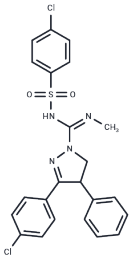
Data Sheet (Cat.No.T4654)

TargetMol

(±)-Ibipinabant

Chemical Properties



CAS No. : 362519-49-1

Formula: C23H20Cl2N4O2S

Molecular Weight: 487.4

Storage: 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	(±)-Ibipinabant ((±)-SLV319) has been utilized in clinical trials for the treatment of obesity and type 2 diabetes.
Targets(IC50)	Cannabinoid Receptor
In vitro	Cannabinoid receptor 1 (CB1R) antagonists are promising for obesity treatment, but adverse effects limit clinical use. Ibipinabant is a potent [Ki (CB1) = 7.8 nM] and selective [Ki (CB2) = 7.943 nM] CB1 antagonist, demonstrating in vitro properties similar to rimonabant, including inverse agonism, brain penetration[3], and high affinity [pA2 for arachidonic acid release in CHO cells = 9.9].
In vivo	Ibipinabant (3 mg/kg) significantly lowers unfasted glucose levels compared to rimonabant at equivalent doses on days 17, 28, and 38. Long-term ibipinabant administration notably slows diabetes progression in ZDF rats by moderating the rise in blood glucose and HbA1c levels over time. Additionally, ibipinabant decreases the evident hyperinsulinemia at 6-8 weeks of age and mitigates the sharp decline in insulin levels occurring 1-2 weeks thereafter[3].
Animal Research	Rats: SLV319, rimonabant and rosiglitazone are suspended in a 10% dimethylacetamide, 10% cremophor, 10% ethanol and 70% water vehicle. Drugs are administered by oral gavage in a volume of 2 mL/kg body weight at 09:00 hours every day. Treatment groups are as follows: (i) Vehicle: ad libitum access to food (vehicle), (ii) Vehicle: restricted access to food (20% less than average food intake of ad libitum vehicle-treated group for the first 3 days of the study, then 10% less than the average food intake of the ad libitum vehicle-treated group for the remainder of the study) (restricted), (iii) Rosiglitazone (4 mg/kg), (iv) Rimonabant (3 mg/kg) (RIM 3 mg/kg), (v) Rimonabant (10 mg/kg) (RIM 10 mg/kg), (vi) SLV319 (3 mg/kg) (IBI 3 mg/kg) and (vii) Ibipinabant (10 mg/kg) (IBI 10 mg/kg). Rosiglitazone is used as a positive control for its ability to delay β-cell decline, and rimonabant is used as a positive control for CB1 antagonism[3].

Solubility Information

Solubility	DMSO: 250 mg/mL (512.93 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 (4.1 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	2.0517 mL	10.2585 mL	20.517 mL
5 mM	0.4103 mL	2.0517 mL	4.1034 mL
10 mM	0.2052 mL	1.0259 mL	2.0517 mL
50 mM	0.041 mL	0.2052 mL	0.4103 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

Chorvat RJ, et al. JD-5006 and JD-5037: peripherally restricted (PR) cannabinoid-1 receptor blockers related to SLV-319 (Ibipinabant) as metabolic disorder therapeutics devoid of CNS liabilities. Bioorg Med Chem Lett. 2012 Oct 1;22 (19):6173-80.

Lange JH, et al. Synthesis, biological properties, and molecular modeling investigations of novel 3,4-diarylpyrazolines as potent and selective CB(1) cannabinoid receptor antagonists. J Med Chem. 2004 Jan 29;47(3): 627-43.

Rohrbach K, et al. Ibipinabant attenuates β-cell loss in male Zucker diabetic fatty rats independently of its effects on body weight. Diabetes Obes Metab. 2012 Jun;14(6):555-64.