Rimonabant Hydrochloride

Cat. No.: HY-14137

CAS No.: 158681-13-1

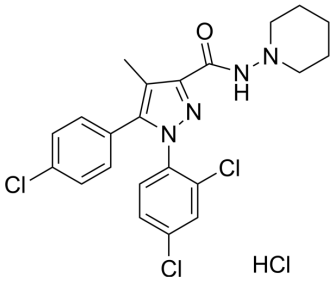
Molecular Formula: $C_{22}H_{22}Cl_4N_4O$

Molecular Weight: 500.25

Target: Cannabinoid Receptor; Bacterial

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

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 1 years; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (66.63 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9990 mL	9.9950 mL	19.9900 mL
5 mM	0.3998 mL	1.9990 mL	3.9980 mL
10 mM	0.1999 mL	0.9995 mL	1.9990 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: 2.5 mg/mL (5.00 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rimonabant Hydrochloride (SR 141716A Hydrochloride) is a highly potent and selective central cannabinoid receptor (CB1) antagonist with an K_i of 1.8 nM. Rimonabant Hydrochloride (SR 141716A Hydrochloride) 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.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

[2]. Seely KA, et al. AM-251 and rimonabant act as direct antagonists at mu-opioid receptors: Implications for opioid/cannabinoid interaction studies. Neuropharmacology. 2012 Oct;63(5):905-15.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA