

Org 27569 Catalog No: tcsc0514

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:

868273-06-7

Formula:

C₂₄H₂₈CIN₃O

Pathway:

GPCR/G Protein

Target:

Cannabinoid Receptor

Purity / Grade:

>98%

Observed Molecular Weight:

409.95

Product Description

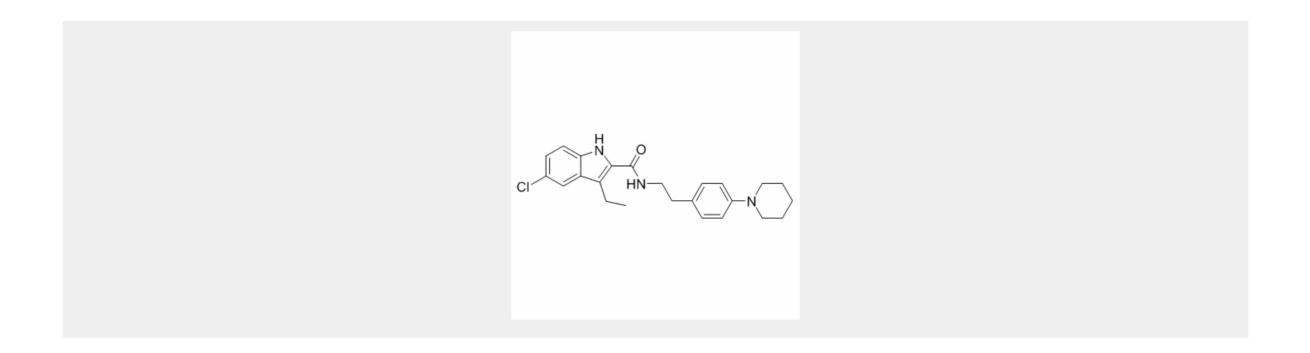
Org 27569 is a potent **CB1 receptor** allosteric modulator, which increases agonist binding, yet blocks agonist-induced CB1 signaling.

In Vitro: Org 27569 enhances agonist (CP55940) binding, promotes agonist binding to CB1 yet inhibits agonist-induced G protein activation and blocks the agonist-induced conformational changes in TM6. Org 27569 inhibits agonist-induced TM6 movement in CB1 detected by a fluorescent probe on site 342^[2]. Org 27569 produces a significant, but saturable, increase in the level of specific [³



H]CP 55,940 binding. Org 27569 (1 μM) inhibits electrically evoked contractions of the mouse vas deferens with the pEC₅₀ and E_{max} being 8.66±0.11 and 77% (95% confidence limits, 70.6-82.7), respectively^[4]. In hCB1R cells, Org 27569 (1 and 10 μM) behaves as a weak inverse agonist producing a small but significant decrease in basal [³⁵S]GTPγS binding. Org 27569 is less effective as an inhibitor of WIN55212-mediated inhibition of forskolin-stimulated cAMP production. Org 27569 induces a small but significant level of ERK1/2 phosphorylation with an E_{max} of 19% and pEC₅₀ value of 8.55±0.99^[5].

In Vivo: ORG 27569 (3.2 and 5.6 mg/kg, i.p.) significantly attenuates cocaine associated cue-induced reinstatement, cocaine priming-induced reinstatement, methamphetamine associated cue-induced reinstatement and methamphetamine priming-induced reinstatement in rat^[1]. Org27569 (30 mg/kg, i.p.) produces CB1-independent hypophagic effects and does not affect the discriminative stimulus effects of anandamide (AEA). Org27569 (100 µg intracerebroventricularly) does not affect the pharmacologic effects of systemically administered CP55,940 compared with vehicle^[3].



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