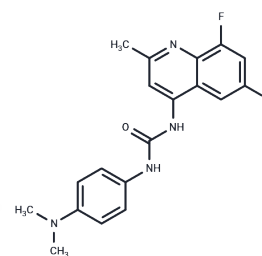


SB-408124

Chemical Properties

CAS No. : 288150-92-5
 Formula: C₁₉H₁₈F₂N₄O
 Molecular Weight: 356.37
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

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.
Targets(IC50)	OX Receptor
In vitro	SB-408124 binds hypocretin type 1 receptor (Hcrtr1) with pK_i 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. [1] 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. [2]
In vivo	SB-408124 (30 μ g/10 μ L, administered intracerebroventricularly) reduces Orexin-A-induced water intake in Wistar rats. Intracerebroventricular Orexin-A (30 μ g/10 μ L) inhibits vasopressin increase induced by histamine or 2.5% NaCl, and this effect is moderated by pretreatment with SB-408124. Intracerebroventricular pretreatment with SB-408124 (50 mM, 5 μ L/h) prevents Bicuculline (BIC)-induced increases in endogenous glucose production (EGP). [3] [4]

Solubility Information

Solubility	DMSO: 62.5 mg/mL (175.38 mM), Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (7.02 mM), Sonication is recommended. Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8061 mL	14.0304 mL	28.0607 mL
5 mM	0.5612 mL	2.8061 mL	5.6121 mL
10 mM	0.2806 mL	1.403 mL	2.8061 mL
50 mM	0.0561 mL	0.2806 mL	0.5612 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Langmead CJ, et al, Br J Pharmacol, 2004, 141(2) , 340-346.
- Woldan-Tambor A, et al, Pharmacol Rep, 2011, 63(3), 717-723.
- Kis Gk, et al, Pflugers Arch, 2012, 463(4), 531-536
- Yi CX, et al, Diabetes, 2009, 58(9), 11998-22005.

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