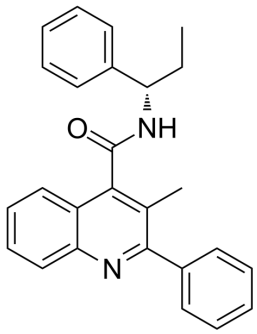
SB-222200

Cat. No.:	HY-15722
CAS No.:	174635-69-9
Molecular Formula:	$C_{26}H_{24}N_2O$
Molecular Weight:	380.48
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (262.83 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-222200 is a potent, selective, orally active and blood-brain barrier (BBB) penetrant NK-3 receptor antagonist. SB-222200 is developed for central nervous system (CNS) disorders[1].

IC_{50} & Target

NK3

In Vitro

SB-222200 inhibits ^{125}I-[MePhe^7]neurokinin B (NKB) binding to CHO cell membranes stably expressing the hNK-3 receptor (CHO-hNK-3R) with a K_i of 4.4 nM[1].

SB-222200 antagonizes NKB-induced Ca^{2+} mobilization in HEK 293 cells stably expressing the hNK-3 receptor (HEK 293-hNK-3R) with an IC_{50} of 18.4 nM[1].

SB-222200 is selective for hNK-3 receptors compared with hNK-1 (K_i>100,000 nM) and hNK-2 receptors (K_i=250 nM)[1].
SB-222200 (10 nM-1 μM) produces a concentration-dependent, surmountable inhibition of NKB-induced Ca^{2+} mobilization in HEK 293-hNK-3R cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SB-222200 (5 mg/kg; 30 min pretreatment) produces inhibition of behavioral responses induced by NK-3 receptor-selective agonist senktide (HY-P0187) in mice[1].
SB-2222006 exhibits moderate oral bioavailability (rat 46%) and C_{max} (rat 427 ng/mL) following oral administration (rat 10 mg/kg)[1].
SB-2222006 exhibits terminal elimination half-life (rat 1.9 h) due to high plasma clearance (56 mL/min/kg) following intravenous administration (rat 2.5 mg/kg)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BALB/c mice (19-21 g)[1]
Dosage:	5 mg/kg
Administration:	Oral administration
Result:	Produced 57% inhibition of senktide-induced behavioral responses in mice.

Animal Model:	Male Sprague-Dawley rats (300-400 g)[1]
Dosage:	2.5 mg/kg for i.v.; 10 mg/kg for p.o. (Pharmacokinetic Analysis)
Administration:	Intravenous injection and oral gavage
Result:	Oral bioavailability (46%), $T_{1/2}$ (1.9 h), C_{max} (427 ng/mL).

CUSTOMER VALIDATION

- Life Sci. 14 October 2022, 121078.
- Am J Reprod Immunol. 2022 Dec 1;e13663.

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REFERENCES

[1]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA