MPEP

Cat. No.: HY-14609A

CAS No.: 96206-92-7

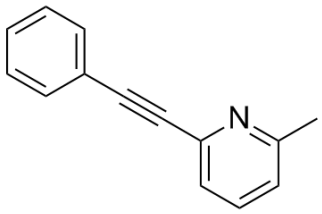
Molecular Formula: $C_{14}H_{11}N$

Molecular Weight: 193.24

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



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].
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

- Epilepsy Res. 2021, 106677.

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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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