Icilin

Cat. No.: HY-11062

CAS No.: 36945-98-9

Molecular Formula: $C_{16}H_{13}N_3O_4$

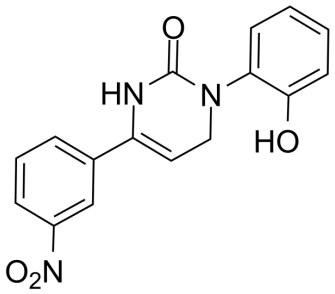
Molecular Weight: 311.29

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 : ≥ 54 mg/mL (173.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2124 mL	16.0622 mL	32.1244 mL
5 mM	0.6425 mL	3.2124 mL	6.4249 mL
10 mM	0.3212 mL	1.6062 mL	3.2124 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 (8.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Icilin (AG-3-5) is a super-agonist of the transient receptor potential M8 (TRPM8) ion channel. Icilin activates TRPM8 in EGTA in a dose-dependent manner (EC_{50}=1.4 μM). Icilin is a "super-cooling agent"[1][2]. Icilin attenuates autoimmune neuroinflammation through modulation of the T-cell response[3].

IC_{50} & Target

TRPM8

In Vitro

Icilin-evoked TRPM8 currents show variable activation kinetics and Ca^{2+} dependence.Icilin-evoked currents exhibit highly variable latencies with pronounced desensitization[1].

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

Cell Viability Assay[1]

Cell Line:	TRPM8-expressing oocytes or HEK293 cells
Concentration:	10 μM
Incubation Time:	3 minutes for TRPM8-expressing oocytes; 1 minute for HEK293 cells
Result:	Activated membrane currents with variable delay of onset in voltage-clamped TRPM8-expressing oocytes or HEK293 cells.

In Vivo

Icilin is a transient receptor potential cation channel subfamily M (TRPM8) agonist that produces behavioral activation in rats and mice. Icilin (3 mg/kg; s.c.) induces“Wet dog shake” in mice[2].

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

Animal Model:	The C57BL/6 mice 9–10 weeks (adult, 26-30 g) or 24 months (aged, 35-42g)[2].
Dosage:	3 mg/kg
Administration:	Injected s.c.
Result:	Produced vivid and quantifiable shaking behaviors (“wet-dog shakes”), which were TRPM8-dependent.

REFERENCES

[1]. Huai-hu Chuang, et al. The super-cooling agent icilin reveals a mechanism of coincidence detection by a temperature-sensitive TRP channel. Neuron. 2004 Sep 16;43(6):859-69.

[2]. Viktor V Feketa,et al. Transient receptor potential melastatin 8 channel inhibition potentiates the hypothermic response to transient receptor potential vanilloid 1 activation in the conscious mouse. Crit Care Med. 2014 May;42(5):e355-63.

[3]. Benjamin W Ewanchuk, et al. The cooling compound icilin attenuates autoimmune neuroinflammation through modulation of the T-cell response. FASEB J. 2018 Mar;32(3):1236-1249.

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