MLS1547

Cat. No.: HY-128121

CAS No.: 315698-36-3

Molecular Formula: $C_{19}H_{19}ClN_4O$

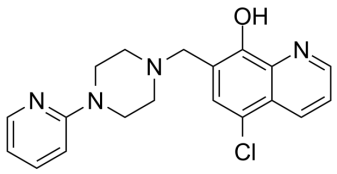
Molecular Weight: 354.83

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (93.93 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8183 mL	14.0913 mL	28.1825 mL
	5 mM	0.5637 mL	2.8183 mL	5.6365 mL
	10 mM	0.2818 mL	1.4091 mL	2.8183 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MLS1547 is a highly efficacious G protein-biased dopamine D2 receptor (D2R) agonist (K_i=1.2 μM). MLS1547 stimulates D2R G protein-mediated signaling (EC_{50}=0.37 μM in a calcium mobilization assay). MLS1547 acts as an antagonist for dopamine (DA)-stimulated β-arrestin recruitment to the D2R (IC_{50}=9.9 μM)[1][2].

In Vitro

MLS1547 fully antagonizes dopamine-mediated β-arrestin recruitment to the D2R in the DiscoveRx assay, with an IC_{50} of 9.9 μM. Similar results were obtained when MLS1547 was examined for antagonist activity in the D2R β-arrestin BRET assay, demonstrating an IC_{50} of 3.8 μM. MLS1547 is found to completely displace [^{3}H]methylspiperone binding to the D2R, with a

	calculated K_i of 1.2 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Free RB, et al. Discovery and characterization of a G protein-biased agonist that inhibits β-arrestin recruitment to the D2 dopamine receptor. Mol Pharmacol. 2014;86(1):96-105.

[2]. Chun LS, et al. Structure-Activity Investigation of a G Protein-Biased Agonist Reveals Molecular Determinants for Biased Signaling of the D2 Dopamine Receptor. Front Synaptic Neurosci. 2018;10:2. Published 2018 Feb 21.

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