GYKI 52466 dihydrochloride

Cat. No.: HY-103234A

CAS No.: 2319722-40-0

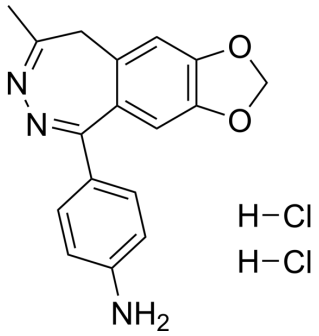
Molecular Formula: $C_{17}H_{17}Cl_2N_3O_2$

Molecular Weight: 366.24

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2.78 mg/mL (7.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7304 mL	13.6523 mL	27.3045 mL
	5 mM	0.5461 mL	2.7304 mL	5.4609 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GYKI 52466 dihydrochloride is an orally active, highly selective and noncompetitive AMPA/kainate receptor antagonist with the IC_{50} values of 7.5 and 11μM, respectively. GYKI 52466 dihydrochloride has good blood brain barrier permeability and anticonvulsant effect. GYKI 52466 dihydrochloride can be used in Parkinson's disease research[1][2].

IC_{50} & Target

AMPA Receptor	Kainate Receptor
7.5 μM (IC_{50})	11 μM (IC_{50})

In Vitro

GYKI 52466 (0.3-100 μM) inhibits inward currents activated by AMPA and Kainate receptor in cultured rat hippocampal neurons[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GYKI 52466 (intraperitoneal injection; 1.76-13.2 mg/kg; once) treatment provides potent anticonvulsant protection against sound-induced seizures in DBA/2 mice[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male and female DBA/2 mice tested for sound-induced seizure responses[2]
Dosage:	1.76-13.2 mg/kg
Administration:	Intraperitoneal injection; 1.76-13.2 mg/kg; once
Result:	Observed Maximal anticonvulsant protection after the i.p. treatment (5-15 min).

REFERENCES

[1]. S D Donevan, et al. GYKI 52466, a 2,3-benzodiazepine, is a highly selective, noncompetitive antagonist of AMPA/kainate receptor responses. Neuron. 1993 Jan;10(1):51-9.

[2]. A G Chapman, et al. The anticonvulsant effect of the non-NMDA antagonists, NBQX and GYKI 52466, in mice. Epilepsy Res. 1991 Jul;9(2):92-6.

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