Web: www.taiclone.com
Tel: +886-2-2735-9682
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SB-269970

Catalog No: tcsc1645

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
201038-74-6

Formula:
$C_{18}H_{28}N_2O_3S$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
352.49

Product Description

SB269970 is a 5-HT7 receptor antagonist with pKi of 8.3, exhibits >50-fold selectivity against other receptors.

IC50 Value: 8.3 (pKi for 5-HT7) [1]

Target: 5-HT7 receptor

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in vitro: 5-CT-stimulated adenylyl cyclase activity in guinea-pig hippocampal membranes (pEC(50) of 8.4+/-0.2) was inhibited by SB-269970-A (0.3 microM) with a pK(B) (8.3+/-0.1) in good agreement with its antagonist potency at the human cloned 5-HT(7(a)) receptor and its binding affinity at guinea-pig cortical membranes. 5-HT(7) receptor mRNA was highly expressed in human hypothalamus, amygdala, thalamus, hippocampus and testis [1]. Cortical slices were loaded with [(3)H]-5-HT and release was evoked by electrical stimulation. 5-CT inhibited the evoked release of [(3)H]-5-HT in a concentration-dependent manner. SB-269970 had no significant effect on [(3)H]-5-HT release while the 5-HT(1B) receptor antagonist, SB-224289 significantly potentiated [(3)H]-5-HT release. In addition, SB-269970 was unable to attenuate the 5-CT-induced inhibition of release while SB-224289 produced a rightward shift of the 5-CT response, generating estimated pK(B) values of 7.8 and 7.6 at the guinea-pig and rat terminal 5-HT autoreceptors respectively [2].

in vivo: Acute administration of SB-269970 (1 mg/kg) or amisulpride (3 mg/kg) ameliorated ketamine-induced cognitive inflexibility and novel object recognition deficit in rats. Both compounds were also effective in attenuating ketamine-evoked disruption of social interactions [3]. Pretreatment with a dose of SB-269970 (0.5 mM) that significantly affects sleep variables antagonized the LP-44 (2.5 mM)-induced suppression of REMS and of the number of REM periods [4].

Toxicity: N/A

Clinical trial: N/A