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产品名称：盐酸利莫那班
产品别名：Rimonabant Hydrochloride；SR 141716A Hydrochloride

生物活性：

Description	Rimonabant hHydrochloride (SR 141716A Hydrochloride) is a highly potent and selective central cannabinoid receptor (CB1) antagonist with an Ki of 1.8 nM. Rimonabant hHydrochloride (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].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : 33.33 mg/mL (66.63 mM;** Need ultrasonic)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: 2.5 mg/mL (5.00 mM); Suspended solution; Need ultrasonic

	此方案可获得 2.5 mg/mL (5.00 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.00 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. 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. [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]. 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.