Proteins

Inhibitors

Nelonemdaz potassium

Cat. No.: HY-106408A CAS No.: 916214-57-8 Molecular Formula: $C_{15}H_7F_7KNO_3$ Molecular Weight: 421.31 Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 200 mg/mL (474.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3735 mL	11.8677 mL	23.7355 mL
	5 mM	0.4747 mL	2.3735 mL	4.7471 mL
	10 mM	0.2374 mL	1.1868 mL	2.3735 mL

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

BIOLOGICAL ACTIVITY

Description	$Nelonem daz\ (Salfaprodil)\ potassium\ is\ an\ NR2B-selective\ and\ uncompetitive\ antagonist\ of\ N-methyl-D-aspartate\ (NMDA).$
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IC ₅₀ & Target	$NMDA^{[1]}$
In Vitro	Nelonemdaz potassium (10-300 μ M) shows apparent neuroprotection against 300 μ M N-methyl-d-aspartate (NMDA) at doses as low as 30 μ M[1]. Nelonemdaz potassium (10-500 μ M) inhibits the electrophysiologic response of cultured cortical neurons to 300 μ M NMDA in a concentration-dependent manner[1]. Nelonemdaz potassium (0.1-1 μ M) produces a marked reduction of Fe ²⁺ -induced neurotoxicity, even at doses of 0.1 to 0.3 μ M[1]. Nelonemdaz potassium (0.1-1 μ M) blocks the degeneration of neurons and glia in cortical cell cultures[1]. Nelonemdaz potassium (0-350 μ M) effectively scavenges superoxide radicals (IC ₅₀ =63.07±1.44 μ M), nitric oxide (IC ₅₀ =155.8±4.88 μ M), and hydroxyl radicals (IC ₅₀ =58.45±1.74 μ M)[3]. Nelonemdaz potassium (0.78-12.5 μ M) decreases the amount of antimycin A-induced ROS/RNS formation in a dosedependent manner, with an IC ₅₀ of 2.21±0.11 μ M[3].

Nelonemdaz potassium (0.19-12.5 μ M) inhibits malondialdehyde (MDA) formation with an IC₅₀ of 2.72 \pm 0.26 μ M^[3]. Nelonemdaz potassium (0-125 μ M) effectively reduces iron-ascorbate-induced lipid peroxidation (IC₅₀=24.56 \pm 0.07 μ M)^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nelonemdaz potassium (0.5-20 mg/kg; i.v.) reduces cerebral infarct evolving 24 h after 60-mins occlusion of the middle cerebral artery occlusion (MCAO) substantially and dose dependently^[1].

Nelonemdaz potassium (5 mg/kg; i.v.) protects white matter such as axons and myelin as well as gray matter from ischemic brain injury^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (260 to 300 g) (clip occlusion model) $^{[1]}$	
Dosage:	0.5-20 mg/kg	
Administration:	I.v. administration 5 mins after reperfusion	
Result:	Produced a large neuroprotective effect, with a maximal reduction in infarct volume of 66% at doses of 2.5 to 5 mg/kg. Not observed neuronal damage in the most vulnerable cortical area after administration of 5 mg/kg.	
Animal Model:	Male Sprague-Dawley rats (260 to 300 g) (intraluminal thread occlusion model) ^[1]	
Dosage:	5 mg/kg	
Administration:	I.v. administration 30 mins after reperfusion	

Did not change physiologic variables such as arterial pH, PCO₂, PO₂, and hematocrit. Reduced infarct volume evolving in the cortex and the striatum substantially. Reduced white matter damage in the striatum and external capsule markedly.

REFERENCES

- [1]. Nishant PV, et, al. Antioxidant Properties of Neu2000 on Mitochondrial Free Radicals and Oxidative Damage. Toxicol In Vitro. 2013 Mar; 27(2): 788-97.
- [2]. Gwag BJ, et al. Marked prevention of ischemic brain injury by Neu2000, an NMDA antagonist and antioxidant derived from aspirin and sulfasalazine. J Cereb Blood Flow Metab. 2007 Jun;27(6):1142-51.
- [3]. Sung IC, et, al. Neu2000, an NR2B-selective, Moderate NMDA Receptor Antagonist and Potent Spin Trapping Molecule for Stroke. Drug News Perspect. 2010 Nov; 23(9): 549-56.

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

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