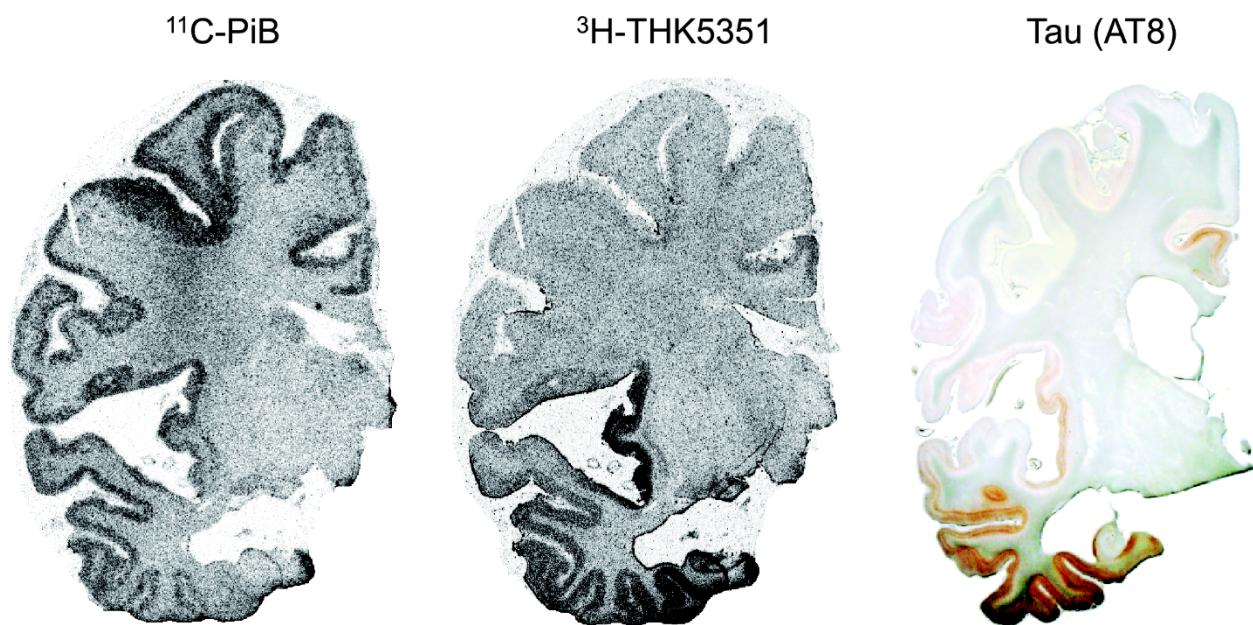


Supplemental Figure 1. (A) *In vitro* saturation binding of ^{18}F -THK5351 to hippocampal brain homogenates from AD patients. A Scatchard plot of the binding is also shown; $K_d = 2.9 \text{ nmol/L}$ and $B_{\max} = 368.3 \text{ pmol/g tissue}$. (B) Correlation analysis between ^3H -THK5351 and ^3H -THK5117 binding to postmortem brain homogenates from 8 AD patients. The specific binding of THK5351 and THK5117 was highly correlated ($r = 0.98$, $P < 0.0001$).



Supplemental Figure 2. ^3H -THK5351 and ^{11}C -PiB autoradiography images of hemibrain sections from an AD patient and anti-tau (AT8) immunostaining in the adjacent section.

Supplemental Table 1. Demographic characteristics of healthy controls and patients with Alzheimer's disease

	Healthy control		Alzheimer's disease	
	(n = 3)		(n = 3)	
Age	74.3	± 6.5	75.3	± 15.3
Gender (M/F)	2	/ 1	3	/ 0
Years of education	12.3	± 3.5	12.3	± 3.5
MMSE score	28.7	± 2.3	20.0	± 4.6
ADAS-cog score	7.7	± 4.2	23.7	± 8.7
Logical memory II score	23.3	± 8.6	0.7	± 1.2

Supplemental Table 2. Biodistribution in ICR mice after intravenous injection of ¹⁸F-THK5351 and ¹⁸F-THK5117 (%dose/g, avg. of 4 mice (\pm SD))

¹⁸F-THK5351

Organ	2 min	10 min	30 min	60 min	120 min
Blood	3.50 \pm 0.35	1.01 \pm 0.13	0.25 \pm 0.05	0.13 \pm 0.04	0.11 \pm 0.04
Brain	4.36 \pm 0.19	1.10 \pm 0.19	0.21 \pm 0.03	0.13 \pm 0.03	0.12 \pm 0.02
Liver	7.53 \pm 0.89	10.70 \pm 1.45	2.00 \pm 0.10	0.70 \pm 0.25	0.50 \pm 0.09
Kidney	8.19 \pm 0.59	2.56 \pm 0.52	0.53 \pm 0.06	0.22 \pm 0.07	0.15 \pm 0.03
Heart	6.24 \pm 0.21	1.11 \pm 0.15	0.25 \pm 0.12	0.12 \pm 0.05	0.09 \pm 0.02
Lung	7.71 \pm 0.93	2.00 \pm 0.42	0.55 \pm 0.23	0.20 \pm 0.07	0.12 \pm 0.03
Spleen	2.41 \pm 0.27	1.26 \pm 0.14	0.18 \pm 0.04	0.08 \pm 0.03	0.07 \pm 0.02
Stomach	4.63 \pm 1.71	3.37 \pm 0.97	2.69 \pm 0.88	0.92 \pm 0.32	0.56 \pm 0.29
Small intestine	4.70 \pm 0.54	14.25 \pm 1.81	33.10 \pm 3.03	34.12 \pm 6.20	10.39 \pm 1.59
Large intestine	1.76 \pm 0.12	2.35 \pm 0.99	2.02 \pm 0.28	2.05 \pm 0.92	17.56 \pm 4.95
Bladder	1.92 \pm 0.47	2.27 \pm 1.26	2.72 \pm 2.19	0.28 \pm 0.13	0.29 \pm 0.09
Bone	1.20 \pm 0.23	0.67 \pm 0.15	0.27 \pm 0.06	0.31 \pm 0.07	0.68 \pm 0.24
Muscle	2.96 \pm 0.21	1.14 \pm 0.29	0.24 \pm 0.02	0.13 \pm 0.05	0.09 \pm 0.03

¹⁸F-THK5117

Organ	2 min	10 min	30 min	60 min	120 min
Blood	2.03 \pm 0.41	1.16 \pm 0.04	0.56 \pm 0.07	0.34 \pm 0.02	0.25 \pm 0.04
Brain	6.95 \pm 0.33	3.03 \pm 0.31	0.72 \pm 0.09	0.29 \pm 0.03	0.22 \pm 0.01
Liver	7.63 \pm 0.60	14.70 \pm 1.03	7.15 \pm 1.57	2.81 \pm 0.20	2.60 \pm 0.46
Kidney	10.15 \pm 1.16	3.87 \pm 0.43	1.54 \pm 0.21	0.73 \pm 0.09	0.44 \pm 0.05
Heart	6.67 \pm 0.93	2.20 \pm 0.27	0.94 \pm 0.12	0.42 \pm 0.05	0.28 \pm 0.01
Lung	8.58 \pm 1.40	3.13 \pm 0.25	1.26 \pm 0.08	0.47 \pm 0.06	0.29 \pm 0.05
Spleen	3.43 \pm 0.44	2.24 \pm 0.36	0.85 \pm 0.17	0.36 \pm 0.11	0.21 \pm 0.06
Stomach	4.58 \pm 1.90	4.04 \pm 0.75	5.18 \pm 2.18	1.60 \pm 0.53	1.93 \pm 1.35
Small intestine	4.98 \pm 0.41	11.60 \pm 1.20	17.24 \pm 2.93	19.36 \pm 2.56	18.48 \pm 0.68
Large intestine	1.79 \pm 0.18	2.02 \pm 0.55	1.54 \pm 0.10	2.08 \pm 0.68	34.83 \pm 6.06
Bladder	4.41 \pm 2.81	2.93 \pm 0.87	6.73 \pm 4.50	4.22 \pm 3.73	0.51 \pm 0.14
Bone	2.02 \pm 0.36	0.92 \pm 0.10	0.63 \pm 0.10	0.63 \pm 0.14	1.02 \pm 0.28
Muscle	3.89 \pm 2.13	1.45 \pm 0.09	0.71 \pm 0.08	0.36 \pm 0.10	0.19 \pm 0.02

Supplemental Table 3. Average absorbed-dose estimates [$\mu\text{Gy}/\text{MBq}$] for target organs (mouse data)

Target Organs	THK5351(male)	THK5351(Female)
Adrenal	12.7	15.8
Brain	3.8	4.9
Breasts	10.4	12.4
Gallbladder wall	15.4	18.8
Lower large intestine wall	22.6	29.6
Small intestine	53.0	71.6
Stomach wall	14.1	17.3
Upper large intestine wall	26.5	35.2
Heart wall	6.2	7.9
Kidneys	8.1	10.2
Liver	9.4	13.7
Lungs	6.3	8.0
Muscle	7.5	9.4
Ovary	-	23.7
Pancreas	13.9	17.0
Red Marrow	12.2	14.5
Osteogenic Cells	19.0	23.6
Skin	9.2	11.1
Spleen	6.7	8.7
Testis	12.0	-
Thymus	11.2	14.3
Thyroid	11.7	13.6
Urinary bladder wall	23.9	33.8
Uterus	-	23.2
Total body	12.1	15.6
Effective Dose [$\mu\text{Sv}/\text{MBq}$]	14.4	18.4