Product Data Sheet

Product Name: Niguldipine (hydrochloride)
Cat. No.: GC14919

Chemical Properties

Cas. No.	119934-51-9		
Chemical Name	1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid, 3-[3-(4,4-diphenyl-1-piperidinyl)propyl] 5-methyl ester, monohydrochloride		
SMILES	O=C(C(C(C1=CC([N+]([O-])=O)=CC=C1)C(C(OC)=O)=C(C)N2)=C2C)OCCCN3CCC(C4=CC=CC=C4)(C5=CC=CC=C5)CC3.Cl		
Formula	$C_{36}H_{39}N_3O_6$ • HCl	M.Wt	646.2
Solubility	≤10mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Niguldipine (hydrochloride)		

Background

Ki = 0.16 nM: α1A-adrenoceptor antagonist

IC50s = 0.4 μM: inhibits L-type Ca2+ channels

IC50s = 0.9 μM: suppresses T-type Ca2+ channels

Niguldipine is a less potent Ca2+ channel blocker and potent, selective α1A-adrenoceptor receptor antagonist. Ca2+ channels, expressed in the smooth muscles of the male reproductive tract, play a role in the physiological events involved in the seminal emission phase of ejaculation. It was demonstrated that α1-adrenoceptors, as members of superfamily of G protein-coupled receptors, are not a homogeneous population and have three distinct α1-adrenoceptor subtypes, involving α1A, α1B, and

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α1D.

In vitro: Niguldipine significantly increased the rate of long-lived protein degradation in human glioblastoma H4 cell, which indicated that niguldipine triggered autophagic degradation without inducing obvious cellular damage. Also, niguldipine blocked intracellular Ca2+ currents [1].

In vivo: Female Albino Swiss mice were administered intraperitoneally in a volume of 10 ml/kg niguldipine for 30 min. Niguldipine did not affect the electroconvulsive threshold in mice. Compared to the anticonvulsive activity of niguldipine against electroconvulsions, niguldipine remarkably impaired the protective action of both phenobarbital and carbamazepine [2].

References:
[1]. Zhang, L., Yu, J., Pan, H., Hu, P., Hao, Y., & Cai, W. et al. Small molecule regulators of autophagy identified by an image-based high-throughput screen. Proceedings of The National Academy of Sciences. 2007; 104(48): 19023-19028.
[2]. Borowicz, K., Gasior, M., Kleinrok, Z., & Czuczwar, S. Influence of isradipine, niguldipine and dantrolene on the anticonvulsive action of conventional antiepileptics in mice. European Journal of Pharmacology. 1997; 323(1): 45-51.

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