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产品名称：PE859
产品别名：PE859

生物活性：

Description	PE859 is a potent inhibitor of both tau and Aβ aggregation with IC_{50} values of 0.66 and 1.2 μM, respectively.
IC_{50} & Target	IC50: 0.66 μM (tau), 1.2 μM (Aβ)[1]
In Vitro	PE859 inhibits the heparin-induced aggregation of both 3RMBD and full length tau in a concentration-dependent manner. In each assay, the IC_{50} values calculated at the last measurement periods are 0.81 μM, and 2.23 μM, respectively. PE859 inhibits tau aggregation through formation of beta-sheet structure[2].
In Vivo	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 μg/mL in the blood at 3 h and 1.428 μg/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 accumulation of sarkosyl-insoluble tau. [2]
Solvent&Solubility	***In Vitro:*** **DMSO : 50 mg/mL** (**111.48 mM**; Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2296 mL	11.1478 mL	22.2956 mL
	5 mM	0.4459 mL	2.2296 mL	4.4591 mL
	10 mM	0.2230 mL	1.1148 mL	2.2296 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 (5.57 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.57 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% corn oil

	Solubility: ≥ 2.5 mg/mL (5.57 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.57 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]. 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.

实验参考：

Animal Administration	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].
Kinase Assay	Tau aggregation is monitored using thioflavin T. The test compound (PE859), 10 μM 3RMBD and 10 μM 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 μL of the solutions are removed and mixed with 15 μL of 100 μM ThT solution (final concentration: 10 μM) and the fluorescence intensity with excitation at 440 nm and emission at 486 nm is measured[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.