Supplemental Information

Supplemental Figures

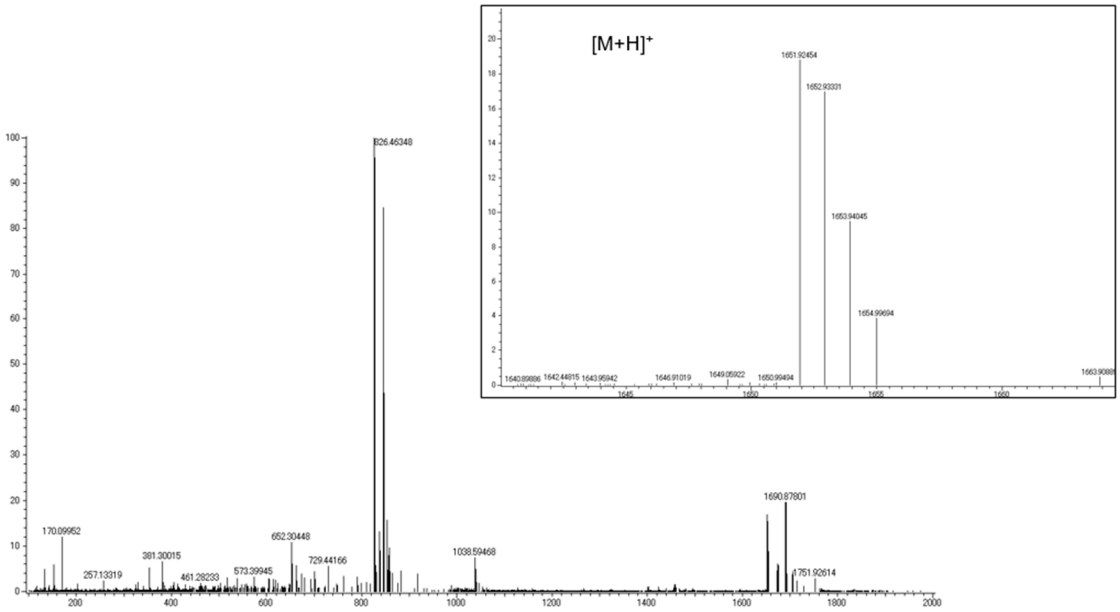


Figure S1. High-resolution electrospray ionisation mass spectra of DOTA-Ahx-R954. Inset: expansion to show isotopic pattern.

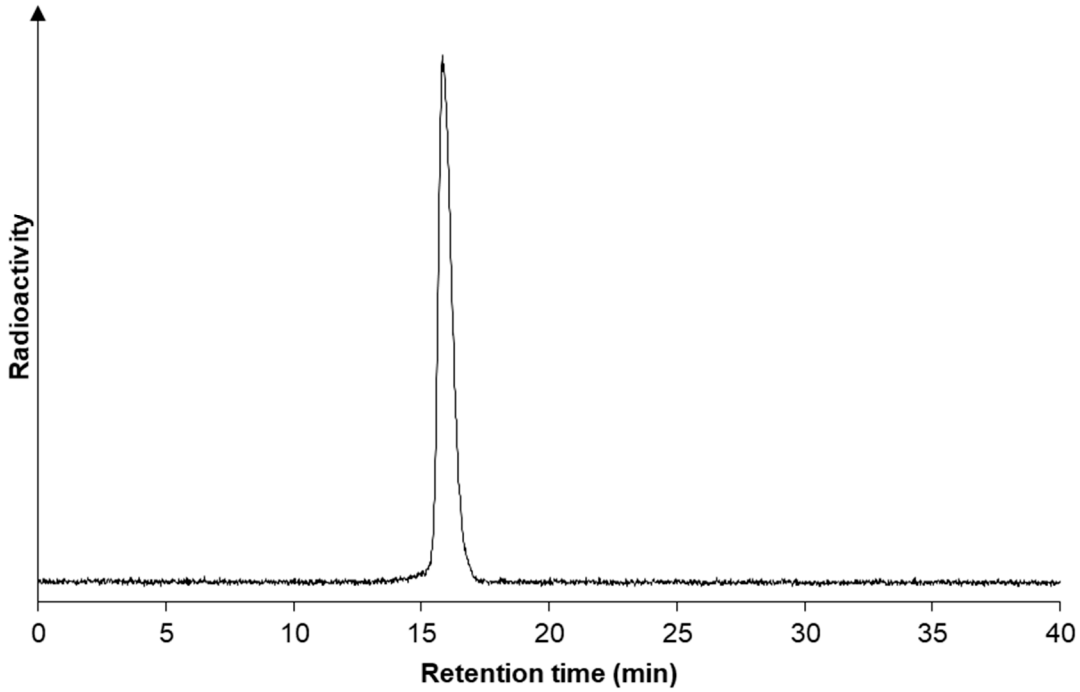
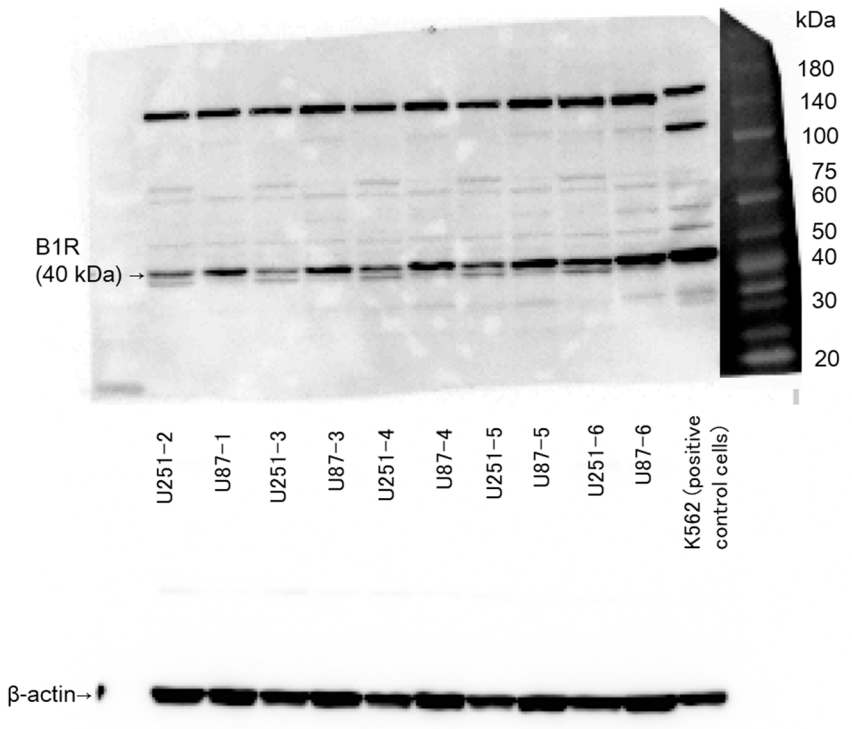


Figure S2. RP-HPLC chromatogram of [^{111}In]In-DOTA-Ahx-R954.

(A)



(B)

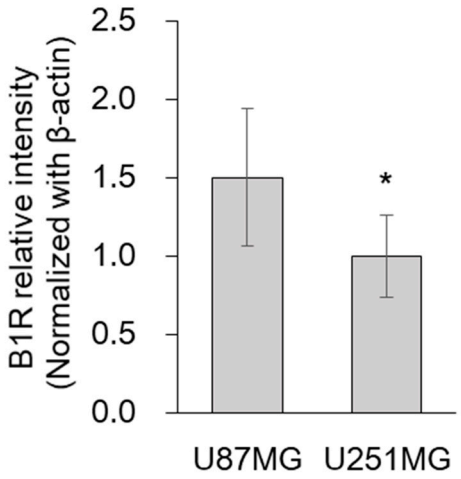


Figure S3. Extended data from the western blot analysis of B1R shown in Figure 2-A. (**A**) Uncropped western blot images; (**B**) Quantitative analysis of relative intensity of B1R expression. The values represent the signal intensity of protein bands in arbitrary units after normalisation with the signal intensity of β-actin internal control for each sample. Data were collected from three independent experiments and presented as means ± SD. * $p < 0.001$, U87MG vs. U251MG.

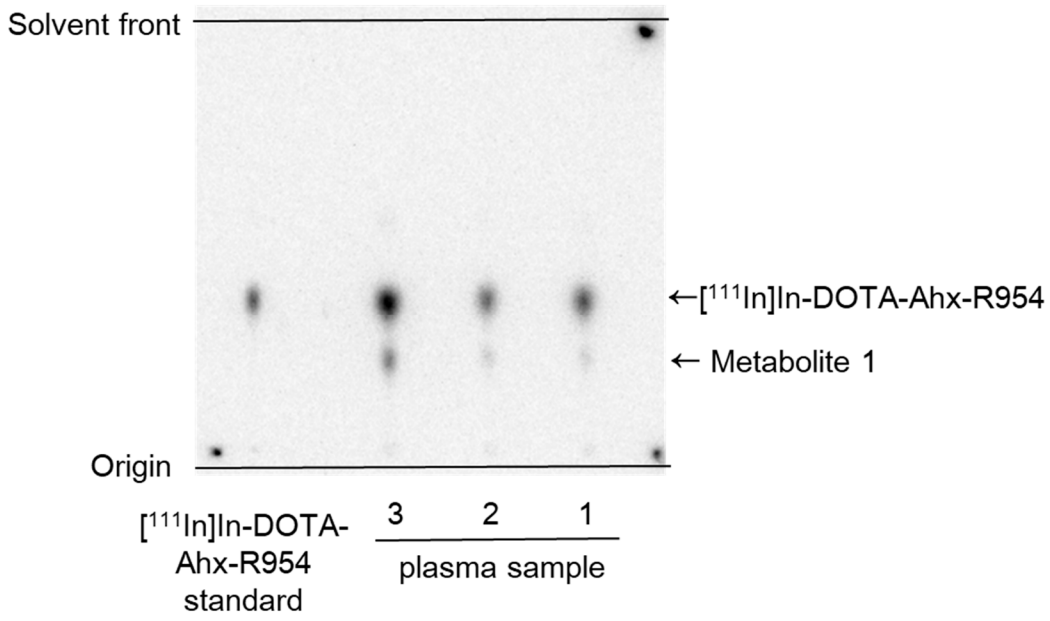


Figure S4. Representative TLC chromatograms of mouse plasma samples 60 min after the injection of [^{111}In]In-DOTA-Ahx-R954. One radiometabolite (Metabolite 1) was observed, and 79.6 ± 3.1% of radioactivity remained intact ([^{111}In]In-DOTA-Ahx-R954) in plasma.

Supplemental Methods

Metabolite analysis of mouse plasma samples

Blood samples were obtained from C57BL/6JSlc male mice (6 weeks old, Japan SLC, Inc., Hamamatsu, Japan) at 60 min following the injection of 74 kBq of [^{111}In]In-DOTA-Ahx-R954. The blood was spun at 12,000 rpm for 5 min, and two-fold volumes of acetonitrile were added to the aliquot of plasma. The resulting mixture was centrifuged at 12,000 rpm for 2 min at 4 °C. The aliquot (20 μL) of the supernatant was analysed using TLC Silicagel 60 F254 plates (Merck KGaA). Plates were developed with methanol/10% ammonium chloride (50/50, v/v) as the mobile phase. Dried plates were exposed using an imaging plate overnight and were imaged using an Amersham™ Typhoon™ scanner.