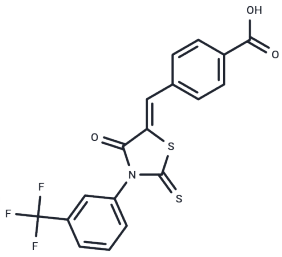
Data Sheet (Cat.No.T2355)

TargetMol

CFTR(inh)-172

Chemical Properties



CAS No. : 307510-92-5

Formula: C18H10F3NO3S2

Molecular Weight: 409.4

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	CFTR(inh)-172 (CFTR Inhibitor-172) is a voltage-independent, selective CFTR inhibitor.
Targets(IC50)	CFTR,Autophagy
In vitro	Administering 20 μg of CFTRinh-172 over 6 hours fully abolished intestinal fluid secretion induced by Vibrio cholerae without affecting the growth of Vibrio cholerae within the body.
In vivo	CFTRinh-172, a selective inhibitor of the CFTR (Cystic Fibrosis Transmembrane Conductance Regulator) channel, effectively eliminates Cl- (chloride) currents in the lacrimal gland acinar and duct cells of rabbits. It exhibits time- and dose-dependent inhibition of CFTR-mediated iodide transport and efficiently inhibits the activation of CFTR by various agonists or activators. Notably, CFTRinh-172 induces the production of ROS (Reactive Oxygen Species), mitochondrial depletion, and the activation of the NF-κB (Nuclear Factor kappa-light-chain-enhancer of activated B cells) signaling pathway independently of its CFTR inhibitory action.
Kinase Assay	Screening procedures: Assays are done using a customized screening system consisting of a 3-meter robotic arm, CO2 incubator, plate washer, liquid-handling workstation, bar code reader, delidding station, and two FLUOstar fluorescence platereaders, each equipped with two syringe pumps and HQ500/20X (500 ± 10 nm) excitation and HQ535/30M (535 ± 15 nm) emission filters. The robotic system is integrated using SAMI version 3.3 software modified for two platereaders. Custom software is written in Microsoft VBA (Visual Basic for Applications) to compute base-line-subtracted, normalized fluorescence slopes (giving halide influx rates) from stored data files. The assay is set up by loading the incubator (37°C, 90% humidity, 5% CO2) with 40-60 96-well plates containing the FRT cells, and loading a carousel with 96-well plates containing test compounds and disposable plastic pipette tips. To initiate the assay, each well of a 96-well plate is washed three times in PBS (300 μl/wash), leaving 50 μL PBS. Ten microliters of a CFTR-activating cocktail (5 μM forskolin, 100 μM IBMX, 25 μM apigenin in PBS) is added, and after 5 minutes one test compound (0.5 μL of 1 mM DMSO solution) is added to each well to give 10 μM final concentration. After 10 minutes, 96-well plates are transferred to a platereader for fluorescence assay. Each well is assayed individually for CFTR-mediated I- transport by recording fluorescence continuously (200 ms per point) for 2 seconds (base line) and then for 12 seconds after rapid (<0.5

Kinase Assay	seconds) addition of 165 μL of isosmolar PBS in which 137 mM Cl- was replaced by I-.
Cell Research	Cell toxicity is assayed by the dihydrorhodamine method at 24 hours after cell incubation with 0-1,000 μM inhibitor. (Only for Reference)

Solubility Information

Solubility	DMSO: 40.9 mg/mL (99.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.89 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4426 mL	12.213 mL	24.426 mL
5 mM	0.4885 mL	2.4426 mL	4.8852 mL
10 mM	0.2443 mL	1.2213 mL	2.4426 mL
50 mM	0.0489 mL	0.2443 mL	0.4885 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

Ma T, et al. J Clin Invest. 2002, 110(11), 1651-1658.
Graeber S Y, Balázs A, Ziegahn N, et al.Personalized CFTR Modulator Therapy for G85E and N1303K Homozygous Patients with Cystic Fibrosis.International Journal of Molecular Sciences.2023, 24(15): 12365.
Lu M, et al. Curr Eye Res. 2012, 37(8), 671-677.
Kelly M, et al. J Pharmacol Exp Ther. 2010, 333(1), 60-69.
Sawasvirojwong S, et al. PLoS Negl Trop Dis. 2013, 7(6), e2293.