For Research Use Only

VU0364770

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Catalog Number: CM05103

产品信息

Catalog Number:
CM05103

CAS号:
61350-00-3

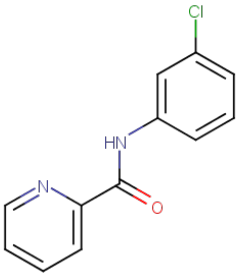
分子式:
$C_{12}H_9ClN_2O$

主要靶点:
GluR

主要通路:
神经科学

分子量:
232.67

溶解度:
DMSO:23.3 mg/mL (100 mM)



靶点活性

mGlu4:1.1 μM(EC50)

体外活性

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]

体内活性

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]

描述

VU 0364770(EC50=1.1 μM), a positive allosteric modulator(PAM) of mGlu4, shows insignificant activity at 68 other receptors, including other mGlu subtypes.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years