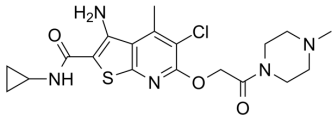
LY2119620

Cat. No.:	HY-15885
CAS No.:	886047-22-9
Molecular Formula:	$C_{19}H_{24}ClN_5O_3S$
Molecular Weight:	437.94
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 67.5 mg/mL (154.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2834 mL	11.4171 mL	22.8342 mL
	5 mM	0.4567 mL	2.2834 mL	4.5668 mL
	10 mM	0.2283 mL	1.1417 mL	2.2834 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: ≥ 2.5 mg/mL (5.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY2119620 is a high-affinity muscarinic M_2/M_4 receptor agonist.

IC_{50} & Target

M_2/M_4 receptor[1]

In Vitro

LY2119620 shows a modest allosteric agonism of 23.2±2.18% and 16.8±5.01% at the M_2 and M_4 receptors, respectively. Minimal allosteric agonism (<20%) is observed for LY2119620 at the M_1, M_3, and M_5 receptors. The variable K_B of LY2119620 for the allosteric binding site on the unoccupied receptor is found to be consistently about 1.9 to 3.4 μM. Results show a B_{max} increase at the M_2 receptor from 793±1.95 fmol/mg to 2850±162 fmol/mg upon addition of 10 μM LY2119620, and about a 5-fold increase in B_{max} at the M_4 receptor, 284±18.3 fmol/mg to 1340±42.2 fmol/mg[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

[^{3}H]LY2119620 equilibrium binding is achieved by incubating 15 μg membranes, orthosteric ligand (100 μM), and various concentrations of [^{3}H]LY2119620 (0.2 to 60 nM) for 1 hour at 25°C. The specific binding versus time data are fit to a one-site specific binding model, and the B_{max} and K_d for the allosteric molecule are calculated for each orthosteric ligand[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Croy CH, et al. Characterization of the novel positive allosteric modulator, LY2119620, at the muscarinic M(2) and M(4) receptors. Mol Pharmacol. 2014 Jul;86(1):106-15.

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