MPEP Hydrochloride

Cat. No.: HY-14609

CAS No.: 219911-35-0

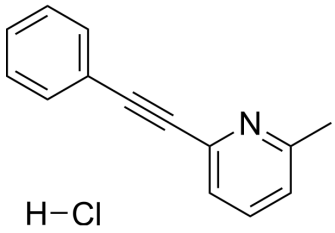
Molecular Formula: $C_{14}H_{12}ClN$

Molecular Weight: 229.7

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 25 mg/mL (108.84 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.3535 mL	21.7675 mL	43.5350 mL
	5 mM	0.8707 mL	4.3535 mL	8.7070 mL
	10 mM	0.4354 mL	2.1768 mL	4.3535 mL

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

In Vivo

1. Add each solvent one by one: Saline
Solubility: 100 mg/mL (435.35 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MPEP Hydrochloride 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 Hydrochloride has anxiolytic-or antidepressant-like effects[1][2]. MPEP (Hydrochloride) 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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