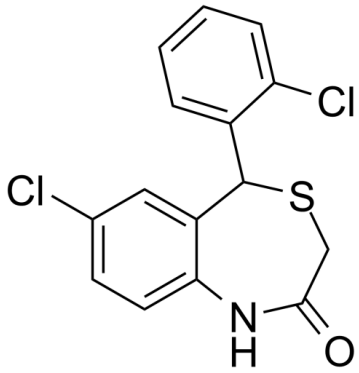
Data Sheet

Product Name:	CGP37157
Cat. No.:	CS-0008572
CAS No.:	75450-34-9
Molecular Formula:	C15H11Cl2NOS
Molecular Weight:	324.22
Target:	Na+/Ca2+ Exchanger
Pathway:	Membrane Transporter/Ion Channel
Solubility:	DMSO : ≥ 125 mg/mL (385.54 mM)



BIOLOGICAL ACTIVITY:

CGP37157 is a potent, selective inhibitor of **Na^+/Ca^{2+} exchanger**, inhibiting the Na^+-induced Ca^{2+}-release from guinea-pig heart mitochondria, with an **IC_{50}** of 0.8 μM. IC50 & Target: IC50: 0.8 μM (Na^+/Ca^{2+} exchanger)[1] **In Vitro:** CGP37157 (Compound XVI) is a potent, selective inhibitor of Na^+/Ca^{2+} exchanger, inhibiting the Na^+-induced Ca^{2+}-release from guinea-pig heart mitochondria, with an IC_{50} of 0.8 μM[1]. CGP37157 (10 μM) shows inhibitory effect on mitochondrial Na^+/Ca^{2+} exchanger in cortical neurons, modulates intracellular Ca^{2+} levels via suppresssing voltage-gated calcium channels, and reduces NMDA-induced cytosolic and mitochondrial Ca^{2+} overloads. CGP37157 (10 μM) also reduces NMDA-induced excitotoxicity, and such an effect is via attenuating mitochondrial damage and calpain activity in neurons[2]. CGP37157 (10 μM) in combination with salinomycin significantly attenuates cell viability and increases apoptosis of FaDu and HLaC79 cells. Moreover, CGP37157 has no inhibitory effect on salinomycin tumor toxicity[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]Cell toxicity assays are performed. **Neurons** are exposed to NMDA in HBSS (free of **Ca^{2+}** and Mg^{2+}) containing 2.6 mM $CaCl_2$, 10 mM glucose and 10 μM glycine for 10 or 30 min at 37°C, depending on the experiment. **CGP37157** is present before and during the excitotoxic insult and cell viability is assessed 24 h later using Citotox 96 colorimetric assay. All experiments are performed in quadruplicate and the values provided are the normalized mean ± S.E.M. of at least three independent experiments[1].

References:

[1]. Chiesi M, et al. Structural dependency of the inhibitory action of benzodiazepines and related compounds on the mitochondrial Na+-Ca2+ exchanger. Biochem Pharmacol. 1988 Nov 15;37(22):4399-403.

[2]. Ruiz A, et al. CGP37157, an inhibitor of the mitochondrial Na+/Ca2+ exchanger, protects neurons from excitotoxicity by blocking voltage-gated Ca2+ channels. Cell Death Dis. 2014 Apr 10;5:e1156.

[3]. Scherzed A, et al. Effects of salinomycin and CGP37157 on head and neck squamous cell carcinoma cell lines in vitro. Mol Med Rep. 2015 Sep;12(3):4455-61.

CAIndexNames:

4,1-Benzothiazepin-2(3H)-one, 7-chloro-5-(2-chlorophenyl)-1,5-dihydro-

SMILES:

O=C1NC2=CC=C(Cl)C=C2C(C3=CC=CC=C3Cl)SC1

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

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