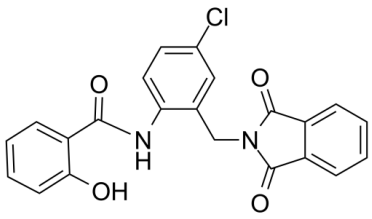
Data Sheet

Product Name:	CPPHA
Cat. No.:	CS-1640
CAS No.:	693288-97-0
Molecular Formula:	C22H15ClN2O4
Molecular Weight:	406.82
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : ≥ 58 mg/mL (142.57 mM)



BIOLOGICAL ACTIVITY:

CPPHA is a selective positive allosteric modulator of mGluR5 receptor. IC50 Value: Target: mGluR in vitro: The selective mGlu5 receptor positive allosteric modulator, N-{4-chloro-2-[(1,3-dioxo-1,3-dihydro-2H-isoindol-2yl)-methyl]phenyl}-2-hydrobenzamide (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 with EC(50) values in the 400 to 800 nM range, and at 10 microM shifted mGluR5 agonist concentration-response curves to glutamate, quisqualate, and (R,S)-3,5-dihydroxyphenylglycine (DHPG) 4- to 7-fold to the left. CPPHA (10 microM) potentiated NMDA receptor currents in hippocampal slices induced by threshold levels of DHPG, whereas having no effect on these currents by itself. Similarly, 10 microM 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]. in vivo:

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.

CAIndexNames:

Benzamide, N-[4-chloro-2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]phenyl]-2-hydroxy

SMILES:

O=C1N(CC2=CC(Cl)=CC=C2NC(C3=CC=CC=C3O)=O)C(C4=CC=CC=C41)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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