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

WIN 55,212-2 (Mesylate)

Catalog No: tcsc0517

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
131543-23-2

Formula:
$C_{28}H_{30}N_2O_6S$

Pathway:
GPCR/G Protein

Target:
Cannabinoid Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 34 mg/mL (65.06 mM)

Alternative Names:
(R)-(+)-WIN 55212

Observed Molecular Weight:
522.61

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Product Description

WIN 55,212-2 (Mesylate) is a potent aminoalkylindole **cannabinoid (CB) receptor** agonist with **K_i**s of 62.3 and 3.3 nM for human recombinant CB1 and CB2 receptors, respectively.

IC50 & Target: Ki: 62.3 nM (human recombinant CB1), 3.3 nM (human recombinant CB2)

In Vitro: WIN 55,212-2 is more potent in CHO-CB2 cells than in CHO-CB1 cells by a factor of 6O. WIN 55,212-2 has no effect on arachidonic acid release in CHO-CB2 or control CHO cells. WIN 55,212-2 fails to stimulate any increase in intracellular Ca^{2+} up to 10 μM[1]. In primary cultures of rat cerebral cortex neurons, WIN 55,212-2 (0.01--100 nM) increases extracellular glutamate levels, displaying a bell-shaped concentration-response curve. The facilitatory effect of WIN 55,212-2 (1 nM) is fully counteracted by SR141716A (10 nM), by the replacement of the normal Krebs Ringer-bicarbonate buffer with a low Ca^{2+} medium (0.2 mM) and by the IP(3) receptor antagonist xestospongin C (1 μM)[2]. WIN 55,212-2 evokes CGRP release from TG neurons in vitro (EC_{50}=26 μM) in a concentration- and calcium-dependent manner. WIN 55,212-2-2 neither inhibits capsaicin-evokes CGRP release nor does it inhibit forskolin-, isoproteranol- or prostaglandin E2-stimulated cAMP accumulation. WIN 55,212-2 significantly inhibits (EC_{50}=1.7 μM) 50 mm K^+-evoked CGRP release by approximately 70%. WIN 55,212-2 inhibition of 50 mm K^+-evoked CGRP release is not reversed by antagonists of cannabinoid type 1 (CB1) receptor, but is mimicks in magnitude and potency (EC_{50}=2.7 μM) by its cannabinoid-inactive enantiomer WIN 55,212-2-3[3].

In Vivo: In the prefrontal cortex WIN 55,212-2 (0.1 and 1 mg/kg i.p.) increases dialysate glutamate levels from of the awake rat, while the lower (0.01 mg/kg) and the higher (2 mg/kg) doses are ineffective. Furthermore, the WIN 55,212-2 (0.1 mg/kg)- induced increase of dialysate glutamate levels is counteracted by pretreatment with the selective CB(1) receptor antagonist SR141716A (0.1 mg/kg, i.p.) and by the local perfusion with a low-calcium Ringer solution (Ca^{2+} 0.2 mM)[2]. WIN 55,212-2 (0.5, 1, 3, 5, 10 and 15 mg/kg, i.p.) does not alter the seizure threshold at low doses, while higher doses of the drug significantly increases the threshold in a dose-dependent manner. The anticonvulsant effect of WIN 55,212-2, which is observed with doses as high as 5 mg/kg, can be observed with doses as low as 0.5 mg/kg in groups pre-treated with 20 mg/kg of pioglitazone[4].