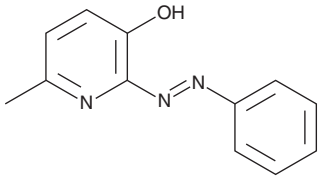
PRODUCT INFORMATION

SIB 1757

Item No. 35442

CAS Registry No.:	31993-01-8
Formal Name:	6-methyl-2-(2-phenyldiazenyl)-3-pyridinol
MF:	$C_{12}H_{11}N_3O$
FW:	213.2
Purity:	≥95%
UV/Vis.:	λ_{max}: 238, 300, 383 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SIB 1757 is supplied as a solid. A stock solution may be made by dissolving the SIB 1757 in the solvent of choice, which should be purged with an inert gas. SIB 1757 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SIB 1757 in ethanol is approximately 5 mg/ml and approximately 14 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SIB 1757 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of SIB 1757 in PBS (pH 7.2) is approximately 0.11 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SIB 1757 is an antagonist of metabotropic glutamate receptor 5a (mGluR5a).[1] It selectively inhibits glutamate-induced calcium release and quisqualate-induced phosphoinositol accumulation in fibroblasts expressing human mGluR5a (IC_{50}s = 0.37 and 3.1 µM, respectively) over mGluR1b-expressing fibroblasts (IC_{50}s = >100 µM for both). SIB 1757 is also selective for mGluR5a over mGluR2, mGluR3, mGluR4a, mGluR6, mGluR7b, mGluR8 (IC_{50}s = >100 µM for all), as well as AMPA, kainate, and NMDA receptors (IC_{50}s = >30 µM for all). It inhibits phosphoinositol accumulation induced by the group I mGluR agonist (S)-3,5-DHPG (Item No. 14411) in isolated neonatal rat hippocampus and striatum (IC_{50}s = 5.2 and 10.1 µM, respectively). Intrathecal administration of SIB 1757 (0.01 µg/animal) increases the paw withdrawal threshold in a rat model of neuropathic pain induced by spinal nerve ligation.[2]

References

1. Varney, M.A., Cosford, N.D., Jachec, C., *et al.* SIB-1757 and SIB-1893: Selective, noncompetitive antagonists of metabotropic glutamate receptor type 5. *J. Pharmacol. Exp. Ther.* **290(1)**, 170-181 (1999).
2. Dogrul, A., Ossipov, M.H., Lai, J., *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.* **292(2)**, 115-118 (2000).

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