Efonidipine hydrochloride monoethanolate

Cat. No.: HY-12502A

CAS No.: 111011-76-8

Molecular Formula: $C_{36}H_{45}ClN_3O_8P$

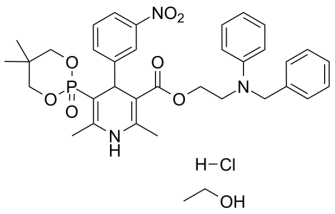
Molecular Weight: 714.18

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (175.03 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4002 mL	7.0010 mL	14.0021 mL
5 mM	0.2800 mL	1.4002 mL	2.8004 mL
10 mM	0.1400 mL	0.7001 mL	1.4002 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.50 mM); Clear solution

BIOLOGICAL ACTIVITY

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 blockerin vitro: Efonidipine and nifedipine, but not other examined CCBs, also increased the N(6), 2'-O-dibutyryladenosine 3',5'-cyclic monophosphate (dbcAMP)-induced StAR mRNA, which reflects the action of adrenocorticotropic 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].

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. Tohoku J Exp Med. 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. Int Heart J. 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. Circ J. 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. Pharmacology. 2006;78(1):11-20.

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