Data Sheet (Cat.No.T4077)

PE859

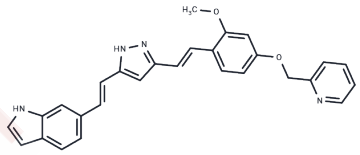
Chemical Properties

CAS No. : 1402727-29-0

Formula: C28H24N4O2

Molecular Weight: 448.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	PE859 is a potent inhibitor of the aggregation of both tau and Aβ.
Targets(IC50)	Beta Amyloid,Gamma-secretase,Microtubule Associated
In vitro	In an Alzheimer's patient's brain, senile plaques and neurofibrillary tangles, the abnormal aggregates of amyloid β (Aβ) peptide and Tau Protein, are observed as the two major hallmarks of this disease. 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 μM, and 2.23 μM, respectively. PE859 inhibits tau aggregation through the formation of a beta-sheet structure[2].
In vivo	PE859 effectively crosses the blood-brain barrier, enabling its distribution within central nervous system tissues. It reaches a peak concentration of 2.005 μg/mL in blood at 3 hours and 1.428 μg/g in the brain by 6 hours. Notably, PE859 postpones the onset and advancement of motor dysfunction in JNPL3 mice by inhibiting the buildup of sarkosyl-insoluble tau. [2]
Kinase Assay	PEL cells are incubated in triplicate in a 96-well microculture plate in the presence of different concentrations of methyl-β-cyclodextrin (0-10 mM) in a final volume of 0.1 mL for 24 h at 37°C. Subsequently, MTT (0.5 mg/mL final concentration) is added to each well. After 3 h of additional incubation, 100 μL of a 0.04 N HCl is added to dissolve the crystals. Absorption values at 570 nm are determined.

Solubility Information

Solubility	DMSO: 55 mg/mL (122.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.46 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2296 mL	11.1478 mL	22.2955 mL
5 mM	0.4459 mL	2.2296 mL	4.4591 mL
10 mM	0.223 mL	1.1148 mL	2.2296 mL
50 mM	0.0446 mL	0.223 mL	0.4459 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Okuda, M., Hijikuro, I., Fujita, Y., Teruya, T., Kawakami, H., Takahashi, T., & Sugimoto, H. (2016). Design and synthesis of curcumin derivatives as tau and amyloid β dual aggregation inhibitors. Bioorganic & Medicinal Chemistry Letters, 26(20), 5024-5028. doi: 10.1016/j.bmcl.2016.08.092

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):e20117511.