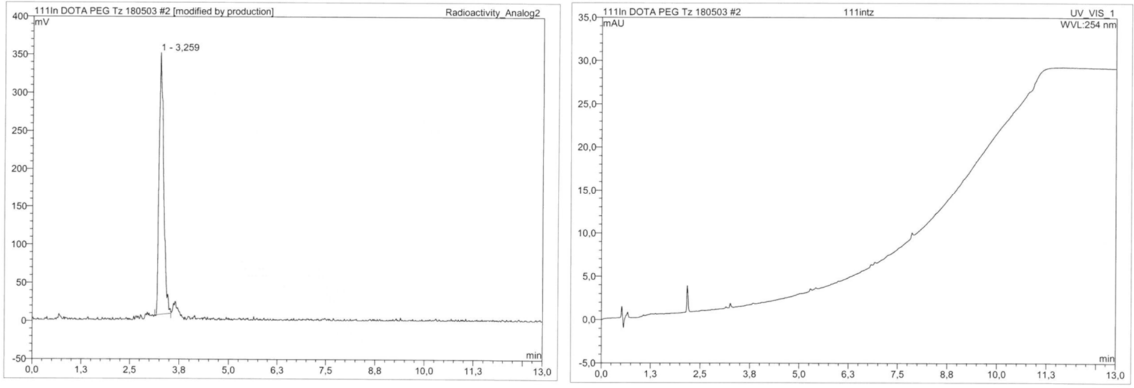

Figure S1. HPLC chromatograms of [^{111}In]**2** (R_t = 3.3 min). Radiochromatogram (left) and UV-visible (λ = 254 nm) HPLC chromatogram (right).

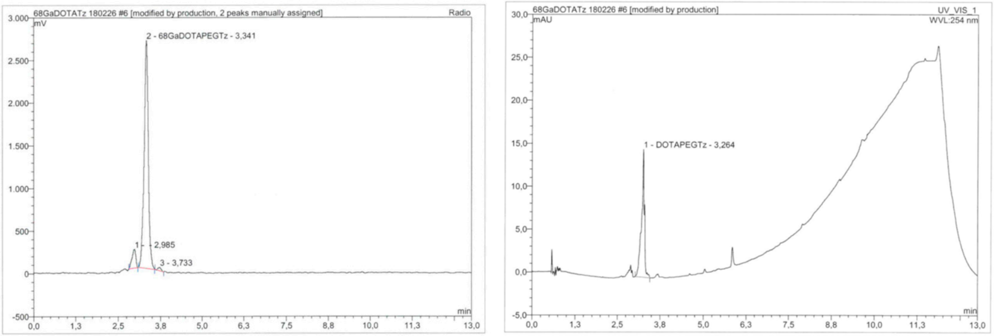

Figure S2. HPLC chromatograms depicting [^{68}Ga]**3** (R_t = 3.3 min) in the radiochromatogram (left) and **1** (R_t = 3.3 min) in the UV-visible (λ = 254 nm) HPLC chromatogram (right).

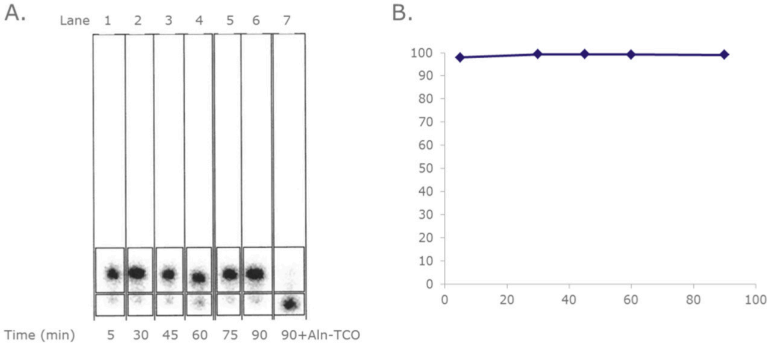

Figure S3. RP-radioTLC of [^{68}Ga]**3** after incubation in 10% EtOH/PBS for 5, 30, 45, 60, 75 and 90 min (lanes 1–6) and after incubation in 10% EtOH/PBS for 90 min followed by the addition of **4** in saline (lane 7) (**A**). In vitro stability of in 10% EtOH/PBS (**B**).

Pretargeted bone imaging

	Control [^{111}In]2 (%ID/g)		Control [^{68}Ga]3 (%ID/g)
	SPECT 2 h	SPECT 22 h	PET 2 h
Shoulder	0.06 ± 0.03	0.07 ± 0.03	0.13 ± 0.02
Knee	0.06 ± 0.03	0.07 ± 0.03	0.4 ± 0.2
Muscle	0.2 ± 0.1	0.04 ± 0.02	0.06 ± 0.02
Heart	0.12 ± 0.01	0.04 ± 0.01	0.3 ± 0.1

Table S1. Uptake values in selected tissues from SPECT scans 2 h and 22 h after injection of [^{111}In]**2** in untreated BALB/c mice (*n* = 3) and from PET scans 2 h after injection of [^{68}Ga]**3** in untreated BALB/c mice (*n* = 4). Data is given as mean ± standard error of mean (SEM).

Pretargeted tumour imaging

	Control [^{111}In]2 (%ID/g)		Control [^{68}Ga]3 (%ID/g)
	SPECT 2 h	SPECT 22 h	PET 2 h
Tumour	0.4 ± 0.1	0.08 ± 0.01	0.19 ± 0.03
Heart	0.2 ± 0.5	0.02 ± 0.03	0.18 ± 0.03
Muscle	0.5 ± 0.3	0.04 ± 0.02	0.17 ± 0.03

Table S2. Uptake values from [^{111}In]**2** SPECT/CT (*n* = 3) and [^{68}Ga]**3** PET/CT (*n* = 4) in selected tissues in nude BALB/c mice bearing subcutaneous LS174T tumour xenografts without pre-treatment with **5**. Data is given as mean ± standard error of mean (SEM).