

Product Data Sheet

Product Name: THK5351

Cat. No.: GC30814

Chemical Properties

Cas. No. 1707147-26-9

SMILES O[C@@H](COC1=CC=C2N=C(C3=CC=C(NC)N=C3)C=CC2=C1)CF

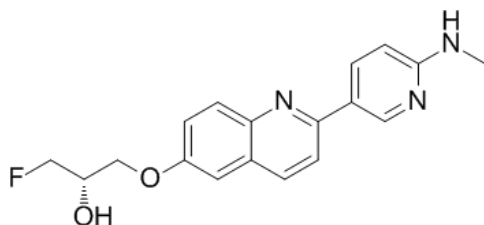
Formula $C_{18}H_{18}FN_3O_2$ M.Wt 327.35

Solubility DMSO : 50 mg/mL (152.74 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Radiochemistry and Clinical PET Imaging Methods for ^{18}F -THK5351^[1-2]

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

This protocol is adapted from research data and provided for reference only. Adjustments may be necessary based on specific experimental requirements.

1. Radiochemical Synthesis of ^{18}F -THK5351 from THK535:

(1) The target water containing fluorine-18 ($^{18}\text{F}^-$), produced by a cyclotron, is passed through a Sep-Pak Light Accell Plus QMA cartridge. The $^{18}\text{F}^-$ is captured on the cartridge. It is then eluted into a reaction vial using a Kryptofix solution. The eluate is mixed with acetonitrile, and water is removed by azeotropic distillation to obtain a dry ^{18}F -Kryptofix 222/ K_2CO_3 complex.

(2) THK5352 (3mg, 5.3 μmol) dissolved in 0.7mL of DMSO is added to the dry reaction vial. The mixture is stirred at 110°C for 10 minutes. Then, 0.2mL of 2mol/L aqueous HCl is added, and stirring continues at 110°C for another 3 minutes. Subsequently, 1mL of 0.8mol/L aqueous AcOK and 7mL of distilled water are added to quench the reaction.

(3) The product is eluted from a C18 cartridge using 60% ethanol. The ethanolic eluate is mixed with water and then purified by semi-preparative HPLC. Chromatographic conditions: Inertsil ODS-4 column, mobile phase: 20mmol/L NaH_2PO_4 / acetonitrile (75/25, v/v), flow rate: 5.0mL/min. The HPLC fraction corresponding to ^{18}F -THK5351 is collected.

2. PET Imaging Procedure: Intravenously inject a dose of 185MBq of ^{18}F -THK5351. Perform a dynamic PET scan using a PET scanner for 90 minutes. Reconstruct the PET images and calculate standardized uptake value (SUV) images. Co-register the individual's T1-weighted MRI image with the early (0-10 minutes post-injection) PET image. Spatially normalize the co-registered MR and PET images to a template in Talairach space. Manually or semi-automatically place regions of interest (ROIs) on the normalized images. Calculate the ratio of the SUV in each ROI to the SUV in the cerebellar cortex (SUVR) as an index of tracer retention.

Precautions:

(1) Operators must strictly adhere to radiation protection regulations, wear appropriate protective equipment, and perform the final sterile filtration and dispensing of the product under aseptic conditions.

References:

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

- [1] Harada R, Okamura N, Furumoto S, 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] Okamura N, Furumoto S, Harada R, et al. Novel 18F-labeled arylquinoline derivatives for noninvasive imaging of tau pathology in Alzheimer disease. J Nucl Med. 2013 Aug;54(8):1420-7.

Background

THK5351, after being radiolabeled, is a positron emission tomography (PET) tau protein imaging tracer. THK5351 visualizes tau protein pathology in the brain by binding to the β -sheet structure of tau protein in neurofibrillary tangles^[1-4].

References:

- [1] Brendel M, Wagner L, Levin J, et al. Perfusion-Phase [18F]THK5351 Tau-PET Imaging as a Surrogate Marker for Neurodegeneration. J Alzheimers Dis Rep. 2017 Sep 28;1(1):109-113.
- [2] Kang JM, Lee SY, Seo S, et al. Tau positron emission tomography using [18F]THK5351 and cerebral glucose hypometabolism in Alzheimer's disease. Neurobiol Aging. 2017 Nov;59:210-219.
- [3] Kikuchi A, Okamura N, Hasegawa T, et al. In vivo visualization of tau deposits in corticobasal syndrome by 18F-THK5351 PET. Neurology. 2016 Nov 29;87(22):2309-2316.
- [4] Harada R, Ishiki A, Kai H, Sato N, et al. Correlations of 18F-THK5351 PET with Postmortem Burden of Tau and Astroglisis in Alzheimer Disease. J Nucl Med. 2018 Apr;59(4):671-674.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA