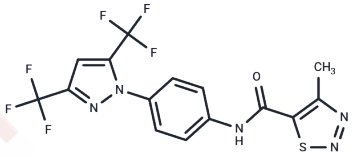
Data Sheet (Cat.No.T3651)

TargetMol

YM-58483

Chemical Properties



CAS No. : 223499-30-7

Formula: C15H9F6N5OS

Molecular Weight: 421.32

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	YM-58483 (BTP2) is a specific and effective inhibitor of CRAC channels and subsequent Ca2+ signals.
Targets(IC50)	Calcium Channel
In vitro	In various models of allergic asthma, including airway hyperresponsiveness, early and late-phase bronchoconstriction, and antigen-induced airway eosinophilia, YM-58483 demonstrates inhibitory effects. Furthermore, in rat and guinea pig tissues, it reduces levels of leukotrienes and IL-4. In mice with GVHD, YM-58483 also suppresses host-versus-graft CTL reactions, donor T cell proliferation, and the production of IFN-γ. Notably, YM-58483 (30 mg/kg, p.o.) does not significantly affect general activity in mice [1].
In vivo	As a selective SOCE inhibitor, YM-58483 inhibits sustained calcium ion influx induced by anti-CD3 antibodies in Jurkat T cells. It inhibits CRAC, TRPC3, and TRPC5 channels, while promoting the TRPM4 channel, leading to the suppression of cytokine production (IL-2, IL-4, IL-5, IFN-γ, etc.) and T-cell proliferation. YM-58483 impedes the proliferation of splenocytes related to MLR by inhibiting the activation of NF-AT[1]. Additionally, it significantly suppresses the production of IL-2 and the promoter activity driven by NF-AT, without affecting the AP-1-driven promoter activity within Jurkat cells[2].
Kinase Assay	HCT-116 cells are washed with PBS and then homogenized with a 27-gauge syringe in binding buffer (10 mm Tris-HCl (pH 7.4), 50 mm KCl, 5 mm MgCl2, 1 mm EDTA, and 0.1 mm Na3VO4). The cell lysate is centrifuged at 13,000 rpm for 30 min at 4°C, and the supernatant is collected. The HCT-116 cell lysate supernatant is precleared by incubating with Dynabeads M-280 streptavidin for 30 min at 4°C and captured by magnet separation. The cleared supernatants are incubated with biotinyl-KRIBB11 compound. After overnight incubation at 4°C, proteins associated with the biotinyl-KRIBB11 compound are precipitated with Dynabeads M-280 streptavidin. Precipitated samples are separated by a magnet. Samples are washed with 1 mL of ishing buffer containing 50 mm HEPES (pH 7.5), 50 mm NaCl, 1 mm EDTA, 1 mm EGTA, 0.1% Tween 20, 10% (v/v) glycerol, 1 mm NaF, 0.1 mm Na3VO4, and protease inhibitor mixture tablets (1 tablet/10 mL). Samples are boiled in SDS-PAGE sample buffer, separated by 10% polyacrylamide gel, and immunoblotted with antibodies against HSF1, HSF2, HSP90, or CDK9.

Cell Research	Jurkat cells (1×107 cells/ml) were tested with varying concentration of compounds for 30 min at 37°C. The cells were stimulated with 1 μM ionomycin for 30 min at 37°C. After stimulation, the cells were centrifuged at 200×g for 2 min, and were solubilized in 100 μl of Triton X-100 lysis buffer. The cell lysate was centrifuged at 15,000×g for 20 min; the clarified lysate was subjected to SDS-PAGE; and NF-ATc2 was detected by Western blotting with anti-NF-ATc2 mAb. (Only for Reference)

Solubility Information

Solubility	Ethanol: 78 mg/mL (185.13 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 250 mg/mL (593.37 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: 2 mg/mL (4.75 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	2.3735 mL	11.8675 mL	23.7349 mL
5 mM	0.4747 mL	2.3735 mL	4.747 mL
10 mM	0.2373 mL	1.1867 mL	2.3735 mL
50 mM	0.0475 mL	0.2373 mL	0.4747 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

Ohga K, et al. Int Immunopharmacol. 2008, 8(13-14):1787-92.
Ishikawa J, et al. J Immunol. 2003, 170(9):4441-9.