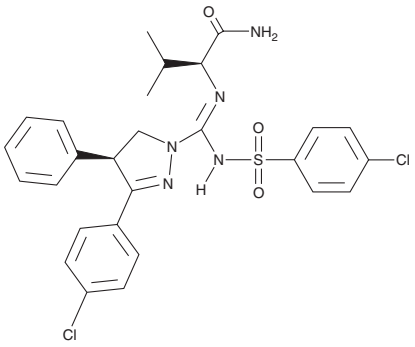
PRODUCT INFORMATION

JD5037

Item No. 12053

CAS Registry No.:	1392116-14-1
Formal Name:	2S-[[[(4S)-3-(4-chlorophenyl)-4,5-dihydro-4-phenyl-1H-pyrazol-1-yl][[(4-chlorophenyl)sulfonyl]amino]methylene]amino]-3-methyl-butanamide
MF:	$C_{27}H_{27}Cl_2N_5O_3S$
FW:	572.5
Purity:	≥95
UV/Vis.:	λ_{max}: 227, 315 nm
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

JD5037 is supplied as a crystalline solid. A stock solution may be made by dissolving the JD5037 in the solvent of choice, which should be purged with an inert gas. JD5037 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of JD5037 in these solvents is approximately 25, 30, and 20 mg/ml, respectively.

JD5037 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JD5037 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. JD5037 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

JD5037 is an inverse agonist of peripheral cannabinoid 1 (CB_1) receptors (K_i = 0.35 nM).[1] It displays >700-fold selectivity for CB_1 over CB_2, >1,000-fold selectivity over a panel of 70 receptors, transporters, and ion channels, and low blood-brain barrier penetrance.[1,2] JD5037 is orally bioavailable and reduces food intake, body weight, and hormonal/metabolic abnormalities in diet-induced obese mice.[1,3] JD5037 reverses hyperphagia, reduces body weight, and improves metabolic parameters in *Magel2*-null mice, a model of Prader-Willi syndrome.[4]

References

1. Tam, J., Cinar, R., Liu, J., *et al.* Peripheral cannabinoid-1 receptor inverse agonism reduces obesity by reversing leptin resistance. *Cell Metab.* **16(2)**, 167-179 (2012).
2. Chorvat, R.J., Berbaum, J., Seriacki, K., *et al.* JD-5006 and JD-5037: Peripherally restricted (PR) cannabinoid-1 receptor blockers related to SLV-319 (Ibipinabant) as metabolic disorder therapeutics devoid of CNS liabilities. *Bioorg. Med. Chem. Lett.* **22(19)**, 6173-6180 (2012).
3. Cinar, R., Godlewski, G., Liu, J., *et al.* Hepatic cannabinoid-1 receptors mediate diet-induced insulin resistance by increasing *de novo* synthesis of long-chain ceramides. *Hepatology* **59(1)**, 143-153 (2014).
4. Knani, I., Earley, B.J., Udi, S., *et al.* Targeting the endocannabinoid/CB1 receptor system for treating obesity in Prader-Willi syndrome. *Mol. Metab.* **5(12)**, 1187-1199 (2016).

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