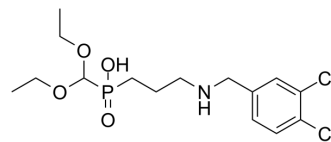


CGP52432

Cat. No.:	HY-103531		
CAS No.:	139667-74-6		
Molecular Formula:	C ₁₅ H ₂₄ Cl ₂ NO ₄ P		
Molecular Weight:	384.24		
Target:	GABA Receptor		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (13.01 mM; Need ultrasonic and warming)
 H₂O : 4 mg/mL (10.41 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass		1 mg	5 mg	10 mg
	Concentration				
1 mM			2.6025 mL	13.0127 mL	26.0254 mL
5 mM			0.5205 mL	2.6025 mL	5.2051 mL
10 mM			0.2603 mL	1.3013 mL	2.6025 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description	CGP52432 is a GABA _B receptor antagonist, with an IC ₅₀ of 85 nM.
IC₅₀ & Target	IC ₅₀ : 85 nM (GABA _B receptor) ^[1]
In Vitro	CGP52432 is a GABA _B receptor antagonist, with an IC ₅₀ of 85 nM, 35- and 100-fold lower than at the receptors regulating somatostatin and glutamate overflow, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CGP52432 (10, 30 mg/kg) shows no effect on the total arm entries and total head dips of mice on the elevated-plus maze ^[2] . CGP52432 (100 nmol/kg, i.v., or 1 nmol/kg, i.c.v.) abolishes the suppressive effects of GABA (50 μmol/kg, i.v.) on enhanced renal sympathetic nerve activity (RSNA) during ischaemia, causing elimination of the renoprotective effects of GABA in rats ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[2]

Mice^[2]

Two separate cohorts of male pups are used for the study. One cohort is treated with either R(+)-baclofen HCl (2 mg/kg) or with vehicle (PBS). The second cohort is treated with the GABA_B receptor antagonist CGP52342 (10, 30 mg/kg) or vehicle (PBS). Drugs are freshly prepared for injection each day, by dissolution in PBS with vortexing and brief sonication. Doses of R(+)-baclofen and CGP52342 are chosen to be well tolerated in adult mice. All drug treatments are given via subcutaneous injection, once daily from P14-28 in a volume of 0.05 mL^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Stem Cell Res Ther. 2021 Jan 7;12(1):22.
- Fish Shellfish Immunol. 2023 Feb 8;134:108608.
- Rev Port Cardiol. 10 August 2022.

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REFERENCES

- [1]. Lanza M, et al. CGP 52432: a novel potent and selective GABAB autoreceptor antagonist in rat cerebral cortex. *Eur J Pharmacol.* 1993 Jun 24;237(2-3):191-5.
- [2]. Sweeney FF, et al. Activation but not blockade of GABAB receptors during early-life alters anxiety in adulthood in BALB/c mice. *Neuropharmacology.* 2014 Jun;81:303-10.
- [3]. Kobuchi S, et al. Mechanisms underlying the renoprotective effect of GABA against ischaemia/reperfusion-induced renal injury in rats. *Clin Exp Pharmacol Physiol.* 2015 Mar;42(3):278-86.

Caution: Product has not been fully validated for medical applications. For research use only.

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