产品名称：SB 271046 (Hydrochloride)
产品别名：SB 271046A

生物活性：

Description

SB271046 Hydrochloride is a potent, selective and orally active 5-HT6 receptor antagonist with pKi of 8.9. IC50 Value: 8.9(pKi) Target: 5-HT6 Receptor in vitro: SB 271046 hydrochloride is a sulfonamidal benzothiophene derivative that has been shown to act as a selective 5-HT6 antagonist with pKi values of 9.02-8.92, 6.55, 6.35, 6.27, 6.05, 5.95, 5.76, 5.73, 5.62, 5.55, 5.41, 5.39, 5.27 and < 4.99 for 5-HT6, 5-HT1D, 5-HT1A, D3, 5-HT1B, 5-HT1F, α1B, 5-HT2C, 5-HT2A, D2, 5-HT2B, 5-HT7, 5-HT4 and 5-HT1E respectively, and is > 200-fold selective over 55 other receptors, enzymes and ion channels. in vivo: SB-271046 is moderately brain penetrant (10%), subject to low blood clearance (7.7 mL/min/kg) with a good half-life in rats (4.8 hours), and has excellent oral bioavailability (>80%). SB-271046 produces 3-fold and 2-fold increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus of rats, respectively, which may be used for the treatment of cognitive and memory dysfunction. SB-271046 (20 mg/kg, orally gavage) 30 min prior to training Wistar rats, is found to reverse significantly the amnesia produced by administering scopolamine (0.8 mg/kg, i.p.) in the 6 hours post-training period. SB-271046 progressively and significantly decreases platform swim angle and escape latencies over the five sequential trials on four consecutive daily sessions compared to vehicle-treated controls in aged rats. SB-271046 also improves task recall as measured by significant increases in the searching of the target quadrant on post-training days 1 and 3. SB-271046 (10 mg/kg, s.c.) produces a significant, tetrodotoxin-dependent, increase in extracellular levels of both glutamate and aspartate within the frontal cortex of rats, reaching maximum values of 375.4% and 215.3% of preinjection values, respectively.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (102.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0473 mL	10.2365 mL	20.4729 mL
	5 mM	0.4095 mL	2.0473 mL	4.0946 mL
	10 mM	0.2047 mL	1.0236 mL	2.0473 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 (5.12 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.12 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.12 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.12 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]. Routledge C, et al. Characterization of SB-271046: a potent, selective and orally active 5-HT(6) receptor antagonist. Br J Pharmacol. 2000 Aug;130(7):1606-12. [2]. Bromidge SM, et al. 5-Chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfon- amide (SB-271046): a potent, selective, and orally bioavailable 5-HT6 receptor antagonist. J Med Chem. 1999 Jan 28;42(2):202-5. [3]. Dawson LA, et al. The 5-HT(6) receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus. Neuropsychopharmacology. 2001 Nov;25(5):662-8.