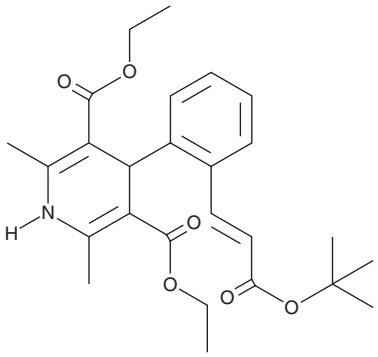
PRODUCT INFORMATION

Lacidipine

Item No. 28270

CAS Registry No.:	103890-78-4
Formal Name:	4-[2-[(1E)-3-(1,1-dimethylethoxy)-3-oxo-1-propen-1-yl]phenyl]-1,4-dihydro-2,6-dimethyl-3,5-pyridinedicarboxylic acid, 3,5-diethyl ester
Synonyms:	GX 1048, GR 43659X
MF:	$C_{26}H_{33}NO_6$
FW:	455.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 284, 368 nm
Supplied as:	A 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

Lacidipine is supplied as a solid. A stock solution may be made by dissolving the lacidipine in the solvent of choice, which should be purged with an inert gas. Lacidipine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lacidipine in these solvents is approximately 5, 20, and 25 mg/ml, respectively.

Lacidipine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lacidipine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Lacidipine has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lacidipine is a dihydropyridine L-type calcium channel blocker.[1] It induces relaxation of isolated rat aorta and inhibits calcium-induced contraction of rabbit ear artery (pA_2 = 9.4). It also induces relaxation of calcium-induced contractions in isolated rat colon and bladder and guinea pig trachea (IC_{50}s = 6.7, 6, and 7.8 nM, respectively). Lacidipine induces negative inotropy in isolated guinea pig ventricular strips (IC_{50} = 110 nM). It reduces mean blood pressure in spontaneously hypertensive rats (ED_{25} = 0.35 mg/kg) and in renal hypertensive dogs (ED_{25} = 0.22 mg/kg) with a transient increase in heart rate. Lacidipine inhibits copper-induced oxidation of isolated human LDL when used at concentrations of 1 and 5 µM.[2] It reduces the extension of aortic atheromatous lesions and decreases renal injury in *ApoE*$^{-/-}$ mice in a model of Western diet-induced atherosclerosis.[3]

References

1. Micheli, D., Collodel, A., Semeraro, C., *et al.* Lacidipine: A calcium antagonist with potent and long-lasting antihypertensive effects in animal studies. *J. Cardiovasc. Pharmacol.* **15(4)**, 666-675 (1990).
2. Lupo, E., Locher, R., Weisser, B., *et al. In vitro* antioxidant activity of calcium antagonists against LDL oxidation compared with α-tocopherol. *Biochem. Biophys. Res. Commun.* **203(3)**, 1803-1808 (1994).
3. Kyselovic, J., Martinka, P., Batova, Z., *et al.* Calcium channel blocker inhibits Western-type diet-evoked atherosclerosis development in ApoE-deficient mice. *J. Pharmacol. Exp. Ther.* **315(1)**, 320-328 (2005).

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