

Product Data Sheet

Nisoldipine

Cat. No.: HY-17402 CAS No.: 63675-72-9 Molecular Formula: $C_{20}H_{24}N_2O_6$ Molecular Weight: 388.41

Target: Calcium Channel; Reactive Oxygen Species

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Immunology/Inflammation;

Metabolic Enzyme/Protease; NF-κB

Storage: 4°C, protect from light

* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (257.46 mM; Need ultrasonic)

H₂O: < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5746 mL	12.8730 mL	25.7460 mL
	5 mM	0.5149 mL	2.5746 mL	5.1492 mL
	10 mM	0.2575 mL	1.2873 mL	2.5746 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nisoldipine (BAY-k 5552; Sular) is a calcium channel blocker belonging to the dihydropyridines class, specific for L-type Cav1.2 with IC50 of 10 nM. IC50 value: 10 nMTarget: L-type Cav1.2Nisoldipine is a potent blocker of L-type calcium channels. Nisoldipine binds directly to inactive calcium channels stabilizing their inactive conformation Similar to other DHP CCBs. Nisoldipine displays selectivity for arterial smooth muscle cells due to great number of inactive channels and the $\alpha1$ subunit of the channel. Nisoldipine is about 30 times less selective for delayed-rectifier K+ channels than for L-type Ca2+ channels, which inhibits IKr (rapidly activating delayed-rectifier K+ current) with IC50 of 23 μ M, and IKs (slowly activating delayed-rectifier K+ current) with IC50 of 40 μ M in guinea-pig ventricular myocytes. Nisoldipine also displays antioxidant potency with IC50 of 28.2 μ M both before and after the addition of active oxygen.

CUSTOMER VALIDATION

• Anim Nutr. 28 September 2021.

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REFERENCES

- [1]. Hamilton SF, Houle LM, Thadani U. Rapid-release and coat-core formulations of nisoldipine in treatment of hypertension, angina, and heart failure. Heart Dis. 1999 Nov-Dec;1(5):279-88.
- [2]. Fodor JG. Nisoldipine CC: efficacy and tolerability in hypertension and ischemic heart disease. Cardiovasc Drugs Ther. 1997 Jan;10 Suppl 3:873-9.
- [3]. D.J. Duncker, J.M. Hartog, P.G. Hugenholtz, et al. The effects of nisoldipine (Bay K 5552) on cardiovascular performance and regional blood flow in pentobarbital anaesthetized pigs with or without β -adrenoceptor blockade. British Journal of Pharmacology
- [4]. Jan W. De Jong, Tom Huizer, Jan G.P. Tijssen. Energy conservation by nisoldipine in ischaemic heart. British Journal of Pharmacology. 1984, 83(4): 943-949

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

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