Methoxy-PEPy

Cat. No.: HY-12510

CAS No.: 524924-76-3

Molecular Formula: $C_{13}H_{10}N_2O$

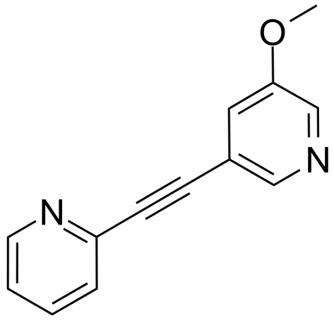
Molecular Weight: 210.23

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 : 100 mg/mL (475.67 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.7567 mL	23.7835 mL	47.5670 mL
	5 mM	0.9513 mL	4.7567 mL	9.5134 mL
	10 mM	0.4757 mL	2.3783 mL	4.7567 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 (11.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.89 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Methoxy-PEPy is a potent and highly selective mGlu5 receptor antagonist with IC50 of 1 nM.IC50 value: 1 nM [1]Target: mGlu5R inhibitorAdministration of [3H]methoxy-PEPy (50 microCi/kg i.v.) to mGlu5 receptor-deficient mice revealed binding at background levels in forebrain, whereas wild-type mice exhibited 14-fold higher binding in forebrain relative to cerebellum [2]. The calcium transients stimulated by these agonists were potently inhibited by reference allosteric mGlu5 antagonists - 2-methyl-6-(phenylethynyl)pyridine (MPEP), 3-[(2-methyl-1,3-thiazol-4-yl)ethynyl]pyridine (MTEP) and 3-methoxy-5-(pyridine-2-ylethynyl)pyridine (methoxy-PEPy) (IC(50) ranges: 0.8-66 nM) [3].

IC_{50} & Target	mGlu5 Receptor 1 nM (IC_{50})

REFERENCES

[1]. Cosford ND, et al. [3H]-methoxymethyl-MTEP and [3H]-methoxy-PEPy: potent and selective radioligands for the metabotropic glutamate subtype 5 (mGlu5) receptor. Bioorg Med Chem Lett. 2003 Feb 10;13(3):351-4.

[2]. Anderson JJ, et al. In vivo receptor occupancy of mGlu5 receptor antagonists using the novel radioligand [3H]3-methoxy-5-(pyridin-2-ylethynyl)pyridine). Eur J Pharmacol. 2003 Jul 18;473(1):35-40.

[3]. Salisbury BG, et al. Inducible expression and pharmacological characterization of the mouse metabotropic glutamate 5b receptor. Eur J Pharmacol. 2008 Jan 28;579(1-3):34-9.

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