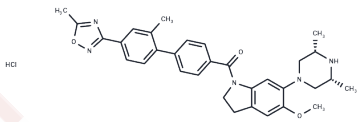
Data Sheet (Cat.No.T12847)

TargetMol

SB-616234-A

Chemical Properties



CAS No. :	908601-49-0
Formula:	C32H36ClN5O3
Molecular Weight:	574.11
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	SB-616234-A is a selective, orally bioavailable antagonist of the 5-HT1B receptor with anxiolytic and antidepressant properties.
Targets(IC50)	5-HT Receptor
In vitro	SB-616234A possesses high affinity for human 5-HT1B receptors stably expressed in Chinese hamster ovary (CHO) cells (pKi 8.3 ± 0.2). Similarly, rat and guinea pig striatal 5-HT1B receptors affinity (pKi) of 9.2 ± 0.1. In [35S]-GTPγS binding studies in the human recombinant cell line, SB-616234A acts as a high affinity antagonist with a pA2 value of 8.6 ± 0.2 whilst providing no evidence of agonist activity in this system. In [35S]-GTPγS binding studies in rat striatal membranes, SB-616234A acts as a high affinity antagonist with an apparent pKB of 8.4 ± 0.5, again whilst providing no evidence of agonist activity in this system. SB-616234A (1 μM) potentiates electrically stimulated [3H]-5-HT release from guinea pig and rat cortical slices (S2/S1 ratios of 1.8 and 1.6, respectively)[2].
In vivo	SB-616234A reverses the agonist of 5-HT1/7 receptor, SKF-99101H-induced hypothermia in guinea pigs in a dose related manner with an ED50 of 2.4 mg/kg p.o. SB-616234A produces dose-related anxiolytic effects in both rat and guinea pig maternal separation-induced vocalisation models with an ED50 of 1.0 and 3.3 mg/kg i.p., respectively[1].a dose-dependent inhibition of ex vivo [3H]-GR125743 binding to rat striatal 5-HT1B receptors caused by SB-616234A (0.3-30 mg/kg p.o.) with an ED50 of 2.83 ± 0.39 mg/kg p.o[1].

Solubility Information

Solubility	DMSO: 5.79 mg/mL (10.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7418 mL	8.7091 mL	17.4183 mL
5 mM	0.3484 mL	1.7418 mL	3.4837 mL
10 mM	0.1742 mL	0.8709 mL	1.7418 mL
50 mM	0.0348 mL	0.1742 mL	0.3484 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

Lee A.Dawson, et al. Characterisation of the selective 5-HT1B receptor antagonist SB-616234-A (1-[6-(cis-3,5-dimethylpiperazin-1-yl)-2,3-dihydro-5-methoxyindol-1-yl]-1-[2′-methyl-4′-(5-methyl-1,2,4-oxadiazol-3-yl) biphenyl-4-yl]methanone hydrochloride): In vivo neurochemical and behavioural evidence of anxiolytic/antidepressant activity. Neuropharmacology Volume 50, Issue 8, June 2006, Pages 975-983

Scott C, et al. SB-616234-A (1-[6-(cis-3,5-dimethylpiperazin-1-yl)-2,3-dihydro-5-methoxyindol-1-yl]-1-[2'methyl-4'-(5-methyl-1,2,3-oxadiazol-3-yl)biphenyl-4-yl]methanone hydrochloride): a novel, potent and selective 5-HT1B receptor antagonist. Neuropharmacology. 2006 Jun;50(8):984-90. Epub 2006 Mar 20.

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