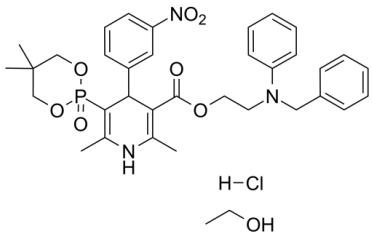
Data Sheet

Product Name:	Efonidipine (hydrochloride monoethanolate)
Cat. No.:	CS-3622
CAS No.:	111011-76-8
Molecular Formula:	C36H45ClN3O8P
Molecular Weight:	714.18
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 25 mg/mL (35.01 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

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-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.

CAIndexNames:

3-Pyridinecarboxylic acid, 5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, hydrochloride, compd. with 2-[phenyl(phenylmethyl)amino]ethyl 5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylate (1:1:1)

SMILES:

CC1=C(P2(OCC(C)(C)CO2)=O)C(C3=CC([N+]([O-])=O)=CC=C3)C(C(OCCN(C4=CC=CC=C4)CC5=CC=CC=C5)=O)=C(C)N1.[H]Cl.CCO

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