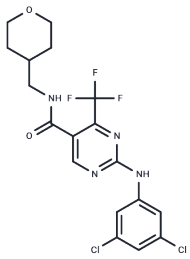
Data Sheet (Cat.No.T6527)

TargetMol

GW842166X

Chemical Properties



CAS No. : 666260-75-9

Formula: C18H17Cl2F3N4O2

Molecular Weight: 449.25

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GW842166X is a potent and highly selective agonist of cannabinoid receptor CB2 receptor with EC50 of 63 nM, shows no significant activity at CB1 receptor. Phase 2.
Targets(IC50)	Cannabinoid Receptor
In vitro	GW842166X demonstrates comparable potency and efficacy for rat and human recombinant CB2 receptors, with EC50 values of 91 nM and 63 nM, respectively. [1] It exhibits full agonist activity in cyclase assays with an EC50 of 133 nM and an Emax of 101%, and weak agonist activity in FLIPR assays with an EC50 of 7.780 μM and an Emax of 84%. [2]
In vivo	GW842166X has an oral bioavailability of 58% and a half-life of 3 h when dosed orally in the rat. GW842166X has extremely high potency with an oral ED50 of 0.1 mg/kg and shows full reversal of hyperalgesia at 0.3 mg/kg in the FCAa model of inflammatory pain. [1] GW842166X orally administrated at a dose of 15 mg/kg for 8 days produced a significant reversal of the CCI induced decrease in paw withdrawal threshold in a rat model of neuropathic pain. [3]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 16 mg/mL (35.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2259 mL	11.1297 mL	22.2593 mL
5 mM	0.4452 mL	2.2259 mL	4.4519 mL
10 mM	0.2226 mL	1.113 mL	2.2259 mL
50 mM	0.0445 mL	0.2226 mL	0.4452 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.

Reference

Giblin GM, et al. J Med Chem, 2007, 50(11), 2597-2600.
He Y, Jia H, Yang Q, et al.Specific Activation of CB2R Ameliorates Psoriasis-Like Skin Lesions by Inhibiting Inflammation and Oxidative Stress.Inflammation.2023: 1-17.
Yao BB, et al. Br J Pharmacol, 2008, 153(2), 390-401.
Clayton NM, et al. Proceedings of the British Pharmacological Society at https://www.pA2online.org/Vol2Issue4abst050P.html