Product Data Sheet

Product Name: CP-465022 (maleate)
Cat. No.: GC12742

Chemical Properties

Cas. No.	199656-46-7		
Chemical Name	3-(2-chlorophenyl)-2-[2-[6-[(diethylamino)methyl]-2-pyridinyl]ethenyl]-6-fluoro-4(3H)-quinazolinone-(2Z)-2-butenedioate		
SMILES	FC1=CC=C2C(C(N(C3=C(Cl)C=CC=C3)C(/C=C/C4=CC=CC(CN(CC)CC)=N4)=N2)=O)=C1.OC(/C=C\C(O)=O)=O		
Formula	$C_{26}H_{24}ClFN_4O \cdot C_4H_4O_4$	M.Wt	579.0
Solubility	Soluble in DMSO	Storage	Room temperature
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 (maleate)		

Background

IC50: 25 nM for AMPA receptor-mediated currents in rat cortical neurons

CP-465022 is an AMPA antagonist.

The inhibition of alpha-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor has been hypothesized to lead to neuroprotective efficacy after cerebral ischemia on the basis of the activity in ischemia models of a variety of compounds with varying selectivity for AMPA over other glutamate receptor subtypes.

In vitro: CP-465022 inhibited AMPA receptor-mediated currents in rat cortical neurons and such inhibition was found to be noncompetitive with agonist concentration. CP-465022 was selective for AMPA over kainate and N-methyl-D-aspartate receptors. However, CP-465022 was found to be equipotent for AMPA receptors composed of different AMPA receptor subunit combinations, which indicated that CP-465022 is equivalently potent for inhibition of AMPA receptor-mediated responses in different types of neurons expressing different AMPA receptor subunits [1].

In vivo: Animal study showed that CP-465022 could potently and efficaciously inhibit AMPA receptor-mediated hippocampal synaptic transmission and the induction of seizures. However, at comparable doses, CP-465022 failed to prevent CA1 neuron loss after brief global ischemia or to reduce infarct volume after temporary middle cerebral artery occlusion [2].

Clinical trial: So far, no clinical study has been conducted.

References:
[1] Lazzaro JT, Paternain AV, Lerma J, Chenard BL, Ewing FE, Huang J, Welch WM, Ganong AH, Menniti FS. Functional characterization of CP-465,022, a selective, noncompetitive AMPA receptor antagonist. Neuropharmacology. 2002 Feb;42(2):143-53.
[2] Menniti FS, Buchan AM, Chenard BL, Critchett DJ, Ganong AH, Guanowsky V, Seymour PA, Welch WM. CP-465,022, a selective noncompetitive AMPA receptor antagonist, blocks AMPA receptors but is not neuroprotective in vivo. Stroke. 2003 Jan;34(1):171-6.

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