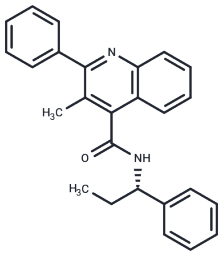
Data Sheet (Cat.No.T16849)

TargetMol

SB-222200

Chemical Properties



CAS No. : 174635-69-9

Formula: C26H24N2O

Molecular Weight: 380.48

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-222200 is a selective, reversible, and competitive antagonist of the human NK-3 receptor(Ki=4.4 nM).
Targets(IC50)	Neurokinin receptor
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 the 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].

Solubility Information

Solubility	DMSO: 100 mg/mL (262.83 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (10.51 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6283 mL	13.1413 mL	26.2826 mL
5 mM	0.5257 mL	2.6283 mL	5.2565 mL
10 mM	0.2628 mL	1.3141 mL	2.6283 mL
50 mM	0.0526 mL	0.2628 mL	0.5257 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

Sarau HM, et al. Nonpeptide tachykinin receptor antagonists. II. Pharmacological and pharmacokinetic profile of SB-222200, a central nervous system penetrant, potent and selective NK-3 receptor antagonist. J Pharmacol Exp Ther. 2000 Oct;295(1):373-81.