

Clinical Translational Evaluation of Al¹⁸F-NOTA-FAPI for Fibroblast Activation Protein Targeted Tumour Imaging

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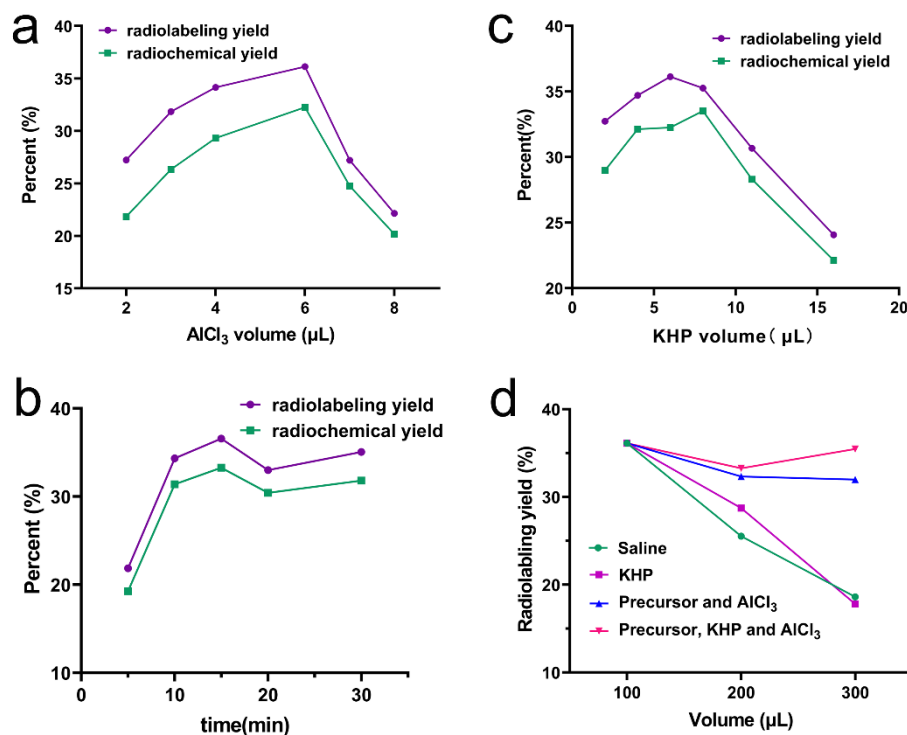


Fig. S1 Parameters that influence the radiolabeling yield of Al¹⁸F-NOTA-FAPI

synthesis. **a** AlCl₃ volume, **b** KHP volume, **c** reaction time, **d** total reaction volume under different conditions

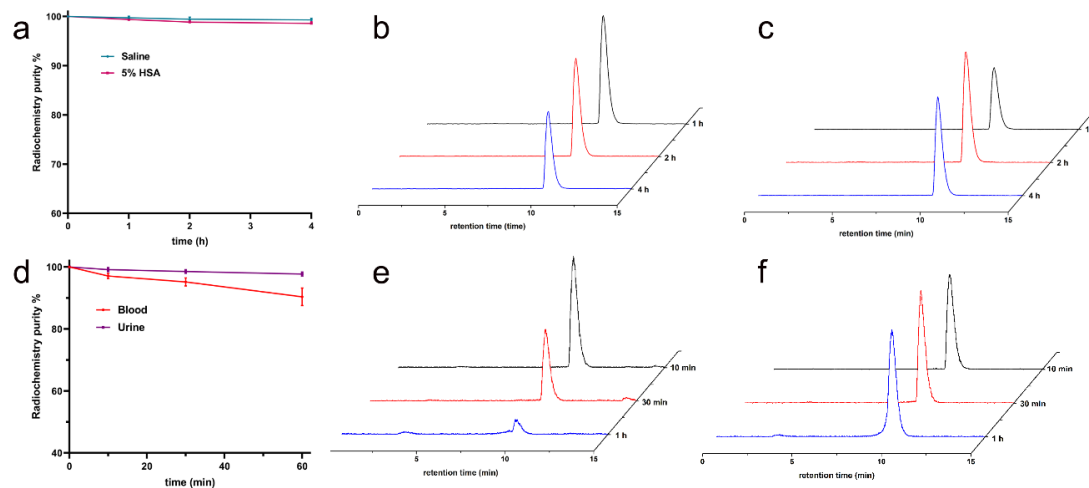


Fig. S2 In vitro and in vivo biostability of Al¹⁸F-NOTA-FAPI probe. **a** In vitro stability of Al¹⁸F-NOTA-FAPI in saline and 5% HSA. **b** Radio-HPLC analysis of Al¹⁸F-NOTA-FAPI incubated in saline for different time. **c** Radio-HPLC analysis of Al¹⁸F-NOTA-FAPI incubated in 5% HSA for different time. **d** In vivo stability of Al¹⁸F-NOTA-FAPI in blood and urine of KM mice. **e** Radio-HPLC analysis of Al¹⁸F-NOTA-FAPI in blood of mice at different time points. **f** Radio-HPLC analysis of Al¹⁸F-NOTA-FAPI in urine of mice at different time points

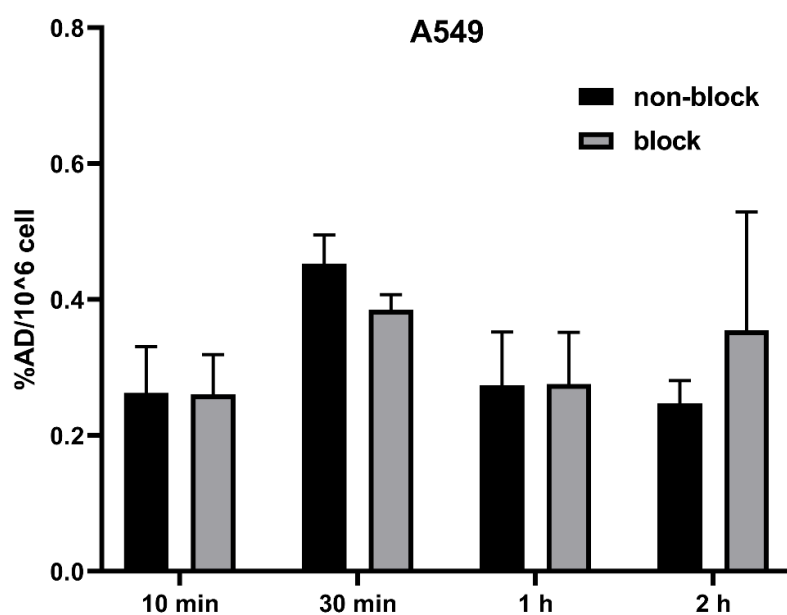


Fig. S3 Uptake of Al¹⁸F-NOTA-FAPI in A549 human lung cancer cell line at different time points

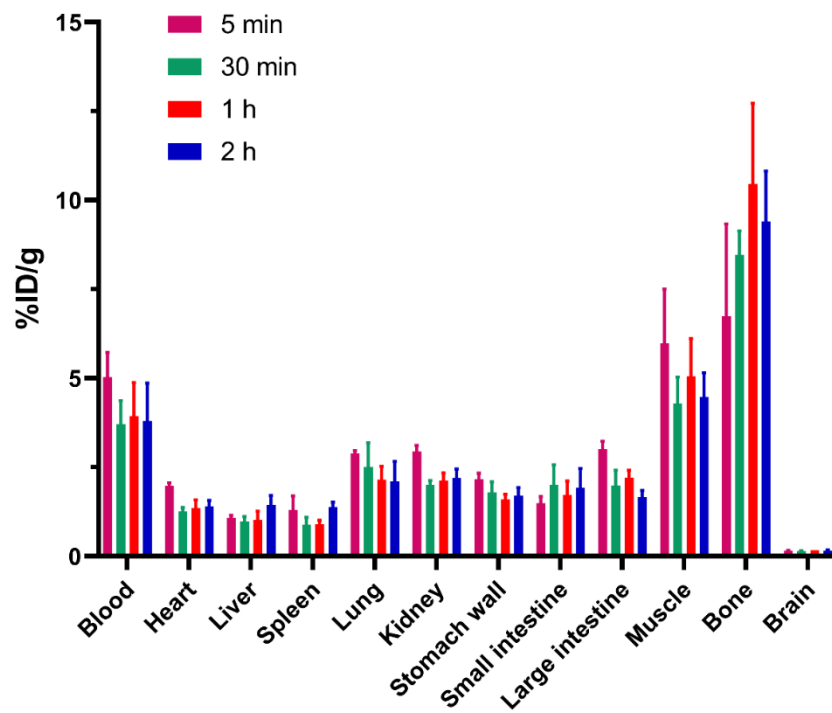


Fig. S4 Biodistribution analysis of ⁶⁸Ga-DOTA-FAPI-04 in KM mice (n = 3)

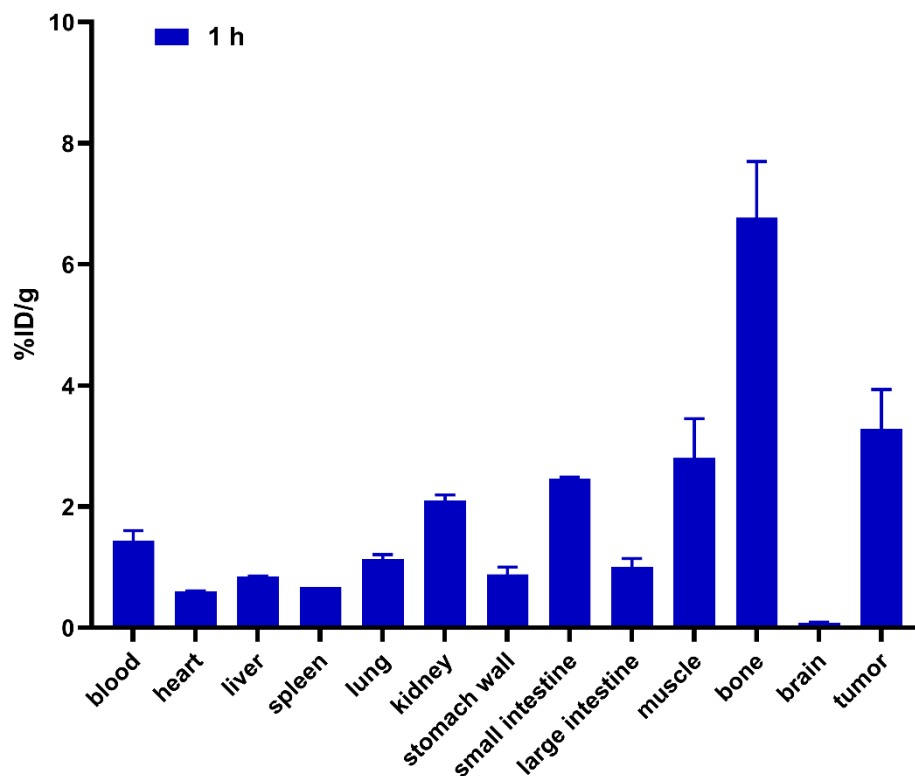


Fig. S5 Biodistribution of Al¹⁸F-NOTA-FAPI in A549 tumour bearing mice (n = 3)

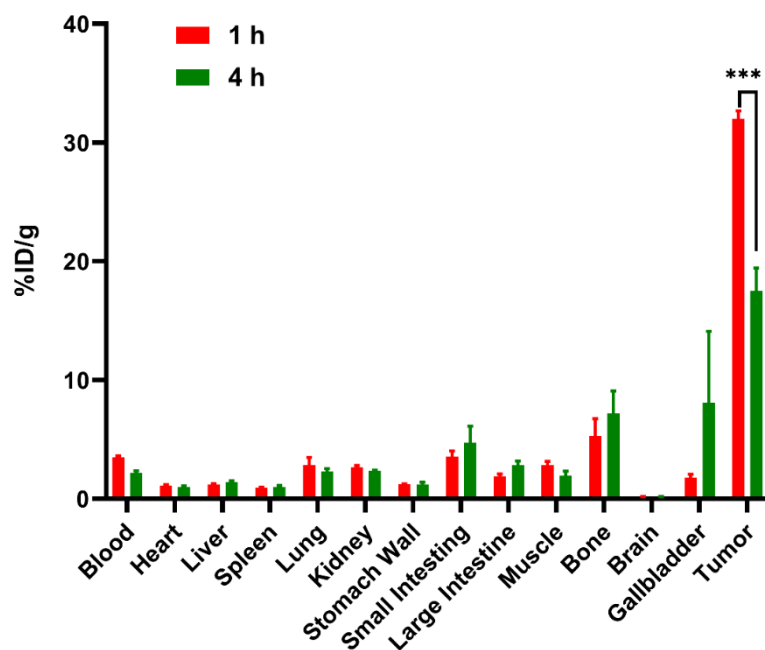


Fig. S6 Biodistribution analysis of ⁶⁸Ga-DOTA-FAPI-04 in U87MG tumour bearing mice at 1 h and 4 h post injection (n = 3)

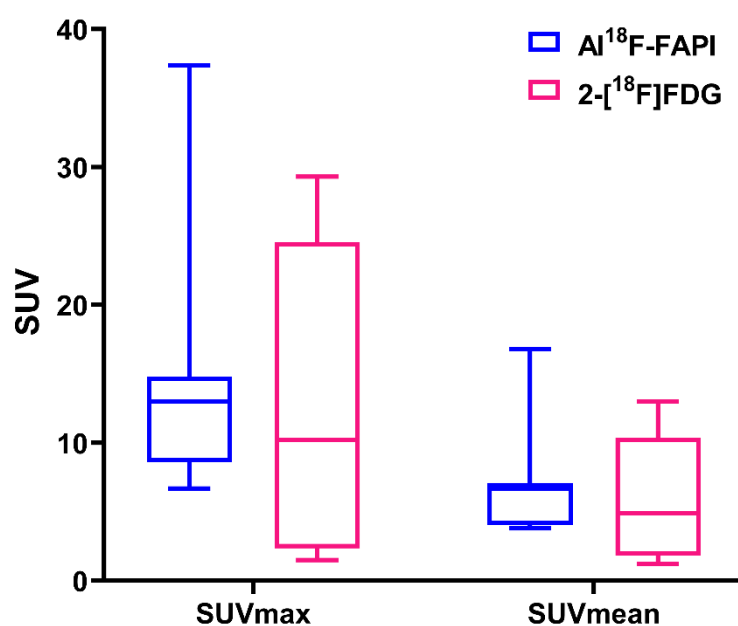


Fig. S7 Comparison of SUVmax and SUVmean values in primary tumours between Al¹⁸F-NOTA-FAPI and 2-[¹⁸F]FDG PET/CT imaging

Table S1 IC₅₀ value of NOTA-FAPI in this study and several other FAPI probes reported earlier

FAPI probe	IC ₅₀
NOTA-FAPI (this work)	1.73 ± 0.93 nM
FAPI-04	6.5 nM
FAPI-21	6.7 nM
FAPI-35	7.2 nM
FAPI-46	13.5 nM
FAPI-55	5.4 nM

Table S2 Radiation effective dose of Al¹⁸F-NOTA-FAPI probe and other FAPI probes as well as several clinical radiopharmaceuticals

PET tracer	Effective dose (mSv/MBq)	Reference
Al ¹⁸ F-NOTA-FAPI	0.012	This work

¹⁸F-FAPI-74	0.014	(1)
⁶⁸Ga-FAPI-04	0.016	(2)
⁶⁸Ga-FAPI-46	0.008	(3)
⁶⁸Ga-FAPI-74	0.016	(1)
2-[¹⁸F]FDG	0.02	(4)
⁶⁸Ga-PSMA-11	0.023	(5)
⁶⁸Ga-DOTATATE	0.021	(6)

Table S3 SUVmax and SUVmean values in the tumour lesions of individual patient

Patient No.	SUVmax		SUVmean	
	Al¹⁸F-NOTA-FAPI	2-[¹⁸F]FDG	Al¹⁸F-NOTA-FAPI	2-[¹⁸F]FDG
1	13.6	28.5	6.7	9.7
2	13	16.9	6.9	9.1
3	15.3	29.3	7.2	13
4	8.7	2	4.1	1.7
5	37.4	2.7	16.8	1.9
6	14.3	10.2	7	4.9
7	10.3	3.8	6	1.9
8	6.7	1.5	3.8	1.2
9	8.5	20.6	4	11
10	17.9	/	9.1	/

References

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