Dipraglurant

Cat. No.: HY-14859

CAS No.: 872363-17-2

Molecular Formula: $C_{16}H_{12}FN_3$

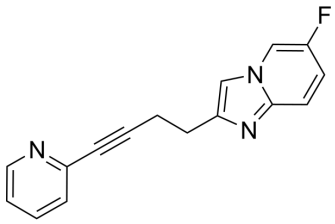
Molecular Weight: 265.29

Target: mGluR

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 : ≥ 40 mg/mL (150.78 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7695 mL	18.8473 mL	37.6946 mL
5 mM	0.7539 mL	3.7695 mL	7.5389 mL
10 mM	0.3769 mL	1.8847 mL	3.7695 mL

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

BIOLOGICAL ACTIVITY

Description

Dipraglurant (ADX48621) is a potent, selective, orally active and brain penetrant mGluR5 negative allosteric modulator (NAM), with an IC_{50} of 21 nM. Dipraglurant can reduce Levodopa-induced dyskinesia (LID) in vivo[1][2]. Dipraglurant is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

mGluR5

21 nM (IC_{50})

In Vitro

Dipraglurant (1-10 μM; 15 min) counteracts the abnormal membrane responses and calcium rise induced either by the D2R agonist quinpirole or by caged dopamine (NPEC-Dopamine)[3].

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

In Vivo

Dipraglurant (3-30 mg/kg; a single p.o.) reduces L-dopa-induced chorea and dystonia and does not interfere with the efficacy of L-dopa in treating parkinsonian disability macaque[1].

	Dipraglurant exhibits C_{max} (1.040, 1.380, 5.310 ng/mL) T_{max} (1.0, 0.5, 1.0 h) and AUC_{inf} (2.230, 2.860, 15.700) following p.o. administration (3, 10, 30 mg/kg) in macaque[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bezard E, et, al. The mGluR5 negative allosteric modulator dipraglurant reduces dyskinesia in the MPTP macaque model. Mov Disord. 2014 Jul;29(8):1074-9.

[2]. Sciamanna G, et, al. Negative allosteric modulation of mGlu5 receptor rescues striatal D2 dopamine receptor dysfunction in rodent models of DYT1 dystonia. Neuropharmacology. 2014 Oct;85:440-50.

[3]. The Synthesis and Use of Certain Pyridine Derivatives as Modulators of the G-protein Coupled Receptors mGlu5 and P2Y12

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA