SB-334867 free base

Cat. No.: HY-10895A

CAS No.: 792173-99-0

Molecular Formula: $C_{17}H_{13}N_5O_2$

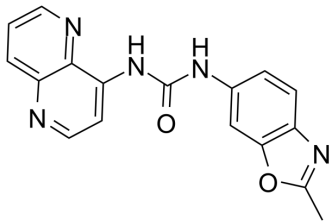
Molecular Weight: 319.32

Target: Orexin Receptor (OX Receptor)

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (156.58 mM; Need ultrasonic)

0.1 M HCL : 6 mg/mL (18.79 mM; ultrasonic and adjust pH to 3 with HCl)

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 10 mg/mL (31.32 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 50% HP-β-CD in saline
   Solubility: 7.69 mg/mL (24.08 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.83 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.83 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB-334867 free base (SB334867A free base) is an excellent, selective and blood–brain barrier permeable orexin-1 (OX1) receptor antagonist, shows selectivity over OX2 (pK_b=7.4), 100-fold over 5-HT_{2B}, 5-HT_{2C} with pK_i values of 5.4 and 5.3,

	respectively[1]. SB-334867 reduces ethanol consumption and inhibits the acquisition of morphine-induced sensitization to locomotor activity in vivo[2][3].
IC_{50} & Target	OX2
In Vitro	SB-334867 (100 pM– 10 μM) inhibits the orexin-A (10 nM) and orexin-B (100 nM)-induced calcium responses in a concentration-dependent manner, with apparent pK_b values of 7.27±0.04 and 7.23±0.03, but has no effect on the calcium response elicited by UTP (3 μM), which activates an endogenous purinergic receptor in CHO-OX1 and CHO-OX2 cells[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SB-334867 (intraperitoneal injection; 20 mg/kg; 20 days) administers 15 min before morphine injection can significantly decrease the effect of the morphine challenge dose in mice in comparison with the sporadically morphine-treated group[2]. SB-334867 (intraperitoneal injection; 3, 10 and 30 mg/kg) significantly reduces ethanol intake relative to vehicle and does not effect water consumption in female P rats[3]. SB-334867 (intraperitoneal injection; 3, 10 and 30 mg/kg) reduces ethanol consumption at the 30 mg/kg dose, high dose suppresses sucrose intake relative to vehicle, and it results in lower blood ethanol concentrations (BECs) relative to both the 10 and 30 mg/kg doses[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Swiss mice[2]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection
Result:	Inhibited the acquisition of morphine-induced sensitization to locomotor activity of mice.

Animal Model:	C57BL/6J Mice[3]
Dosage:	3, 10 and 30 mg/kg
Administration:	Intraperitoneal injection
Result:	Reduced ethanol consumption, BECs and suppressed sucrose intake in mice.

CUSTOMER VALIDATION

- Drug Des Devel Ther. 2022 Jul 5;16:2145-2160.
- J Inflamm Res. 2021 May 18;14:2007-2017.
- Front Neurosci. 2016 Jul 26;10:355.
- Brain Res Bull. 2023 Jul 20;201:110712.
- Research Square Preprint. 2021 Jan.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Porter RA, et al. 1,3-Biarylureas as selective non-peptide antagonists of the orexin-1 receptor.Bioorg Med Chem Lett. 2001 Jul 23;11(14):1907-10.

[2]. Łupina M, et al. SB-334867 (an Orexin-1 Receptor Antagonist) Effects on Morphine-Induced Sensitization in Mice-a View on Receptor Mechanisms.

[3]. Anderson RI, et al. Orexin-1 and orexin-2 receptor antagonists reduce ethanol self-administration in high-drinking rodent models.Front Neurosci. 2014 Feb 25;8:33.

[4]. Smart D, et al. SB-334867-A: the first selective orexin-1 receptor antagonist.Br J Pharmacol. 2001 Mar;132(6):1179-82.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA