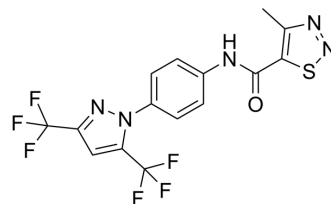


YM-58483

| | | | |
|---------------------------|---|-------|---------|
| Cat. No.: | HY-100831 | | |
| CAS No.: | 223499-30-7 | | |
| Molecular Formula: | C ₁₅ H ₉ F ₆ N ₃ OS | | |
| 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) | | | |
| | | Solvent Concentration | Mass | |
| | | | 1 mg | 5 mg |
| | | | 10 mg | |
| Preparing Stock Solutions | 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 PGE ₂ ^[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 IC₅₀ 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 bronchoconstriction 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.

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- [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

Caution: Product has not been fully validated for medical applications. For research use only.

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