

# 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 :  $\geq 100$  mg/mL (262.83 mM)

**Observed Molecular Weight:**

380.48

## Product Description

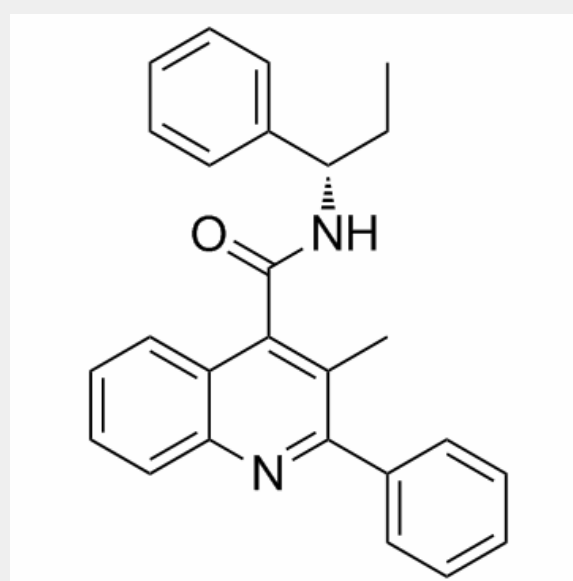
SB 222200 is a selective, reversible and competitive antagonist of human NK-3 receptor( $K_i=4.4$  nM) that effectively crosses the blood-brain barrier.

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

Target: NK3 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). In 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-222200 effectively 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!