THK5351

Cat. No.: HY-101183

CAS No.: 1707147-26-9

Molecular Formula: $C_{18}H_{18}FN_3O_2$

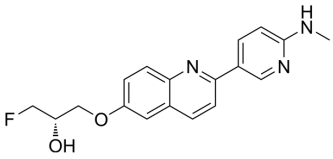
Molecular Weight: 327.35

Target: Tau Protein

Pathway: Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (152.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0548 mL	15.2742 mL	30.5483 mL
	5 mM	0.6110 mL	3.0548 mL	6.1097 mL
	10 mM	0.3055 mL	1.5274 mL	3.0548 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

THK5351 can be radiolabeled and used as a radiotracer for in vivo imaging of tau pathology in the brain.

In Vitro

Aggregated tau protein is a major neuropathological substrate central to the pathophysiology of neurodegenerative diseases such as Alzheimer's disease (AD). ^{18}F-THK5351 binds to Alzheimer disease hippocampal homogenates with high affinity (K_d=2.9 nM; maximum number of binding sites=368.3 pmol/g tissue). It has fast dissociation from white-matter tissue. The THK5351 binding amount correlates with the amount of tau deposits in tissue[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	THK5351 exhibits favorable pharmacokinetics and no defluorination in mice. ^{18}F-THK5351 enters the brain immediately after intravenous injection and shows a fast washout from the brain. At 0.1 and 1 mg/kg, no animals died and no treatment-related changes in any animal are noted in clinical observations, body weight measurement, and pathologic examination[1]. Autoradiography in the brain sections of patients with PSP demonstrates [^{3}H]THK-5351 binding to tau deposits with a high selectivity. Although patients with PSP exhibits no remarkable [^{18}F]THK-5351 retention in the temporal cortex, significantly higher tracer retention is observed in the globus pallidus and midbrain[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Harada R, et al. 18F-THK5351: A Novel PET Radiotracer for Imaging Neurofibrillary Pathology in Alzheimer Disease. J Nucl Med. 2016 Feb;57(2):208-14.

[2]. Ishiki A, et al. Tau imaging with [18 F]THK-5351 in progressive supranuclear palsy. Eur J Neurol. 2017 Jan;24(1):130-136.

Caution: Product has not been fully validated for medical applications. For research use only.

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