

HC-030031

Catalog No: tcsc1040



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

349085-38-7

Formula:

$C_{18}H_{21}N_5O_3$

Pathway:

Membrane Transporter/Ion Channel

Target:

TRP Channel

Purity / Grade:

>98%

Solubility:

DMSO : 25 mg/mL (70.35 mM; Need ultrasonic)

Observed Molecular Weight:

355.39

Product Description

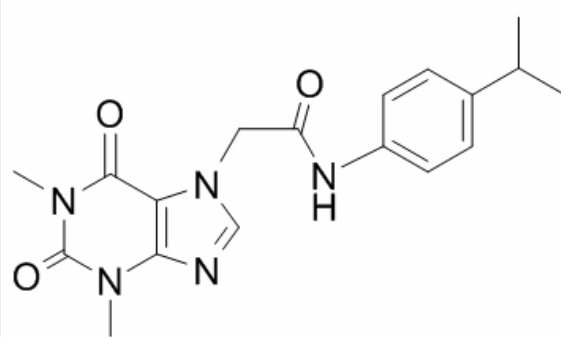
HC-030031 is a potent and selective of **TRPA1** inhibitor, which antagonizes AITC- and formalin-evoked calcium influx with **IC₅₀**s of

6.2±0.2 and 5.3±0.2 μM, respectively.

IC₅₀ & Target: TRPA1^[1]

In Vitro: HC-030031 reversibly blocks TRPA1 currents with a similar potency, regardless of the agonist used; this includes blockade of currents elicited by reversible agonists, such as AITC, or irreversible agonists, such as N-methyl maleimide. HC-030031 blocks activation of TRPA1 by N-methyl maleimide, which opens the channel irreversibly through cysteine modification. HC-030031 does not block currents mediated by TRPV1, TRPV3, TRPV4, hERG, or Nav1.2 channels^[1]. The potencies of HC-030031 versus cinnamaldehyde or allyl isothiocyanate (AITC or Mustard oil)-induced TRPA1 activation are 4.9±0.1 and 7.5±0.2 μM respectively (IC₅₀). These findings are similar to the previously reported IC₅₀ of 6.2 μM against AITC activation of TRPA1. The ability of HC-030031 to block TRPA1 activation is tested in a FLIPR calcium-influx assay using HEK-293 cells stably expressing human TRPA1. Concentrations of HC-030031 from 0.3 to 60 μM are incubated with cells for 10 minutes prior to addition of an EC₆₀ concentration of either cinnamaldehyde or AITC. HC-030031 dose-dependently blocks cinnamaldehyde- and AITC-induced calcium influx with IC₅₀ values of 4.9 and 7.5 μM, respectively^[2].

In Vivo: After injection of AITC (50 μL of 10%) into the rat hind paw, HC-030031 (300 mg/kg) significantly reduces flinching during the first 5 min. Over the remainder of the hour, HC-030031 decreases flinch frequency, a result that mirrors the effects observed on formalin-induced flinching^[1]. In the rat, oral administration of HC-030031 reduces AITC-induced nocifensive behaviors at a dose of 100 mg/kg. Moreover, oral HC-030031 (100 mg/kg) significantly reverses mechanical hypersensitivity in the more chronic models of Complete Freund's Adjuvant (CFA)-induced inflammatory pain and the spinal nerve ligation model of neuropathic pain. One hour post-oral administration, HC-030031 significantly reduces the lifting duration following 1% AITC injection (p[2]. HC-030031 completely reverses the enhanced mechanical firing in inflamed mice (p[3].



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