产品名称：SB408124
产品别名：SB-408124

生物活性：

Description

SB408124 is a non-peptide antagonist for OX1 receptor with Ki of 57 nM and 27 nM in both whole cell and membrane, respectively; exhibits 50-fold selectivity over OX2 receptor. IC50 Value: 57 nM(Ki) Target: OX1 Receptor in vitro: SB-408124 binds hypocretin type 1 receptor (HcrtR1) with pKi values of 7.57. Calcium mobilization studies shows that SB-408124 is a functional antagonist of the OX1 receptor with a affinity of approximately 50-fold selectivity over the OX2 receptor. A recent study indicates that pretreatment of primary cultures of rat astrocytes with SB-401824 before Orexin A administration significantly reduced the stimulatory action of Orexin A on both basal and forskolin-acivated cAMP production. in vivo: SB-408124 (30 μg/10 μL, administered intracerebroventricularly) decreases Orexin-A induced water intake in Wistar rats. Intracerebroventricularly administered Orexin-A (30 μg/10 μL) blocks the vasopressin (VP) level increase induced by either histamine or 2.5% NaCl administration, and this blocking effect is moderated by pretreatment with SB-408124. Intracerebroventricular pretreatment with SB-408124 (50 mM, 5 μL/h) prevents Bicuculline (BIC)-induced increases in endogenous glucose production (EGP).

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (**140.30 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8061 mL	14.0304 mL	28.0607 mL
5 mM	0.5612 mL	2.8061 mL	5.6121 mL
10 mM	0.2806 mL	1.4030 mL	2.8061 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.02 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。

[1]. Morairty SR, et al. Dual hypocretin receptor antagonism is more effective for sleep promotion than antagonism of either receptor alone.PLoS One. 2012;7(7):e39131. Epub 2012 Jul 2.

[2]. Melis MR, et al. Neuroendocrine regulatory peptide-1 and neuroendocrine regulatory peptide-2

References	influence differentially feeding and penile erection in male rats: sites of action in the brain.Regul Pept. 2012 Aug 20;177(1-3):46-52. Epub 2012 May 2. [3]. Assisi L, et al. Expression and role of receptor 1 for orexins in seminiferous tubules of rat testis.Cell Tissue Res. 2012 Jun;348(3):601-7. Epub 2012 Mar 28. [4]. Kis GK, et al. The osmotically and histamine-induced enhancement of the plasma vasopressin level is diminished by intracerebroventricularly administered orexin in rats.Pflugers Arch. 2012 Apr;463(4):531-6. Epub 2012 Feb 16. [5]. Langmead et al (2004) Characterisation of the binding of [3H]-SB-674042, a novel nonpeptide antagonist, to the human orexin-1 receptor. Br.J.Pharmacol. 141 340.