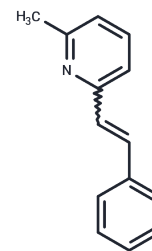


(E/Z)-SIB-1893

Chemical Properties

CAS No. : 6266-99-5
 Formula: C₁₄H₁₃N
 Molecular Weight: 195.26
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	(E/Z)-SIB-1893 (SIB 1893) is the racemate of (E)-SIB-1893 and (Z)-SIB-1893. (E)-SIB-1893 is a selective, noncompetitive mGluR5 antagonist with anticonvulsant activity .
Targets(IC50)	GluR
In vitro	We investigated the role of mGluR5 in acute NMDA and glutamate-mediated neurodegeneration in cultured rat cortical cells using the mGluR5 antagonists SIB-1893 (20 or 200 μM; rat cortical cells) and found that they provide significant protection.[4]
In vivo	(E/Z)-SIB-1893 (10, 20, and 30 mg/kg; i.p.; 0-180 min) considerably lowered the body temperature in animals at 90, 120, and 180 min after its systemic injection of 30 mg/kg. In contrast, the drug at 10 and 20 mg/kg remained without effect on the body temperature in rats. Based on our preclinical study, one can conclude that (E/Z)-SIB-1893 produces hypothermia in freely moving rats in a dose-dependent manner.[1] SIB 1893 (10 and 20 mg/kg; mice; i.p.) did not affect the protective action of valproate, ethosuximide, phenobarbital, and clonazepam in this test. The combined treatment of SIB 1893 with conventional antiepileptic drugs did not lead to motor impairment. Long-term memory disturbances were observed only in the case of the combination of SIB 1893 with phenobarbital.[2]

Solubility Information

Solubility	DMSO: 90 mg/mL (460.92 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 3.3 mg/mL (16.9 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.1214 mL	25.6069 mL	51.2138 mL
5 mM	1.0243 mL	5.1214 mL	10.2428 mL
10 mM	0.5121 mL	2.5607 mL	5.1214 mL
50 mM	0.1024 mL	0.5121 mL	1.0243 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Łuszczki JJ, et al. Non-competitive metabotropic glutamate subtype 5 receptor antagonist (SIB-1893) decreases body temperature in rats. *Pharmacol Rep.* 2005;57(6):795-801.

Borowicz KK, et al. Influence of SIB 1893, a selective mGluR5 receptor antagonist, on the anticonvulsant activity of conventional antiepileptic drugs in two models of experimental epilepsy. *Pol J Pharmacol.* 2003;55(5):735-740.

Storto M, et al. Selective blockade of mGlu5 metabotropic glutamate receptors is protective against acetaminophen hepatotoxicity in mice. *J Hepatol.* 2003;38(2):179-187.

O'Leary DM, et al. Selective mGluR5 antagonists MPEP and SIB-1893 decrease NMDA or glutamate-mediated neuronal toxicity through actions that reflect NMDA receptor antagonism. *Br J Pharmacol.* 2000;131(7):1429-1437.

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