Hello Bio, Inc.
304 Wall St., Princeton, NJ 08540 USA

T. 609-683-7500
F. 609-228-4994

customercare-usa@hellobio.com

DATASHEET

GYKI 53655 hydrochloride

Product overview

Name	GYKI 53655 hydrochloride
Cat No	HB0312
Alternative names	LY300168
Biological action	Antagonist
Purity	>98%
Description	Non-competitive AMPA receptor antagonist. Also blocks kainate GluK3 homomeric receptors.

Images

Biological Data

Biological description

Non-competitive AMPA and kainate receptor antagonist. Analog of GYKI 52466.

GYKI 53655 acts via an allosteric site at the AMPAR and inhibits channel gating downstream of glutamate binding.

The compound inhibits AMPA - mediated response in cells expressing human GluR1(GluA1) and GluR4(GluA4) (IC_{50} values are 6 µM and 5 µM for GluA1 and GluA4 subunits respectively).

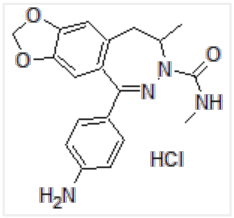
At higher concentrations, GYKI 53655 also blocks kainate GluK3 homomeric receptors (IC_{50} = 63 µM) and GluK2b(R)/GluK3 heteroreceptors (IC_{50} = 32 µM).

Active *in vivo*. Shows anticonvulsive and neuroprotective effects.

Solubility & Handling

Storage instructions	Room temperature (desiccate)
Solubility overview	Soluble in water (100mM, gentle warming) and in DMSO (100 mM)
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

Chemical Data

Chemical name	1-(4-Aminophenyl)-3-methylcarbamyl-4-methyl-3,4-dihydro-7,8-methylenedioxy-5*H*-2,3-benzodiazepine hydrochloride
Molecular Weight	388.85
Chemical structure	
Molecular Formula	$C_{19}H_{20}N_4O_3.HCl$
CAS Number	143692-48-2
PubChem identifier	126757
SMILES	Cl.Nc1ccc(cc1)C3=NN(C(C)Cc2cc4OCOc4cc23)C(=O)NC
Source	Synthetic
InChi	InChI=1S/C19H20N4O3.ClH/c1-11-7-13-8-16-17(26-10-25-16)9-15(13)18(22-23(11)19(24)21-2)12-3-5-14(20)6-4-12;/h3-6,8-9,11H,7,10,20H2,1-2H3,(H,21,24);1H
InChiKey	ASLCSBBDVWPSQT-UHFFFAOYSA-N
MDL number	MFCD01941362
Appearance	Orange solid

References

Negative allosteric modulation of wild-type and mutant AMPA receptors by GYKI 53655.

Partin KM *et al* (1996) Mol Pharmacol 49(1)
PubMedID 8569699

Activity of 2,3-benzodiazepines at native rat and recombinant human glutamate receptors in vitro: stereospecificity and selectivity profiles.

Bleakman D *et al* (1996) Neuropharmacology 35(12)
PubMedID 9076748

Blocking the trigeminal EPSP in rat abducens motoneurons in vivo with the AMPA antagonists NBQX and GYKI 53655.

Ruiz A *et al* (2000) Brain Res Bull 52(2)
PubMedID 10808079

Comparison of anticonvulsive and acute neuroprotective activity of three 2,3-benzodiazepine compounds, GYKI 52466, GYKI 53405, and GYKI 53655.

Szabados T *et al* (2001) Brain Res Bull 55(3)
PubMedID 11489346