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产品名称：Ca2+ channel agonist 1
产品别名：Ca2+ channel agonist 1

生物活性：

Description	Ca^{2+} channel agonist 1 is an agonist of N-type Ca^{2+} channel and an inhibitor of Cdk2, with EC_{50}s of 14.23 μM and 3.34 μM, respectively, and is used as a potential treatment for motor nerve terminal dysfunction.	
IC_{50} & Target	CDK2	N-Type Ca^{2+} Channel
	3.34 μM (EC_{50})	14.23 μM (EC_{50})
In Vitro	Ca^{2+} channel agonist 1 (Compound 13d) is an agonist of N-type Ca^{2+} channel and an inhibitor of Cdk2, with EC_{50}s of 14.23 μM and 3.34 μM, respectively. Ca^{2+} channel agonist 1 exhibits a ca. 2-fold increased agonism and a 22-fold decreased cdk2 kinase activity versus the standard, (R)-roscovitine[1].	

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (141.06 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8213 mL	14.1064 mL	28.2127 mL
	5 mM	0.5643 mL	2.8213 mL	5.6425 mL
	10 mM	0.2821 mL	1.4106 mL	2.8213 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 (7.05 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.05 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 (7.05 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.05 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]. Liang M, et al. Synthesis and biological evaluation of a selective N- and p/q-type calcium channel agonist. ACS Med Chem Lett. 2012 Oct 1;3(12):985-990.
实验参考：	
Cell Assay	Briefly, the pipet solution consists of 70 nM Cs_2SO_4, 60 mM CsCl, 1 mM $MgCl_2$, and 10 mM HEPES at pH 7.4. Cultured cells are bathed in a saline composed of 130 mM choline chloride (ChCl), 10 mM tetraethylammonium chloride (TEA-Cl), 2 mM $CaCl_2$, 1 mM $MgCl_2$, and 10 mM HEPES at pH 7.4. Patch pipettes are fabricated from borosilicate glass, and capacitive currents and passive membrane responses to voltage commands are subtracted. Currents are amplified by an amplifier, filtered at 5 kHz, and digitized at 10 kHz for subsequent analysis. A liquid junction potential of −11.3 mV is subtracted during recordings. To measure effects on calcium channel tail currents, the tail current integral is measured before and after application of a derivative (including Ca^{2+} channel agonist 1), with the integral of each trace being normalized to its peak. [1]
References	[1]. Liang M, et al. Synthesis and biological evaluation of a selective N- and p/q-type calcium channel agonist. ACS Med Chem Lett. 2012 Oct 1;3(12):985-990.