Product Name: 2-PMPA
Catalog Number: T3440
CAS Number: 173039-10-6
Molecular Formula: C6H11O7P
Molecular Weight: 226.12

Description: 2-PMPA is a potent and selective inhibitor of glutamate carboxypeptidase II (GCPII) (IC50=300 pM).

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

Solubility
H2O ≥ 28 mg/mL (123.83 mM)
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Receptor (IC50)
GCPII 300pM

In vitro Activity
2-PMPA is a potent and selective inhibitor of GCPII, an enzyme which catabolizes the abundant neuropeptide N-acetylaspartyl-glutamate (NAAG) to N-acetylaspartate (NAA) and glutamate. 2-PMPA demonstrates robust efficacy in numerous animal models of neurological disease. 2-PMPA is a highly polar compound with multiple negative charges causing significant challenges for analysis in biological matrices[1]. 2-PMPA reduces ketamine-induced decrease of cell viability and increase of LDH levels in the mixed cultures but not in the neuronal cultures[2].

In vivo Activity
Intraperitoneal administration of 100 mg/kg 2-PMPA results in maximum concentration in plasma of 275 μg/mL at 0.25 h. The half-life, area under the curve, apparent clearance, and volume of distribution are 0.64 h, 210 μg×h/mL, 7.93 mL/min/kg, and 0.44 L/kg, respectively[1]. 2-PMPA at 250 mg/kg, in an anesthetized mouse, after an initial rise, produces a rapid decline and a striking attenuation in BOLD signals in gray matter. The signature of 2-PMPA on brain T2* signals in gray matter at both 167 and 250 mg/kg includes a significant initial rise lasting several minutes[3]. 2-PMPA has neuroprotective activity in an animal model of stroke and anti-ailodynamic activity in CCI model. Administration of 2-PMPA (50mg/kg) produces a mean peak concentration of 2-PMPA of 29.66±8.1 μM. This concentration is about 100,000 fold more than is needed for inhibition of NAAG peptidase, and indicates very good penetration to the brain. Administration of 50 mg/kg 2-PMPA (i.p.) produces a continuously increasing extracellular NAAG concentration, which starts directly after application[4].

Cell Assay
Neuronal cultures and neuron–glia mixed cultures are treated with ketamine diluted in the culture medium (1, 3, 10, 30, 100, 300, 1000, 2000, 3000 μM) for 24 h to compare neurotoxicity in these two different cell cultures. 2-PMPA is selected to explore the protective effect on ketamine-induced neurotoxicity in these two different cell cultures. Cells are exposed to 2-PMPA (20, 50, 100 μM) half an hour before 10 μM ketamine treatment in neuronal cultures and 2 mM ketamine treatment in neuron–glia mixed cultures for 24 h. Different doses of ketamine chosen in neuronal cultures and neuron–glia mixed cultures are based on the results of cell viability tests[2].

Cell line:
Animal Experiment
Animal Model: Swiss-Webster (SW) mice

Reference
3. Baslow MH, et al. 2-PMPA, a NAAG peptidase inhibitor, attenuates magnetic resonance BOLD signals in brain of...

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