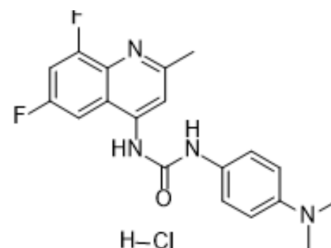


## SB-408124 Hydrochloride

Cat. No.:	HY-76612
CAS No.:	1431697-90-3
Molecular Formula:	C <sub>19</sub> H <sub>19</sub> ClF <sub>2</sub> N <sub>4</sub> O
Molecular Weight:	392.83
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<sub>2</sub>O : 1 mg/mL (2.55 mM; ultrasonic and warming and heat to 60°C)  
DMSO : < 1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble or slightly soluble)

Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		Concentration	1 mg	5 mg	10 mg
	1 mM		2.5456 mL	12.7282 mL	25.4563 mL
	5 mM		---	---	---
	10 mM		---	---	---

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

### BIOLOGICAL ACTIVITY

<b>Description</b>	SB-408124 Hydrochloride is a selective non-peptide orexin receptor 1 (OX1) receptor antagonist with K <sub>i</sub> s of 57 nM and 27 nM in whole cell and membrane, respectively. SB-408124 Hydrochloride exhibits 50-fold selectivity over OX2 receptor <sup>[1]</sup> .	
<b>IC<sub>50</sub> &amp; Target</b>	OX <sub>1</sub> Receptor 57 nM (K <sub>i</sub> , in whole cell)	OX <sub>1</sub> Receptor 27 nM (K <sub>i</sub> , in cell membrane)
<b>In Vitro</b>	Primary neuronal cultures from the hypothalamus of newborn SD rats are incubated with orexin A (1 μM), orexin A (1 μM) together with SB-408124 (100 μM) for 6 h. Orexin A-induced increases in arginine vasopressin (AVP) mRNA levels (2.7-fold) are attenuated by SB-408124 (1.2-fold) <sup>[3]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
<b>In Vivo</b>	SB-408124 reduces anxiety after psychotraumatic exposure. Predator induces acute psychotraumatic exposure decrease corticoliberin level in the rat's amygdala. Intranasal administration of SB-408124 restores it closely to normal and has an anxiolytic effect on animal behaviour <sup>[2]</sup> . Bilateral paraventricular nucleus microinjection of SB-408124 (30 pmol/50 nL per side) results in a greater reduction in mean arterial pressure (MAP) in high-salt intake (-16 mmHg) compared with NS-fed (-4 mmHg) anesthetized Dahl salt-sensitive rats	

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[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

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- [1]. 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.
- [2]. I Tissen, et al. OX1R ANTAGONIST SB408124 ACTION AND EXTRAHYPOTHALAMIC CRF IN RATS AFTER PSYCHOTRAUMATIC EXPOSURE. *Georgian Med News.* 2019 May;(290):127-131.
- [3]. Michael J Huber, et al. Increased activity of the orexin system in the paraventricular nucleus contributes to salt-sensitive hypertension. *Am J Physiol Heart Circ Physiol.* 2017 Dec 1;313(6):H1075-H1086.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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