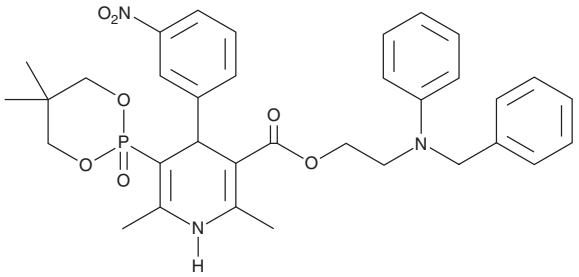
PRODUCT INFORMATION

Efonidipine

Item No. 33114

CAS Registry No.:	111011-63-3
Formal Name:	5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylic acid, 2-[phenyl(phenylmethyl)amino]ethyl ester
Synonym:	(±)-Efonidipine
MF:	$C_{34}H_{38}N_3O_7P$
FW:	631.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 250 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Efonidipine is supplied as a crystalline solid. A stock solution may be made by dissolving the efonidipine in the solvent of choice, which should be purged with an inert gas. Efonidipine is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of efonidipine in these solvents is approximately 20 and 30 mg/ml, respectively.

Description

Efonidipine is an inhibitor of L- and T-type voltage-gated calcium channels (Ca_vs).[1] It inhibits $Ca_v1.2a$ (IC_{50} = 1.8 nM for the hamster channel), an L-type Ca_v, and $Ca_v3.2$ (IC_{50} = 350 nM for the human channel), a T-type Ca_v. It also inhibits L- and T-type calcium channels and fetal bovine serum-induced hypertrophy in isolated mouse cardiomyocytes.[2] Efonidipine (200 mg/kg) increases survival in a mouse model of acute myocardial infarction induced by ligation of the left coronary artery.[3] Efonidipine is also an inhibitor of the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease (M^{pro}; IC_{50} = 38.5 µM).[4]

References

1. Lee, T.-S., Kaku, T., Takebayashi, S., *et al.* Actions of mibefradil, efonidipine and nifedipine block of recombinant T- and L-type Ca^{2+} channels with distinct inhibitory mechanisms. *Pharmacology* **78(1)**, 11-20 (2006).
2. Horiba, M., Muto, T., Ueda, N., *et al.* T-type Ca^{2+} channel blockers prevent cardiac cell hypertrophy through an inhibition of calcineurin-NFAT3 activation as well as L-type Ca^{2+} channel blockers. *Life Sci.* **82(11-12)**, 554-560 (2008).
3. Kinoshita, H., Kuwahara, K., Takano, M., *et al.* T-type Ca^{2+} channel blockade prevents sudden death in mice with heart failure. *Circulation* **120(9)**, 743-752 (2009).
4. Ghahremanpour, M.M., Tirado-Rives, J., Deshmukh, M., *et al.* Identification of 14 known drugs as inhibitors of the main protease of SARS-CoV-2. *ACS Med. Chem. Lett.* **11(12)**, 2526-2533 (2020).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM