

Supporting Information

MT1-MMP activatable fluorogenic probes with enhanced specificity via high-affinity peptide conjugation for tumor imaging

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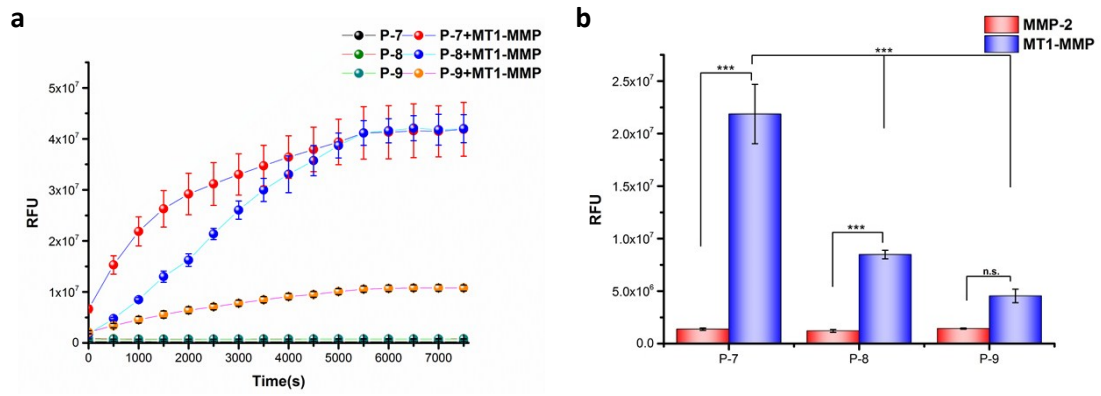


Fig. S1 Activation efficiency evaluation of three fluorogenic probes (P-7, P-8 and P-9) by the MT1-MMP. (a) Fluorescence emission kinetic spectra of three probes (P-7, P-8 and P-9, 1 μ M) in the presence of MT1-MMP (45 nM). (b) Fluorescence activation of fluorogenic probes (1 μ M) by the same concentration (45 nM) of MMP-14 and MMP-2 following a 7 min incubation at 37 $^{\circ}$ C. And the data are shown as the mean \pm SD. n.s., not significant; asterisks (*) represent statistically significant (** p < 0.01, and *** p < 0.001).

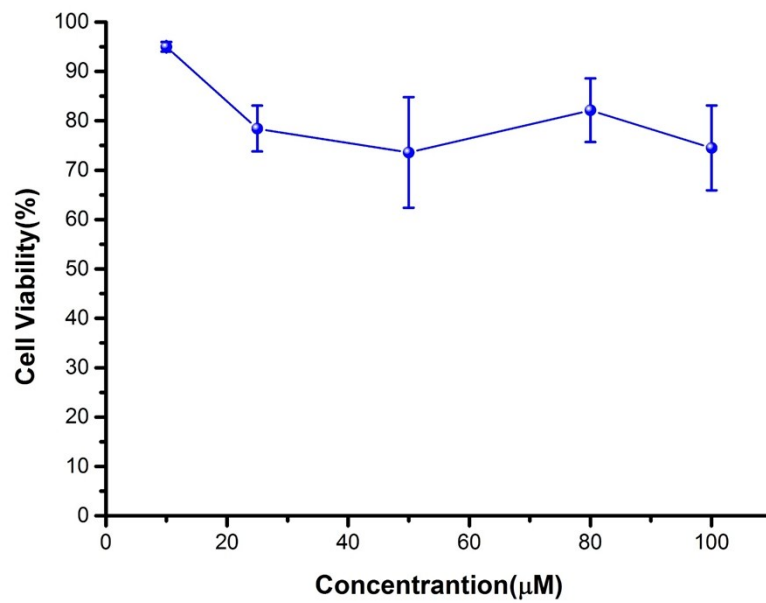


Fig. S2 Preliminary toxicity assessment of P-7. Cell viability study of P-7 with HUVEC cells.

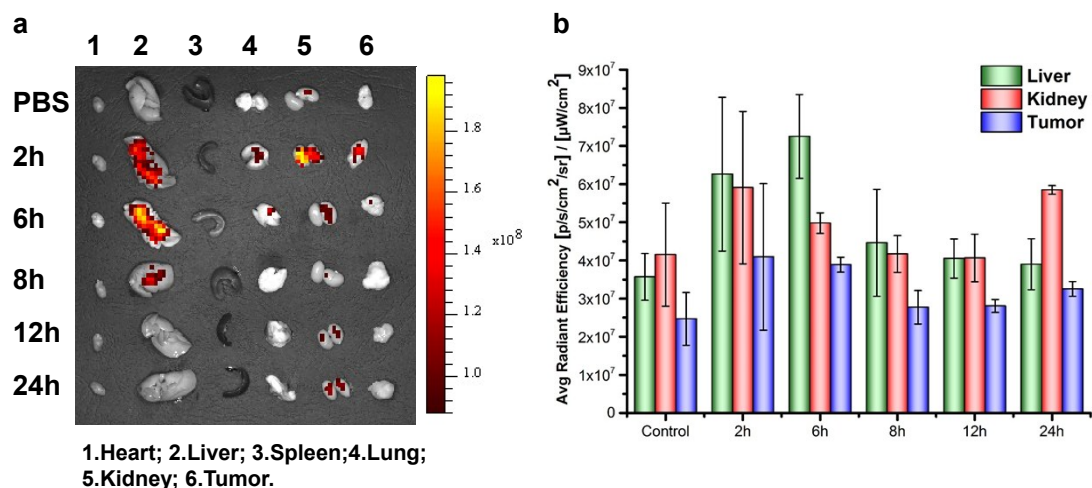


Fig. S3 The fluorescence distribution of the probe P-7 after intravenous injection. (a) Images of the main organs of tumor-bearing mice sacrificed at different time point post-injection (0, 2, 6, 8, 12, and 24 h) after intravenous injection of the fluorescent probes. (Lanes 1, Heart; Lanes 2, Liver; Lanes 3, Spleen; Lanes 4, Lung; Lanes 5, Kidney; Lanes 6, Tumor.). (b) Radiant efficiency in the tumor, liver and kidney.

Table S1 Kinetic parameters of the fluorogenic probes (P-5 and P-7) catalyzed by MMP-14

Probes	Sequences	K_m (μM)	k_{cat} (s^{-1})	k_{cat}/K_m ($\text{M}^{-1} \text{s}^{-1}$)
P-5	(MCA)-PLGL-(Dpa)-AR-(PEG) ₁₂ - HWKHLHNTKTFL	0.40±0.03	$2.44 \times 10^{-3} \pm 0.04 \times 10^{-3}$	$6.15 \times 10^{-3} \pm 0.44 \times 10^{-3}$
P-7	(FAM)-PLGLK-(DabcyI)-AR-(PEG) ₁₂ - HWKHLHNTKTFL	11.41±1.07	$4.07 \times 10^{-2} \pm 0.21 \times 10^{-2}$	$3.56 \times 10^{-3} \pm 0.33 \times 10^{-3}$