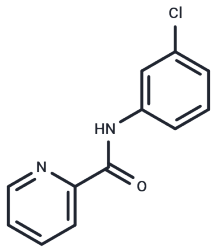
Data Sheet (Cat.No.T6727)

TargetMol

VU0364770

Chemical Properties



CAS No. : 61350-00-3

Formula: C12H9ClN2O

Molecular Weight: 232.67

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	VU0364770 (VU 0364770)(EC50=1.1 μM), a positive allosteric modulator(PAM) of mGlu4, shows insignificant activity at 68 other receptors, including other mGlu subtypes.
Targets(IC50)	GluR
In vitro	VU0364770 is a potent and effective positive allosteric modulator of mGlu4. VU0346770 exhibits a potency of 1.1 ± 0.2 μM at human mGlu4 in the presence of an EC20 concentration of glutamate and shifts the glutamate concentration-response curve 31.4 ± 4.0-fold to the left. VU0364770 exhibits a potency of 290 ± 80 nM at rat mGlu4 and induces an 18.1 ± 1.7-fold left shift of the glutamate concentration-response curve. [1]
In vivo	VU0364770 shows efficacy alone or when administered in combination with l-DOPA or an adenosine 2A (A2A) receptor antagonist preladenant currently in clinical development. When administered alone, VU0364770 exhibits efficacy in reversing haloperidol-induced catalepsy, forelimb asymmetry-induced by unilateral 6-hydroxydopamine (6-OHDA) lesions of the median forebrain bundle, and attentional deficits induced by bilateral 6-OHDA nigrostriatal lesions in rats. In addition, VU0364770 enhances the efficacy of preladenant to reverse haloperidol-induced catalepsy when given in combination. The effects of VU0364770 to reverse forelimb asymmetry are also potentiated when the compound is coadministered with an inactive dose of l-DOPA, suggesting that mGlu4 positive allosteric modulator may provide l-DOPA-sparing activity. [1]
Kinase Assay	The effects of VU0364770 on rat mGlu1 and mGlu5 are assessed by using calcium mobilization and measuring the glutamate concentration-response relationship in the presence and absence of 10 μM VU0364770. Using a double-addition protocol, VU0364770 is added to the cells, followed 2.5 min later by a full concentration-response of glutamate. Shifts of the concentration-response relationship are used to assess potential potentiator (left shift of more than 2-fold) or antagonist (right shift of more than 2-fold or depression of the maximum response by at least 75%) activity of VU0364770. Compounds are further assessed for mGlu5 antagonist activity by performing a full concentration-response curve, starting at 30 μM and serially diluted it by using 1:3 dilutions, in the presence of an EC80 concentration of glutamate[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (214.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.2979 mL	21.4897 mL	42.9793 mL
5 mM	0.8596 mL	4.2979 mL	8.5959 mL
10 mM	0.4298 mL	2.149 mL	4.2979 mL
50 mM	0.086 mL	0.4298 mL	0.8596 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.

Reference

Jones CK, et al. J Pharmacol Exp Ther, 2012, 340(2), 404-421.