SB-568849

Cat. No.: HY-100308
CAS No.: 395679-53-5
Molecular Formula: C_{28}H_{31}F_{3}N_{2}O_{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 COA.

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$^{[2]}$.

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$^{[3]}$.

REFERENCES

