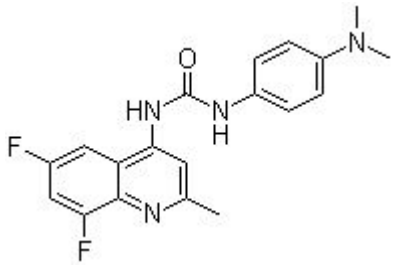
Product Introduction

SB408124

SB408124 (Tocris-1963) is a non-peptide antagonist for **OX1 receptor** with K_I of 57 nM and27 nM in both whole cell and membrane, respectively, exhibits 50-fold selectivity over OX2 receptor.

Technical Data:

Molecular Weight (MW):	356.37	
Formula:	$C_{19}H_{18}F_2N_4O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 36 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	288150-92-5	

Biological Activity

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-acivated cAMP production. [2]

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

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. [3] Intracerebroventricular pretreatment with SB-408124 (50 mM, 5 μL/h) prevents Bicuculline (BIC)-induced increases in endogenous glucose production (EGP). [4]

References

[1] Langmead CJ, et al, Br J Pharmacol, 2004, 141(2) , 340-346.

[2] Woldan-Tambor A, et al, Pharmacol Rep, 2011, 63(3), 717-723.

[3] Kis Gk, et al, Pflugers Arch, 2012, 463(4), 531-536

[4] Yi CX, et al, Diabetes, 2009, 58(9), 1998-2005.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.