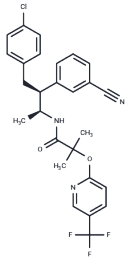
Data Sheet (Cat.No.T13080L)

TargetMol

Taranabant

Chemical Properties



CAS No. : 701977-09-5

Formula: C27H25ClF3N3O2

Molecular Weight: 515.96

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Taranabant (MK-0364) is a selective and potent cannabinoid 1 (CB1) receptor inverse agonist used in the study of obesity and nicotine dependence.
Targets(IC50)	Cannabinoid Receptor
In vitro	Taranabant (MK-0364) is a novel, acyclic cannabinoid-1 receptor inverse agonist designed for the treatment of obesity. It binds to human or rat CB1 receptors with an IC50 of 0.3 and 0.4 nM, respectively, corresponding to Ki values of 0.13 and 0.27 nM, respectively. Additionally, Taranabant binds to human or rat CB2 receptors with an IC50 value of 290 and 470 nM, respectively, corresponding to Ki values of 170 and 310 nM, respectively. The selectivity ratio of CB1 receptors over CB2 receptors is approximately 1000-fold[2].The IC50s of Taranabant for CB1 receptors and CB2 receptors by substituted amides are 0.3±0.1 nM and 290±60 nM, respectively. Taranabant is a CB1 receptor inverse agonist with minimal potential for covalent protein binding. It exhibits exceptional potency and selectivity (900-fold over CB2) as a CB1 receptor inverse agonist, showing over a 500-fold improvement in affinity compared to the original lead. In a functional assay of cyclic-AMP production, Taranabant is determined to be an inverse agonist (EC50=2.4±1.4 nM)[1].
In vivo	In C57BL/6N mice, Taranabant (MK-0364) dose-dependently inhibits 2-hour and overnight food intake, as well as overnight gains in body weight. At the oral doses of 1 and 3 mg/kg, Taranabant significantly inhibits 2-hour 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), along with overnight gains in body weight (48 and 165% reductions, respectively; P<0.01 and P<0.00001, respectively). Taranabant demonstrates dose-dependent inhibition of food intake and weight gain, with an acute minimum effective dose of 1 mg/kg in diet-induced obese (DIO) rats[1].Taranabant (MK-0364) exhibits a favorable pharmacokinetic profile in three species (rat, 1 mg/kg iv, 2 mg/kg po, F=74%, t1/2=2.7 h; dog, 0.2 mg/kg iv, 0.4 mg/kg po, F=31%; t1/2=14 h; rhesus monkey, 0.2 mg/kg iv, 0.4 mg/kg po, 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)[2].

Solubility Information

Solubility	DMSO: 40 mg/mL (77.53 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.88 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9381 mL	9.6907 mL	19.3813 mL
5 mM	0.3876 mL	1.9381 mL	3.8763 mL
10 mM	0.1938 mL	0.9691 mL	1.9381 mL
50 mM	0.0388 mL	0.1938 mL	0.3876 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.