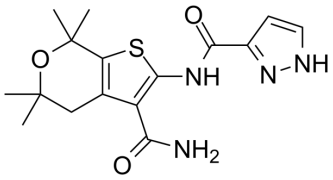
GLPG1837

Cat. No.:	HY-111099
CAS No.:	1654725-02-6
Molecular Formula:	$C_{16}H_{20}N_4O_3S$
Molecular Weight:	348.42
Target:	CFTR; Autophagy
Pathway:	Membrane Transporter/Ion Channel; Autophagy
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 : ≥ 250 mg/mL (717.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8701 mL	14.3505 mL	28.7010 mL
5 mM	0.5740 mL	2.8701 mL	5.7402 mL
10 mM	0.2870 mL	1.4350 mL	2.8701 mL

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

BIOLOGICAL ACTIVITY

Description

GLPG1837 is a potent and reversible CFTR potentiator, with EC_{50}s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.

IC_{50} & Target

EC50: 3 nM (F508del CFTR), 339 nM (G551D CFTR)[1]

In Vitro

GLPG1837 is a potent CFTR potentiator, with EC_{50}s of 3 nM and 339 nM for F508del and G551D CFTR, respectively. GLPG1837 increases the conductivity of the G551D CFTR channel with obtained potency of 181 nM[1]. GLPG1837 is reversible CFTR potentiator, with a the apparent affinity within a range of 0.2-2 μM[2].

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

PROTOCOL

Cell Assay [1]	CFBe41o- cells are cultured in Eagle's Minimal Essential Medium (MEM) supplemented with 10% fetal bovine serum (FBS), 1% penicillin/streptomycin, 1% l-glutamine, and 500 μg/mL hygromycin B. The cells are grown on culture flasks coated with 0.01% bovine serum albumin (BSA), 30 μg/mL Purecol, and 0.001% human fibronectin. CFBe41o- cells are transduced with adenoviruses containing F508del CFTR and YFP (H148Q/I152L/F47L). HEK293 cells are cultured in Dulbecco's Modified Eagle Medium (DMEM) supplemented with 10% FBS and 1% penicillin/streptomycin. HEK293 cells are transfected with plasmids containing G551D, G178R, S549N, R117H, CFTR, and YFP (H148Q/I152L/F47L). Directly after transfection, the HEK293 cells are seeded in black 96-well plates coated with poly-d-lysine at a density of 70000 cells per well. The next day, cells are incubated for 24 h at 27°C (CFBE41o−) or 37°C (HEK293). Then cells are treated for 10 min with 10 μM forskolin and the desired concentration of potentiator at room temperature. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Van der Plas SE, et al. Discovery of N-(3-Carbamoyl-5,5,7,7-tetramethyl-5,7-dihydro-4H-thieno[2,3-c]pyran-2-yl)-lH-pyrazole-5-carboxamide (GLPG1837), a Novel Potentiator Which Can Open Class III Mutant Cystic Fibrosis Transmembrane Conductance Regulator (

[2]. Yeh HI, et al. A common mechanism for CFTR potentiators. J Gen Physiol. 2017 Dec 4;149(12):1105-1118.

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