CPPHA

Cat. No.: HY-14612

CAS No.: 693288-97-0

Molecular Formula: $C_{22}H_{15}ClN_2O_4$

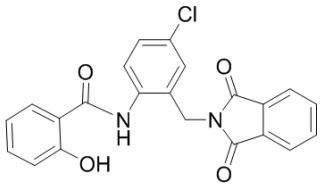
Molecular Weight: 406.82

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (245.81 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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	1.2290 mL	2.4581 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CPPHA is potent and selective positive allosteric modulator (PAM) of the mGluR5 and mGluR1 (metabotropic glutamate receptor). CPPHA can potentiate responses of mGluR5 and mGluR1 to activation of these receptors. CPPHA is developed for the research of central nervous system disorders[1][2].

IC_{50} & Target

mGlu5 Receptor

In Vitro

CPPHA alone has no agonist activity[1].
CPPHA causes concentration-dependent potentiation of the response of human mGluR5 CHO cells to agonists[1].
CPPHA potentiates threshold response to glutamate in fluorometric Ca^{2+} assays 7- to 8-fold with EC_{50} values in the 400 to 800 nM range[1].
CPPHA (20 μM; 15 minutes) potentiates the response to a subthreshold concentration of DHPG (HY-12598A) on ERK and cyclic-AMP responsive element-binding protein (CREB) activity, as well as NMDA receptor subunit NR1 phosphorylation in

cortical and hippocampal slices[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	Rat hippocampal cells
Concentration:	20 μM
Incubation Time:	15 minutes
Result:	Potentiated the DHPG (20 μM)-induced increase in phosphorylation of ERK and CREB, approaching levels seen with 100 μM DHPG alone.

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

[4]. N-{4-Chloro-2-[(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)methyl]phenyl}-2-hydroxybenzamide (CPPHA) acts through a novel site as a positive allosteric modulator of group 1 metabotropic glutamate receptors. Mol Pharmacol. 2008 Mar;73(3):909-18.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA