

Product Data Sheet

Product Name: HC-030031

Cat. No.: GC15947

Chemical Properties

Cas. No. 349085-38-7

Chemical Name 2-(1,3-dimethyl-2,6-dioxopurin-7-yl)-N-(4-propan-2-ylphenyl)acetamide

SMILES CC(C)C1=CC=C(C(=C1)NC(=O)CN2C=NC3=C2C(=O)N(C(=O)N3C)C

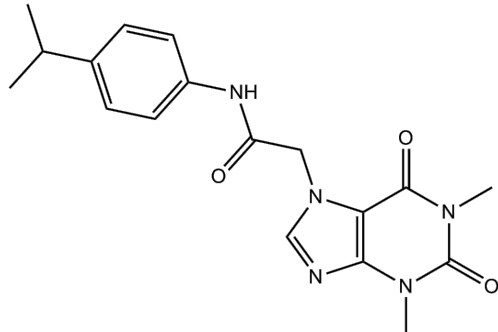
Formula C₁₈H₂₁N₅O₃ M.Wt 355.39

Solubility ≥ 16.4mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines HEK-293 cell line stably expressing TRPA1

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

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HC-030031 at concentrations ranging from 0.3 to 60 μ M was incubated with cells Preparation Method for 10min, and then cinnamaldehyde or AITC was added, and calcium influx assays were performed using a fluorescent imaging microplate reader.

Reaction
Conditions 0.3-60 μ M, 10min

Applications HC-030031 dose-dependently blocked cinnamaldehyde- and AITC-induced calcium influx with IC₅₀ values of 4.9 and 7.5 μ M, respectively.

Animal experiment [1]:

Animal models Spinal cord nerve ligation injury rat mode

Preparation Method After confirming the successful induction of mechanical hypersensitivity, the rats were divided into average groups and given 100mg/kg or 300mg/kg HC-030031 or 20mg/kg naproxen, respectively. The mechanical threshold was then reassessed 1 hour after dosing.

Dosage form 100 or 300mg/kg, 1h, p.o.

Applications HC-030031 significantly attenuated inflammation and neuropathy-induced mechanical hypersensitivity 1 hour after administration.

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References:

[1] Eid S R, Crown E D, Moore E L, et al. HC-030031, a TRPA1 selective antagonist, attenuates inflammatory-and neuropathy-induced mechanical hypersensitivity[J]. Molecular pain, 2008, 4: 1744-8069-4-48.

Background

HC-030031 is a selective inhibitor of transient receptor potential ankyrin subfamily member 1 (TRPA1). HC-030031 blocks calcium influx in cells, with IC₅₀ values of 4.9±0.1 and 7.5±0.2μM for calcium influx induced by cinnamaldehyde and allyl isothiocyanate (AITC), respectively^[1-2].

In HEK293 cells expressing TRPA1, HC-030031 (10μM) rapidly and reversibly blocks inward and outward currents induced by AITC or formalin, but has no effect on currents mediated by TRPV1, TRPV3, TRPV4, hERG, or NaV1.2 channels^[2]. Blocking TRPA1 with HC-030031 (10μM) inhibited apoptosis of HEK293 cells, reduced the levels of IL-1β, IL-6, TNF-α and INF-γ, and reduced the levels of cleaved-cas3, cleaved-PARP and iNOS^[3].

HC-030031 at a dose of 100mg/kg reduced the pain response behavior of mice in the AITC-induced pain model. Oral HC-030031 (100mg/kg) significantly reversed mechanical hypersensitivity in a more chronic, fully Fredrick-adjuvant (CFA) -induced model of inflammatory pain and a spinal nerve ligation model of neuropathic pain^[1]. In formalin-induced pain behavior in rats, HC-030031 (30, 100, and 300mg/kg) reduced the number of flinching events in a dose-dependent manner, and at the 300mg/kg dose, the number of flinching events was reduced to a level similar to that of saline injection^[2].

References:

[1] Eid S R, Crown E D, Moore E L, et al. HC-030031, a TRPA1 selective antagonist, attenuates inflammatory-and neuropathy-induced mechanical hypersensitivity[J]. Molecular pain, 2008, 4: 1744-8069-4-48.

[2] McNamara CR, Mandel-Brehm J, Bautista DM, Siemens J, Deranian KL, Zhao M, Hayward NJ, Chong JA, Julius D, Moran MM, Fanger CM. TRPA1 mediates formalin-induced pain. Proc Natl Acad Sci U S A. 2007 Aug 14;104(33):13525-30.

[3] Yuan J, Liang X, Zhou W, Feng J, Wang Z, Shen S, Guan X, Zhao L, Deng F. TRPA1 promotes

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cisplatin-induced nephrotoxicity through inflammation mediated by the MAPK/NF-κB signaling pathway. Ann Transl Med. 2021 Oct;9(20):1578.

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