Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SB-408124

Catalog No: tcsc0350

Available Sizes

Size: 5mg

Size: 10mg

Size: 100mg

Specifications

CAS No:
288150-92-5

Formula:
$C_{19}H_{18}F_2N_4O$

Pathway:
GPCR/G Protein

Target:
Orexin Receptor (OX Receptor)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
356.37

Product Description

SB408124 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.

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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).