Product Data Sheet

CGP 78608 hydrochloride

Cat. No.: HY-107701 CAS No.:

Molecular Formula: $C_{11}H_{14}BrClN_3O_5P$

Molecular Weight: 414.58 iGluR Target:

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

1135278-54-4

BIOLOGICAL ACTIVITY

Description	CGP 78608 hydrochloride is a highly potent and selective antagonist at the glycine-binding site of the NMDA receptor, with an IC $_{50}$ of 6 nM. CGP 78608 acts as a potentiator of GluN1/GluN3A-mediated glycine currents, with an estimated EC $_{50}$ in the low nM range (26.3 nM). Anticonvulsant activity ^{[1][2]} .
In Vitro	CGP-78608 hydrochloride decreases glycine sensitivity of GluN1/GluN3A receptors through an inter-subunit allosteric effect between GluN1 and GluN3A agonist-binding domain (ABD) sites ^[2] . CGP 78608 hydrochloride reduces or abolishes ammonia-dependent cGMP synthesis which is a causative factor of ammonia neurotoxicity ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CGP-78608 hydrochloride displays potent anticonvulsant effects after i.p. administration in the electroshock-induced convulsions assay in mice ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Catarzi D, et al. Competitive Gly/NMDA receptor antagonists. Curr Top Med Chem. 2006;6(8):809-21.

[2]. Grand T, et al. Unmasking GluN1/GluN3A excitatory glycine NMDA receptors. Nat Commun. 2018 Nov 13;9(1):4769.

[3]. Hilgier W, et al. A novel glycine site-specific N-methyl-D-aspartate receptor antagonist prevents activation of the NMDA/NO/CGMP pathway by ammonia. Brain Res. 2004 Jul 23;1015(1-2):186-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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