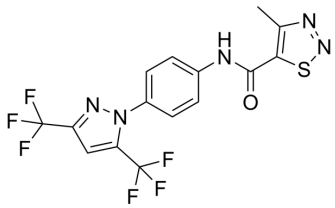
YM-58483

Cat. No.:	HY-100831
CAS No.:	223499-30-7
Molecular Formula:	$C_{15}H_9F_6N_5OS$
Molecular Weight:	421.32
Target:	CRAC Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (296.69 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3735 mL	11.8675 mL	23.7349 mL
5 mM	0.4747 mL	2.3735 mL	4.7470 mL
10 mM	0.2373 mL	1.1867 mL	2.3735 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YM-58483 (BTP2) is the first selective and potent inhibitor of CRAC channels and subsequent Ca^{2+} signals[1]. YM-584832 is a blocker of store-operated Ca^{2+} entry (SOCE)[2].

In Vitro

YM-58483 can decrease the levels of P-ERK and P-CREB, without affecting the expression of CD11b and GFAP. YM-58483 also inhibits the release of spinal cord IL-1β, TNF-α, and PGE2[1]. YM-58483 and cyclosporine A inhibits T cell proliferation in a one-way mixed lymphocyte reaction (mLR) with IC_{50} values of 330 and 12.7 nM, respectively[2]. YM-58483 inhibits DNP antigen-induced histamine release from and leukotrienes (LTs) production in IgE-primed RBL-2H3 cells, a rat basophilic leukemia cell line, with IC_{50} values of 460 and 310 nM, respectively. YM-58483 also inhibits phytohemagglutinin-P (PHA)-stimulated IL-5 and IL-13 production in human peripheral blood cells with IC_{50} values of 125 and 148 nM, respectively, which is approximately 5 times less potent than prednisolone[3]. YM-58483 inhibits IL-4 and IL-5 production in a conalbumine-

	stimulated murine Th2 T cell clone (D10.G4.1), and IL-5 production in phytohemagglutinin-stimulated human whole blood cells with IC_{50} values comparable to those reported for its CRAC channel inhibition (around 100 nM)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Intrathecal YM-58483 at the concentration of 300 μM (1.5 nmol) and 1000 μM (10 nmol) produces a significant central analgesic effect on the SNL rats[1]. In the mouse graft-versus-host disease (GVHD) model, YM-58483 (1-30 mg/kg, p.o.) and cyclosporine A (1-30 mg/kg, p.o.) inhibit donor anti-host cytotoxic T lymphocyte (CTL) activity and IFN-γ production, and also reduce the number of donor T cells, especially donor $CD8^+$ T cells, in the spleen. YM-58483 (1-10 mg/kg, p.o.) and cyclosporine A (2, 10 mg/kg, p.o.) inhibit the sheep red blood cell (SRBC)-induced delayed type hypersensitivity (DTH) response[2]. M-58483 (30 mg/kg, p.o.) significantly suppresses ovalbumin (OVA)-induced bronchoconstriction in OVA-sensitized guinea pigs, whereas prednisolone does not. YM-58483 (3-30 mg/kg, p.o.) and prednisolone (100 mg/kg, p.o.) both significantly and completely suppress airway hyperresponsiveness (AHR) caused by OVA exposure[3]. YM-58483 inhibits antigen-induced eosinophil infiltration into airways, and decreases IL-4 and cysteinyl-leukotrienes content in inflammatory airways induced in actively sensitized Brown Norway rats. Orally administered YM-58483 prevents antigen-induced late phase asthmatic broncoconstriction and eosinophil infiltration in actively sensitized guinea pigs[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Male Balb/c mice are immunized by subcutaneous injection of SRBC (2×10^7 cells) on day 0. Immunized mice are challenged with 30 μL of 1×10^8 SRBC into the left hind footpad on day 5. Footpad swelling is measured 24 h after the challenge using a thickness gauge and expressed as the difference between the thickness of the left footpad and that of the right one, which receives an equal volume of 0.9% saline. As a negative control, male Balb/c mice are injected with 0.9% saline and challenged with SRBC. YM-58483 and cyclosporine A are administered orally once daily from day 0 to day 5 (6 consecutive days). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Hazard Mater. 2021, 126025.
- Acta Pharmacol Sin. 2024 Jan 26.
- Free Radic Biol Med. 2023 Jun 1;S0891-5849(23)00437-9.
- Int J Mol Sci. 2023 Apr 6, 24(7), 6818.
- Front Mol Biosci. 2021 Sep 14;8:646730.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Qi Z, et al. The Central Analgesic Mechanism of YM-58483 in Attenuating Neuropathic Pain in Rats. Cell Mol Neurobiol. 2016 Oct;36(7):1035-43

[2]. Ohga K, et al. Characterization of YM-58483/BTP2, a novel store-operated Ca2+ entry blocker, on T cell-mediated immune responses in vivo. Int Immunopharmacol. 2008 Dec 20;8(13-14):1787-9

[3]. Ohga K, et al. The suppressive effects of YM-58483/BTP-2, a store-operated Ca2+ entry blocker, on inflammatory mediator release in vitro and airway responses in vivo. Pulm Pharmacol Ther. 2008;21(2):360-9

[4]. Yoshino T, et al. YM-58483, a selective CRAC channel inhibitor, prevents antigen-induced airway eosinophilia and late phase asthmatic responses via Th2 cytokine

inhibition in animal models. Eur J Pharmacol. 2007 Apr 10;560(2-3):225-33

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA