JNJ-46281222

Cat. No.: HY-120530

CAS No.: 1254980-38-5

Molecular Formula: $C_{23}H_{25}F_3N_4$

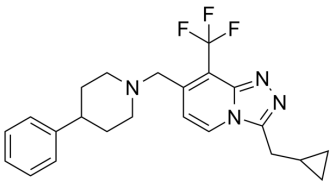
Molecular Weight: 414.47

Target: mGluR

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 : 62.5 mg/mL (150.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4127 mL	12.0636 mL	24.1272 mL
	5 mM	0.4825 mL	2.4127 mL	4.8254 mL
	10 mM	0.2413 mL	1.2064 mL	2.4127 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-46281222 is an metabotropic glutamate (mGlu) 2-selective, highly potent PAM (positive allosteric modulator) with nanomolar affinity (K_d = 1.7 nM) and a high modulatory potency (pEC_{50} = 7.71)[1].

IC_{50} & Target

mGluR2
1.7 nM (Kd)

In Vitro

JNJ□4628122 binds to the selected mGlu2 receptor mutants is significantly decreased by approximately 10□fold compared with WT, in transfected mGlu2 WT and mutant receptors in CHO□K1 cells, mutations F643A and N735D are selected[1].

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

Western Blot Analysis[1]

Cell Line:	CHO□K1 cells
Concentration:	
Incubation Time:	
Result:	Showed a decreased expression of mGlu2 receptor in mutant cells.

REFERENCES

[1]. Doornbos ML,et al. Molecular mechanism of positive allosteric modulation of the metabotropic glutamate receptor 2 by JNJ-46281222.Br J Pharmacol. 2016 Feb;173(3):588-600.

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