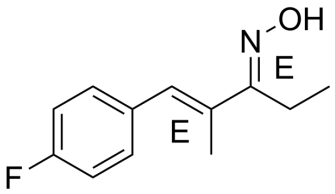
A-967079

Cat. No.:	HY-108463
CAS No.:	1170613-55-4
Molecular Formula:	$C_{12}H_{14}FNO$
Molecular Weight:	207.24
Target:	TRP Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (482.53 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.8253 mL	24.1266 mL	48.2532 mL
	5 mM	0.9651 mL	4.8253 mL	9.6506 mL
	10 mM	0.4825 mL	2.4127 mL	4.8253 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 (12.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (12.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-967079 is a selective TRPA1 receptor antagonist with IC_{50}s of 67 nM and 289 nM at human and rat TRPA1 receptors, respectively, and has good penetration into the CNS.

IC_{50} & Target

IC50: 67 nM (human TRPA1 receptor), 289 nM (rat TRPA1 receptor)[1]

In Vivo

Systemic injection of A-967079 (30 μmol/kg, i.v.) decreases the responses of wide dynamic range (WDR), and nociceptive specific (NS) neurons following noxious pinch stimulation of the ipsilateral hind paw in uninjured and CFA-inflamed rats. Similar to its actions in uninjured rats, administration of A-967079 (30 μmol/kg, i.v.) to complete Freund's adjuvant (CFA)-inflamed rats significantly reduces WDR neuronal responses to noxious pinch stimulation compared to baseline firing (p=0.0013, repeated-measures ANOVA) and the vehicle group (p=0.0001, two-way ANOVA). The maximum observed effect

(61.1±10.97% decrease from baseline levels) on pinch-evoked activity in inflamed rats occur 35 min after injection. In contrast to uninjured rats, injection of A-967079 to CFA-inflamed rats also significantly (p=0.0004, and p=0.0001 for the repeated-measures and two-way ANOVA's, respectively) reduces responses of WDR neurons to 10-g von Frey hair stimulation. The maximal observed decrease in von Frey-evoked activity is 67.69±18.39% from baseline levels (35 min post-injection), and is thus comparable to the effects of A-967079 on pinch-evoked activity in inflamed rats[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

Male Sprague-Dawley rats (250-400 g) are used for all experiments and are housed in a temperature controlled room with a 12/12-hr day/night cycle. Food and water are available ad libitum. Spontaneous and evoked neuronal activity is then measured 5, 15, 25, and 35 min after systemic injection of A-967079 (30 μmol/kg, i.v.) or vehicle (polyethylene glycol). The intravenous injection of A-967079 or vehicle is completed over a 6-7 min period. The i.v. dose of A-967079 is selected based on extrapolated plasma levels that are effective in behavioral studies[1].

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

CUSTOMER VALIDATION

- Cancer Lett. 2020 Jan 28;469:287-300.
- Front Mol Neurosci. 2021 Jun 4;14:690858.
- Mol Cell Biochem. 2020 Oct;473(1-2):179-192.
- Pest Manag Sci. 2020 Sep;76(9):3003-3011.
- Cell Stress Chaperones. 2020 Nov;25(6):955-968.

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REFERENCES

[1]. McGaraughty S, et al. TRPA1 modulation of spontaneous and mechanically evoked firing of spinal neurons in uninjured, osteoarthritic, and inflamed rats. Mol Pain. 2010 Mar 5;6:14.

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