

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

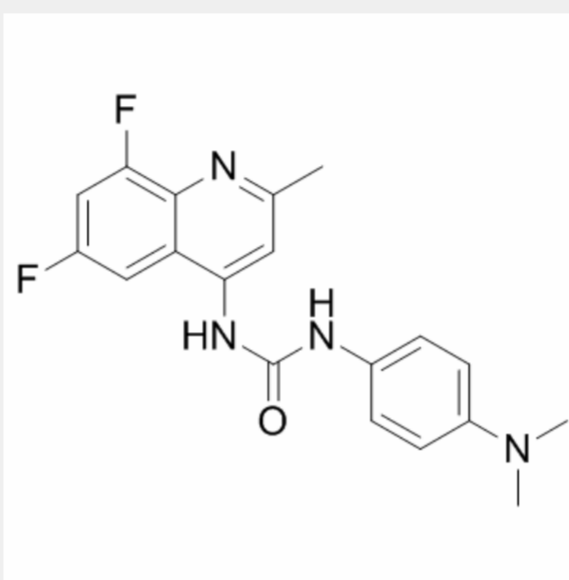
SB408124 is a non-peptide antagonist for OX1 receptor with K_i of 57 nM and 27 nM in both whole cell and membrane, respectively; exhibits 50-fold selectivity over OX2 receptor.

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-401824 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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