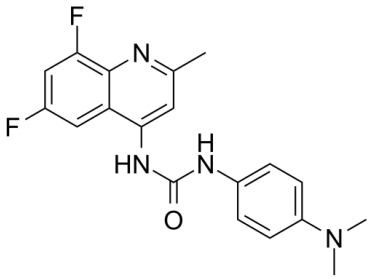
Data Sheet

Product Name:	SB-408124
Cat. No.:	CS-0350
CAS No.:	288150-92-5
Molecular Formula:	C19H18F2N4O
Molecular Weight:	356.37
Target:	Orexin Receptor (OX Receptor)
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 50 mg/mL (140.30 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

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. 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).

References:

[1]. Morairty SR, et al. Dual hypocretin receptor antagonism is more effective for sleep promotion than antagonism of either receptor alone.PLoS One. 2012;7(7):e39131. Epub 2012 Jul 2.

[2]. Melis MR, et al. Neuroendocrine regulatory peptide-1 and neuroendocrine regulatory peptide-2 influence differentially feeding and penile erection in male rats: sites of action in the brain.Regul Pept. 2012 Aug 20;177(1-3):46-52. Epub 2012 May 2.

[3]. Assisi L, et al. Expression and role of receptor 1 for orexins in seminiferous tubules of rat testis.Cell Tissue Res. 2012 Jun;348(3):601-7. Epub 2012 Mar 28.

[4]. Kis GK, et al. The osmotically and histamine-induced enhancement of the plasma vasopressin level is diminished by intracerebroventricularly administered orexin in rats.Pflugers Arch. 2012 Apr;463(4):531-6. Epub 2012 Feb 16.

[5]. 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.

CAIndexNames:

Urea, N-(6,8-difluoro-2-methyl-4-quinolinyl)-N'-[4-(dimethylamino)phenyl]-

SMILES:

O=C(NC1=CC(C)=NC2=C(C=C(C=C21)F)F)NC3=CC=C(C=C3)N(C)C

Caution: Product has not been fully validated for medical applications. For research use only.

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