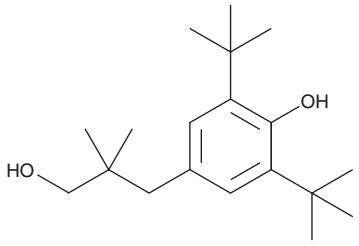
PRODUCT INFORMATION

CGP 7930

Item No. 29429

CAS Registry No.:	57717-80-3
Formal Name:	3,5-*bis*(1,1-dimethylethyl)-4-hydroxy-β,β-dimethyl-benzenepropanol
MF:	$C_{19}H_{32}O_2$
FW:	292.5
Purity:	≥98%
Supplied as:	A crystalline 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 7930 is supplied as a crystalline solid. A stock solution may be made by dissolving the CGP 7930 in the solvent of choice, which should be purged with an inert gas. CGP 7930 is soluble in organic solvents such as ethanol and DMSO. The solubility of CGP 7930 in these solvents is approximately 100 mM.

Description

CGP 7930 is a positive allosteric modulator of $GABA_B$ receptors.[1] It enhances GABA binding with a maximal effect of 143% compared to a GABA-only control in CHO cells membranes expressing the $GABA_{B(1b/2)}$ receptor and enhances GABA binding to rat cortical membranes when used at a concentration of 30 µM. It is selective for GABA binding to heterodimeric $GABA_{B(1b/2)}$ over monomeric $GABA_{B(1b)}$ receptors. CGP 7930 potentiates the efficacy of the $GABA_B$ receptor agonist baclofen (Item No. 27326) in decreasing the spontaneous firing rate of dopaminergic neurons in the rat ventral tegmental area (VTA) *in vitro* (EC_{50} = 0.27 µM).[2] It also potentiates the sedative-reducing effects induced by the $GABA_B$ receptor agonists baclofen and γ-hydroxybutyric acid (GHB) in rats when administered at doses ranging from 10 to 170 mg/kg, effects that can be blocked by the $GABA_B$ receptor antagonist SCH 50911.[3] CGP 7930 reduces self-administration of nicotine, alcohol, and cocaine in rodent models.[4] It suppresses the acquisition of alcohol drinking behavior in alcohol-naïve Sardinian alcohol-preferring rats over a five-day period when administered at doses ranging from 25 to 100 mg/kg and transiently reduces the maintenance of alcohol drinking behavior in alcohol-experienced rats at a dose of 100 mg/kg.[5]

References

1. Urwyler, S., Mosbacher, J., Lingenhoehl, K., *et al.* Positive allosteric modulation of native and recombinant γ-aminobutyric $acid_B$ receptors by 2,6-di-*tert*-butyl-4-(3-hydroxy-2,2-dimethyl-propyl)-phenol (CGP7930) and its aldehyde analog CGP13501. *Mol. Pharmacol.* **60(5),** 963-971 (2001).
2. Chen, Y., Phillips, K., Minton, G., *et al.* $GABA_B$ receptor modulators potentiate baclofen-induced depression of dopamine neuron activity in the rat ventral tegmental area. *Br. J. Pharmacol.* **144(7),** 926-932 (2005).
3. Carai, M.A.M., Colombo, G., Froestl, W., *et al.* In vivo effectiveness of CGP7930, a positive allosteric modulator of the $GABA_B$ receptor. *Eur. J. Pharmacol.* **504(3),** 213-216 (2004).
4. Adams, C.L. and Lawrence, A.J. CGP7930: A positive allosteric modulator of the $GABA_B$ receptor. *CNS Drug Rev.* **13(3),** 308-316 (2007).
5. Orrù, A., Lai, P., Lobina, C., *et al.* Reducing effect of the positive allosteric modulators of the $GABA_B$ receptor, CGP7930 and GS39783, on alcohol intake in alcohol-preferring rats. *Eur. J. Pharmacol.* **525(1-3),** 105-111 (2005).

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