

# SB-408124 (Hydrochloride)

Catalog No: tcsc1073



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**CAS No:**

1431697-90-3

**Formula:**

$C_{19}H_{19}ClF_2N_4O$

**Pathway:**

GPCR/G Protein

**Target:**

Orexin Receptor (OX Receptor)

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

392.83

## Product Description

SB408124 Hcl is a non-peptide antagonist for OX1 receptor with  $K_i$  of 57 nM and 27 nM in both whole cell and membrane,

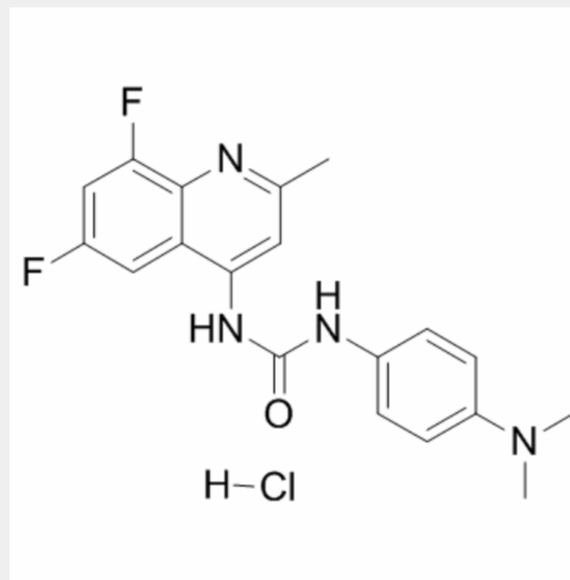
respectively; exhibits 50-fold selectivity over OX2 receptor.

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-408124 before Orexin A administration significantly reduced the stimulatory action of Orexin A on both basal and forskolin-activated 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).



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