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产品名称：THK5351
产品别名：THK5351

生物活性：

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].
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].
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.64 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

	Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.64 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.64 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.