A-836339

Cat. No.: HY-12761

CAS No.: 959746-77-1

Molecular Formula: $C_{16}H_{26}N_2O_2S$

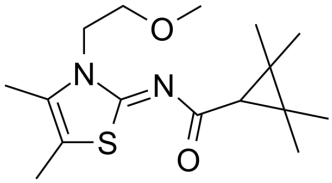
Molecular Weight: 310.45

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



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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