Product Data Sheet

Product Name: MPEP
Cat. No.: GC10864

Chemical Properties

Cas. No.	96206-92-7		
Chemical Name	2-methyl-6-(2-phenylethynyl)pyridine		
SMILES	CC1=CC=CC(=N1)C#CC2=CC=CC=C2		
Formula	$C_{14}H_{11}N$	M.Wt	193.24
Solubility	≥ 9.95mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MPEP		

Protocol

Cell experiment [1]:

Cell lines	MN9D cells
Preparation Method	MN9D cells were pretreated with 10μM MPEP for 30min followed by different concentration of rotenone or (RS)-2-chloro-5- hydroxyphenylglycine (CHPG) treatment for indicated time. The expression levels of p-PERK, γ-H2AX and tyrosine hydroxylase were detected by Western blot.

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Reaction Conditions	10μM; 30min
Applications	MPEP reversed the increase in p-PERK and γ -H2AX expression levels and alleviated the decrease in tyrosine hydroxylase induced by rotenone treatment.

Animal experiment [2]:

Animal models	C57BL/6NCrl mice
	The animals used in this study were male and female C57BL/6NCrl mice purchased from Charles River Laboratories (Strain Code #027) at seven weeks of age. Upon delivery, mice were singly housed with food *ad libitum*. Water was provided *ad libitum* via a water bottle except for during the Drinking in the Dark (DID) sessions.
Preparation Method	A 20% ethanol drinking solution for the DID experiments was made with 200 proof ethanol diluted with tap water (v/v) and used within 24h. MPEP was dissolved in saline and injected i.p. at 10 ml/kg body weight. A range of doses from 0–30mg/kg MPEP were used in these studies. After the completion of the final MPEP dose the animals continued on DID for three days to test for blood ethanol concentrations.
Dosage form	0–30mg/kg for 3days; i.p.

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Applications	30mg/kg MPEP lowered alcohol consumption during DID in male and female C57BL/6 mice without changes in *Homer2*/*Erk2* expression.

References:
[1] Gu, L., Luo, W. Y., Xia, N., Zhang, J. N., Fan, J. K., Yang, H. M., Wang, M. C., & Zhang, H. (2022). Upregulated mGluR5 induces ER stress and DNA damage by regulating the NMDA receptor subunit NR2B. *Journal of biochemistry*, *171*(3), 349–359.
[2] Huang, G., Thompson, S. L., & Taylor, J. R. (2021). MPEP Lowers Binge Drinking in Male and Female C57BL/6 Mice: Relationship with mGlu5/Homer2/Erk2 Signaling. *Alcoholism, clinical and experimental research*, *45*(4), 732–742.

Background

MPEP is a noncompetitive, selective, potent, orally active and systemically active mGlu5 receptor antagonist, with an IC_{50} of 36nM for completely inhibiting quisqualate-stimulated phosphoinositide (PI) hydrolysis[1]. MPEP has anxiolytic-or antidepressant-like effects[2] and is usually used in the study of neurological disorders, such as fragile X syndrome[3] and epilepsy[4] .

In vitro, pretreatment of MN9D cells with 10μM MPEP 30min prior to rotenone exposure

reversed the increase in p-PERK and γ -H2AX expression levels and alleviated the decrease in tyrosine hydroxylase induced by rotenone treatment[5] .

In vivo, intraperitoneal injection of MPEP(30mg/kg; 3days) lowered alcohol consumption during Drinking in the Dark (DID) in male and female C57BL/6 mice without changes in *Homer2*/*Erk2* expression[6] . Intraperitoneal injection of MPEP (3 mg/kg, 30min) facilitates amphetamine-induced effects independently on the behavior measured both in naïve and in dopamine lesioned mice[7].

References:
[1] Gasparini, F., Lingenhöhl, K., Stoehr, N., Flor, P. J., Heinrich, M., Vranesic, I., Biollaz, M., Allgeier, H., Heckendorn, R., Urwyler, S., Varney, M. A., Johnson, E. C., Hess, S. D., Rao, S. P., Sacaan, A. I., Santori, E. M., Veliçelebi, G., & Kuhn, R. (1999). 2-Methyl-6-(phenylethynyl)-pyridine (MPEP), a potent, selective and systemically active mGlu5 receptor antagonist. *Neuropharmacology*, *38*(10), 1493–1503.
[2] Tatarczyńska, E., Klodzińska, A., Chojnacka-Wójcik, E., Palucha, A., Gasparini, F., Kuhn, R., & Pilc, A. (2001). Potential anxiolytic- and antidepressant-like effects of MPEP, a potent, selective and systemically active mGlu5 receptor antagonist. *British journal of pharmacology*, *132*(7), 1423–1430.
[3] Castrén, M. L., & Castrén, E. (2014). BDNF in fragile X syndrome. *Neuropharmacology*, *76 Pt C*, 729–736.
[4] Moldrich, R. X., Chapman, A. G., De Sarro, G., & Meldrum, B. S. (2003). Glutamate metabotropic receptors as targets for drug therapy in epilepsy. *European journal of pharmacology*, *476*(1-2), 3–16.
[5] Gu, L., Luo, W. Y., Xia, N., Zhang, J. N., Fan, J. K., Yang, H. M., Wang, M. C., & Zhang, H. (2022). Upregulated mGluR5 induces ER stress and DNA damage by regulating the NMDA receptor subunit NR2B. *Journal of biochemistry*, *171*(3), 349–359.
[6] Huang, G., Thompson, S. L., & Taylor, J. R. (2021). MPEP Lowers Binge Drinking in Male and Female C57BL/6 Mice: Relationship with mGlu5/Homer2/Erk2 Signaling. *Alcoholism, clinical and experimental research*, *45*(4), 732–742.
[7] Managò, F., Lopez, S., Oliverio, A., Amalric, M., Mele, A., & De Leonibus, E. (2013). Interaction between the mGlu receptors 5 antagonist, MPEP, and amphetamine on memory and motor functions in mice. *Psychopharmacology*, *226*(3), 541–550.

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