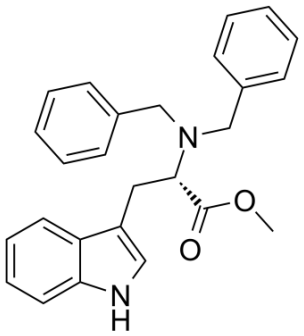
TRPM8 antagonist 2

Cat. No.:	HY-112430
CAS No.:	259674-19-6
Molecular Formula:	$C_{26}H_{26}N_2O_2$
Molecular Weight:	398.5
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 : 160 mg/mL (401.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5094 mL	12.5471 mL	25.0941 mL
	5 mM	0.5019 mL	2.5094 mL	5.0188 mL
	10 mM	0.2509 mL	1.2547 mL	2.5094 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: ≥ 2.67 mg/mL (6.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.67 mg/mL (6.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.67 mg/mL (6.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TRPM8 antagonist 2 is a potent and selective TRPM8 antagonist, with an IC_{50} of 0.2 nM, used in the research of neuropathic pain syndromes.

IC_{50} & Target

IC50: 0.2 nM (TRPM8)[1]

In Vitro

TRPM8 antagonist 2 (Compound 14) is a potent and selective TRPM8 antagonist, with an IC_{50} of 0.2 nM, used in the research of neuropathic pain syndromes. TRPM8 antagonist 2 potently inhibits menthol-induced increase in intracellular Ca^{2+} levels

	in Ca^{2+} fluorimetric assays in HEK293 cells stably expressing the rat isoform of TRPM8 channels (IC_{50}, 40 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TRPM8 antagonist 2 (1, 10, and 30 mg/kg, s.c.) shows a marked, dose-dependent antinociceptive activity, and inhibits wet-dog shakes (WDS)-like cold hypersensitivity in mice by 63% at 30 mg/kg. In addition, TRPM8 antagonist 2 (0.1 and 1 μg, s.c.) attenuates Oxaliplatin (OXP)-induced cold allodynia in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Icilin, a TRPM8 agonist, is dissolved in 20% DMSO and 1% Tween 80 in distilled water and injected intraperitoneally (i.p.) in a volume of 10 mL/kg. Each animal is acclimatized for 30 min for two consecutive days before icilin administration. TRPM8 antagonist 2 (compound 14) stock is prepared in DMSO and diluted in saline for injections. Gabapentin is dissolved in saline and administered s.c. at the dose of 25 mg/kg 60 min prior to icillin injection. Control animals receive the vehicle injection[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bertamino A, et al. Identification of a Potent Tryptophan-Based TRPM8 Antagonist With in Vivo Analgesic Activity. J Med Chem. 2018 Jul 10. doi: 10.1021/acs.jmedchem.8b00545.

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