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产品名称：SB-222200
产品别名：SB-222200

生物活性：

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 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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (262.83 mM)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.57 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.57 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.