Web: www.taiclone.com
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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 **IC_{50}**s of

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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 (IC_{50}). These findings are similar to the previously reported IC_{50} 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 EC_{60} concentration of either cinnamaldehyde or AITC. HC-030031 dose-dependently blocks cinnamaldehyde- and AITC-induced calcium influx with IC_{50} 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 Freunds 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].