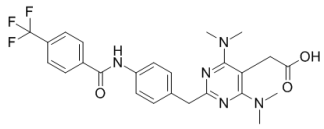
BI-671800

Cat. No.:	HY-114141
CAS No.:	1093108-50-9
Molecular Formula:	$C_{25}H_{26}F_3N_5O_3$
Molecular Weight:	501.5
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	4°C, protect from light



Solvent & Solubility

In Vitro

DMSO : 135 mg/mL (269.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9940 mL	9.9701 mL	19.9402 mL
	5 mM	0.3988 mL	1.9940 mL	3.9880 mL
	10 mM	0.1994 mL	0.9970 mL	1.9940 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.25 mg/mL (4.49 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.25 mg/mL (4.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI-671800 is a highly specific and potent antagonist of chemoattractant receptor-homologous molecule on Th2 cells **(DP2/CRTH2)**, with **IC_{50}** values of 4.5 nM and 3.7 nM for PGD2 binding to CRTH2 in hCRTH2 and mCRTH2 transfected cells, respectively[1]. BI-671800 has potential for the treatment of poorly controlled asthma[2].

IC_{50} & Target

hCRTH2	mCRTH2
4.5 nM (IC_{50}, in CRTH2 transfected cells)	3.7 nM (IC_{50}, in CRTH2 transfected cells)

In Vitro

BI-671800 (compound A) exhibits low nM potency as an antagonist of human or mouse CRTH2 in transfected cells[1].

In Vivo

BI-671800 (compound A, 0.1-10 mg/kg, i.g.) shows significant inhibition of AHR in mice[1].

BI-671800 (compound A), effectively blocks edema formation and greatly reduces the inflammatory infiltrate and skin pathology observed in drug vehicle-treated animals[3].

Animal Model:	6-8-week-old age- and sex-matched BALB/c mice (mice were sensitized for 14 days, challenged intranasally)[1].
Dosage:	10-0.1 mg/kg
Administration:	Oral gavage for 4 weeks
Result:	Shows significant inhibition of AHR in mice.

REFERENCES

[1]. Boehme SA, et al. A small molecule CRTH2 antagonist inhibits FITC-induced allergic cutaneous inflammation. Int Immunol. 2009 Jan;21(1):81-93.

[2]. Miller D, et al. A randomized study of BI 671800, a CRTH2 antagonist, as add-on therapy in poorly controlled asthma. Allergy Asthma Proc. 2017 Mar 1;38(2):157-164.

[3]. Lukacs NW, et al. CRTH2 antagonism significantly ameliorates airway hyperreactivity and downregulates inflammation-induced genes in a mouse model of airway inflammation. Am J Physiol Lung Cell Mol Physiol. 2008 Nov;295(5):L767-79.

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