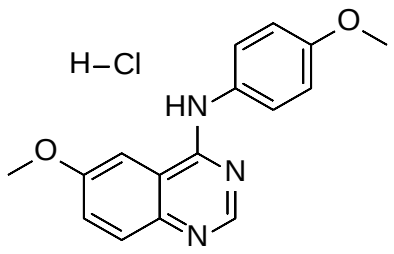
Product data sheet

MedKoo Cat#: 532169 Name: LY456236 HCl CAS: 338736-46-2 Chemical Formula: $C_{16}H_{16}ClN_3O_2$ Molecular Weight: 317.773	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

LY456236 HCl is a selective mGlu1 receptor antagonist (IC_{50} values are 143 nM and > 10 μM for mGlu1 and mGlu5 receptors respectively). LY456236 HCl reduces hyperalgesic behavior induced by formalin in both mouse and rat with ED50 values of 28 and 16.3 mg/kg respectively.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	6.36	20.01

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.15 mL	15.73 mL	31.47 mL
5 mM	0.63 mL	3.15 mL	6.29 mL
10 mM	0.32 mL	1.57 mL	3.15 mL
50 mM	0.06 mL	0.32 mL	0.63 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Kanaya S, Komatsu H, Shimauchi H, Nemoto E. Metabotropic glutamate receptor 1 promotes cementoblast proliferation via MAP kinase signaling pathways. Connect Tissue Res. 2016 Sep;57(5):417-26. doi: 10.1080/03008207.2016.1195826. Epub 2016 Jun 3. PMID: 27261070.

In vivo study

1. Shannon HE, Peters SC, Kingston AE. Anticonvulsant effects of LY456236, a selective mGlu1 receptor antagonist. Neuropharmacology. 2005;49 Suppl 1:188-95. doi: 10.1016/j.neuropharm.2005.05.010. PMID: 16011839.

2. Barton ME, Shannon HE. Behavioral and convulsant effects of the (S) enantiomer of the group I metabotropic glutamate receptor agonist 3,5-DHPG in mice. Neuropharmacology. 2005 May;48(6):779-87. doi: 10.1016/j.neuropharm.2005.01.017. PMID: 15829250.

7. Bioactivity

Biological target:

LY456236 HCl is a selective mGlu1 receptor antagonist (IC_{50} values are 143 nM and > 10 μM for mGlu1 and mGlu5 receptors respectively).

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In vitro activity

OCCM-30 cells, immortalized murine cementoblasts, were stimulated with l-glutamate or mGluRs antagonists. Dihydroxyphenylglycine (DHPG), an agonist of group I mGluRs (mGluR1 and mGluR5), also promoted cell proliferation, and this was inhibited by LY456236, an mGluR1 antagonist. DHPG increased the expression of cyclin D1, a key regulator of cell proliferation, and its nuclear translocation.

Reference: Connect Tissue Res. 2016 Sep;57(5):417-26. https://pubmed.ncbi.nlm.nih.gov/27261070/

In vivo activity

The purpose of the present experiments was to characterize the anticonvulsant effects of the selective mGlu1 receptor antagonist LY456236 in mice and rats. In male and female DBA/2 mice, LY456236 produced a dose-related inhibition of sound-induced clonic-tonic seizures. In male CF1 mice, LY456236 produced a dose-related inhibition of tonic extensor seizures in the threshold electroshock model, and limbic seizures in the 6-Hz focal seizure model.

Reference: Neuropharmacology. 2005;49 Suppl 1:188-95. https://pubmed.ncbi.nlm.nih.gov/16011839/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.