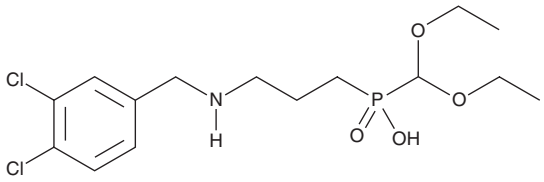
PRODUCT INFORMATION

CGP 52432

Item No. 27212

CAS Registry No.:	139667-74-6
Formal Name:	P-[3-[[(3,4-dichlorophenyl)methyl] amino]propyl]-P-(diethoxymethyl)-phosphinic acid
MF:	$C_{15}H_{24}Cl_2NO_4P$
FW:	384.2
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CGP 52432 is supplied as a solid. A stock solution may be made by dissolving the CGP 52432 in the solvent of choice, which should be purged with an inert gas. CGP 52432 is soluble in the organic solvent DMSO.

Description

CGP 52432 is an antagonist of $GABA_B$ receptors.[1] It selectively reverses (–)-baclofen-induced inhibition of potassium-evoked GABA release over glutamate or somatostatin release (IC_{50}s = 0.085, 3.35, and 9.26 µM, respectively) from rat cortical synaptosomes. CGP 52432 (10 µM) reduces paired-pulse inhibition of monosynaptic inhibitory potentials (IPSPs) by 80% in CA1 pyramidal neurons in rat hippocampal slices.[2] It increases cell proliferation in the ventral subgranular zone of the dentate gyrus when administered at a dose of 3 mg/kg per day for 21 days and reduces immobility in the forced-swim test when administered at 10 mg/kg in stress-sensitive BALB/c mice.[3] CGP 52432 (30 mg/kg) increases locomotor activity in mice.[4] It also inhibits the analgesic effects of isovaline, GABA, and baclofen (Item No. 18600) in a mouse model of hindpaw allodynia induced by prostaglandin E_2 (PGE_2; Item No. 14010).[5]

References

1. Lanza, M., Fassio, A., Gemignani, A., *et al.* CGP 52432: A novel potent and selective $GABA_B$ autoreceptor antagonist in rat cerebral cortex. *Eur. J. Pharmacol.* **237(2-3)**, 191-195 (1993).
2. Olpe, H.-R., Steinmann, M.W., Greiner, K., *et al.* Contribution of presynaptic GABA-B receptors to paired-pulse depression of GABA-responses in the hippocampus. *Naunyn Schmiedebergs Arch. Pharmacol.* **349(5)**, 473-477 (1994).
3. Felice, D., O'Leary, O.F., Pizzo, R.C., *et al.* Blockade of the $GABA_B$ receptor increases neurogenesis in the ventral but not dorsal adult hippocampus: Relevance to antidepressant action. *Neuropharmacology* **63(8)**, 1380-1388 (2012).
4. Colombo, G., Melis, S., Brunetti, G., *et al.* $GABA_B$ receptor inhibition causes locomotor stimulation in mice. *Eur. J. Pharmacol.* **433(1)**, 101-104 (2001).
5. Whitehead, R.A., Puil, E., Ries, C.R., *et al.* $GABA_B$ receptor-mediated selective peripheral analgesia by the non-proteinogenic amino acid, isovaline. *Neuroscience* **213**, 154-160 (2012).

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