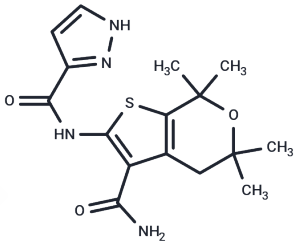
Data Sheet (Cat.No.T7083)

TargetMol

GLPG1837

Chemical Properties



CAS No. : 1654725-02-6

Formula: C16H20N4O3S

Molecular Weight: 348.42

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GLPG1837 (ABBV-974) is an effective CFTR potentiator, with EC50s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.
Targets(IC50)	CFTR,Autophagy
In vitro	GLPG1837 increases the conductivity of the G551D CFTR channel with the obtained potency of 181 nM[1]. GLPG1837 is reversible CFTR potentiator, with the apparent affinity within a range of 0.2 ~ 2 μM[2].
Cell Research	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.

Solubility Information

Solubility	DMSO: 55 mg/mL (157.86 mM),Sonication is recommended. H2O: Insoluble, Ethanol: 5 mg/mL (14.35 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8701 mL	14.3505 mL	28.701 mL
5 mM	0.574 mL	2.8701 mL	5.7402 mL
10 mM	0.287 mL	1.435 mL	2.8701 mL
50 mM	0.0574 mL	0.287 mL	0.574 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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 (CFTR) Channels to a High Extent. J Med Chem. 2018 Feb 22;61(4):1425-1435.

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