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产品名称：GV-58
产品别名：GV-58

生物活性：

Description

GV-58 is a potent, selective N- and P/Q-type Ca2+ channels agonist with EC50 of 7.21/8.81 uM for N-type/P-Q-type Ca2+ channel; 20-fold less potent CDK inhibitor activity. IC50 value: 7.21/8.81 uM (N-type/P-Q-type Ca2+ channel) [1] Target: Ca2+ channel agonist In comparison with the parent molecule, (R)-roscovitine, GV-58 has a 20-fold less potent cyclin-dependent kinase antagonist effect, a 3- to 4-fold more potent Ca2+ channel agonist effect, and 4-fold higher efficacy as a Ca2+ channel agonist. GV-58 had no agonist activity (up to 100 μm) on the L-type α-subunit we tested (Cav1.3). In summary, GV-58 greatly improved upon (R)-roscovitine in terms of our properties of interest, with a ～4-fold increase in efficacy as an agonist for N- and P/Q-type Ca2+ channels, a ～3- to 4-fold increase in potency as an agonist for N- and P/Q-type Ca2+ channels, and a 20-fold decrease in potency as a Cdk antagonist.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (133.51 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6702 mL	13.3511 mL	26.7023 mL
	5 mM	0.5340 mL	2.6702 mL	5.3405 mL
	10 mM	0.2670 mL	1.3351 mL	2.6702 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 (6.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.68 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 (6.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.68 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]. Tarr TB, et al. Evaluation of a novel calcium channel agonist for therapeutic potential in Lambert-Eaton myasthenic syndrome. J Neurosci. 2013 Jun 19;33(25):10559-67.