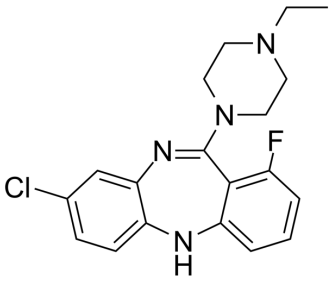
JHU37152

Cat. No.:	HY-131891
CAS No.:	2369979-67-7
Molecular Formula:	$C_{19}H_{20}ClFN_4$
Molecular Weight:	358.84
Target:	mAChR
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 (92.88 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7868 mL	13.9338 mL	27.8676 mL
	5 mM	0.5574 mL	2.7868 mL	5.5735 mL
	10 mM	0.2787 mL	1.3934 mL	2.7868 mL

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

BIOLOGICAL ACTIVITY

Description

JHU37152 is a potent and brain-penetrant DREADD agonist, with EC_{50}s of 5 nM and 0.5 nM for hM3Dq and hM4Di DREADDs in HEK-293 cells, respectively. JHU37152 exhibits selective [^{3}H]Clozapine displacement from DREADDs and not from other Clozapine-binding sites in mice brain tissue[1].

IC_{50} & Target

EC50: 5 nM (hM3Dq DREADD); 0.5 nM (hM4Di DREADD)[1]

In Vitro

JHU37152 displays high DREADD affinity, with K_is of 1.8 nM and 8.7 nM for hM3Dq and hM4Di expressed in mouse brain sections[1].

JHU37152 (1-1000 nM) selectively displaces [^{3}H]Clozapine displacement from DREADDs and not from other Clozapine-binding sites[1].

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

In Vivo

JHU37152 (0.1 mg/kg; i.p.) exhibits high DREADD occupancy in mice and rats[1].

JHU37152 (0.01-1 mg/kg; i.p.) selectively inhibits locomotor activity in D1-hM3Dq and D1-hM4Di mice without any significant locomotor effects observed in WT mice[1].

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

REFERENCES

[1]. Bonaventura J, et, al. High-potency ligands for DREADD imaging and activation in rodents and monkeys. Nat Commun. 2019 Oct 11;10(1):4627.

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