上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：2-甲基-6-(苯基乙炔基)吡啶盐酸盐
产品别名：MPEP Hydrochloride

生物活性：

Description

MPEP hydrochloride is a potent and highly selective non-competitive antagonist at the mGlu5 receptor subtype with IC50 of 36 nM. IC50 Value: 36 nM Target: mGluR in vitro: MPEP has no appreciable agonist or antagonist activity at the closely related recombinant human mGlu1b receptor expressed in CHO-K1 cells or a purinoreceptor endogenously expressed in L(tk-) cells up to concentrations of 100 μM. Furthermore, MPEP shows no appreciable agonist or antagonist activity in cAMP accumulation or [35S]-GTPγS binding assays at the recombinant human group II and III metabotropic receptors (human mGlu2, -3, -4a, -6, -7b, -8a) as well as the human NMDA (NMDAR1A/2A, -1A/2B), rat AMPA (GluR3) and human kainate (GluR6) receptor subtypes. In slices of rat neonatal hippocampus, striatum, and cortex but not cerebellum, MPEP inhibits DHPG-stimulated PI hydrolysis with IC50 of 8.0 nM, 20.5 nM, and 17.9 nM, respectively. MPEP positively modulates the hmGluR4 in a recombinant expression system, and the effect of MPEP is fully dependent on the activation of the orthosteric agonist L-AP4. 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. MPEP (1-20 mg/kg) shortens the immobility time in a tail suspension test in mice, but it is inactive in the behavioural despair test in rats. MPEP has no effect on locomotor activity or motor coordination. MPEP significantly reduces fmr1 but not wild-type center square entries and duration. In open field tests, MPEP reduces fmr1tm1Cgr center field behavior to one indistinguishable from wild-type. MPEP produces a significant reduction of total locomotor activity in three of four groups tested, at both 10 mg/kg and 30 mg/kg.

Solvent&Solubility

In Vitro:

H_2O : ≥ 50 mg/mL (217.68 mM)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Inta, Dragos; Filipovic, Dragana; Lima-Ojeda, Juan M. et al. The mGlu5 receptor antagonist MPEP activates specific stress-related brain regions and lacks neurotoxic effects of the NMDA receptor antagonist MK-801: Significance for the use as anxiolytic/ant

[2]. Chau, Peipei; Soederpalm, Bo; Ericson, Mia The mGluR5 antagonist MPEP elevates accumbal dopamine and glycine levels; interaction with strychnine-sensitive glycine receptors. Addiction Biology (2011), 16(4), 591-599.

	[3]. D'Souza, Manoranjan S.; Markou, Athina Metabotropic glutamate receptor 5 antagonist 2-methyl-6-(phenylethynyl)pyridine (MPEP) microinfusions into the nucleus accumbens shell or ventral tegmental area attenuate the reinforcing effects of nicotine in rats.