

SR144528

Catalog No: tcsc0006891



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

192703-06-3

Formula:

$C_{29}H_{34}ClN_3O$

Pathway:

GPCR/G Protein

Target:

Cannabinoid Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

476.05

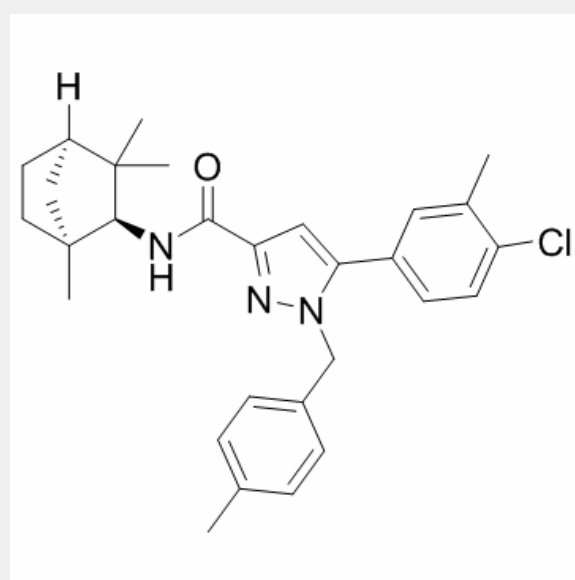
Product Description

SR144528 is a potent and selective **CB2 receptor** antagonist with a K_i of 0.6 nM.

IC₅₀ & Target: K_i: 0.6 nM (CB2 receptor)^[1]

In Vitro: SR144528 is a potent and selective CB2 receptor antagonist with a K_i of 0.6 nM. SR144528 alone is able to stimulate in a concentration-dependent manner ($EC_{50}=26\pm6$ nM, two experiments) the forskolin-sensitive adenylyl cyclase activity in CHO-CB2 cells with a maximum effect at 1 μ M (4-fold stimulation) whereas at this concentration it has no significant effect on CHO-CB1 cells (15% inhibition)^[1]. Raw 264.7 macrophages supplemented with SR144528 display reduced caspase-3 activity. SR144528 inhibits microsomal acyl-coenzymeA:cholesterol acyltransferase (ACAT) activity in a concentration-dependent manner with an IC₅₀ value of 3.6 ± 1.1 μ M. At 10 μ M, SR144528 inhibits ACAT activities ~68%^[2].

In Vivo: No effect on the binding of [³H]-CP 55,940 to its specific sites in the brain is observed after either oral (up to 10 mg/kg) or i.c.v. (10 μ g/animal) administration of SR144528 in mice. The occupancy by SR144528 of the spleen cannabinoid receptor is time-dependent and significant for at least 18 hours after oral administration at 3 mg/kg^[1]. SR144528 does not induce any significant effect on gastrointestinal (GI) motility when given alone. SR144528 does not block but enhances delayed gastric emptying^[3].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!