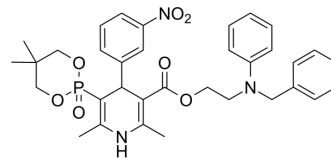


Efonidipine

Cat. No.:	HY-12502
CAS No.:	111011-63-3
Molecular Formula:	C ₃₄ H ₃₈ N ₃ O ₇ P
Molecular Weight:	631.66
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Efonidipine(NZ-105) 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 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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA