GlyH-101

Cat. No.: HY-18336

CAS No.: 328541-79-3

Molecular Formula: $C_{19}H_{15}Br_2N_3O_3$

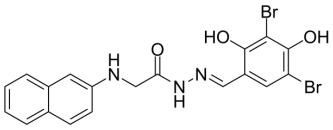
Molecular Weight: 493.15

Target: CFTR

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



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

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

BIOLOGICAL ACTIVITY

Description

GlyH-101 is a potent CFTR inhibitor. GlyH-101 also is a potent and reversible inhibitor of the VSORC conductance. GlyH-101 shows antiproliferative activity. GlyH-101 inhibits CFTR-like current and VSORC current[1][2].

In Vitro

GlyH-101 (0-50 μM) shows antiproliferative activity in PCT and PS120 cells[1].
GlyH-101 (0.5, 1, 5, 10 μM) inhibits CFTR-like current in a concentration-dependent manner in PCT cells[1].
GlyH-101 (0.5, 1, 5, 10 μM) inhibits the VSORC current with IC_{50}s of 5.38, 6.26 μM for PS120, PCT cells, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	PCT, PS120 cells

Concentration:	0, 1, 5, 10, 20, 50 μM
Incubation Time:	24 h
Result:	Inhibited the cell growth in a dose-dependent manner.

In Vivo

GlyH-101 (2.5 μg) reduces by about 80% cholera toxin-induced intestinal fluid secretion in mouse[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Biol Chem. 2019 Apr 19;294(16):6598-6611.
- Sci Rep. 2018 Apr 16;8(1):6028.
- bioRxiv. 2023 Feb 8.

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REFERENCES

[1]. Melis N, et al. Revisiting CFTR inhibition: a comparative study of CFTRinh -172 and GlyH-101 inhibitors. Br J Pharmacol. 2014 Aug;171(15):3716-27.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. 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.

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