Taiclone®
Quality Guarantee

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

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

IC50 & Target: Ki: 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±6 nM, two experiments) the forskolin-sensitive adenylyl cyclase activity in CHO-CB2 cells with a maximum effect at 1 μM (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 IC_{50} value of 3.6±1.1 μM. At 10 μM, SR144528 inhibits ACAT activities ~68%[2].

In Vivo: No effect on the binding of [^{3}H]-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 μg/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 delayedgastric emptying[3].