RTICBM-189

Cat. No.: HY-145196

CAS No.: 551909-15-0

Molecular Formula: $C_{15}H_{14}Cl_2N_2O$

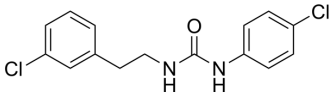
Molecular Weight: 309.19

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (323.43 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2343 mL	16.1713 mL	32.3426 mL
	5 mM	0.6469 mL	3.2343 mL	6.4685 mL
	10 mM	0.3234 mL	1.6171 mL	3.2343 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: ≥ 2.5 mg/mL (8.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (6.73 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

RTICBM-189 is a potent, brain-penetrant allosteric modulator of the cannabinoid type-1 (CB_1) receptor with a pIC_{50} of 7.54 in Ca^{2+} mobilization assay. RTICBM-189 has pIC_{50}s of 5.29 and 6.25 for hCB_1 and mCB_1, respectively. RTICBM-189 significantly and selectively attenuates the reinstatement of the addictive agent-seeking behavior in rats[1].

IC_{50} & Target

CB1	hCB_1	mCB_1
7.54 (pIC_{50})	5.29 (pIC_{50})	6.25 (pIC_{50})

In Vivo

RTICBM-189 (10 mg/kg; i.p.) rapidly absorbs into systemic circulation, with peak plasma concentration ($C_{max,plasma}$=288.4 ng/mL) observed at $t_{max,plasma}$ of 0.4 h post-dose. Peak brain levels are also reached at $t_{max,brain}$ of 0.4 h with a significantly higher $C_{max,brain}$ value of 594.6 ng/mL in the brain[1].

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

Animal Model:	Adult male Sprague-Dawley rats weighing 280-300 g[1]
Dosage:	10 mg/kg
Administration:	IP
Result:	Significantly attenuated drug-induced reinstatement of the cocaine-seeking behavior.

Animal Model:	Male Sprague-Dawley rats weighing 258-277 g[1]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	IP
Result:	Plasma: C_{max} (288.4 ng/mL), t_{max} (0.4 hours), CL_F (240.6 mL/min/kg), AUC_{inf} (715.2 ng/mL × h), half-life $t_{1/2}$ (9.9 hours).Brain: C_{max} (594.6 ng/mL), t_{max} (0.4 hours), CL_F (120.7 mL/min/kg), AUC_{inf} (1438.2 ng/mL × h).

REFERENCES

[1]. Nguyen T, et al. Development of 3-(4-Chlorophenyl)-1-(phenethyl)urea Analogues as Allosteric Modulators of the Cannabinoid Type-1 Receptor: RTICBM-189 is Brain Penetrant and Attenuates Reinstatement of Cocaine-Seeking Behavior [published online ahead of print, 2021 Dec 20]. J Med Chem. 2021;10.1021/acs.jmedchem.1c01432.

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