产品名称：SB-334867 (free base)
产品别名：SB334867A free base；SB-334867 free base

生物活性：

Description

SB-334867 free base is a selective non-peptide orexin OX1 receptor antagonist with a pKb value of 7.2. IC50 value: 7.2 (pKb) [1] Target: orexin OX1 receptor in vitro: SB-334867-A inhibited the orexin-A (10 nM) and orexin-B (100 nM)-induced calcium responses (pK(B)=7.27+/-0.04 and 7.23+/-0.03 respectively, n=8), but had no effect on the UTP (3 microM)-induced calcium response in CHO-OX(1) cells. SB-334867-A (10 microM) also inhibited OX(2) mediated calcium responses (32.7+/-1.9% versus orexin-A) [1]. in vivo: Single-unit recordings in anesthetized rats demonstrated the central effects of the selective orexin-1 receptor antagonist SB-334867 (2 mg/kg, intravenous), as it reversed the excitatory effects of orexin-A administration (6 microg, intracerebroventricular) on the activity of locus coeruleus (LC) cells [2]. The ICV injection of SB-334867 alone had no effect on the formalin-induced nociceptive behaviors. Pre-treatment with SB-334867 at a dose of 0.5 nmol significantly attenuated the analgesia induced by morphine (at dose 1.5mg/kg of morphine; interphase and phase 2B and at dose 3mg/kg of morphine just phase 2B of formalin test) [3]. Administered alone, SB-334867 (30 mg/kg, but not lower doses) significantly reduced food intake and most active behaviours (eating, grooming, sniffing, locomotion and rearing), while increasing resting. Pretreatment with SB-334867 dose-dependently blocked these effects of orexin-A, with significant antagonism evident at dose levels (3-10 mg/kg) below those required to produce intrinsic behavioural effects under present test conditions in rats [4]. Toxicity: Acute systemic treatment with the selective orexin-1 (OX1R) antagonist SB-334867 reduces food intake in rats, an effect associated with an acceleration in behavioural satiety and unrelated to gross behavioural disruption, alterations in palatability, or toxicity.

Solvent&Solubility

In Vitro:

DMSO : ≥ 49 mg/mL (153.45 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1317 mL	15.6583 mL	31.3165 mL
	5 mM	0.6263 mL	3.1317 mL	6.2633 mL
	10 mM	0.3132 mL	1.5658 mL	3.1317 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 (7.83 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (7.83 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 (7.83 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (7.83 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 (7.83 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.83 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]. Smart D, et al. SB-334867-A: the first selective orexin-1 receptor antagonist. Br J Pharmacol. 2001 Mar;132(6):1179-82. [2]. Rasmussen K, et al. The orexin-1 receptor antagonist SB-334867 blocks the effects of antipsychotics on the activity of A9 and A10 dopamine neurons: implications for antipsychotic therapy. Neuropsychopharmacology. 2007 Apr;32(4):786-92. [3]. Azhdari-Zarmehri H, et al. Orexin receptor type-1 antagonist SB-334867 decreases morphine-induced antinociceptive effect in formalin test. Pharmacol Biochem Behav. 2013 Nov;112:64-70. [4]. Rodgers RJ, et al. SB-334867, a selective orexin-1 receptor antagonist, enhances behavioural satiety and blocks the hyperphagic effect of orexin-A in rats. Eur J Neurosci. 2001 Apr;13(7):1444-52.