CB1/2 agonist 1

Cat. No.: HY-147512

Molecular Formula: $C_{21}H_{24}BrFN_2O_2$

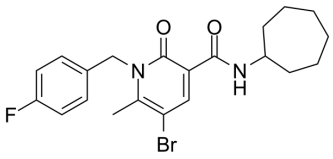
Molecular Weight: 435.33

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (45.94 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2971 mL	11.4855 mL	22.9711 mL
	5 mM	0.4594 mL	2.2971 mL	4.5942 mL
	10 mM	0.2297 mL	1.1486 mL	2.2971 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 (4.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CB1/2 agonist 1 is a potent and cross the blood-brain barrier CB1/2 agonist with EC_{50}s of 56.15, 11.63 nM for CB1R and CB2R, respectively. CB1/2 agonist 1 reduces glutamate release and LPS-induced activation of microglial cells. CB1/2 agonist 1 shows anti-inflammatory and antinociceptive effects. CB1/2 agonist 1 has the potential for the research of multiple sclerosis [1].

IC_{50} & Target

hCB1-R	cannabinoid type-2 receptors
56.15 nM (EC50)	11.63 nM (EC50)

In Vitro

CB1/2 agonist 1 (compound B2) (10 μM) inhibits AEA hydrolysis with an IC_{50} of 5.9 μM for FAAH[1].

CB1/2 agonist 1 shows high affinity for CB1R and CB2R with K_is of 2.9, 1.5 nM, respectively[1].

CB1/2 agonist 1 (10 μM) shows anti-inflammatory effect and significantly decreases the secretion of IL-1β and IL-6, increases the release of anti-inflammatory IL-10 to 483.7% in LPS-activated BV-2 cells[1].

CB1/2 agonist 1 (1, 10 μM) inhibits 4-AP-evoked glutamate release[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CB1/2 agonist 1 (5-50 mg/kg) dose-dependently relieves neuropathic pain in a mouse model of oxaliplatin-induced neuropathic pain[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Arena C, et al. The endocannabinoid system dual-target ligand N-cycloheptyl-1,2-dihydro-5-bromo-1-(4-fluorobenzyl)-6-methyl-2-oxo-pyridine-3-carboxamide improves disease severity in a mouse model of multiple sclerosis. Eur J Med Chem. 2020 Dec 15;208:1128

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