产品名称：N-2-Naphthalenyl-glycine 2-[(3,5-Dibromo-2,4-dihydroxyphenyl)methylene]hydrazide
产品别名：GlyH-101

生物活性：

Description

GlyH-101 is a cell-permeable glycinyl hydrazone compound that blocks CFTR with Ki of 1.4 uM. IC50 value: 1.4 uM (Ki, at +60 mV) [1] Target: CFTR in vitro: GlyH-101 reversibly inhibited CFTR Cl- conductance in <1 min. Whole-cell current measurements revealed voltage-dependent CFTR block by GlyH-101 with strong inward rectification, producing an increase in apparent inhibitory constant Ki from 1.4 microM at +60 mV to 5.6 microM at -60 mV. GlyH-101 inhibitory potency was independent of pH from 6.5-8.0, where it exists predominantly as a monovalent anion with solubility approximately 1 mM in water[1]. In HeLa cells, these events were associated with a decrease in the rate of oxygen consumption, with GlyH-101 demonstrating a higher potency than CFTR(inh)-172. The impact of CFTR inhibitors on inflammatory parameters was also tested in HeLa cells. CFTR(inh)-172, but not GlyH-101, induced nuclear translocation of nuclear factor-kappaB (NF-kappaB) [2]. GlyH-101 is a glycine hydrazide that has recently been shown to block CFTR channels but its effects on cardiomyocytes are unknown. Here the action of GlyH-101 on cardiac I(Cl.PKA) and on other ion currents has been established. Whole-cell patch-clamp recordings were made from rabbit isolated ventricular myocytes. GlyH-101 blocked I(Cl.PKA) in a concentration- and voltage-dependent fashion (IC(50) at +100 mV=0.3 ± 1.5 μM and at -100 mV=5.1 ± 1.3 μM) [3]. in vivo: Topical GlyH-101 (10 microM) in mice rapidly and reversibly inhibited forskolin-induced hyperpolarization in nasal potential differences. In a closed-loop model of cholera, intraluminal GlyH-101 (2.5 microg) reduced by approximately 80% cholera toxin-induced intestinal fluid secretion [1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 58 mg/mL (117.61 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0278 mL	10.1389 mL	20.2778 mL
	5 mM	0.4056 mL	2.0278 mL	4.0556 mL
	10 mM	0.2028 mL	1.0139 mL	2.0278 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。

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: ≥ 2.5 mg/mL (5.07 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.07 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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。
References	[1]. Muanprasat C, et al. Discovery of glycine hydrazide pore-occluding CFTR inhibitors: mechanism, structure-activity analysis, and in vivo efficacy. J Gen Physiol. 2004 Aug;124(2):125-37. [2]. Kelly M, et al. Cystic fibrosis transmembrane regulator inhibitors CFTR(inh)-172 and GlyH-101 target mitochondrial functions, independently of chloride channel inhibition. J Pharmacol Exp Ther. 2010 Apr;333(1):60-9. [3]. Barman PP, et al. Cardiac ion channel current modulation by the CFTR inhibitor GlyH-101. Biochem Biophys Res Commun. 2011 Apr 29;408(1):12-7.