

BMS-819881

Catalog No: **tcsc0011370**



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

1197420-05-5

Formula:

$C_{24}H_{21}ClN_2O_4S$

Pathway:

Metabolic Enzyme/Protease;GPCR/G Protein

Target:

Cytochrome P450;MCHR1 (GPR24)

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

468.95

Product Description

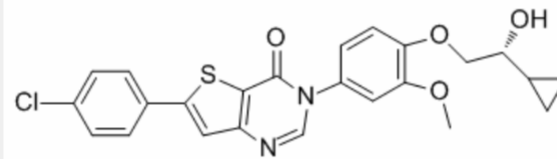
BMS-819881 is a melaninconcentrating hormone receptor 1 (**MCHR1**) antagonist, which binds rat MCHR1 with a **K_i** of 7 nM. BMS-819881 also is selective and potent for **CYP3A4** activity with an **EC₅₀** of 13 μM.

IC50 & Target: Ki: 7 nM (rat MCHR1)^[1]

EC50: 13 μM (CYP3A4)^[1]

In Vitro: BMS-819881 (Compound 27) is 99.8% binds to rat serum proteins and rat MCHR1 K_i is 7 nM. FLIPR-based assays establish that BMS-819881 is a potent and highly selective MCHR1 functional antagonist. BMS-819881 (K_b = 32 nM) effectively blocks MCH stimulated Ca^{2+} mobilization in heterologous cells overexpressing MCHR1 but fails to inhibit MCH mediated Ca^{2+} mobilization of cells expressing MCHR2 at 10 μM. No activity is observed upon screening BMS-819881 at 10 μM versus a panel of 20 GPCRs associated with feeding homeostasis. The percent of BMS-819881 binds to serum proteins is species dependent ranging from 99.8%, 99.6%, and 99.3%, respectively, for rat, dog, and monkey. When BMS-819881 is screened for cytochrome P450 (CYP) activity, EC_{50} values for CYP1A2, CYP2C9, CYP2C19, CYP2D6 are >40 μM; however, the CYP3A4 EC_{50} is 13 μM^[1].

In Vivo: BMS-819881 has moderate terminal elimination half-life ($t_{1/2}$ = 5.7 h, 32±8 h, and 14±3 h for rat (1 mg/kg, iv), dog (1 mg/kg, iv), and cynomolgous monkey (1 mg/kg, iv))^[1].



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