MPEP

Cat. No.: HY-14609A

CAS No.: 96206-92-7

Molecular Formula: $C_{14}H_{11}N$

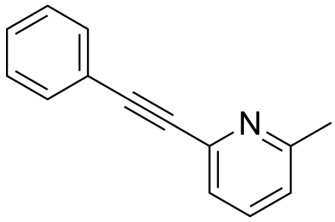
Molecular Weight: 193.24

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 : 100 mg/mL (517.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.1749 mL	25.8746 mL	51.7491 mL
	5 mM	1.0350 mL	5.1749 mL	10.3498 mL
	10 mM	0.5175 mL	2.5875 mL	5.1749 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 (12.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (12.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MPEP is a potent, selective, noncompetitive, orally active and systemically active mGlu5 receptor antagonist, with an IC_{50} of 36 nM for completely inhibiting quisqualate-stimulated phosphoinositide (PI) hydrolysis. MPEP has anxiolytic-or antidepressant-like effects[1][2]. MPEP 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
36 nM (IC_{50})

In Vitro

MPEP does not show agonist or antagonist activity at 100 mM on human mGlu2, -3, -4a, -7b, and -8a receptors nor at 10 μM on the human mGlu6 receptor[1].

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

In Vivo

MPEP (1-30 mg/kg) induces anxiolytic-like effects in the conflict drinking test and the elevated plus-maze test in rats as well as in the four-plate test in mice[2].

MPEP (1-20 mg/kg) does shorten the immobility time in a tail suspension test in mice, however it is inactive in the behavioural despair test in rats[2].

MPEP (30 mg/kg i.p.) slightly but significantly increases (by 39%) the number of punished crossings in the four-plate test, lower doses of the compound (3 and 10 mg/kg) does not affect the number of punished crossings in that test ($F(3,36)=3.240$, $P<0.05$)[2].

MPEP (1, 10 and 20 mg/kg) significantly (by 55% after the highest dose), ($F(3,28)=15.47$, $P<0.001$) decreases the immobility time of mice in the tail suspension test. Its efficacy is similar to that of imipramine (20 mg/kg), used as the positive standard [2].

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

Animal Model:	Male Wistar rats (200 ± 250 g)[2].
Dosage:	IP or PO.
Administration:	0.3, 1 and 10 mg/kg, i.p. (Conflict drinking test).
Result:	At a dose of 0.3 mg/kg was not ffective, at doses of 1 and 10 mg/kg i.p. significantly ($F(3,30)=11.193$, $P<0.001$), increased the number of shocks (by 330 and 507%, respectively) accepted during the experimental session in the Vogel test.

Animal Model:	Male Wistar rats (200 ± 250 g)[2].
Dosage:	IP or PO.
Administration:	1, 3 and 10 mg/kg, i.p. or 10 and 30 mg/kg, p.o.(Elevated plus-maze test).
Result:	Administered at a dose of 1 mg kg71 i.p. did not change the entries into and time spent in the open arms. At doses of 3 and 10 mg/kg i.p. significantly ($F(3,24)=22.978$, $P<0.001$) dose-dependently increased the time spent in the open arms (up to 45 and 74%, respectively), and the percentage of entries into the open arms (up to 48 and 68%, respectively, $F(3,24)=5.678$, $P<.01$). At doses of 3 and 10 mg/kg i.p. significantly increased (by 64%) the total number of entries and reduced (by about 25%) the total timespent (data not shown) in the arms (either type). At the dose of 30 mg/kg (po, but not 10 mg/kg) significantly (up to 64%, $F(2,16)=14.249$, $P<0.001$) increased the percentage of the time spent in the open arms and the percentage of entries into the open arms (up to 63%, $F(2,16)=7.295$, $P<0.01$). MPEP given p.o. in both doses used did not change the total number of entries nor the total time spent in the arms (either type).

CUSTOMER VALIDATION

- Pharmacol Biochem Behav. 2023 Jun 20;173588.
- Epilepsy Res. 2021, 106677.
- SSRN. 2023 Apr 26.

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REFERENCES

[1]. F Gasparini, et al. 2-Methyl-6-(phenylethynyl)-pyridine (MPEP), a Potent, Selective and Systemically Active mGlu5 Receptor Antagonist. Neuropharmacology. 1999 Oct;38(10):1493-503.

[2]. E Tatarczyńska, et al. Potential anxiolytic- and antidepressant-like effects of MPEP, a potent, selective and systemically active mGlu5 receptor antagonist. Br J Pharmacol. 2001 Apr;132(7):1423-30.

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