



上海源叶生物科技有限公司
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产品名称: **NVP-231**
产品别名: **NVP-231**

生物活性:

Description

NVP-231 is a potent, specific, and reversible CerK inhibitor(IC50=12±2 nM) that competitively inhibits binding of ceramide to CerK. IC50 Value: 12±2 nM [1] Target: CERK in vitro: NVP-231 showed an IC50 value of 12 ± 2 nM and 90% inhibition at 100 nM in the radioassay. NVP-231 did not compete with ATP but rather with ceramide, displaying an inhibition constant (Ki) of 7.4 nM. Furthermore, inhibition by NVP-231 was instantaneous and fully reversible, implying that this compound does not covalently modify CerK. At 10 nM, NVP-231 inhibited C1P formation by >50%; at 100 nM, NVP-231 achieved complete inhibition. Thus the potency and efficacy of NVP-231 observed in cell culture are consistent with those found in vitro. It is noteworthy that, NVP-231 did not inhibit GlcCer and SM formation; rather, it increased these metabolites in correlation with compound concentration, demonstrating that NVP-231 does not act as a general inhibitor of ceramide metabolism [1]. The EC(50) of NVP-231 in this assay is in the low nanomolar range, consistent with the IC(50) determined in activity assays in vitro using purified CerK [2].

In Vitro:

DMSO : ≥ 41 mg/mL (95.01 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
	1 mM		2.3172 mL	11.5861 mL	23.1723 mL
	5 mM		0.4634 mL	2.3172 mL	4.6345 mL
	10 mM		0.2317 mL	1.1586 mL	2.3172 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 (5.79 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.79 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 (5.79 mM); Clear solution

Solvent&Solubility



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	此方案可获得 ≥ 2.5 mg/mL (5.79 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 (5.79 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.79 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]. Graf C, et al. Targeting ceramide metabolism with a potent and specific ceramide kinase inhibitor. Mol Pharmacol. 2008 Oct;74(4):925-32. [2]. Graf C, et al. A secondary assay for ceramide kinase inhibitors based on cell growth inhibition by short-chain ceramides. Anal Biochem. 2009 Jan 1;384(1):166-9.

源叶生物