Acoltremon

Cat. No.: HY-108449

CAS No.: 68489-09-8

Molecular Formula: $C_{18}H_{27}NO_2$

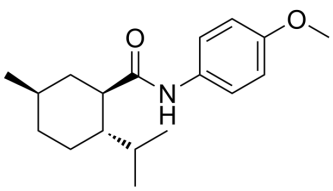
Molecular Weight: 289.41

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 : 20 mg/mL (69.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4553 mL	17.2765 mL	34.5531 mL
	5 mM	0.6911 mL	3.4553 mL	6.9106 mL
	10 mM	0.3455 mL	1.7277 mL	3.4553 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (6.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Acoltremon (WS-12; AR-15512) is a potent and selective TRPM8 agonist, the menthol derivative, as a cooling agent. Acoltremon shows analgesic effect, and can be used in chronic neuropathic pain research[1][2].

IC_{50} & Target

TRPM8

In Vitro

Acoltremon (10 μM) shows TRPM8 agonism in tests on heterologously expressed TRP ion channels[1].
Acoltremon (1 or 10 μM; 0-250 s) shows highly potent and specific TRPM8 channel agonist in DRG neurons[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HEK293T cells

Concentration:	10 μM
Incubation Time:	
Result:	Activated mouse TRPM8 (mTRPM8), but neither activated nor inhibited mTRPA1.

Cell Viability Assay[1]

Cell Line:	Cultured mouse DRG neurons
Concentration:	1 or 10 μM
Incubation Time:	0-250 s
Result:	Showed approximately 10% to 14% of cultured wild-type neurons responsive to WS-12.

In Vivo

Acoltremon (intraperitoneal injection; 10 mg/kg; once) shows analgesic effect by selective TRPM8 activation in mice[1].

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

Animal Model:	$Trpm8^{-/-}$ mice and mice injected with Capsaicin[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg; once
Result:	Produced an obvious analgesic effect, and this effect abolished in $Trpm8^{-/-}$ mice. Showed no change falling latencies in mice in the rotarod test. Reduced Capsaicin-induced nocifensive behavior.

REFERENCES

[1]. Ma S, et al. Menthol derivative WS-12 selectively activates transient receptor potential melastatin-8 (TRPM8) ion channels. Pak J Pharm Sci. 2008 Oct;21(4):370-8.

[2]. Beck B, et al. Prospects for prostate cancer imaging and therapy using high-affinity TRPM8 activators. Cell Calcium. 2007 Mar;41(3):285-94.

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