

# 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 :  $\geq 42$  mg/mL (81.40 mM)

**Alternative Names:**

MK-0364

**Observed Molecular Weight:**

515.95

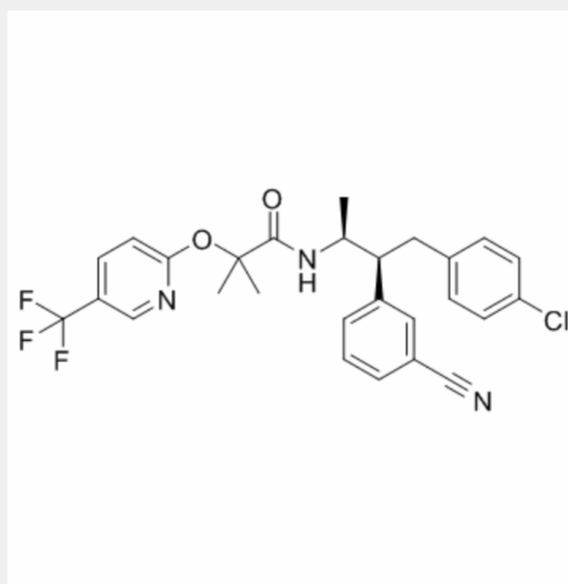
## Product Description

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  $K_i$  of 0.13 nM for the human CB1R in vitro.

IC<sub>50</sub> & Target: IC<sub>50</sub>: 0.3 nM (hCB1R), 0.4 nM (rCB1R)<sup>[1]</sup>  
K<sub>i</sub>: 0.13 nM (hCB1R), 0.27 nM (rCB1R)<sup>[1]</sup>

**In Vitro:** Taranabant (MK-0364) binds to human or rat CB1R with an IC<sub>50</sub> of 0.3 and 0.4 nM, respectively, corresponding to a K<sub>i</sub> value of 0.13 and 0.27 nM, respectively. Taranabant binds to the human or rat CB2R with an IC<sub>50</sub> value of 290 and 470 nM, respectively, corresponding to a K<sub>i</sub> value of 170 and 310 nM, respectively. The selectivity ratio of CB1R over CB2R is approximately 1000-fold<sup>[1]</sup>. Taranabant (MK-0364) is a novel, acyclic cannabinoid-1 receptor inverse agonist for the treatment of obesity. IC<sub>50</sub>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<sub>50</sub>=2.4±1.4 nM)<sup>[2]</sup>.

**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<sub>1/2</sub>=2.7 h; dog, 0.2 mg/kg iv, 0.4 mg/kg po, F=31%; t<sub>1/2</sub>=14 h; rhesus monkey, 0.2 mg/kg iv, 0.4 mg/kg po, F=31%, t<sub>1/2</sub>=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)<sup>[2]</sup>.



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