上海源叶生物科技有限公司
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产品名称：PG01
CAS 号：853138-65-5
产品货号：T80805

生物活性：

Description	PG01 is a potent CFTR Cl- channel potentiator. PG01 can correct gating defects of CFTR mutants, is effective on b>E193K, G970R and G551D (CFTR mutants) with Kd values of 0.22 μM, 0.45 μM and 1.94 μM, respectively. PG01 is also effective on ΔF508 (Ka of 0.3 μM). PG01 increases ΔF508-CFTR Cl- current after adding Forskolin[1][2].
IC50 & Target	CFTR[1]
In Vitro	PG01 itself does not activate F508-CFTR, produces substantial F508-CFTR Cl- current after the addition of 0.5 and 2 μM Forskolin. PG01 at 100 nM strongly stimulates channel activity with multiple channel openings observed. The apparent Kd for PG01 for G551D-CFTR activation is 1 μM, approximately 100-fold better than that of genistein. The potency for activation G1349D-CFTR by PG01 is even better at 40 nM. PG01 produces large currents in both G551D- and G1349D-CFTR expressing cells. The currents are sensitive to CFTRinh-172 and are not seen in nontransfected cells[1].
In Vivo	Pharmacokinetic analysis of PG01 in rats is done by serial measurements of plasma concentrations after single bolus infusions (5 mg/kg). PG01 pharmacokinetics fitted a two-compartment model with half-times of ＜ 5 min and 130 min with volume of distribution 4 L. Microsome metabolism studies and rat pharmacokinetic analysis suggests significantly more rapid metabolism of PG01 than SF-03[1].
Solvent&Solubility	**细胞实验:** DMSO 中的溶解度 : 43.96 mg/mL (100.01 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2751 mL	11.3753 mL	22.7505 mL
	5 mM	0.4550 mL	2.2751 mL	4.5501 mL
	10 mM	0.2275 mL	1.1375 mL	2.2751 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。

参考文献	[1]. Pedemonte N, et al. Phenylglycine and sulfonamide correctors of defective delta F508 and G551D cystic fibrosis transmembrane conductance regulator chloride-channel gating. Mol Pharmacol. 2005 May;67(5):1797-807. [2]. Caputo A, et al. Mutation-specific potency and efficacy of cystic fibrosis transmembrane conductance regulator chloride channel potentiators. J Pharmacol Exp Ther. 2009 Sep;330(3):783-91.

完整储备液配制表：

* 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。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg

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DMSO	**1 mM**	2.2751 mL	11.3753 mL	22.7505 mL	56.8764 mL
	5 mM	0.4550 mL	2.2751 mL	4.5501 mL	11.3753 mL
	10 mM	0.2275 mL	1.1375 mL	2.2751 mL	5.6876 mL
	15 mM	0.1517 mL	0.7584 mL	1.5167 mL	3.7918 mL
	20 mM	0.1138 mL	0.5688 mL	1.1375 mL	2.8438 mL
	25 mM	0.0910 mL	0.4550 mL	0.9100 mL	2.2751 mL
	30 mM	0.0758 mL	0.3792 mL	0.7584 mL	1.8959 mL
	40 mM	0.0569 mL	0.2844 mL	0.5688 mL	1.4219 mL
	50 mM	0.0455 mL	0.2275 mL	0.4550 mL	1.1375 mL
	60 mM	0.0379 mL	0.1896 mL	0.3792 mL	0.9479 mL
	80 mM	0.0284 mL	0.1422 mL	0.2844 mL	0.7110 mL
	100 mM	0.0228 mL	0.1138 mL	0.2275 mL	0.5688 mL