Zaldaride maleate

Cat. No.: HY-105118A

CAS No.: 109826-27-9

Molecular Formula: $C_{30}H_{32}N_4O_6$

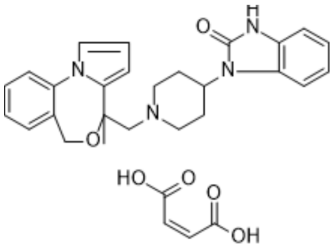
Molecular Weight: 544.6

Target: Calmodulin

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

Zaldaride maleate (CGS-9343B) is a potent, orally active and selective inhibitor of calmodulin. Zaldaride maleate (CGS-9343B) inhibits CaM (calmodulin)-stimulated cAMP phosphodiesterase activity, with an IC_{50} of 3.3 nM[1][2]. Zaldaride maleate (CGS-9343B) prevents estrogen-induced transcription activation by ER, reversibly blocks voltage-activated Na^+, Ca^{2+} and K^+ currents in PC12 cells and inhibits nAChR[3].

IC_{50} & Target

IC50: 3.3 nM (calmodulin)[1][2].

In Vivo

Zaldaride maleate (KW 5617, P.O., 3 mg/kg) ameliorates the diarrhea in the 16, 16-dimethyl prostaglandin E_2 model[4].

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

Animal Model:	Male Sprague-dawley rats neighing 193-265 g[4].
Dosage:	3-100 mg/kg.
Administration:	P.O..
Result:	KW-5617 at 3 to100 mg/kg 60 min before DMPGE2 challenge, significantly ameliorated the DMPGE-induced diarrhea, when this drug at 100 mg/kg (p.o. significantly reduced fecaevacuation. Pretreatment with KW-5617 at 3 to 10 mg/kg (p.o.) significantly delayed the onset of diarrhea, and this drug at 30 and 100 mg/kg (p.o.) reduced or abolished the incidence of diarrhea.

REFERENCES

[1]. Norman JA, et al. CGS 9343B, a novel, potent, and selective inhibitor of calmodulin activity. Mol Pharmacol. 1987 May;31(5):535-40.

[2]. Neuhaus R, et al. Inhibition of membrane currents and rises of intracellular Ca2+ in PC12 cells by CGS 9343B, a calmodulin inhibitor. Eur J Pharmacol. 1992 Jun 5;226(2):183-5.

[3]. Li L, et al. Calmodulin regulates the transcriptional activity of estrogen receptors. Selective inhibition of calmodulin function in subcellular compartments. J Biol Chem. 2003 Jan 10;278(2):1195-200.

[4]. Aikawa N, et al. Effects of KW-5617 (zaldaride maleate), a potent and selective calmodulin inhibitor, on secretory diarrhea and on gastrointestinal propulsion in rats. Jpn J Pharmacol. 1998 Feb;76(2):199-206.

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