

Bioactive Molecules, Building Blocks, Intermediates

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CI

Felodipine
CS-2348
72509-76-3
C18H19Cl2NO4
384.25
Autophagy; Calcium Channel
Autophagy; Membrane Transporter/Ion Channel; Neuronal Signaling
DMSO : 100 mg/mL (260.25 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)

Data Sheet

BIOLOGICAL ACTIVITY:

Felodipine is a long-acting 1,4-dihydropyridine calcium channel blocker. Target: Calcium Channel Felodipine is a long-acting 1,4dihydropyridine calcium channel blocker (CCB)b. It acts primarily on vascular smooth muscle cells by stabilizing voltage-gated L-type calcium channels in their inactive conformation. Felodipine significantly relaxes KCI-contracted porcine coronary segments by blocking the Ca2+ channels, displaying ~50 times more potent than nifedipine (IC50 of ~8 nM) and ~430 times than verapamil (IC50 of ~65 nM) [1]. Felodipine significantly induces the transcription and secretion of IL-6 and IL-8 with ED50 values of 5.8 nM and 5.3 nM in primary human VSMC and lung fibroblasts, respectively, while propranolol or furosemide fails to affect the expression of the two IL genes [2]. Felodipine blocks the muscarinic receptor-mediated (carbachol) Ca2+-dependent contraction of guinea pig ileum longitudinal smooth muscle (GPILSM) with an IC50 of 1.45 nM [3].

References:

[1]. Johnson, J.D. and D.A. Fugman, Calcium and calmodulin antagonists binding to calmodulin and relaxation of coronary segments. J Pharmacol Exp Ther, 1983. 226(2): p. 330-4.

[2]. Rodler, S., et al., Ca(2+)-channel blockers modulate the expression of interleukin-6 and interleukin-8 genes in human vascular smooth muscle cells. J Mol Cell Cardiol, 1995. 27(10): p. 2295-302.

[3]. Yiu, S. and E.E. Knaus, Synthesis, biological evaluation, calcium channel antagonist activity, and anticonvulsant activity of felodipine coupled to a dihydropyridine-pyridinium salt redox chemical delivery system. J Med Chem, 1996. 39(23): p. 4576-82.

CAIndexNames:

3,5-Pyridinedicarboxylic acid, 4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethyl-, 3-ethyl 5-methyl ester

SMILES:

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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