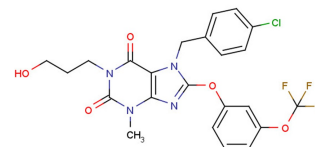


Pico145

Chemical Properties

CAS No.:	1628287-16-0
Formula:	C ₂₃ H ₂₀ ClF ₃ N ₄ O ₅
Molecular Weight:	524.88
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Pico145 is a remarkable inhibitor of TRPC1/4/5 channels. Pico145 inhibits (–)-englerin A-activated TRPC4/TRPC5 channels (IC ₅₀ s: 0.349 and 1.3 nM in cells) It also shows no effect on TRPC3, TRPC6, TRPV1, TRPV4, TRPA1, TRPM2, TRPM8.
Targets(IC ₅₀)	TRPC4, cell assay: 0.349 nM TRPC5, cell assay: 1.3 nM TRPC4-TRPC1, cell assay: 0.03 nM TRPC5-TRPC1, cell assay: 0.2 nM
In vitro	Pico145 is a remarkable small-molecule inhibitor of TRPC1/4/5 channels. Pico145 displays no effect on TRPC3, TRPC6, TRPV1, TRPV4, TRPA1, TRPM2, TRPM8. Pico145 inhibits (–)-englerin A-activated TRPC4/TRPC5 channels (IC ₅₀ s: 0.349 and 1.3 nM in cells). Pico145 also inhibits human TRPC4-TRPC1 and TRPC5-TRPC1 concatemers expressed in HEK 293 Tet+ cells (IC ₅₀ , 0.03 nM, and 0.2 nM, respectively). Pico145 effectively inhibits TRPC4-TRPC1 channels activated by sphingosine 1-phosphate (S1P) and suppresses S1P-evoked Ca ²⁺ entry through TRPC4-TRPC1 channels (IC ₅₀ : 0.011 nM). The potency of Pico145 can be reduced by increased (–)-englerin A concentration. Pico145 also sensitizes EA-sensitive cancer cell line (Hs578T cells) (IC ₅₀ , 0.11 nM). Pico145 (100 nM) lacks effect on store-operated Ca ²⁺ entry and histamine-evoked Ca ²⁺ entry into endothelial cells[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (190.52 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.905 mL	9.526 mL	19.052 mL
5 mM	0.381 mL	1.905 mL	3.81 mL
10 mM	0.191 mL	0.953 mL	1.905 mL
50 mM	0.038 mL	0.191 mL	0.381 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

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.

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Tel:781-999-4286

E-mail:info@targetmol.com

Address:36 Washington Street,Wellesley Hills,MA 02481