Chembridge-5861528

Cat. No.: HY-15065

CAS No.: 332117-28-9

Molecular Formula: $C_{19}H_{23}N_5O_3$

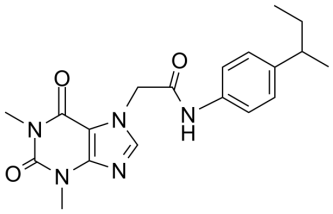
Molecular Weight: 369.42

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (324.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7069 mL	13.5347 mL	27.0695 mL
	5 mM	0.5414 mL	2.7069 mL	5.4139 mL
	10 mM	0.2707 mL	1.3535 mL	2.7069 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (8.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (8.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Chembridge-5861528 (TCS 5861528) is a potent TRPA1 channel antagonist that antagonizes similarly allyl isothiocyanate- and 4-HNE-evoked TRPA1 responses, with IC_{50} values of 14.3 μM and 18.7 μM, respectively. Chembridge-5861528 shows antihypersensitivity activities[1].

IC_{50} & Target

TRPA1 14.3 μM (IC_{50}, allyl isothiocyanate-evoked TRPA1 response)	TRPA1 18.7 μM (IC_{50}, 4-HNE-evoked TRPA1 response)

In Vitro

Chembridge-5861528 (TCS 5861528) shows no TRPA1 or TRPV1 channel agonism and no TRPV1 channel antagonism up to a

dose of 100 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Chembridge-5861528 (TCS 5861528) (30 mg/kg; i.p.; twice daily for a week) significantly attenuates development of mechanical hypersensitivity in Streptozocin (HY-13753)-induced diabetes mellitus rat model[1].

Chembridge-5861528 (3 and 10 μg/rat; i.t.; once) attenuates Capsaicin (HY-10448)-induced blood flow increase in a dose-related fashion[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Hannover-Wistar rats, Streptozocin-induced diabetes mellitus model[1]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection, twice daily for a week
Result:	Significantly attenuated development of mechanical hypersensitivity as revealed by the paw pressure test ($F^{1,80}$= 31.4, P < 0.0001).

Animal Model:	Male Hannover–Wistar rats (220-260 g), Capsaicin-induced neurogenic inflammation model[2]
Dosage:	0, 3, and 10 μg/rat
Administration:	Intrathecal injection, 20 min before Capsaicin injection
Result:	Significantly decreased the blood flow at 10 μg.

REFERENCES

[1]. Wei H, et al. Attenuation of mechanical hypersensitivity by an antagonist of the TRPA1 ion channel in diabetic animals. Anesthesiology. 2009 Jul;111(1):147-54.

[2]. Wei H, et al. Spinal TRPA1 ion channels contribute to cutaneous neurogenic inflammation in the rat. Neurosci Lett. 2010 Aug 2;479(3):253-6.

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