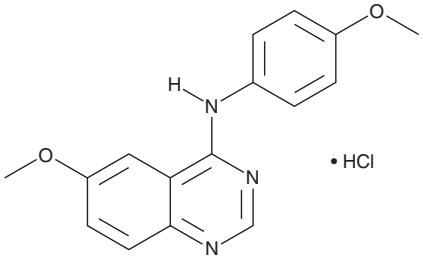
PRODUCT INFORMATION

LY456236 (hydrochloride)

Item No. 42263

CAS Registry No.:	338736-46-2
Formal Name:	6-methoxy-N-(4-methoxyphenyl)-4-quinazolinamine, monohydrochloride
Synonym:	MPMQ
MF:	$C_{16}H_{15}N_3O_2$ • HCl
FW:	317.8
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LY456236 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the LY456236 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. LY456236 (hydrochloride) and slightly soluble (0.1-1 mg/ml) in ethanol and sparingly soluble (1-10 mg/ml) in DMSO.

Description

LY456236 is an antagonist of metabotropic glutamate receptor 1 (mGluR1; IC_{50} = 140 nM).[1] It reduces the growth of PC-9 non-small cell lung cancer cells and PC-9-AMG cells adapted to mixed-glial culture on soft substrate (MGS) in MGS co-culture but not in monoculture.[2] It also reduces MGS co-culture-induced increases in EGFR levels in PC-9-AMG cells. LY456236 (50 mg/kg per day) reduces tumor growth in a PC-9-AMG mouse model of brain metastasis. It inhibits seizures in a variety of seizure models, including inhibition of audiogenic clonic-tonic seizures in mice (ED_{50} = 35 mg/kg).[1] LY456236 (30 and 100 mg/kg) reduces second-phase, but not first-phase, hyperalgesia induced by formalin in mice.[3] It also completely reverses mechanical allodynia induced by spinal nerve ligation in rats.

References

1. Shannon, H.E., Peters, S.C., and Kingston, A.E. Anticonvulsant effects of LY456236, a selective mGlu1 receptor antagonist. *Neuropharmacology* **49(Suppl 1),** 188-195 (2005).
2. Ishibashi, K., Ichinose, T., Kadokawa, R., *et al.* Astrocyte-induced mGluR1 activates human lung cancer brain metastasis via glutamate-dependent stabilization of EGFR. *Dev. Cell* **59(5),** 579-594.e6 (2024).
3. Varty, G.B., Grilli, M., Forlani, A., *et al.* The antinociceptive and anxiolytic-like effects of the metabotropic glutamate receptor 5 (mGluR5) antagonists, MPEP and MTEP, and the mGluR1 antagonist, LY456236, in rodents: A comparison of efficacy and side-effect profiles. *Psychopharmacology (Berl)* **179(1),** 207-217 (2005).

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