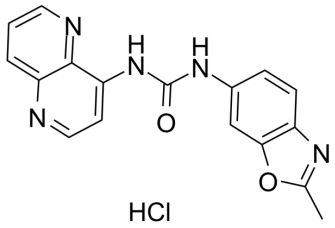
SB-334867

Cat. No.:	HY-10895
CAS No.:	249889-64-3
Molecular Formula:	$C_{17}H_{14}ClN_5O_2$
Molecular Weight:	355.78
Target:	Orexin Receptor (OX Receptor)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 10 mg/mL (28.11 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8107 mL	14.0536 mL	28.1073 mL
	5 mM	0.5621 mL	2.8107 mL	5.6215 mL
	10 mM	0.2811 mL	1.4054 mL	2.8107 mL

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

In Vivo

1. SB-334867 is dissolved in the aCSF (in mM: 133.3 NaCl, 3.4 KCl, 1.3 $CaCl_2$, 1.2 $MgCl_2$, 0.6 NaH_2PO_4, 32.0 $NaHCO_3$, and 3.4 glucose, with pH adjusted to 7.4)[5].

BIOLOGICAL ACTIVITY

Description

SB-334867 (SB 334867A) 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

OX1

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].

SB334867 (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].
SB334867 (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.
- Research Square Preprint. 2021 Jan.

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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.

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