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SB-408124 (Hydrochloride)

Catalog No: tcsc1073

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

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 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).