



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称:

1-(4'-AMINOPHENYL)-3,5-DIHYDRO-7,8-DIMETHOXY-4H-2,3-BENZODIAZEPIN-4-ONE

产品别名: **CFM-2**

生物活性:

Description

CFM-2 is a selective non-competitive AMPAR antagonist. IC50 value: Target: AMPAR antagonist in vitro: AMPA antagonists GYKI 52466 and CFM-2 inhibit the extracellular signal regulated kinase (ERK1/2) pathway, CFM-2 reduced phosphorylation of cAMP-responsive element binding protein (CREB), suppressed expression of cyclin D1, upregulated the cell cycle regulators and tumor suppressor proteins p21 and p53 and decreased number of lung adenocarcinoma cells in G2 and S phases of the cell cycle. in vivo: Pretreatment with CFM-2 delayed the progression of seizure rank during repeated administration of pentylentetrazole. At the end of the period of repeated pentylentetrazole treatment (6 weeks) the mean seizure score was 0 in vehicle treated controls, 4.3 in animals treated with vehicle + pentylentetrazole, 2.2 in rats treated chronically with CFM-2 (20 micromol kg(-1) i.p.) + pentylentetrazole and 1.0 in rats treated repeatedly with CFM-2 (50 micromol kg(-1) i.p.) + pentylentetrazole. CFM-2 was also able to antagonize the long-term increase in sensitivity of the convulsant effects of GABA function inhibitors in pentylentetrazole-kindled animals [1]. CFM-2 has been proven to possess anticonvulsant activity in various models of seizures [2]. Intrathecal application of two selective non-competitive AMPAR antagonists, CFM-2 (25 and 50 microg) and GYKI 52466 (50 microg), significantly attenuated mechanical and thermal hypersensitivities on the ipsilateral hind paw at 2 and 24 h post-CFA injection. Neither CFM-2 nor GYKI 52466 affected the contralateral basal responses to thermal and mechanical stimuli [4].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (160.60 mM)

* "≥" means soluble, but saturation unknown.

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing	1 mM		3.2119 mL	16.0596 mL	32.1192 mL
Stock Solutions	5 mM		0.6424 mL	3.2119 mL	6.4238 mL
	10 mM		0.3212 mL	1.6060 mL	3.2119 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



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	Solubility: ≥ 2.75 mg/mL (8.83 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (8.83 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 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 $\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.75 mg/mL (8.83 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (8.83 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 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。
References	[1]. De Sarro G, et al. Effects of some AMPA receptor antagonists on the development of tolerance in epilepsy-prone rats and in pentylenetetrazole kindled rats. Eur J Pharmacol. 1999 Mar 5;368(2-3):149-59. [2]. Rizzo M, et al. Determination of new 2,3-benzodiazepines in rat plasma using high-performance liquid chromatography with ultraviolet detection. J Chromatogr B Biomed Sci Appl. 1999 Aug 20;731(2):207-15. [3]. Stepulak A, et al. AMPA antagonists inhibit the extracellular signal regulated kinase pathway and suppress lung cancer growth. Cancer Biol Ther. 2007 Dec;6(12):1908-15. [4]. Park JS, et al. Role of spinal cord alpha-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid receptors in complete Freund's adjuvant-induced inflammatory pain. Mol Pain. 2008 Dec 30;4:67.

源叶生物