

Product Data Sheet

Product Name: PE859
Cat. No.: GC30801

Chemical Properties

Cas. No. 1402727-29-0

SMILES COC1=CC(OCC2=NC=CC=C2)=CC=C1/C=C/C3=CC(/C=C/C4=CC5=C(C=C4)C=CN5)=NN3

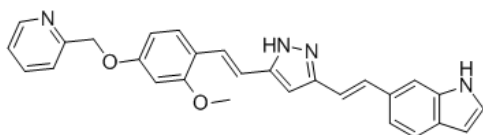
Formula $C_{28}H_{24}N_4O_2$ M.Wt 448.52

Solubility DMSO : 50 mg/mL (111.48 mM); Water : < 0.1 mg/mL (insoluble) 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

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

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Kinase experiment: Tau aggregation is monitored using thioflavin T. The test compound (PE859), 10 μ M 3RMBD and 10 μ M heparin are dissolved in 50 mM Tris-HCl (pH7.6), and incubated at 37°C up to 144 hours. At each point of incubation time, 135 μ L of the solutions are removed and mixed with 15 μ L of 100 μ M ThT solution (final concentration: 10 μ M) and the fluorescence intensity with excitation at 440 nm and emission at 486 nm is measured[2].

Animal experiment: Mice: PE859 is dissolved in 80% PEG400 and 20% water solution at 5 mg/mL, and orally-administered at a dose of 40 mg/kg/day for 6 months (from 9 to 15 months of age). The body weights of the mice are measured once a week during PE859 treatment[2].

References:

- [1]. Okuda M, et al. Design and synthesis of curcumin derivatives as tau and amyloid β dual aggregation inhibitors. Bioorg Med Chem Lett. 2016 Oct 15;26(20):5024-5028.
[2]. Okuda M, et al. PE859, a novel tau aggregation inhibitor, reduces aggregated tau and prevents onset and progression of neural dysfunction in vivo. PLoS One. 2015 Feb 6;10(2):e0117511.

Background

PE859 is a potent inhibitor of both tau and A β aggregation with IC₅₀ values of 0.66 and 1.2 μ M, respectively.

PE859 inhibits the heparin-induced aggregation of both 3RMBD and full length tau in a concentration-dependent manner. In each assay, the IC₅₀ values calculated at the last measurement periods are 0.81 μ M, and 2.23 μ M, respectively. PE859 inhibits tau aggregation through formation of beta-sheet structure[2].

PE859 could cross the blood-brain barrier and that PE859 could be distributed into the tissues of the central nervous system. The maximum concentration of PE859 is 2.005 μ g/mL in the blood at 3 h and 1.428 μ g/g in the brain at 6 h. PE859 delays onset and progression of the motor dysfunction in JNPL3 mice. PE859 delays progression of the motor dysfunction through the inhibition of

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accumulation of sarkosyl-insoluble tau. [2]

[1]. Okuda M, et al. Design and synthesis of curcumin derivatives as tau and amyloid β dual aggregation inhibitors. *Bioorg Med Chem Lett*. 2016 Oct 15;26(20):5024-5028. [2]. Okuda M, et al. PE859, a novel tau aggregation inhibitor, reduces aggregated tau and prevents onset and progression of neural dysfunction in vivo. *PLoS One*. 2015 Feb 6;10(2):e0117511.

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