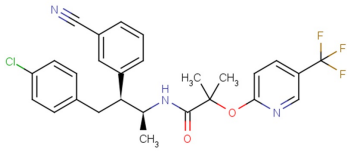
Data Sheet (Cat.No.T13080L)

TargetMol
A DRUG SCREENING EXPERT

Taranabant

Chemical Properties



CAS No.:	701977-09-5
Formula:	C27H25ClF3N3O2
Molecular Weight:	515.95
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Taranabant is a highly potent and selective cannabinoid 1 receptor inverse agonist. Taranabant inhibits the binding and functional activity of various agonists (Ki: 0.13 nM for the human CB1R in vitro).
Targets(IC_{50})	hCB1R: (ki) 0.13 nM rCB1R: 0.27 nM
In vitro	Taranabant is determined to be an inverse agonist (EC50=2.4±1.4 nM), in a functional assay of cyclic-AMP production. Taranabant is an exceptionally potent and selective CB1R inverse agonist with >500-fold improvement in affinity over the original lead. Taranabant is a CB1R inverse agonist with minimal potential for covalent protein binding. Taranabant binds to human or rat CB1R (IC50: 0.3 and 0.4 nM and a Ki: 0.13 and 0.27 nM, respectively). Taranabant binds to the human or rat CB2R (IC50: 290 and 470 nM and Ki: 170 and 310 nM, respectively). The selectivity ratio of CB1R over CB2R is approximately 1000-fold. IC50s of Taranabant for CB1R and CB2R by substituted amides are 0.3±0.1 nM, and 290±60 nM, respectively [1][2].
In vivo	Taranabant dose-dependently inhibits food intake and weight gain, with an acute minimum effective dose of 1 mg/kg in diet-induced obese rats. Taranabant dose-dependently inhibits 2 h and overnight food intake as well as overnight gains in body weight in C57BL/6N mice. Taranabant (p.o., 1- and 3-mg/kg doses) treatment significantly inhibits 2-h food intake (36 and 69% reductions, respectively; P<0.05 and P<0.00001, respectively) and overnight food intake (13 and 40% reductions, respectively; P<0.05 and P<0.00001, respectively) as well as overnight gains in body weight (48 and 165% reductions, respectively; P<0.01 and P<0.00001, respectively). Taranabant has a good pharmacokinetic profile in three species (rat, 1 mg/kg i.v., 2 mg/kg p.o., F=74%, t1/2=2.7 h; dog, 0.2 mg/kg iv, 0.4 mg/kg p.o., F=31%; t1/2=14 h; rhesus monkey, 0.2 mg/kg i.v., 0.4 mg/kg p.o., F=31%, t1/2=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) [1][2].

Solubility Information

Solubility	DMSO: 42 mg/mL (81.40 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.938 mL	9.691 mL	19.382 mL
5 mM	0.388 mL	1.938 mL	3.876 mL
10 mM	0.194 mL	0.969 mL	1.938 mL
50 mM	0.039 mL	0.194 mL	0.388 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Fong TM, et al. Antiobesity Efficacy of a Novel Cannabinoid-1 Receptor Inverse Agonist, N-[(1S,2S)-3-(4-Chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]-2 -methyl-2-{[5-(trifluoromethyl)pyridin-2-yl]oxy}propanamide (MK-0364), in Rodents. J Pharmacol Exp T

2. Lin LS, et al. Discovery of N-[(1S,2S)-3-(4-Chlorophenyl)-2- (3-cyanophenyl)-1-methylpropyl]-2-methyl-2- {[5-(trifluoromethyl)pyridin-2-yl]oxy}propanamide (MK-0364), a novel, acyclic cannabinoid-1 receptor inverse agonist for the treatment of obesity. J M

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