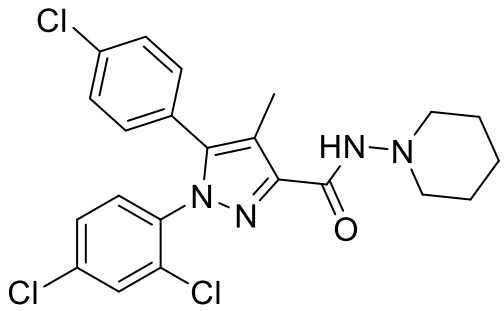
Product data sheet

MedKoo Cat#: 329640 Name: Rimonabant free base CAS#: 168273-06-1 (free base) Chemical Formula: $C_{22}H_{21}Cl_3N_4O$ Exact Mass: 462.0781 Molecular Weight: 463.08	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Rimonabant, also known as SR141716 and A 281, is an anorectic anti-obesity drug. It is an inverse agonist for the cannabinoid receptor CB1. Its main avenue of effect is reduction in appetite. Rimonabant is the first selective CB1 receptor blocker to be approved for use anywhere in the world. Rimonabant is approved in 38 countries including the E.U., Mexico, and Brazil. It was rejected for approval for use in the United States.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	92	198.37
Ethanol	50	107.81

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.16 mL	10.78 mL	21.56 mL
5 mM	0.43 mL	2.16 mL	4.31 mL
10 mM	0.22 mL	1.08 mL	2.16 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Dai E, Zhang J, Zhang D, Yang L, Wang Y, Jiang X, Ye L, Li X, Liu H, Ma J, Jiang H. Rimonabant inhibits proliferation, collagen secretion and induces apoptosis in hepatic stellate cells. Hepatogastroenterology. 2014 Oct;61(135):2052-61. PMID: 25713910.
2. Foster AJ, Prime LH, Gustafsson F, Temesi DG, Isin EM, Midlöv J, Castagnoli N Jr, Kenna JG. Bioactivation of the cannabinoid receptor antagonist rimonabant to a cytotoxic iminium ion metabolite. Chem Res Toxicol. 2013 Jan 18;26(1):124-35. doi: 10.1021/tx300418w. Epub 2012 Dec 12. PMID: 23234359.

In vivo study

1. Proto MC, Fiore D, Piscopo C, Franceschelli S, Bizzarro V, Laezza C, Lauro G, Feoli A, Tosco A, Bifulco G, Sbardella G, Bifulco M, Gazzerro P. Inhibition of Wnt/β-Catenin pathway and Histone acetyltransferase activity by Rimonabant: a therapeutic target for colon cancer. Sci Rep. 2017 Sep 15;7(1):11678. doi: 10.1038/s41598-017-11688-x. PMID: 28916833; PMCID: PMC5601949.

2. Jorgačević B, Mladenović D, Ninković M, Vesković M, Dragutinović V, Vatazević A, Vučević D, Ješić Vukićević R, Radosavljević T. Rimonabant Improves Oxidative/Nitrosative Stress in Mice with Nonalcoholic Fatty Liver Disease. Oxid Med Cell Longev. 2015;2015:842108. doi: 10.1155/2015/842108. Epub 2015 May 11. PMID: 26078820; PMCID: PMC4442287.

7. Bioactivity

Biological target:

Rimonabant is a selective antagonist of CB1 with IC50 of 13.6 nM and EC50 of 17.3 nM in hCB1 transfected HEK 293 membrane. Rimonabant is also a dual inhibitor of acyl CoA:cholesterol acyltransferases(ACAT) 1 and 2 and inhibits mycobacterial MmpL3.

In vitro activity

Rimonabant reduced hepatic stellate cells (HSCs) proliferation and increased HSC apoptosis. in HSC-T6 cells treated with rimonabant, cell cycles showed a decrease in G2/M phase cells and an increase in G0/G1 phase cells. Rimonabant increased caspase-3 protein expression and activity. Rimonabant decreased collagen secretion in HSC-T6 cells.Rimonabant inhibited the expression of phosphorylated FAK and ERK and down-regulated CB1 mRNA expression.

Reference: Hepatogastroenterology. 2014 Oct;61(135):2052-61. https://pubmed.ncbi.nlm.nih.gov/25713910/

In vivo activity

In HCT116 xenografts, Rimonabant significantly reduced tumor growth and destabilized the nuclear localization of β-Catenin. This study supports the rationale for the use of cannabinoids like Rimonabant in combined therapies for metastatic colorectal cancer harbouring activating mutations of β-Catenin.

Reference: Sci Rep. 2017 Sep 15;7(1):11678. https://pubmed.ncbi.nlm.nih.gov/28916833/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.