Screening Libraries



Acoltremon

Cat. No.: HY-108449 CAS No.: 68489-09-8 Molecular Formula: C₁₈H₂₇NO₂ Molecular Weight: 289.41 TRP Channel Target:

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Powder -20°C 3 years

4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

Product Data Sheet

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]}.

IC₅₀ & Target TRPM8

In Vitro Acoltremon (10 μM) shows TRPM8 agonism in tests on heterologously expressed TRP ion channels^[1].

Acoltremon (1 or 10 μ M; 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 μΜ | | | |
|---------|-------------------------------------|---|--|--|--|
| | Incubation Time: | | | | |
| | Result: | Activated mouse TRPM8 (mTRPM8), but neither activated nor inhibited mTRPA1. | | | |
| | Cell Viability Assay ^[1] | 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: | ${\sf Trpm8^{-/-}}$ mice and mice injected with ${\sf Capsaicin^{[1]}}$ | | | |
| | 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. | | | |

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.

Reduced Capsaicin-induced nocifensive behavior.

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

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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