Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SB-222200

Catalog No: tcsc1647

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
174635-69-9

Formula:
$C_{26}H_{24}N_2O$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
Neurokinin Receptor;Neurokinin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (262.83 mM)

Observed Molecular Weight:
380.48

Product Description

SB 222200 is a selective, reversible and competitive antagonist of human NK-3 receptor(Ki=4.4 nM) that effectively crosses the blood-brain barrier.

IC50 Value: 4.4 nM (Ki for hNK-3 receptor); 250 nM(Ki for hNK-2 receptor) [1]

Target: NK3 Receptor

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in vitro: SB-222200 inhibited (125)I-[MePhe(7)]neurokinin B (NKB) binding to Chinese hamster ovary (CHO) cell membranes stably expressing the hNK-3 receptor (CHO-hNK-3R) with a K(i) = 4.4 nM and antagonized NKB-induced Ca(2+) mobilization in HEK 293 cells stably expressing the hNK-3 receptor (HEK 293-hNK-3R) with an IC(50) = 18.4 nM. SB-222200 was selective for hNK-3 receptors compared with hNK-1 (K(i) > 100,000 nM) and hNK-2 receptors (K(i) = 250 nM). n HEK 293 cells transiently expressing murine NK-3 receptors (HEK 293-mNK-3R), SB-222200 inhibited binding of (125)I-[MePhe(7)]NKB (K(i) = 174 nM) and antagonized NKB (1 nM)-induced calcium mobilization (IC(50) = 265 nM) [1].

in vivo: In mice oral administration of SB-222200 produced dose-dependent inhibition of behavioral responses induced by i.p. or intracerebral ventricular administration of the NK-3 receptor-selective agonist, senktide, with ED(50) values of approximately 5 mg/kg. SB-222200effectively crossed the blood-brain barrier in the mouse and rat. The inhibitory effect of SB-222200 against senktide-induced behavioral responses in the mouse correlated significantly with brain, but not plasma, concentrations of the compound. Pharmacokinetic evaluation of SB-222200 in rat after oral administration (8 mg/kg) indicated sustained plasma concentrations (C(max) = about 400 ng/ml) and bioavailability of 46% [1].