产品名称：Nilvadipine
产品别名：尼伐地平；FK235; FR34235

生物活性：

Description	Nilvadipine is a potent calcium channel antagonist, and the IC_{50} value is around 0.1 nM.
IC_{50} & Target	IC50: 0.1 nM (Calcium channel)[1]
In Vitro	In an in vitro experiment on inhibition of migration of rat aortic smooth muscle cells, using Zymosan-activated air pouch exudate as a chemoattractant in modified Boyden chambers.The IC50 value is 0.033 nM for Nilvadipine (FR34235). Effects of Nilvadipine on proliferation of rat aortic smooth muscle cells and rabbit platelet aggregation is also examined. Nilvadipine should be useful for preventing and treating atherosclerosis. Inhibition of smooth muscle cell migration is thought to be its mechanism of antiatherogenic activity[2]. The antioxidant effect of calcium antagonist Nilvadipine is studied by means of rat myocardial membrane lipid peroxidation with a nonenzymatic active oxygen-generating system (DHF/FeC13-ADP) with IC50 of 25.1 μM. Nilvadipine shows antioxidant effects both before and after the addition of active oxygen, and reduces the dihydroxyfumarate (DHF) auto-oxidation rate, is chain-breaking and preventive antioxidants. Nicardipine, which shows an antioxidant effect only before exposure to active oxygen and reduced the DHF auto-oxidation rate, is mainly a preventive antioxidant[3].
In Vivo	The antiatherogenic activity of Nilvadipine (FR34235), a calcium antagonist, is examined in rabbits with carotid arteries sheathed with polyethylene cuffs, and compared with that of Nifedipine, Verapamil and Diltiazem. Nilvadipine is given intramuscularly in daily doses of 0.01-10 mg/kg for 3 weeks, starting on the day of cuff-placement. FR34235 dose-dependently inhibits the cuff-induced intimal thickening[2]. Nilvadipine affords significant protection against thinning of retinal layers in the RCS rat during retinal degeneration. Electron microscopy shows that marked irregularity in the photoreceptor OS in the untreated retina[4].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (129.75 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5949 mL	12.9745 mL	25.9491 mL
5 mM	0.5190 mL	2.5949 mL	5.1898 mL
10 mM	0.2595 mL	1.2975 mL	2.5949 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: ≥ 3.33 mg/mL (8.64 mM); Clear solution

	此方案可获得 ≥ 3.33 mg/mL (8.64 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 33.3 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: 3.33 mg/mL (8.64 mM); Clear solution; Need ultrasonic 此方案可获得 3.33 mg/mL (8.64 mM)的澄清溶液。 以 1 mL 工作液为例，取 100 μL 33.3 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: ≥ 3.33 mg/mL (8.64 mM); Clear solution 此方案可获得 ≥ 3.33 mg/mL (8.64 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 33.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Nomoto A, et al. Smooth muscle cell migration induced by inflammatory cell products and its inhibition by a potent calcium antagonist, Nilvadipine. Atherosclerosis. 1988 Aug;72(2-3):213-9. [2]. Nomoto A, et al. Antiatherogenic activity of FR34235 (Nilvadipine), a new potent calcium antagonist. Effect on cuff-induced intimal thickening of rabbit carotid artery. Atherosclerosis. 1987 Apr;64(2-3):255-61. [3]. Sugawara H, et al. Antioxidant effects of calcium antagonists on rat myocardial membrane lipid peroxidation. Hypertens Res. 1996 Dec;19(4):223-8. [4]. Yamazaki H, et al. Preservation of retinal morphology and functions in royal college surgeons rat by Nilvadipine, a Ca(2+) antagonist. Invest Ophthalmol Vis Sci. 2002 Apr;43(4):919-26.

实验参考：

Animal Administration	Rat[4] In the present study, 3- to 5-week-old inbred RCS (rdy-/-) rats reared in cyclic light conditions (12 hours on-12 hours off) are used. Nilvadipine and Nifedipine are dissolved in a mixture of ethanol, polyethylene glycol 400, and distilled water (2:1:7) at a concentration of 0.1 mg/mL, diluted twice with physiological saline before use, and injected intraperitoneally (1.0 mL/kg) into anesthetized rats every day early in the morning for 2 weeks. In control rats, the same solution without Nilvadipine or Nifedipine (vehicle solution) is administered similarly. Nicardipine and Diltiazem are dissolved in PBS at 0.25 mg/mL and 1 mg/mL, respectively, and injected intraperitoneally (1 mL/kg), similarly to the other agonists. As a control, the same volume of a mixture of ethanol, polyethylene glycol 400, and distilled water (2:1:7) or PBS is administered. Before administration, the pH of all drug solutions is adjusted to approximately 7.4. The concentrations of these drugs administered to RCS rats are determined by their concentrations in oral administration to human patients with hypertension for 1 day in our clinical practice (Nilvadipine, 0.05-0.3 mg/kg; Nifedipine, 0.1-0.5 mg/kg; Nicardipine, 0.2-1 mg/kg; and Diltiazem, 0.3-3 mg/kg).
	[1]. Nomoto A, et al. Smooth muscle cell migration induced by inflammatory cell products and its inhibition by a potent calcium antagonist, Nilvadipine. Atherosclerosis. 1988 Aug;72(2-3):213-9. [2]. Nomoto A, et al. Antiatherogenic activity of FR34235 (Nilvadipine), a new potent calcium antagonist. Effect on cuff-induced intimal thickening of rabbit carotid artery. Atherosclerosis. 1987

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