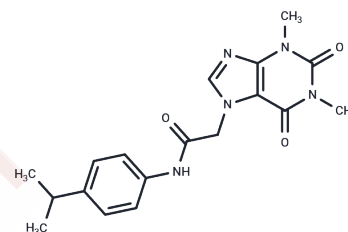


HC-030031

Chemical Properties

CAS No. : 349085-38-7
 Formula: C₁₈H₂₁N₅O₃
 Molecular Weight: 355.39
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	HC-030031 (TOSLAB 829227) is a potent TRPA1 inhibitor, which antagonizes AITC- and formalin-evoked calcium influx with IC ₅₀ s of 6.2±0.2 and 5.3±0.2 μM, respectively.
Targets(IC ₅₀)	TRP/TRPV Channel
In vitro	Oral administration of HC-030031 (100 mg/kg) significantly reversed mechanical hypersensitivity in rat models of chronic inflammation or neuropathic pain, while local injection (100 μg) into the inflamed hind paw of mice attenuated mechanical, but not thermal, hypersensitivity.
In vivo	HC-030031 rapidly inhibits both inward and outward currents induced by AITC or Formalin, and also suppresses TRPA1 activation caused by N-methylmaleimide and electrophilic prostaglandins.
Kinase Assay	The activity of specific TLR agonists is assessed using the secretory embryonic alkaline phosphatase (SEAP) reporter gene that is linked to NF-κB activation in response to TLR stimulation. Measurement of SEAP activity using the Quanti-blue substrate (InvivoGen) after TLR agonist treatment is carried out.
Cell Research	HC-030031 is prepared in DMSO and stored, and then diluted with appropriate medium (DMSO 0.4%) before use[2]. HEK-293 cells stably expressing human TRPA1 are plated into 384-well plates at a density of 20,000 cells/well 24 hours prior to assaying. On the day of assay, cells are loaded with 4 μM Fluo-4 dye and 0.08% pluronic acid for 1 hour at room temperature in assay buffer consisting of Hank's balanced salt solution supplemented with 20 mM HEPES, 2.5 mM probenecid, and 4% TR-40. Calcium influx assays are performed using the Fluorometric Imaging Plate Reader (FLIPR) TETRA. Concentration-response curves are generated for the TRPA1 agonists cinnamaldehyde and AITC prior to antagonist testing so EC ₆₀ concentrations could be determined. Titrations of HC-030031 are made from a DMSO stock solution and DMSO is kept to a constant of 0.4% in the assay. The antagonist is incubated with the cells for 10 minutes before the addition of an EC ₆₀ concentration of either cinnamaldehyde (18 μM) or AITC (6 μM) and calcium influx is monitored for an additional 10 minutes[2].
Animal Research	Animal Models: Male Sprague-Dawley rats. Formulation: 0.5% Methylcellulose. Dosages: 100,300 mg/kg. Administration: oral administration

Solubility Information

Solubility	DMSO: 8.06 mg/mL (22.68 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 0.81 mg/mL (2.28 mM), Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 12.38 mg/mL (34.83 mM), Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8138 mL	14.0691 mL	28.1381 mL
5 mM	0.5628 mL	2.8138 mL	5.6276 mL
10 mM	0.2814 mL	1.4069 mL	2.8138 mL
50 mM	0.0563 mL	0.2814 mL	0.5628 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

McNamara CR, et al. Proc Natl Acad Sci, 2007, 104(33), 13525-13530.

Tian C, Huang R, Tang F, et al. Transient Receptor Potential Ankyrin 1 Contributes to Lysophosphatidylcholine-Induced Intracellular Calcium Regulation and THP-1-Derived Macrophage Activation. The Journal of Membrane Biology. 2019: 1-13

Eid SR, et al. Mol Pain, 2008, 4:48.

Lennertz RC, et al. PLoS One. 2012;7(8):e43597.

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