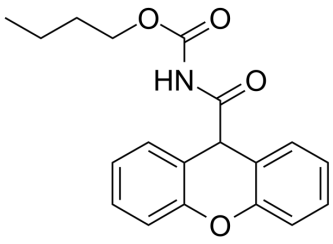
Ro 67-4853

Cat. No.:	HY-107506
CAS No.:	302841-89-0
Molecular Formula:	$C_{19}H_{19}NO_4$
Molecular Weight:	325.36
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ro 67-4853 is a positive allosteric modulator (PAM) of mGluR1 (pEC_{50}=7.16 for rmGlu1a receptor). Ro67-4853 exhibits activity at all group I mGlu receptors including hmGlu1, rmGlu1, and rmGlu5. Ro 67-4853 enhances the potency of L-Glu by interacting with the transmembrane domain (TMD) of the receptor. Ro 67-4853 potentiates sensory synaptic responses to repetitive vibrissa stimulation[1][2][3][4].
IC_{50} & Target	Rat mGluR1a 7.16 (pEC50)
In Vitro	Ro67-4853 selectively potentiates responses to the agonist DHPG[2]. Ro 67-4853 (1 μM) shifts the concentration-response curve (CRC) of glutamate approximately 2-fold, 15-fold, and 4.5-fold to the left respectively in BHK cells stably expressing mGluR1a[4]. Ro 67-4853 (1 μM) activates p-ERK1/2 in the absence of agonist with a time course of activation peaking at 5 minutes in BHK cells[4]. Ro 67-4853 (500 nM) potentiates glutamate-induced activation of mGluR1 as assessed by measures of cAMP production. Glutamate increases cAMP accumulation with an EC50 value of 32.08 μM in the absence of Ro 67-4853. The EC50 values for glutamate in the presence of Ro 67-4853 is 2.15 μM. Ro 67-4853 increases basal mGluR1-induced cAMP accumulation and potentiate glutamate-induced cAMP accumulation but have lower potencies at modulating the cAMP response than at regulating ERK1/2 phosphorylation or calcium mobilization[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Knoflach F, et al. Positive allosteric modulators of metabotropic glutamate 1 receptor: characterization, mechanism of action, and binding site [published correction appears in Proc Natl Acad Sci U S A 2001 Dec 18;98(26):15393]. Proc Natl Acad Sci U S A. 2001;98(23):13402-13407.

[2]. Jiang JY, et al. Extracellular calcium modulates actions of orthosteric and allosteric ligands on metabotropic glutamate receptor 1α. J Biol Chem. 2014;289(3):1649-1661.

[3]. Salt TE, et al. Potentiation of sensory responses in ventrobasal thalamus in vivo via selective modulation of mGlu1 receptors with a positive allosteric modulator. Neuropharmacology. 2012;62(4):1695-1699.

[4]. Sheffler DJ, et al. Allosteric potentiators of metabotropic glutamate receptor subtype 1a differentially modulate independent signaling pathways in baby hamster kidney cells. Neuropharmacology. 2008;55(4):419-427.

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

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