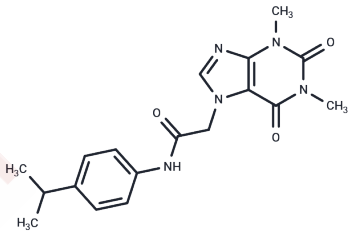
Data Sheet (Cat.No.T6530)

TargetMol

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 IC50s of 6.2±0.2 and 5.3±0.2 μM, respectively.
Targets(IC50)	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 EC60 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 EC60 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)

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.
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[J]. The Journal of Membrane Biology. 2019: 1-13.