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产品名称: 依福地平

产品别名: **Efonidipine hydrochloride monoethanolate**; 盐酸依福地平一乙醇盐; **NZ-105 hydrochloride monoethanolate**

生物活性:

Description

Efonidipine hydrochloride monoethanolate (NZ-105 hydrochloride monoethanolate) is a dual T-type and L-type calcium channel blocker (CCB). IC50 value: Target: calcium channel blocker in vitro: Efonidipine and nifedipine, but not other examined CCBs, also increased the N(6), 2'-O-dibutyryl adenosine 3',5'-cyclic monophosphate (dbcAMP)-induced StAR mRNA, which reflects the action of adrenocorticotrophic hormone, and efonidipine and R(-)-efonidipine enhanced the dbcAMP-induced DHEA-S production in NCI-H295R adrenocortical carcinoma cells [1]. I(Ca(T)) was blocked mainly by a tonic manner by nifedipine, by a use-dependent manner by mibefradil, and by a combination of both manners by efonidipine. IC50s of these Ca2+ channel antagonists to I(Ca(T)) and L-type Ca2+ channel current (I(Ca(L))) were 1.2 micromol/l and 0.14 nmol/l for nifedipine; 0.87 and 1.4 micromol/l for mibefradil, and 0.35 micromol/l and 1.8 nmol/l for efonidipine, respectively [4]. in vivo: Twenty hypertensive patients on chronic hemodialysis were given efonidipine 20-60 mg twice daily and amlodipine 2.5-7.5 mg once daily for 12 weeks each in a random crossover manner. The average blood pressure was comparable between the efonidipine and amlodipine periods (151 + or - 15/77 + or - 8 versus 153 + or - 15/76 + or - 8 mmHg). The pulse rate did not change significantly during the administration periods [2]. In the UM-X7.1 group, EFO treatment significantly attenuated the decrease of LVEF without affecting blood pressure compared with the vehicle group. EFO treatment decreased heart rate (by approximately 10%) in both groups [3].

In Vitro:

DMSO : 25 mg/mL (35.01 mM; Need ultrasonic)

H₂O : < 0.1 mg/mL (insoluble)

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing	1 mM		1.4002 mL	7.0010 mL	14.0021 mL
Stock Solutions	5 mM		0.2800 mL	1.4002 mL	2.8004 mL
	10 mM		0.1400 mL	0.7001 mL	1.4002 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.请依次添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (3.50 mM); Clear solution

Solvent&Solubility



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	此方案可获得 ≥ 2.5 mg/mL (3.50 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。
References	[1]. Ikeda K, et al. Efonidipine, a Ca(2+)-channel blocker, enhances the production of dehydroepiandrosterone sulfate in NCI-H295R human adrenocortical carcinoma cells. <i>Tohoku J Exp Med.</i> 2011;224(4):263-71. [2]. Nakano N, et al. Effects of efonidipine, an L- and T-type calcium channel blocker, on the renin-angiotensin-aldosterone system in chronic hemodialysis patients. <i>Int Heart J.</i> 2010 May;51(3):188-92. [3]. Suzuki S, et al. Beneficial effects of the dual L- and T-type Ca²⁺ channel blocker efonidipine on cardiomyopathic hamsters. <i>Circ J.</i> 2007 Dec;71(12):1970-6. [4]. Lee TS, et al. Actions of mibefradil, efonidipine and nifedipine block of recombinant T- and L-type Ca channels with distinct inhibitory mechanisms. <i>Pharmacology.</i> 2006;78(1):11-20.

源叶生物