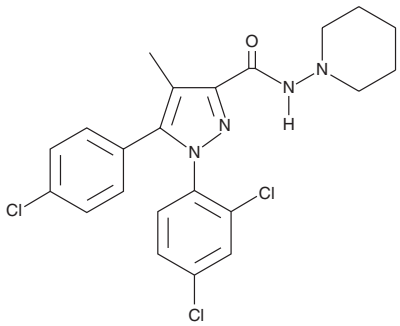
PRODUCT INFORMATION

Rimonabant

Item No. 9000484



CAS Registry No.:	168273-06-1
Formal Name:	5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-1H-pyrazole-3-carboxamide
Synonym:	SR141716
MF:	$C_{22}H_{21}Cl_3N_4O$
FW:	463.8
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Rimonabant is supplied as a crystalline solid. A stock solution may be made by dissolving the rimonabant in the solvent of choice. Rimonabant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of rimonabant in ethanol is approximately 30 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Rimonabant is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rimonabant should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Rimonabant has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Rimonabant is a cannabinoid 1 (CB_1) receptor antagonist (K_i = 5.6 nM).[1] It is selective for CB_1 over CB_2 receptors (K_i = >1,000 nM), as well as a panel of 37 other receptors and channels (IC_{50}s = >1,000 nM). Rimonabant (10 µM) inhibits phytohemagglutinin-induced proliferation of isolated human peripheral blood mononuclear cells (PBMCs).[1] Intraperitoneal administration of rimonabant prevents decreases in body temperature and increases in tail-flick latency induced by the CB_1 and CB_2 receptor agonist (+)-WIN 55,212-2 (Item No. 10009023) in mice (ED_{50}s = 0.28 and 1.62 mg/kg, respectively) and oral administration reduces body weight in a mouse model of diet-induced obesity when administered at a dose of 10 mg/kg in the drinking water.[2,3] Rimonabant (10 mg/kg) decreases the percentage of time spent in the open arms of the elevated plus maze in mice, indicating anxiety-like activity.[4] Formulations containing rimonabant have previously been used in the treatment of obesity.

References

1. Malfitano, A.M., Laezza, C., Pisanti, S., *et al.* Rimonabant (SR141716) exerts anti-proliferative and immunomodulatory effects in human peripheral blood mononuclear cells. *Brit. J Pharmacol.* **153(5)**, 1003-1010 (2009).
2. Rinaldi-Carmona, M., Barth, F., Héaulme, M., *et al.* SR141716A, a potent and selective antagonist of the brain cannabinoid receptor. *FEBS Lett.* **350(2-3)**, 240-244 (1994).
3. Lee, S.H., Seo, H.J., Lee, S.H., *et al.* Biarylpyrazolyl oxadiazole as potent, selective, orally bioavailable cannabinoid-1 receptor antagonists for the treatment of obesity. *J. med. Chem.* **51**, 7216-7233 (2008).
4. Bellocchio, L., Soria-Gómez, E., Quarta, C., *et al.* Activation of the sympathetic nervous system mediates hypophagic and anxiety-like effects of CB_1 receptor blockade. *Proc. Natl. Acad. Sci. USA* **110(12)**, 4786-4791 (2013).

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