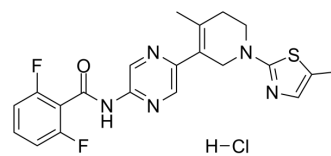


RO2959 monohydrochloride

Cat. No.:	HY-113618B
CAS No.:	2309172-44-7
Molecular Formula:	C ₂₁ H ₂₀ ClF ₂ N ₅ OS
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 ₂ O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)						
	Preparing Stock Solutions	Solvent Concentration	Mass	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 402 nM (IC ₅₀)	Orai1/Stim1 channels 25 nM (IC ₅₀)	IL-2

In Vitro

RO2959 inhibits Orai1 and Orai3 with IC₅₀ values of 25 nM and 530 nM, respectively. RO2959 blocks store operated calcium entry (SOCE) in activated CD4⁺T lymphocytes with an IC₅₀ 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 Ca²⁺ Entry and Alleviates Cardiac Hypertrophy. Front Pharmacol. 2017 Apr 25;8:222.

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

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