# A-836339

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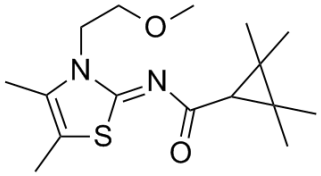
|---|---|
| **Cat. No.:** | HY-12761 |
| **CAS No.:** | 959746-77-1 |
| **Molecular Formula:** | $C_{16}H_{26}N_2O_2S$ |
| **Molecular Weight:** | 310.45 |
| **Target:** | Cannabinoid Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 12 mg/mL (38.65 mM; Need ultrasonic and warming)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.2211 mL | 16.1057 mL | 32.2113 mL |
| | 5 mM | 0.6442 mL | 3.2211 mL | 6.4423 mL |
| | 10 mM | 0.3221 mL | 1.6106 mL | 3.2211 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: ≥ 0.71 mg/mL (2.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.71 mg/mL (2.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.71 mg/mL (2.29 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

A-836339 is a cannabinoid CB2 receptor-selective agonist; exhibits high potencies at CB(2) and selectivity over CB(1) receptors.IC50 value: 1.6 nM(EC50) [1]Target: CB2 agonistin vitro: In radioligand binding assays, A-836339 displays high affinities at CB(2) receptors and selectivity over CB(1) receptors in both human and rat.In addition A-836339 exhibits a profile devoid of significant affinity at other G-protein-coupled receptors and ion channels [1].in vivo: In the complete Freund's adjuvant model of inflammatory pain, A-836339 exhibits a potent CB(2) receptor-mediated antihyperalgesic effect that is independent of CB(1) or mu-opioid receptors. A-836339 has also demonstrated efficacies in the chronic constrain injury (CCI) model of neuropathic pain, skin incision, and capsaicin-induced secondary mechanical hyperalgesia models [1]. Similar to

systemic delivery, intra-spinal injection of A-836339 (0.3 and 1 nmol) also attenuated both von Frey-evoked and spontaneous firing of WDR neurons in neuropathic rats. Intra-spinal injections of A-836339 were ineffective in sham rats [2]. Systemic A-836339 and AM1241 produced dose-dependent efficacy in both inflammatory and neuropathic pain models. Local administration of CB? agonists also produced significant analgesic effects in SNL (intra-DRG and i.t.) and CFA (intra-DRG) pain models [3].

## REFERENCES


[1]. Yao BB, et al. Characterization of a cannabinoid CB2 receptor-selective agonist, A-836339 [2,2,3,3-tetramethyl-cyclopropanecarboxylic acid [3-(2-methoxy-ethyl)-4,5-dimethyl-3H-thiazol-(2Z)-ylidene]-amide], using in vitro pharmacological assays, in vivo pa

[2]. McGaraughty S, et al. A CB(2) receptor agonist, A-836339, modulates wide dynamic range neuronal activity in neuropathic rats: contributions of spinal and peripheral CB(2) receptors. Neuroscience. 2009 Feb 18;158(4):1652-61.

[3]. Hsieh GC, et al. Central and peripheral sites of action for CB? receptor mediated analgesic activity in chronic inflammatory and neuropathic pain models in rats. Br J Pharmacol. 2011 Jan;162(2):428-40.





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