Product Data Sheet

Product Name: CP 465022 hydrochloride
Cat. No.: GC12589

Chemical Properties

Cas. No.	199655-36-2		
Chemical Name	(E)-3-(2-chlorophenyl)-2-(2-(6-((diethylamino)methyl)pyridin-2-yl)vinyl)-6-fluoroquinazolin-4(3H)-one hydrochloride		
SMILES	ClC1=CC=CC=C1N(C(/C=C/C2=NC(CN(CC)CC)=CC=C2)=NC3=C4C=C(F)C=C3)C4=O.[H]Cl		
Formula	$C_{26}H_{24}ClFN_4O.HCl$	M.Wt	499.41
Solubility	<49.94mg/ml in DMSO	Storage	Desiccate at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	CP 465022 hydrochloride		

Background

CP 465022 hydrochloride is a potent and selective antagonist of AMPA receptor with IC50 value of 25 nM [1].

The α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid receptor (AMPA receptor) is an ionotropic transmembrane receptor for glutamate and mediates fast synaptic transmission in the central nervous system. AMPA receptors are oligomeric assemblies of four protein subunits, GluR1-4.

CP 465022 hydrochloride is a noncompetitive and selective AMPA receptor antagonist. In rat cortical neurons, CP-465022 inhibited currents induced by kainate (100 μM) with IC50 value of 25 nM and completely inhibited at concentration up to 3.2 μM. In hNT human teratocarcinoma cells, CP-465022 inhibited kainate-induced currents with IC50 value of 15 nM, which was mediated by AMPA receptors. In cultured cortical neurons stimulated by kainate, CP-465022 dose-dependently inhibited kainate-induced responses by 54% and 88% at 10 nM and 100 nM, respectively [1]. In HEK cells expressing the Nav1.6 channel, CP465022 dose-dependently inhibited Nav1.6-mediated persistent current [2].

In rats after stimulation of Schaeffer collateral/commissural pathway, CP-465022 reversibly

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inhibited the evoked population spike amplitude in the CA1 region. In pentylenetetrazole-induced seizure rats, CP-465022 dose-dependently reduced the incidence of tonic seizures, clonic seizures and lethality. CP-465022 inhibited vertical and horizontal locomotor activity with ED50 values of 6.6 and 11.9 mg/kg, respectively [3].

References:

[1]. Lazzaro JT, Paternain AV, Lerma J, et al. Functional characterization of CP-465,022, a selective, noncompetitive AMPA receptor antagonist. Neuropharmacology, 2002, 42(2): 143-153.
[2]. Welch NC, Lin W, Juranka PF, et al. Traditional AMPA receptor antagonists partially block Na v1.6-mediated persistent current. Neuropharmacology, 2008, 55(7): 1165-1171.
[3]. Menniti FS, Buchan AM, Chenard BL, et al. CP-465,022, a selective noncompetitive AMPA receptor antagonist, blocks AMPA receptors but is not neuroprotective in vivo. Stroke, 2003, 34(1): 171-176.