Efonidipine hydrochloride

Cat. No.: HY-12502B

CAS No.: 111011-53-1

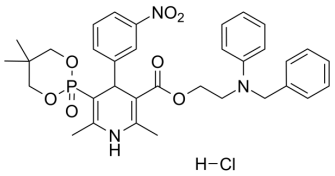
Molecular Formula: $C_{34}H_{39}ClN_3O_7P$

Molecular Weight: 668.12

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8.5 mg/mL (12.72 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4967 mL	7.4837 mL	14.9674 mL
	5 mM	0.2993 mL	1.4967 mL	2.9935 mL
	10 mM	0.1497 mL	0.7484 mL	1.4967 mL

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

BIOLOGICAL ACTIVITY

Description

Efonidipine Hcl (NZ-105) 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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