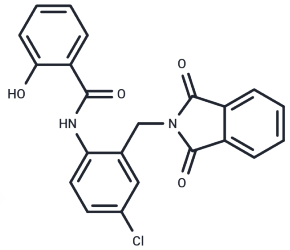
Data Sheet (Cat.No.T10881)

TargetMol

CPPHA

Chemical Properties



CAS No. : 693288-97-0

Formula: C22H15ClN2O4

Molecular Weight: 406.82

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CPPHA, a positive allosteric modulator of glutamate receptors mGluR5 and mGluR1, is commonly used in development for central nervous system diseases.
Targets(IC50)	GluR
In vitro	CPPHA potentiated the response to a subthreshold concentration of 3,5-dihydroxy-phenylglycine (DHPG) on extracellular signal-regulated protein kinase (ERK) and cyclic-AMP responsive element-binding protein (CREB) activity, as well as N-methyl d-aspartate (NMDA) receptor subunit NR1 phosphorylation in cortical and hippocampal slices [1]. CPPHA potentiated threshold responses to glutamate in fluorometric Ca(2+) assays 7- to 8-fold (EC50s: 400 to 800 nM), and at 10 μM shifted mGluR5 agonist concentration-response curves to glutamate, quisqualate, and DHPG 4- to 7-fold to the left. CPPHA (10 μM) potentiated NMDA receptor currents in hippocampal slices induced by threshold levels of DHPG, whereas having no effect on these currents by itself. Similarly, 10 μM CPPHA also potentiated mGluR5-mediated DHPG-induced depolarization of rat subthalamic nucleus neurons [2]. CPPHA induced an increase in basal mGluR5-mediated ERK1/2 phosphorylation and potentiated the effect of low concentrations of agonists. In contrast, CPPHA significantly decreased ERK1/2 phosphorylation induced by high concentrations of agonists [3].
Cell Research	CPPHA potentiated the response to a subthreshold concentration of 3,5-dihydroxy-phenylglycine (DHPG) on extracellular signal-regulated protein kinase (ERK) and cyclic-AMP responsive element-binding protein (CREB) activity, as well as N-methyl d-aspartate (NMDA) receptor subunit NR1 phosphorylation in cortical and hippocampal slices [1]. CPPHA potentiated threshold responses to glutamate in fluorometric Ca(2+) assays 7- to 8-fold (EC50s: 400 to 800 nM), and at 10 μM shifted mGluR5 agonist concentration-response curves to glutamate, quisqualate, and DHPG 4- to 7-fold to the left. CPPHA (10 μM) potentiated NMDA receptor currents in hippocampal slices induced by threshold levels of DHPG, whereas having no effect on these currents by itself. Similarly, 10 μM CPPHA also potentiated mGluR5-mediated DHPG-induced depolarization of rat subthalamic nucleus neurons [2]. CPPHA induced an increase in basal mGluR5-mediated ERK1/2 phosphorylation and potentiated the effect of low concentrations of agonists. In contrast, CPPHA significantly decreased ERK1/2 phosphorylation induced by high concentrations of agonists [3].

Solubility Information

Solubility	DMSO: 90 mg/mL (221.23 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (8.11 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4581 mL	12.2904 mL	24.5809 mL
5 mM	0.4916 mL	2.4581 mL	4.9162 mL
10 mM	0.2458 mL	1.229 mL	2.4581 mL
50 mM	0.0492 mL	0.2458 mL	0.4916 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Liu F, et al. The effect of mGlu5 receptor positive allosteric modulators on signaling molecules in brain slices. Eur J Pharmacol. 2006 May 1;536(3):262-8.

O'Brien JA, et al. A novel selective allosteric modulator potentiates the activity of native metabotropic glutamate receptor subtype 5 in rat forebrain. J Pharmacol Exp Ther. 2004 May;309(2):568-77.

Zhang Y, et al. Allosteric potentiators of metabotropic glutamate receptor subtype 5 have differential effects on different signaling pathways in cortical astrocytes. J Pharmacol Exp Ther. 2005 Dec;315(3):1212-9.

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