Rimonabant

Cat. No.: HY-14136

CAS No.: 168273-06-1

Molecular Formula: $C_{22}H_{21}Cl_3N_4O$

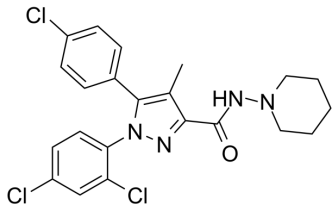
Molecular Weight: 463.79

Target: Cannabinoid Receptor; Bacterial

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (269.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1561 mL	10.7807 mL	21.5615 mL
	5 mM	0.4312 mL	2.1561 mL	4.3123 mL
	10 mM	0.2156 mL	1.0781 mL	2.1561 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Rimonabant (SR141716) is a highly potent, brain penetrated and selective central cannabinoid receptor (CB1) antagonist with a K_i of 1.8 nM. Rimonabant (SR141716) also inhibits Mycobacterial membrane protein Large 3 (MMPL3).

IC_{50} & Target

CB1
1.8 nM (Ki)

In Vitro

Rimonabant could inhibit the growth of Mtb with an MIC of 54 μM. MmpL3, an anti-TB target, is the direct target of rimonabant[2].
Rimonabant itself (10^{-12}-10^{-3} M, 12 concentrations) inhibits the basal binding of [^{35}S]GTPgS to human cortical membranes in a concentration dependent manner, with a -log IC_{50} of 4.7±0.2 (IC_{50} = 20 μM) and a maximal inhibition of 48±2%[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Rimonabant (10 mg/kg by gavage) is fed for 2 weeks to 3-month-old male obese Zucker rats as an impaired glucose tolerance model and for 10 weeks to 6-month-old male obese Zucker rats as a model of the metabolic syndrome. RANTES and MCP-1 serum levels are increased in obese vs lean Zucker rats and significantly reduced by long-term treatment with Rimonabant, which slowes weight gain in rats with the metabolic syndrome. Neutrophils and monocytes are significantly increased in young and old obese vs lean Zucker rats and lowered by Rimonabant. Platelet-bound fibrinogen is significantly

enhanced in obese vs lean Zucker rats of both age, and is reduced by Rimonabant [1].
Rimonabant (20 mg daily) exhibits a significant reduction in many cardiometabolic risk factors[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2019 Jan 24;176(3):636-648.e13.
- Autophagy. 2021 Nov;17(11):3592-3606.
- Structure. 2022 Aug 7;S0969-2126(22)00278-7.
- Cancers (Basel). 2021 Jan 18;13(2):330.
- Neuroscience. 2019 Feb 19. pii: S0306-4522(19)30117-4.

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REFERENCES

[1]. Seely KA, Brents LK, Franks LN, Rajasekaran M, Zimmerman SM, Fantegrossi WE, Prather PL. AM-251 and rimonabant act as direct antagonists at mu-opioid receptors: Implications for opioid/cannabinoid interaction studies. Neuropharmacology. 2012 Oct;63(5):9

[2]. Zhang B, et al. Crystal Structures of Membrane Transporter MmpL3, an Anti-TB Drug Target. Cell. 2019 Jan 24;176(3):636-648.e13.

[3]. Erdozain, A. M. et al. The inverse agonist effect of rimonabant on G protein activation is not mediated by the cannabinoid CB1 receptor: Evidence from postmortem human brain Biochemical Pharmacology (2012), 83(2), 260-268.

[4]. Leite, C.E., et al. Rimonabant: An antagonist drug of the endocannabinoid system for the treatment of obesity. Pharmacol Rep 61 217-224 (2009).

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