Product Data Sheet



HC-067047

Cat. No.:

HY-100208

CAS No.: 883031-03-6 Molecular Formula: $C_{26}H_{28}F_3N_3O_2$

Molecular Weight: 471.51

TRP Channel Target:

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Powder -20°C 3 years

2 years

-80°C In solvent 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (106.04 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|------------|------------|
| | 1 mM | 2.1208 mL | 10.6042 mL | 21.2085 mL |
| | 5 mM | 0.4242 mL | 2.1208 mL | 4.2417 mL |
| | 10 mM | 0.2121 mL | 1.0604 mL | 2.1208 mL |

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution

BIOLOGICAL ACTIVITY

| Description | HC-067047 is a potent and selective TRPV4 antagonist and reversibly inhibits currents through the human, rat, and mouse | | |
|-------------|---|--|--|
| | TRPV4 orthologs with IC ₅₀ values of 48 nM, 133 nM, and 17 nM, respectively ^[1] . | | |
| | | | |

IC50: 48 nM (human TRPV4), 133 nM (rat TRPV4), 17 nM (mouse TRPV4)^[1] IC₅₀ & Target

In Vitro HC-067047 (1 µM; 24 hours; HEI-OC1 cells) treatment significantly decreases mRNA expression in high glucose cultured HEI-

OC1 cells^[2].

?HC-067047 (1 μ M; 24 hours; HEI-OC1 cells) treatment significantly decreases the expression of TRPV4 protein [2]. ?HC-067047 (1 μ M; 48 hours; HEI-OC1 cells) treatment inhibits cell proliferation [2]. ?HC-067047 (1 μ M; 48 hours; HEI-OC1 cells) treatment promotes cell apoptosis [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR^[2]

Result:

| KT-F CK- | | |
|---------------------------------------|--|--|
| Cell Line: | HEI-OC1 cells | |
| Concentration: | 1μΜ | |
| Incubation Time: | 24 hours | |
| Result: | The mRNA expression was significantly decreased. | |
| Western Blot Analysis ^[2] | | |
| Cell Line: | HEI-OC1 cells | |
| Concentration: | 1 μΜ | |
| Incubation Time: | 24 hours | |
| Result: | The expression of TRPV4 protein was significantly decreased. | |
| Cell Proliferation Assay [[] | 2] | |
| Cell Line: | HEI-OC1 cells | |
| Concentration: | 1 μΜ | |
| Incubation Time: | 48 hours | |
| Result: | Inhibited cell proliferation. | |
| Apoptosis Analysis ^[2] | | |
| Cell Line: | HEI-OC1 cells | |
| Concentration: | 1μΜ | |
| Incubation Time: | 48 hours | |

In Vivo

HC-067047 (0-50 mg/kg; intraperitoneal injection; for 30 minutes; cyclophosphamide-treated WT and Trpv4 $^{?/?}$ mice, and naive WT mice) treatment increases functional bladder capacity and reduces micturition frequency in WT mice with cystitis. HC-067047 do not affect bladder function in Trpv4 $^{?/?}$ mice[1].

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

Promoted cell apoptosis.

| Animal Model: | Cyclophosphamide-treated WT and Trpv4 $^{-/-}$ mice, and naive WT mice $^{[1]}$ | |
|-----------------|--|--|
| Dosage: | 0 mg/kg, 1 mg/kg, 10 mg/kg, 50 mg/kg | |
| Administration: | Intraperitoneal injection; for 30 minutes | |
| Result: | Increased functional bladder capacity and reduces micturition frequency in WT mice with cystitis and did not affect bladder function in Trpv4 ^{-/-} mice. | |

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CUSTOMER VALIDATION

- Cell Death Dis. 2023 Jun 28;14(6):379.
- Environ Pollut. 2020 Jan;256:113375.
- Acta Pharmacol Sin. 2022 Sep 23.
- Transl Res. 2023 Nov 4:S1931-5244(23)00181-0.
- Biomed Pharmacother. 2020 Feb;122:109697.

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REFERENCES

[1]. Everaerts W et al. Inhibition of the cation channel TRPV4 improves bladder function in mice and rats with cyclophosphamide-induced cystitis. Proc Natl Acad Sci U S A. 2010 Nov 2;107(44):19084-9.

[2]. Xing Y, et al. Decreased Expression of TRPV4 Channels in HEI-OC1 Cells Induced by High Glucose Is Associated with Hearing Impairment. Yonsei Med J. 2018 Nov;59(9):1131-1137.

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

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