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产品名称：丙谷胺
产品别名：Proglumide

生物活性：

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 IC50 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.

In Vitro:

DMSO : ≥ 65 mg/mL (194.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

Solvent&Solubility	***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution 此方案可获得 ≥ 2.17 mg/mL (6.49 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution 此方案可获得 ≥ 2.17 mg/mL (6.49 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.17 mg/mL (6.49 mM); Clear solution 此方案可获得 ≥ 2.17 mg/mL (6.49 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.