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产品名称: **2,6-DIFLUORO-4-[2-(PHENYLSULFONYLAMINO)E**
产品别名: **PEPA**

生物活性:

Description

PEPA is an allosteric modulator of AMPA receptors; binds to the GluA2o and GluA3o LBDs and can be utilized as an indicator of AMPA receptor heterogeneity. IC50 value: Target: AMPAR modulator in vitro: PEPA dose-dependently potentiated AMPA-induced increase of [Ca2+]i. In 90% (72 out of 80) of the cells in which cyclothiazide acts, PEPA potentiated the increased [Ca2+]i induced by AMPA with pronounced cell-to-cell variation in rat hippocampal cultures [1]. PEPA bound to the binding domains of the GluA2 and GluA3 flop isoforms of AMPA receptors [2]. coapplication of AMPA with PEPA protected hippocampal CA1 neurons from brain ischemia-induced death. Coapplication of AMPA with PEPA could prevent downregulated expression of GluR2 subunit caused by ischemia and increase BDNF expression via Lyn-ERK1/2-CREB signaling [4]. in vivo: PEPA (3, 10, 30mg/kg body weight) or vehicle was intraperitoneally administered into stressed mice once before the first extinction session. The significant decrease of the freezing response in the extinction sessions was only seen in the 30mg/kg PEPA-administered stressed mice, compared with vehicle-administered stressed mice [3].

In Vitro:

DMSO : 50 mg/mL (124.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4848 mL	12.4242 mL	24.8484 mL
	5 mM	0.4970 mL	2.4848 mL	4.9697 mL
	10 mM	0.2485 mL	1.2424 mL	2.4848 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 (6.21 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.21 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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution



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	此方案可获得 ≥ 2.5 mg/mL (6.21 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.21 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]. Sekiguchi M, et al. Pharmacological detection of AMPA receptor heterogeneity by use of two allosteric potentiators in rat hippocampal cultures. Br J Pharmacol. 1998 Apr;123(7):1294-303. [2]. Ahmed AH, et al. Molecular mechanism of flop selectivity and subsite recognition for an AMPA receptor allosteric modulator: structures of GluA2 and GluA3 in complexes with PEPA. Biochemistry. 2010 Apr 6;49(13):2843-50. [3]. Yamada D, et al. Facilitating actions of an AMPA receptor potentiator upon extinction of contextually conditioned fear response in stressed mice. Neurosci Lett. 2011 Jan 25;488(3):242-6. [4]. Zhang QG, et al. Positive modulation of AMPA receptors prevents downregulation of GluR2 expression and activates the Lyn-ERK1/2-CREB signaling in rat brain ischemia. Hippocampus. 2010 Jan;20(1):65-77.

源叶生物