

Electronic Supplementary Data

Development of a New Folate-Derived Ga-68 Based PET Imaging Agent

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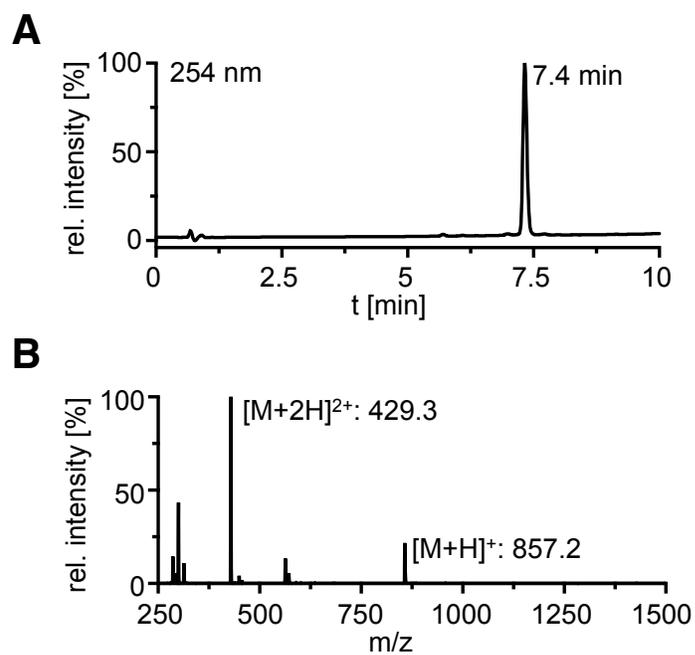


Figure S1. Analysis of folate-NOTA: (A) UV-absorption HPLC trace at 254 nm. (B) ESI-MS spectrum of folate-NOTA.

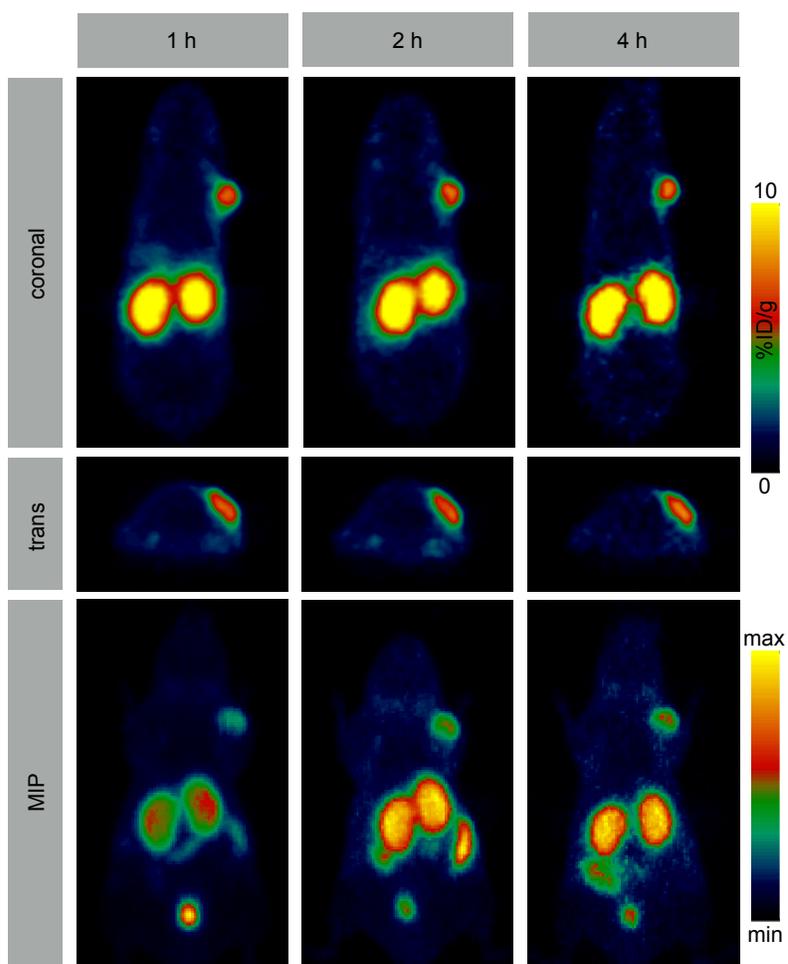


Figure S2. *In vivo* whole body PET imaging. Images were obtained 1 h, 2 h, and 4 h following intravenous administration of [^{68}Ga]folate-NOTA (387 μCi , 3.1 nmol, 200 μl PBS containing 10% ethanol) to a folate receptor-positive (KB) tumor bearing female nude mouse.

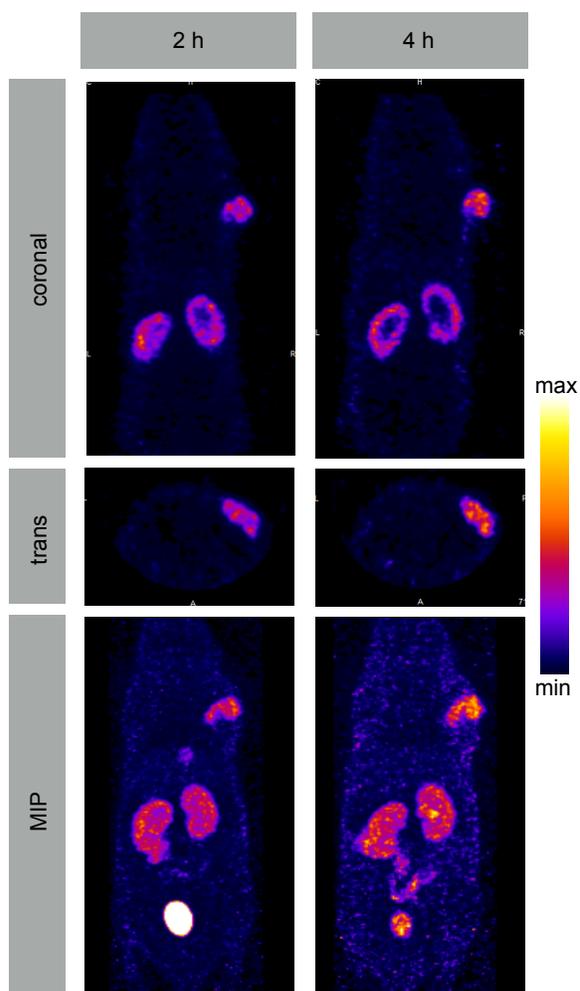


Figure S3. *In vivo* whole body SPECT imaging. Images were obtained 2 h and 4 h following intravenous administration of [^{99m}Tc]EC20 (528 μCi , 3.1 nmol, 200 μl PBS containing 10% ethanol) to a folate receptor-positive (KB) tumor bearing female nude mouse.

	^{99m} Tc-EC20	⁶⁸ Ga-folate-NOTA	⁶⁸ Ga-folate-NOTA + EC20
Blood	0.22 ± 0.05	0.07 ± 0.01	0.37 ± 0.02
Tumor	7.88 ± 0.04	6.61 ± 1.07	2.57 ± 0.45
Heart	0.95 ± 0.10	0.47 ± 0.04	0.36 ± 0.03
Lung	0.56 ± 0.40	0.30 ± 0.17	0.23 ± 0.04
Liver	2.48 ± 0.69	0.38 ± 0.08	0.37 ± 0.07
Spleen	0.18 ± 0.13	0.36 ± 0.53	0.14 ± 0.05
Stomach	1.32 ± 0.32	0.36 ± 0.08	0.33 ± 0.10
S. Intestine	0.90 ± 0.95	0.14 ± 0.12	0.18 ± 0.04
L. Intestine	0.87 ± 0.52	0.74 ± 0.52	0.88 ± 0.80
Kidney	26.11 ± 0.62	21.65 ± 1.11	11.32 ± 0.81
Muscle	0.39 ± 0.24	0.36 ± 0.15	0.11 ± 0.01
Bone	0.29 ± 0.30	0.62 ± 0.94	0.18 ± 0.10
Tail	0.73 ± 0.14	0.56 ± 0.14	0.51 ± 0.20
Skin	1.01 ± 0.83	0.58 ± 0.21	0.39 ± 0.04

Table S1. Biodistribution data of KB tumor bearing nude mice (n = 3) after 4.5 h post injection of [^{99m}Tc]EC20 (501 ± 11 µCi, 18.5 ± 0.4 MBq, 3.1 nmol, 200 µl PBS containing 10% ethanol), [⁶⁸Ga]folate-NOTA (383 ± 53 µCi, 14.2 ± 2.0 MBq, 3.1 nmol, 200 µl PBS containing 10% ethanol), or [⁶⁸Ga]folate-NOTA (222 ± 25 µCi, 8.2 ± 0.9 MBq, 3.1 nmol, 200 µl PBS containing 10% ethanol) co-injected with EC20 (12.5 nmol); all values are represented in mean %ID/g (n = 3) and include the standard deviation.

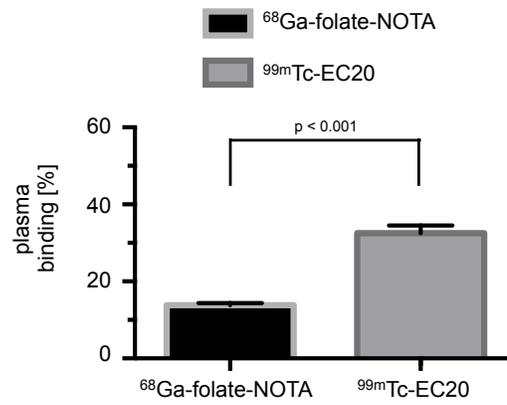


Figure S4. *In vitro* plasma binding studies of [^{68}Ga]folate-NOTA and [$^{99\text{m}}\text{Tc}$]EC20 after incubation (30 min) in human serum.

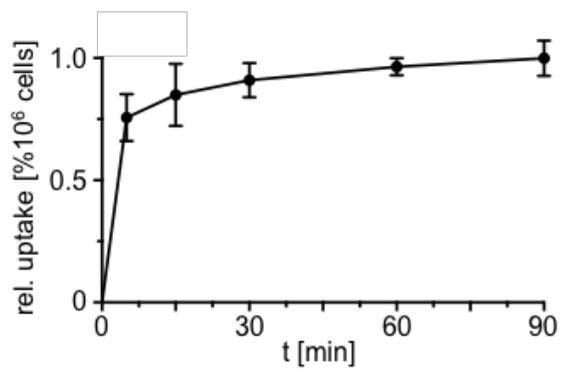


Figure S5. *In vitro* uptake assay using KB cells of [⁶⁸Ga]NOTA-folate (100 nM) after incubation over 5 min, 15 min, 30 min, 60 min, and 90 min at 37 °C.