Product Data Sheet

Product Name: CGP 36216 hydrochloride
Cat. No.: GC13676

Chemical Properties

Cas. No.	123691-29-2		
Chemical Name	(3-aminopropyl)(ethyl)phosphinic acid hydrochloride		
SMILES	CCP(CCCN)(O)=O.Cl		
Formula	$C_5H_{14}NO_2P.HCl$	M.Wt	187.6
Solubility	<18.76mg/ml in DMSO; <18.76mg/ml in Water	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	CGP 36216 hydrochloride		

Background

CGP 36216 hydrochloride is a potent and selective antagonist of GABAB receptors with IC50 value of 43 μM.

GABAB receptors (GABABR) are metabotropic transmembrane receptors for gamma-aminobutyric acid (GABA) and are linked through G-proteins to potassium channels. Expression of GABAB receptors are found in the central as well as in the autonomic division of the peripheral nervous system. GABAB receptors play a key role in regulating membrane excitability and synaptic transmission in the brain.

In rat neocortical preparations maintained in Mg2+-free Krebs medium, CGP 36216 acts as antagonism of baclofen-induced suppression of spontaneous discharges in a concentration-dependent manner. However, CGP 36216, up to 1 mM, was ineffective in antagonising baclofen-induced hyperpolarisations, mediated through gamma-aminobutyric acid (B) GABAB postsynaptic receptors 1.

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In electrically stimulated brain slices preloaded with [3H] GABA, CGP 36216 increased the release of [3H] GABA, that was reversed by baclofen. While CGP 36216 is ineffective at GABAB postsynaptic receptors, it is appreciably more active at presynaptic receptors1.

Reference:

1. Ong J, Bexis S, Marino V, et al. CGP 36216 is a selective antagonist at GABA(B) presynaptic receptors in rat brain. European journal of pharmacology. 2001;415(2-3):191-195.

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