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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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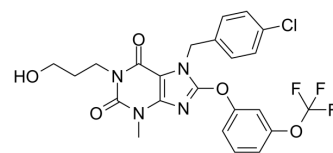
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Pico145

Cat. No.:	HY-101507		
CAS No.:	1628287-16-0		
Molecular Formula:	C ₂₃ H ₂₀ ClF ₃ N ₄ O ₅		
Molecular Weight:	524.88		
Target:	TRP Channel		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
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 (190.52 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.9052 mL	9.5260 mL	19.0520 mL
	5 mM		0.3810 mL	1.9052 mL	3.8104 mL
	10 mM		0.1905 mL	0.9526 mL	1.9052 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pico145 (HC-608) is a remarkable inhibitor of TRPC1/4/5 channels, inhibits (-)-englerin A-activated TRPC4/TRPC5 channels, with IC₅₀s of 0.349 and 1.3 nM in cells, and shows no effect on TRPC3, TRPC6, TRPV1, TRPV4, TRPA1, TRPM2, TRPM8^[1].

IC₅₀ & Target

IC₅₀: 0.349 nM (TRPC4, cell assay), 1.3 nM (TRPC5, cell assay), 0.03 nM (TRPC4-TRPC1, cell assay), 0.2 nM (TRPC5-TRPC1, cell assay)^[1]

In Vitro

Pico145 (Compound 31, C31) is a remarkable small-molecule inhibitor of TRPC1/4/5 channels, inhibits (-)-englerin A-activated TRPC4/TRPC5 channels, with IC₅₀s of 0.349 and 1.3 nM in cells; Pico145 shows no effect on TRPC3, TRPC6, TRPV1, TRPV4, TRPA1, TRPM2, TRPM8. Pico145 also inhibits human TRPC4-TRPC1 and TRPC5-TRPC1 concatemers expressed in HEK

293 Tet⁺ cells (IC₅₀, 0.03 nM and 0.2 nM, respectively). The potency of Pico145 can be reduced by increased (–)-englerin A concentration. Furthermore, Pico145 potently inhibits RPC4-TRPC1 channels activated by sphingosine 1-phosphate (S1P), and suppresses S1P-evoked Ca²⁺ entry through TRPC4-TRPC1 channels with an IC₅₀ of 0.011 nM. Pico145 also sensitizes EA-sensitive cancer cell line (Hs578T cells) (IC₅₀, 0.11 nM). Pico145 (100 nM) lacks effect on store-operated Ca²⁺ entry and histamine-evoked Ca²⁺ entry into endothelial cells^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay^[1]

Cells are seeded at 90% confluence into 96-well clear-bottomed poly-d-lysine-coated black plates for HEK 293 cells and clear-bottomed Nunc plates for A498 cells, Hs578T cells, and HUVECs 24 h before experimentation. Fura-2 Ca²⁺ indicator dye is used to monitor changes in intracellular ionized Ca²⁺ concentration. To perform the experiment, the cells are incubated for 1 h with fura-2-AM (2 μM) in standard bath solution (SBS) at 37°C in the presence of 0.01% pluronic acid. SBS contains 135 mM NaCl, 5 mM KCl, 1.2 mM MgCl₂, 1.5 mM CaCl₂, 8 mM glucose, and 10 mM Hepes (pH titrated to 7.4 using NaOH). Subsequently, the cells are washed twice with SBS before adding Pico145 or ML204 for 30 min before making Ca²⁺ measurements. The fura-2 fluorescence is recorded using a 96-well fluorescence plate reader and the excitation wavelengths of 340 and 380 nm^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Metab. 2022; 101645.
- Cell Signal. 2023 Apr 14;110681.
- Food Chem Toxicol. 2019 Jul;129:281-290.
- PLoS One. 2021 Apr 23;16(4):e0250095.
- Behav Brain Res. 2022 Jan 18;113765.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Rubaiy HN, et al. Picomolar, selective, and subtype-specific small-molecule inhibition of TRPC1/4/5 channels. J Biol Chem. 2017 May 19;292(20):8158-8173.

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

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