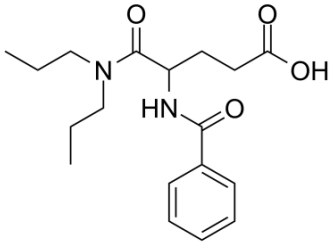
Proglumide

Cat. No.:	HY-B1330
CAS No.:	6620-60-6
Molecular Formula:	$C_{18}H_{26}N_2O_4$
Molecular Weight:	334.41
Target:	Cholecystokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 65 mg/mL (194.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.9903 mL	14.9517 mL	29.9034 mL
5 mM	0.5981 mL	2.9903 mL	5.9807 mL
10 mM	0.2990 mL	1.4952 mL	2.9903 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Proglumide is a nonpeptide and orally active **cholecystokinin (CCK)-A/B receptors** antagonist. Proglumide selective blocks **CCK**'s effects in the central nervous system (CNS). Proglumide has ability to inhibit gastric secretion and to protect the gastroduodenal mucosa. Proglumide also has antiepileptic and antioxidant activities[1][2][3][4][5].

IC_{50} & Target

Cholecystokinin (CCK)-A/B receptors[1][2]

In Vitro	In an in vitro study, Proglumide at concentrations between 0.3-10 mM inhibits CCK-stimulated amylase release dose-dependently, while Proglumide does not influence the basal amylase release at concentrations between 0-3 mM. Dose-response curves to CCK for amylase release shifted to the right with increase in Proglumide concentration. This inhibition by Proglumide is reversible. In addition, the effect of Proglumide is selective for CCK and its related peptide [2]. The incubation of HT29 cells with Proglumide significantly reduces the [^{3}H]-thymidine incorporation to HT29 cells in a dose-dependent manner, with an IC_{50} of 6.5 mM. Proglumide reduces in a dose-dependent manner the percentage of necrosis with a parallel increase of apoptosis up to 70%[3].
In Vivo	Proglumide (250-750 mg/kg; intraperitoneal injection; adult male Sprague Dawley rats) treatment is significantly effective in ameliorating the seizure activities, cognitive dysfunctions, and cerebral oxidative stress[1].

Animal Model:	Adult male Sprague Dawley rats (200-250 g; 2 months old) are induced status epilepticus (SE)[1]
Dosage:	250 mg/kg, 500 mg/kg, and 750 mg/kg
Administration:	Intraperitoneal injection
Result:	Dose-dependently and significantly increased the latencies to seizure and SE. Significantly and dose-dependently attenuated Li-PC (SE) induced increase in thiobarbituric acid (TBARS) and catalase (CAT), attenuated Li-Pc induced decrease in SOD, and attenuated depletion of GSH and glutathione-S transferase (GST) in the hippocampus and striatum.

REFERENCES

[1]. Ahmad M, et al. The effects of quinacrine, proglumide, and pentoxifylline on seizure activity, cognitive deficit, and oxidative stress in rat lithium-pilocarpine model of status epilepticus. Oxid Med Cell Longev. 2014;2014:630509.

[2]. Iwamoto Y, et al. In vitro and in vivo effect of proglumide on cholecystokinin-stimulated amylase release in mouse pancreatic acini. Gastroenterol Jpn. 1984 Feb;19(1):53-8.

[3]. González-Puga C, et al. Selective CCK-A but not CCK-B receptor antagonists inhibit HT-29 cell proliferation: synergism with pharmacological levels of melatonin. J Pineal Res. 2005 Oct;39(3):243-50.

[4]. Bunney BS, et al. Further studies on the specificity of proglumide as a selective cholecystokinin antagonist in the central nervous system. Ann N Y Acad Sci. 1985;448:345-51.

[5]. Tariq M, et al. Gastric and duodenal antiulcer and cytoprotective effects of proglumide in rats. J Pharmacol Exp Ther. 1987 May;241(2):602-7.

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