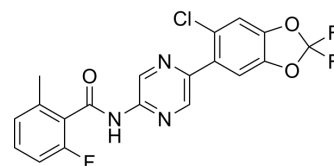


Zegocractin

Cat. No.:	HY-101942		
CAS No.:	1713240-67-5		
Molecular Formula:	C ₁₉ H ₁₁ ClF ₃ N ₃ O ₃		
Molecular Weight:	421.76		
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 : ≥ 100 mg/mL (237.10 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.3710 mL	11.8551 mL	23.7102 mL
	5 mM	0.4742 mL	2.3710 mL	4.7420 mL
	10 mM	0.2371 mL	1.1855 mL	2.3710 mL

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

In Vivo

- Add each solvent one by one: 70% PEG300 >> 30% (20% SBE-β-CD in saline)
Solubility: 10 mg/mL (23.71 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2.08 mg/mL (4.93 mM); Suspended solution; Need ultrasonic and warming
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (4.93 mM); Suspended solution; Need ultrasonic and warming
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zegocractin (CM-4620) is a calcium-release activated calcium-channel (CRAC channel) inhibitor, with IC₅₀s of 119 nM and 895 nM for Orai1/STIM1 and Orai2/STIM1 channels, respectively^[1].

IC₅₀ & Target

IC₅₀: 119 nM (Orai 1/STIM1), 895 nM (Orai 1/STIM1)^[1]

In Vitro	<p>It is determined that Zegocractin (compound 1) inhibits Orai 1/STIM1 channels with an IC₅₀ of 119 nM, and Orai2/STIM1 channels with an IC₅₀ of 895 nM. It is more potent on Orai1 than Orai2-type CRAC channels. In human PBMCs, Zegocractin potently inhibits release of multiple cytokines which play important roles in T cells (IC₅₀s, IFN γ: 138 nM, IL-4: 879 nM, IL-6: 135 nM, IL-1β: 240 nM, IL-10: 303 nM, TNFα: 225 nM, IL-2: 59 nM, IL-17 120 nM)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Mouse PACs are treated with CRAC inhibitors Zegocractin or GSK-7975A and monitored for their rate of Calcium uptake. Both CRAC inhibitors reduce the rate of store-operated Calcium entry into the ER to 50% of control levels upon treatment with 700 nM of inhibitor. Zegocractin blocks 100% of reuptake at 10 μM^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Nat Aging. 2023 Jun 5.
- Nat Commun. 2023 Mar 8;14(1):1286.
- Cell Commun Signal. 2024 Feb 1;22(1):92.
- Cell Commun Signal. 2024 Feb 1;22(1):92.
- J Invest Dermatol. 2023 Sep 29:S0022-202X(23)02603-9.

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REFERENCES

[1]. ARYL SULFONOHYDRAZIDES. WO2016/138472A1.

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