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

Taranabant

Catalog No: tcsc0289

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:
701977-09-5

Formula:
$C_{27}H_{25}ClF_3N_3O_2$

Pathway:
GPCR/G Protein

Target:
Cannabinoid Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 42 mg/mL (81.40 mM)

Alternative Names:
MK-0364

Observed Molecular Weight:
515.95

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Product Description

Taranabant is a highly potent and selective **cannabinoid 1** (**CB1**) receptor inverse agonist that inhibits the binding and functional activity of various agonists, with a binding $\mathbf{K_i}$ of 0.13 nM for the human CB1R in vitro.

IC50 & Target: IC50: 0.3 nM (hCB1R), 0.4 nM (rCB1R)[1]
Ki: 0.13 nM (hCB1R), 0.27 nM (rCB1R)[1]

In Vitro: Taranabant (MK-0364) binds to human or rat CB1R with an IC_{50} of 0.3 and 0.4 nM, respectively, corresponding to a K_i value of 0.13 and 0.27 nM, respectively. Taranabant binds to the human or rat CB2R with an IC_{50} value of 290 and 470 nM, respectively, corresponding to a K_i value of 170 and 310 nM, respectively. The selectivity ratio of CB1R over CB2R is approximately 1000-fold[1]. Taranabant (MK-0364) is a novel, acyclic cannabinoid-1 receptor inverse agonist for the treatment of obesity. IC_{50}s of Taranabant for CB1R and CB2R by substituted amides is 0.3±0.1 nM, and 290±60 nM, respectively. Taranabant is a CB1R inverse agonist with minimal potential for covalent protein binding. Taranabant is an exceptionally potent and selective (900-fold over CB2) CB1R inverse agonist with >500-fold improvement in affinity over the original lead. In a functional assay of cyclic-AMP production, Taranabant is determined to be an inverse agonist (EC_{50}=2.4±1.4 nM)[2].

In Vivo: Taranabant (MK-0364) dose-dependently inhibits 2 h and overnight food intake as well as overnight gains in body weight in C57BL/6N mice. At the 1- and 3-mg/kg doses (p.o.), Taranabant significantly inhibits 2-h food intake (36 and 69% reductions, respectively; P[1]. Taranabant (MK-0364) has a good pharmacokinetic profile in three species (rat, 1 mg/kg iv, 2 mg/kg po, F=74%, $t_{1/2}$=2.7 h; dog, 0.2 mg/kg iv, 0.4 mg/kg po, F=31%; $t_{1/2}$=14 h; rhesus monkey, 0.2 mg/kg iv, 0.4 mg/kg po, F=31%, $t_{1/2}$=3.6 h) and good brain exposure (1 mg/kg iv, brain and plasma concentrations of 0.11 and 0.18 μM at 1 h, respectively)[2].