

Product Name: SR 144528

Catalog No.: 5039

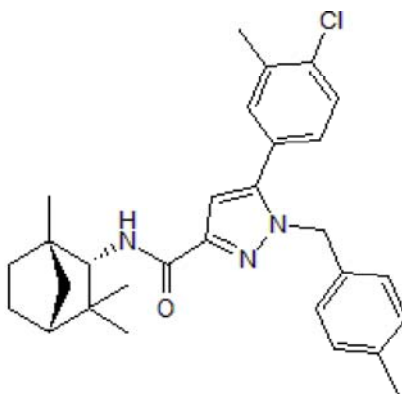
Batch No.: 1

CAS Number: 192703-06-3

IUPAC Name: 5-(4-Chloro-3-methylphenyl)-1-[(4-methylphenyl)methyl]-N-[(1S,2S,4R)-1,3,3-trimethylbicyclo[2.2.1]hept-2-yl]-1H-pyrazole-3-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₉H₃₄ClN₃O.1/4H₂O
Batch Molecular Weight: 480.55
Physical Appearance: White lyophilised solid
Solubility: DMSO to 100 mM
ethanol to 100 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.5 (Ethyl acetate:Petroleum ether [9:1])
HPLC: Shows 99.3% purity
Chiral HPLC: Shows 100% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	72.48	7.24	8.74
Found	72.69	7.27	8.72

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
 Tel: (800) 343 7475

China
info.cn@bio-techne.com
 Tel: +86 (21) 52380373

Europe Middle East Africa
 Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
 Tel:+1 612 379 2956

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Description:

High affinity and selective CB₂ inverse agonist (K_i = 0.6 nM). Exhibits >700-fold selectivity for CB₂ over CB₁ receptors. Blocks the effects of CP 55,940 (Cat. No. 0949) on forskolin-sensitive adenylyl cyclase activity and MAPK in CHO cells expressing CB₂ receptors. Also blocks CP 55,940-induced B-cell activation. Orally bioavailable.

Physical and Chemical Properties:

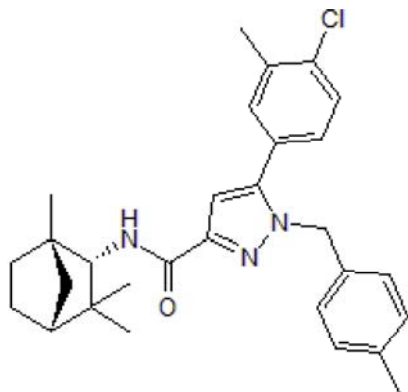
Batch Molecular Formula: C₂₉H₃₄ClN₃O.¼H₂O

Batch Molecular Weight: 480.55

Physical Appearance: White lyophilised solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Other Information:

INFORMATION FOR CUSTOMERS IN THE UK ONLY

This product is a Schedule 1 Home Office controlled substance and customers in the UK are required to hold the relevant licence or be exempt from restrictions in order to purchase and possess this material.

References:

Kotsikorou et al (2013) The importance of hydrogen bonding and aromatic stacking to the affinity and efficacy of cannabinoid receptor CB₂ antagonist, 5-(4-chloro-3-methylphenyl)-1-[(4-methylphenyl)methyl]-N-[(1S,2S,4R)-1,3,3-trimethylbicyclo[2.2.1]hept-2-yl]-1H-pyrazole-3-carboxamide (SR144528). *J.Med.Chem.* **56** 6593. PMID: 23855811.

Bouaboula et al (1999) G_i protein modulation induced by a selective inverse agonist for the peripheral cannabinoid receptor CB₂: implication for intracellular signalization cross-regulation. *Mol.Pharmacol.* **55** 473. PMID: 10051530.

Rinaldi-Carmona et al (1998) SR 144528, the first potent and selective antagonist of the CB₂ cannabinoid receptor. *J.Pharmacol.Exp.Ther.* **284** 644. PMID: 9454810.

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North America

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China

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Europe Middle East Africa

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Tel:+1 612 379 2956