产品名称：硫酸茚地那韦
产品别名：Indinavir sulfate

生物活性：

Description

Indinavir sulfate(MK-639 sulfate; L735524 sulfate) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability. Target: HIV Protease Indinavir(MK-639) is a protease inhibitor used as a component of highly active antiretroviral therapy (HAART) to treat HIV infection and AIDS.MK-639 appears to have significant dose-related antiviral activity and is well tolerated [1]. Inhibition constants (K(i)) of the antiviral drug indinavir for the reaction catalyzed by the mutant enzymes were about threefold and 50-fold higher for PR(L24I) and PR(I50V), respectively, relative to PR and PR(G73S). The dimer dissociation constant (K(d)) was estimated to be approximately 20 nM for both PR(L24I) and PR(I50V), and below 5 nM for PR(G73S) and PR. Crystal structures of the mutants PR(L24I), PR(I50V) and PR(G73S) were determined in complexes with indinavir, or the p2/NC substrate analog at resolutions of 1.10-1.50 Angstrom [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (140.48 mM)

H2O : 50 mg/mL (70.24 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4048 mL	7.0238 mL	14.0475 mL
	5 mM	0.2810 mL	1.4048 mL	2.8095 mL
	10 mM	0.1405 mL	0.7024 mL	1.4048 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: ≥ 3 mg/mL (4.21 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (4.21 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 30.0 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: ≥ 3 mg/mL (4.21 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (4.21 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 30.0 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 →90% corn oil Solubility: ≥ 3 mg/mL (4.21 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (4.21 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 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Stein, D.S., et al., A 24-week open-label phase I/II evaluation of the HIV protease inhibitor MK-639 (indinavir). AIDS, 1996. 10(5): p. 485-92. [2]. Liu, F., et al., Kinetic, stability, and structural changes in high-resolution crystal structures of HIV-1 protease with drug-resistant mutations L24I, I50V, and G73S. J Mol Biol, 2005. 354(4): p. 789-800.