Certificate of Analysis

Product Name: **CGP 55845 hydrochloride** **Catalog No.: 1248** **Batch No.: 10**

CAS Number: 149184-22-5

IUPAC Name: (2*S*)-3-[[(1*S*)-1-(3,4-Dichlorophenyl)ethyl]amino-2-hydroxypropyl](phenylmethyl)phosphinic acid hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{22}Cl_2NO_3P.HCl$

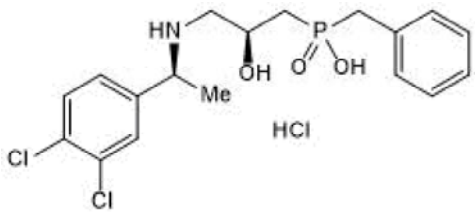
Batch Molecular Weight: 438.71

Physical Appearance: White solid

Solubility: DMSO to 100 mM with gentle warming

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.55 (Pyridine:Acetic acid:Water:Butanol [3:8:11:33])

HPLC: Shows 98.7% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = -31.9 (Concentration = 1, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	49.28	5.28	3.19
Found	49.08	5.31	3.23

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Description:

Potent, selective $GABA_B$ receptor antagonist (IC_{50} = 5 nM) that prevents agonist binding (pK_i = 8.35) and inhibits GABA and glutamate release (pEC_{50} values are 8.08 and 7.85 respectively). Inhibits $GABA_B$ responses to baclofen (IC_{50} = 130 nM in an isoproterenol assay) and potentiates the hypoglycemic response to glucose in vitro.

Physical and Chemical Properties:

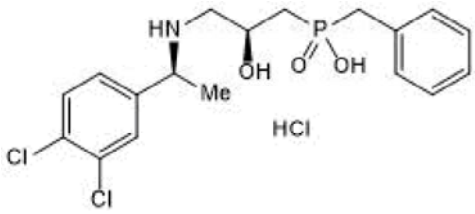
Batch Molecular Formula: $C_{18}H_{22}Cl_2NO_3P.HCl$

Batch Molecular Weight: 438.71

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info:

DMSO to 100 mM with gentle warming

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold with the permission of Novartis Pharma AG

References:

Salah and Perkins (2008) Effects of subtype-selective group I mGluR antagonists on synchronous activity induced by 4-aminopyridine/CGP 55845 in adult guinea pig hippocampal slices. Neuropharmacology ***55*** 47. PMID: 18538357.

Zhang *et al* (2007) Neurotransmitter mechanisms mediating low-glucose signalling in cocultures and fresh tissue slices of rat carotid body. J.Physiol. ***578*** 735. PMID: 17124268.

Deisz (1999) The $GABA_B$ antagonist CGP 55845A reduces presynaptic $GABA_1$ actions in neurons of the rat *in vitro*. Neuroscience ***93*** 1241. PMID: 10501448.

Cunninghan and Enna (1996) Evidence for pharmacologically distinct $GABA_B$ receptors associated with cAMP production in rat brain. Brain Res. ***720*** 220. PMID: 8782915.

Froestl *et al* (1996) Potent, orally active $GABA_B$ receptor antagonists. Pharmacol.Rev.Comm. ***8*** 127.

Waldmeier *et al* (1994) GABA and glutamate release affected by $GABA_B$ receptor antagonists with similar potency: no evidence for pharmacologically different presynaptic receptors. Br.J.Pharmacol. ***113*** 1515. PMID: 7889310.