RO2959 monohydrochloride

Cat. No.: HY-113618B

CAS No.: 2309172-44-7

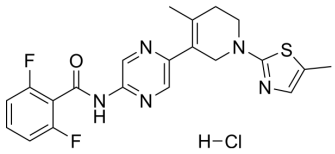
Molecular Formula: $C_{21}H_{20}ClF_2N_5OS$

Molecular Weight: 463.93

Target: CRAC Channel; Interleukin Related

Pathway: Membrane Transporter/Ion Channel; Immunology/Inflammation

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (53.89 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1555 mL	10.7775 mL	21.5550 mL
5 mM	0.4311 mL	2.1555 mL	4.3110 mL
10 mM	0.2155 mL	1.0777 mL	2.1555 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: 2.08 mg/mL (4.48 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO2959 monohydrochloride is a potent and selective CRAC channel inhibitor with an IC_{50} of 402 nM. RO2959 monohydrochloride is a potent blocker of store operated calcium entry (SOCE) mediated by Orai1/Stim1 channels with an IC_{50} of 25 nM. RO2959 monohydrochloride is also a potent inhibitor of human IL-2 production, and potently blocks T cell receptor triggered gene expression and T cell functional pathways[1][2].

IC_{50} & Target

CRAC channel	Orai1/Stim1 channels	IL-2
402 nM (IC_{50})	25 nM (IC_{50})	

In Vitro	RO2959 inhibits Orai1 and Orai3 with IC_{50} values of 25 nM and 530 nM, respectively. RO2959 blocks store operated calcium entry (SOCE) in activated CD4+T lymphocytes with an IC_{50} value of 265 nM[1]. RO2959 is a potent SOCE inhibitor that blocks an IP3-dependent current in CRAC-expressing RBL-2H3 cells and CHO cells stably expressing human Orai1 and Stim1, as well as SOCE in human primary $CD4^+$ T cells triggered by either T cell receptor (TCR) stimulation or thapsigargin treatment. RO2959 completely inhibits cytokine production as well as T cell proliferation mediated by TCR stimulation or MLR (mixed lymphocyte reaction)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gang Chen, et al. Characterization of a Novel CRAC Inhibitor That Potently Blocks Human T Cell Activation and Effector Functions. Mol Immunol. 2013 Jul;54(3-4):355-67.

[2]. Changbo Zheng, et al. Gastrodin Inhibits Store-Operated Ca 2+ Entry and Alleviates Cardiac Hypertrophy. Front Pharmacol. 2017 Apr 25;8:222.

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