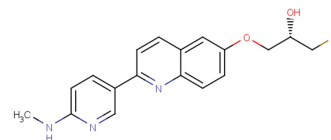


THK5351

## Chemical Properties

|                   |  |
|-------------------|--|
| CAS No.:          | 1707147-26-9   |
| Formula:          | C <sub>18</sub> H <sub>18</sub> FN <sub>3</sub> O <sub>2</sub>         |
| Molecular Weight: | 327.35   |
| Appearance:       | N/A  |
| Storage:          | 0-4°C for short term (days to weeks), or -20°C for long term (months). |



## Biological Description

|                            |   |
|----------------------------|---|
| Description                | THK5351 can be radiolabeled and used as a radiotracer for in vivo imaging of brain tau pathology.   |
| Targets(IC <sub>50</sub> ) | Others: None  |
| In vitro                   | Aggregated tau protein is a major neuropathological substrate central to the pathophysiology of neurodegenerative diseases such as Alzheimer's disease (AD). <sup>18</sup> F-THK5351 binds to Alzheimer disease hippocampal homogenates with high affinity (K <sub>d</sub> =2.9 nM; maximum number of binding sites=368.3 pmol/g tissue). It has fast dissociation from white-matter tissue. In tissue, The THK5351 binding amount correlates with the amount of tau deposits [1].  |
| In vivo                    | In mice, THK5351 exhibits favorable pharmacokinetics and no defluorination. <sup>18</sup> 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]. [ <sup>3</sup> H]THK-5351 binding to tau deposits with a high selectivity. in the temporal cortex, Although patients with PSP exhibits no remarkable [ <sup>18</sup> F]THK-5351 retention, significantly higher tracer retention is observed in the globus pallidus and midbrain[2]. |

## Solubility Information

|            |  |
|------------|--|
| Solubility | DMSO: 50 mg/mL (152.74 mM)<br>( < 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--|

### Preparing Stock Solutions

|       | 1mg      | 5mg       | 10mg      |
|-------|----------|-----------|-----------|
| 1 mM  | 3.055 mL | 15.274 mL | 30.548 mL |
| 5 mM  | 0.611 mL | 3.055 mL  | 6.11 mL   |
| 10 mM | 0.305 mL | 1.527 mL  | 3.055 mL  |
| 50 mM | 0.061 mL | 0.305 mL  | 0.611 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

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.

Inhibitors · Natural Compounds · Compound Libraries

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use.

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