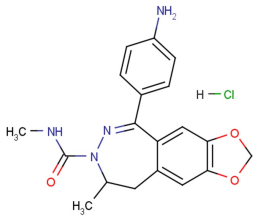
Data Sheet (Cat.No.T15456)

TargetMol
A DRUG SCREENING EXPERT

GYKI53655 hydrochloride

Chemical Properties



CAS No.:	143692-48-2
Formula:	C19H21ClN4O3
Molecular Weight:	388.85
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	GYKI53655 hydrochloride is an antagonist of α-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA).
Targets(IC_{50})	AMPA: None
In vitro	GYKI53655 hydrochloride inhibits AMPA receptor-mediated responses in cerebella Purkinje neurons (IC50: 1.5±0.1 μM). GYKI53655 hydrochloride inhibits α-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA) (10 μM)-induced responses (IC50: 5.9±0.1 μM). GYKI53655 hydrochloride inhibits AMPA (10 μM) responses in recombinant G1uR4 expressing HEK293 cells (IC50: 4.6±0.4 μM). GYKI53655 hydrochloride produces only small inhibitions of kainate-induced currents at 30 μM and inhibits kainate-induced currents at a concentration of 100 μM by 12±2 (n=4) and 18±4 (n=4), respectively. Using 3 μM cyclothiazide the inhibition produced by GYKI53655 hydrochloride is 79±2% (n=4 cells) [1].
In vivo	The dose-dependence of GYKI53655 hydrochloride (2 to 8 mg/kg) in depressing responses to AMPA. Tonic fit and death are completely prevented by GYKI53655 hydrochloride at a dose of over 5.0 mg/kg (ED50: 2.2 mg/kg i.p). GYKI53655 hydrochloride (4 mg/kg) is found to have a short-lasting depressant effect on neuronal responses to iontophoretic α-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA), with a half-recovery time of approximately 7 min. GYKI53655 hydrochloride (4 and 8 mg/kg) substantially depresses or completely abolishes AMPA responses. At the highest doses tested, GYKI53655 hydrochloride decreases AMPA responses to a comparable degree [2]. The maximal effects of GYKI53655 hydrochloride last 3 h then the exit inhibition effect of GYKI53655 hydrochloride falls to 20% 1 h later [3].

Solubility Information

Solubility	DMSO: 160 mg/mL (411.47 mM) H2O: 8 mg/mL (20.57 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.572 mL	12.858 mL	25.717 mL
5 mM	0.514 mL	2.572 mL	5.143 mL
10 mM	0.257 mL	1.286 mL	2.572 mL
50 mM	0.051 mL	0.257 mL	0.514 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Bleakman D, et al. Activity of 2,3-benzodiazepines at native rat and recombinant human glutamate receptors in vitro: stereospecificity and selectivity profiles. Neuropharmacology. 1996;35(12):1689-702.
2. Chizh BA, et al. A comparison of intravenous NBQX and GYKI 53655 as AMPA antagonists in the rat spinal cord. Br J Pharmacol. 1994 Jul;112(3):843-6.
3. Szabados T, et al. Comparison of anticonvulsive and acute neuroprotective activity of three 2,3-benzodiazepine compounds, GYKI 52466, GYKI 53405, and GYKI 53655. Brain Res Bull. 2001 Jun;55(3):387-91.

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