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SB-616234A

Catalog No: tcsc6686

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
908601-49-0

Formula:
$C_{32}H_{36}ClN_5O_3$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
574.11

Product Description

SB-616234A is a selective and orally bioavailable **5-HT1B receptor** antagonist, with anxiolytic and antidepressant activity.

In Vitro: SB-616234A possesses high affinity for human 5-HT1B receptors stably expressed in Chinese hamster ovary (CHO) cells (pK

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8.3 ± 0.2), and is over 100-fold selective for a range of molecular targets except h5-HT1D receptors (pK_i 6.6 ± 0.1). Similarly, affinity (pK_i) for rat and guinea pig striatal 5-HT1B receptors is 9.2 ± 0.1. In [^{35}S]-GTPγS binding studies in the human recombinant cell line, SB-616234A acts as a high affinity antagonist with a pA_2 value of 8.6 ± 0.2 whilst providing no evidence of agonist activity in this system. In [^{35}S]-GTPγS binding studies in rat striatal membranes, SB-616234A acts as a high affinity antagonist with an apparent pK_B of 8.4 ± 0.5, again whilst providing no evidence of agonist activity in this system. SB-616234A (1 µM) potentiates electrically stimulated [^{3}H]-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 5-HT1/7 receptor agonist, SKF-99101H-induced hypothermia in guinea pigs in a dose related manner with an ED_{50} 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 ED_{50} of 1.0 and 3.3 mg/kg i.p., respectively[1]. SB-616234A (0.3-30 mg/kg p.o.) causes a dose-dependent inhibition of ex vivo [^{3}H]-GR125743 binding to rat striatal 5-HT1B receptors with an ED_{50} of 2.83 ± 0.39 mg/kg p.o[1].