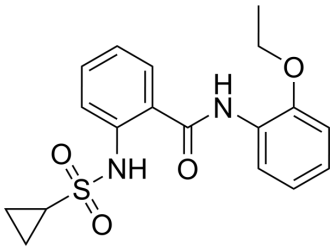
ML382

Cat. No.:	HY-110285
CAS No.:	1646499-97-9
Molecular Formula:	$C_{18}H_{20}N_2O_4S$
Molecular Weight:	360.43
Target:	Mas-related G-protein-coupled Receptor (MRGPR)
Pathway:	GPCR/G Protein
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 (277.45 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7745 mL	13.8723 mL	27.7446 mL
	5 mM	0.5549 mL	2.7745 mL	5.5489 mL
	10 mM	0.2774 mL	1.3872 mL	2.7745 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 (6.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML382 is a potent and selective MRGPRX1 (Mas-related G protein-coupled receptor X1, MrgX1) positive allosteric modulator, with an EC_{50} of 190 nM[1].

IC_{50} & Target

EC50: 190 nM (MRGPRX1)[1]

In Vitro

In the absence of ML382, the IC_{50} for BAM8-22 inhibition of I_{Ca} is 0.66 ± 0.05 μM. In the presence of 0.1 μM, 1 μM, 10 μM, and 30 μM ML382, BAM8-22 IC_{50} is reduced to 0.43 ± 0.02 μM, 0.25 ± 0.02 μM, 0.06 ± 0.01 μM, and 0.08 ± 0.01 μM, respectively. A lower IC_{50} generally indicates a higher potency; thus, ML382 dose-dependently increases the potency of BAM8–22, further demonstrating that ML382 is a positive allosteric modulator of MRGPRX1[1].

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

In Vivo	ML382 (5 μM) significantly increases inhibition of I_{Ca} by a low concentration of BAM8–22 (0.5 μM) in DRG neurons from MrgprX1 mice. ML382 enhances the inhibition of spinal synaptic transmission by BAM8-22 in MrgprX1 mice. ML382 (25 μM, 125 μM, and 250 μM; 5 μL; i.th.;) dose-dependently attenuates heat hypersensitivity in MrgprX1 mice. ML382 (lumbar puncture injection; 25 μM, 5 μL) leads to a significant increase in postconditioning time spent in the ML382-paired chamber, compared with the preconditioning value. ML382 inhibits nerve injury-induced ongoing pain in MrgprX1 mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Li Z, et al. Targeting human Mas-related G protein-coupled receptor X1 to inhibit persistent pain. Proc Natl Acad Sci U S A. 2017;114(10):E1996-E2005.

[2]. Wen W, et al. Discovery and characterization of 2-(cyclopropanesulfonamido)-N-(2-ethoxyphenyl)benzamide, ML382: a potent and selective positive allosteric modulator of MrgX1. ChemMedChem. 2015;10(1):57-61.

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