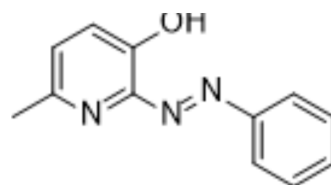


SIB-1757

Cat. No.:	HY-102095		
CAS No.:	31993-01-8		
Molecular Formula:	C ₁₂ H ₁₁ N ₃ O		
Molecular Weight:	213.24		
Target:	mGluR		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (58.62 mM; ultrasonic and warming and heat to 60°C)

Solvent	Mass	Concentration		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	4.6896 mL	23.4478 mL	46.8955 mL
	5 mM	0.9379 mL	4.6896 mL	9.3791 mL
	10 mM	0.4690 mL	2.3448 mL	4.6896 mL

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

BIOLOGICAL ACTIVITY

Description	SIB-1757 is a highly selective and noncompetitive antagonist of mGlu5 receptor with an IC ₅₀ of 0.4 μM ^[1] .
IC₅₀ & Target	human mGluR5 0.4 μM (IC ₅₀)
In Vitro	SIB-1757 (2 μM) reduces the 3,5-DHPG-induced membrane depolarization of the recorded neuron in striatal cholinergic interneurons ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SIB-1757 (20 mg/kg, i.p.) reduces the Acetaminophen (HY-66005) induced increased expression and activity of liver iNOS in mice ^[2] . SIB-1757 (intraplantar injection of 100 μg, or s.c. injection of 20 mg/kg) reverses spinal nerve ligation-induced thermal hyperalgesia in rats ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Varney MA, et al. SIB-1757 and SIB-1893: selective, noncompetitive antagonists of metabotropic glutamate receptor type 5. *J Pharmacol Exp Ther.* 1999 Jul;290(1):170-81.
- [2]. Storto M, et al. Selective blockade of mGlu5 metabotropic glutamate receptors is protective against acetaminophen hepatotoxicity in mice. *J Hepatol.* 2003 Feb;38(2):179-87.
- [3]. Dogrul A, et al. Peripheral and spinal antihyperalgesic activity of SIB-1757, a metabotropic glutamate receptor (mGLUR(5)) antagonist, in experimental neuropathic pain in rats. *Neurosci Lett.* 2000 Oct 6;292(2):115-8.
- [4]. Bonsi P, et al. Modulatory action of metabotropic glutamate receptor (mGluR) 5 on mGluR1 function in striatal cholinergic interneurons. *Neuropharmacology.* 2005;49 Suppl 1:104-13.
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Caution: Product has not been fully validated for medical applications. For research use only.

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