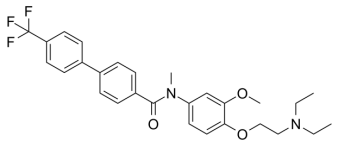
SB-568849

Cat. No.:	HY-100308
CAS No.:	395679-53-5
Molecular Formula:	$C_{28}H_{31}F_3N_2O_3$
Molecular Weight:	500.55
Target:	MCHR1 (GPR24)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SB-568849 is a melanin-concentrating hormone receptor 1 (MCH R1) antagonist with a pK_i of 7.7.
IC_{50} & Target	MCH R1 receptor[1]
In Vitro	SB-568849 is a selective SLC-1 antagonist with a pK_i 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 (CL_b=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 pK_b of 7.7[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.

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

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