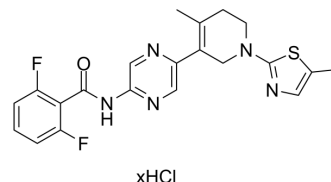


RO2959 hydrochloride

Cat. No.:	HY-113618A
CAS No.:	1219927-22-6
Molecular Formula:	C ₂₁ H ₁₉ F ₂ N ₅ OS.xHCl
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)



BIOLOGICAL ACTIVITY

Description	RO2959 hydrochloride is a potent and selective CRAC channel inhibitor with an IC ₅₀ of 402 nM. RO2959 hydrochloride is a potent blocker of store operated calcium entry (SOCE) mediated by Orai1/Stim1 channels with an IC ₅₀ of 25 nM. RO2959 hydrochloride 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]} .		
IC₅₀ & Target	CRAC channel 402 nM (IC ₅₀)	Orai1/Stim1 channels 25 nM (IC ₅₀)	IL-2
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 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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