Product Data Sheet

Product Name: SB-568849
Cat. No.: GC31506

Chemical Properties

Cas. No.	395679-53-5		
SMILES	O=C(C1=CC=C(C2=CC=C(C(F)(F)F)C=C2)C=C1)N(C3=CC=C(OCCN(CC)CC)C(OC)=C3)C		
Formula	$C_{28}H_{31}F_3N_2O_3$	M.Wt	500.55
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	SB-568849		

Background

SB-568849 is a melanin-concentrating hormone receptor 1 (MCH R1) antagonist with a pKi of 7.7.

SB-568849 is a selective SLC-1 antagonist with a pKi of 7.7 as determined in radioligand binding displacement assays; coincubation of tissue with 1 μM SB-568849 for 45 min completely inhibits the MCH induced increase in corticotropin-releasing factor (CRF) release to basal levels without causing any effect on its own. The only reported MCH receptor in the rat is SLC-1, a G protein coupled receptor found throughout the brain and periphery[2].

SB-568849 (Compound 15h) possesses good receptor affinity and selectivity. SB-568849 proves to be an antagonist with stability in vivo, an acceptable brain-blood ratio and oral bioavailability. SB-568849 retains affinity, demonstrates greater in vivo stability (CLb=16 mL/min/kg) and shows an acceptable brain-blood ratio of 1. SB-568849 also shows >30-fold selectivity over a wide range of monoamine receptors and is an antagonist in the FLIPR assay with a pKb of 7.7[3].

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[1]. Witty DR, et al. Discovery of potent and stable conformationally constrained analogues of the MCH R1 antagonist SB-568849. Bioorg Med Chem Lett. 2006 Sep 15;16(18):4872-8. [2]. Kennedy AR, et al. Effect of direct injection of melanin-concentrating hormone into the paraventricular nucleus: further evidence for a stimulatory role in the adrenal axis via SLC-1. J Neuroendocrinol. 2003 Mar;15(3):268-72. [3]. Witty DR, et al. SAR of biphenyl carboxamide ligands of the human melanin-concentrating hormone receptor 1 (MCH R1): discovery of antagonist SB-568849. Bioorg Med Chem Lett. 2006 Sep 15;16(18):4865-71.

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