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产品名称: **LDN-212320**

产品别名: **LDN/OSU-0212320; LDN-0212320; OSU-0212320**

生物活性:

Description

LDN-212320(OSU-0212320) is a glutamate transporter EAAT2 activator; enhances EAAT2 levels by > 6 fold at concentrations < 5 μM after 24 h. IC50 value: 1.83 uM(EC50) [2] Target: EAAT2 activator in vitro: LDN/OSU-0212320 increased EAAT2 protein levels in a dose-dependent(EC50=1.83 ± 0.27 μM) and time-dependent manner. LDN/OSU-0212320 increased EAAT2 protein levels and glutamate uptake function, but did not affect EAAT1 or EAAT3 protein levels. LDN/OSU-0212320 treatment markedly prevented neuronal loss and degeneration, as assessed by MAP2 immunostaining [2]. in vivo: After a single i.p. 40-mg/kg dose of LDN/OSU-0212320, EAAT2 protein levels and associated glutamate uptake increased by approximately 1.5- to 2-fold at 2 hours and by approximately 2- to 3-fold between 8 and 24 hours after injection. Even 72 hours after injection, an approximately 1.5-fold increase in EAAT2 protein levels could still be detected (data not shown). In addition, we found that LDN/OSU-0212320-induced EAAT2 protein levels and glutamate uptake were dose dependent [2]. Small-molecule activator of glutamate transporter EAAT2 translation provides neuroprotection By Kong, Qiongman; Chang, Ling-Chu; Takahashi, Kou; Liu, Qibing; Schulte, Delanie A.; Lai, Liching; Ibabao, Brian; Lin, Yuchen; Stouffer, Nathan; Das Mukhopadhyay, Chitra; et al From Journal of Clinical Investigation (2014), 124(3), 1255-1267. Structure-activity relationship study of pyridazine derivatives as glutamate transporter EAAT2 activators By Xing, Xuechao; Chang, Ling-Chu; Kong, Qiongman; Colton, Craig K.; Lai, Liching; Glicksman, Marcie A.; Lin, Chien-Liang Glenn; Cuny, Gregory D. From Bioorganic & Medicinal Chemistry Letters (2011), 21(19), 5774-5777.

In Vitro:

DMSO : 50 mg/mL (170.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
	1 mM	3.4084 mL	17.0422 mL	34.0843 mL	
	5 mM	0.6817 mL	3.4084 mL	6.8169 mL	
10 mM	0.3408 mL	1.7042 mL	3.4084 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℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (8.52 mM); Clear solution



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	此方案可获得 ≥ 2.5 mg/mL (8.52 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$\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.52 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.52 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 生理盐水电溶液中, 混合均匀。
References	[1]. Xing X, et al. Structure-activity relationship study of pyridazine derivatives as glutamate transporter EAAT2 activators. Bioorg Med Chem Lett. 2011 Oct 1;21(19):5774-7. [2]. Kong Q, et al. Small-molecule activator of glutamate transporter EAAT2 translation provides neuroprotection. J Clin Invest. 2014 Mar;124(3):1255-67.

源叶生物