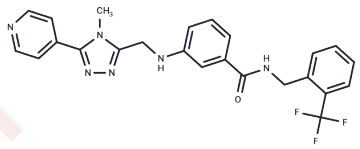
Data Sheet (Cat.No.T14989)

TargetMol

CMPD101

Chemical Properties



CAS No. : 865608-11-3

Formula: C24H21F3N6O

Molecular Weight: 466.46

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	CMPD101 is a membrane-permeable small-molecule inhibitor of GRK2/3 (IC50: 18 nM and 5.4 nM). Which can be used for the study of heart failure. CMPD101 exhibits less selectively against GRK1, GRK5, ROCK-2 and PKCα with IC50s of 3.1 μM , 2.3 μM, 1.4 μM and 8.1 μM, respectively.
Targets(IC50)	GRK,PKC,ROCK
In vitro	CMPD101 (100 μM; pre-20 mins) inhibit the internalization of β2AR, significantly reduces the isoproterenol-induced formation of clathrin-coated vesicles and the β2AR-GFP fusion protein remained on the plasma membrane in HEK-B2 cell line[1]. CMPD101 (3-30 μM; pre-30 minutes) does not influence the DAMGO-induced increase in ERK1/2 and Elk-1 phosphorylation, at 30?μM. This compound produces a small increase in basal ERK1/2 phosphorylation in HEK 293 cells expressing HA-MOPrs. CMPD101 (3-30 μM; pre-30 minutes) produced a robust phosphorylation of Ser375. Which is partially inhibited by pretreatment of cells for 30 minutes with 3 μM Cmpd101 and fully blocked by pretreatment with 30 μM Cmpd101and it also inhibits phosphorylation of MOPr at Thr370, Thr376, and Thr379 residues[2].

Solubility Information

Solubility	DMSO: 250 mg/mL (535.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.72 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	2.1438 mL	10.719 mL	21.4381 mL
5 mM	0.4288 mL	2.1438 mL	4.2876 mL
10 mM	0.2144 mL	1.0719 mL	2.1438 mL
50 mM	0.0429 mL	0.2144 mL	0.4288 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

Okawa T, et al. Design, Synthesis, and Evaluation of the Highly Selective and Potent G-Protein-Coupled Receptor Kinase 2 (GRK2) Inhibitor for the Potential Treatment of Heart Failure. J Med Chem. 2017 Aug 24;60(16):6942-6990.
Yu Q, et al. Inhibition of prostatic smooth muscle contraction by the inhibitor of G protein-coupled receptor kinase 2/3, CMPD101.Eur J Pharmacol. 2018 Jul 15;831:9-19.

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