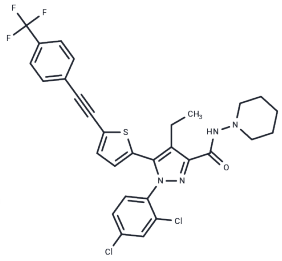
Data Sheet (Cat.No.T8511)

TargetMol

CB1 antagonist 4

Chemical Properties



CAS No. : 1253641-65-4

Formula: C30H25Cl2F3N4OS

Molecular Weight: 617.51

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	CB1 antagonist 4 is an antagonist of cannabinoid receptor type 1 (CB1), with potential for the treatment of obesity and type 2 diabetes.
Targets(IC50)	Cannabinoid Receptor
In vivo	CB1 antagonist 4, a novel, largely peripherally restricted CB1 antagonist, in terms of fear-promoting consequences of systemic vs. intracerebral injections.Different groups of male C57BL/6 N mice underwent auditory fear conditioning, followed by re-exposure to the tone.Mice were treated per os (p.o.) with CB1 antagonist 4 (10, 30, or 100 mg/kg), rimonabant (10 mg/kg;a brain penetrating CB1 antagonist/inverse agonist which served as a positive control), or vehicle, 2 h prior the tone presentation.Only the high dose of CB1 antagonist 4 (100 mg/kg) induced a significant increase in freezing behavior, similar to that induced by rimonabant (10 mg/kg) ($p < 0.001$).?If injected into the brain both CB1 antagonist 4 (10 or 30 μg/mouse) and rimonabant (1 or 10 μg/mouse) caused a sustained fear response to the tone, which was more pronounced after rimonabant treatment.Taken together, CB1 antagonist 4 was at least one order of magnitude less effective in promoting fear responses than rimonabant.Given the equipotency of the two CB1 antagonists with regard to weight loss and metabolic syndrome-like symptoms in rodent obesity models, our results point to a critical dose range in which CB1 antagonist 4 might be beneficial for indications such as obesity and metabolic disorders with limited risk of fear-promoting effects[1].

Solubility Information

Solubility	DMSO: 40 mg/mL (64.78 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 4 mg/mL (6.48 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	1.6194 mL	8.097 mL	16.1941 mL
5 mM	0.3239 mL	1.6194 mL	3.2388 mL
10 mM	0.1619 mL	0.8097 mL	1.6194 mL
50 mM	0.0324 mL	0.1619 mL	0.3239 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

Micale V, et al. The Cannabinoid CB1 Antagonist TM38837 With Limited Penetrance to the Brain Shows ReducedFear-Promoting Effects in Mice. Front Pharmacol. 2019 Mar 20;10:207.
Li P, Lin Q, Sun S, et al. Inhibition of cannabinoid receptor type 1 sensitizes triple-negative breast cancer cells to ferroptosis via regulating fatty acid metabolism. Cell Death & Disease. 2022, 13(9): 1-15.
Akihiro, Takano, Balázs, et al. Low brain CB1 receptor occupancy by a second generation CB1 receptor antagonist TM38837 in comparison with rimonabant in nonhuman primates: A PET study[J]. Synapse, 2013.