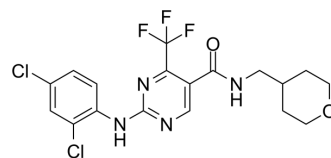


GW842166X

Cat. No.:	HY-14167		
CAS No.:	666260-75-9		
Molecular Formula:	C ₁₈ H ₁₇ Cl ₂ F ₃ N ₄ O ₂		
Molecular Weight:	449.25		
Target:	Cannabinoid Receptor		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (185.42 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass			
	1 mg	5 mg	10 mg	
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	1.1130 mL	2.2259 mL	

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: 2.5 mg/mL (5.56 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GW842166X is a potent and selective cannabinoid receptor 2 (CB2) agonist with IC₅₀ values of 63 and 91 nM for human and rat CB2, respectively.

IC₅₀ & Target

IC₅₀: 63 nM (human CB2), 91 nM (rat CB2)^[1]

In Vitro

GW842166X shows similar potency and efficacy for rat and human recombinant CB2 receptors. It has no significant agonist

activity at concentrations up to 30 μ M in human and rat CB1 recombinant assays^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GW842166X has an oral ED₅₀ of 0.1 mg/kg in the rat FCA model of inflammatory pain and shows full reversal of hyperalgesia at 0.3 mg/kg. The blood concentrations of GW842166X in experiments are 30 nM (0.03 mg/kg), 130 nM (0.1 mg/kg), and 370 nM (0.3 mg/ kg) 1 h after dosing. After dosing for 4 days in the FCA model, no statistical difference in antihyperalgesic response is observed on day 4 relative to day 1, indicating that tolerance does not occur^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Giblin GM, et al. Discovery of 2-[(2,4-dichlorophenyl)amino]-N-[(tetrahydro-2H-pyran-4-yl)methyl]-4-(trifluoromethyl)-5-pyrimidinecarboxamide, a selective CB2 receptor agonist for the treatment of inflammatory pain. J Med Chem. 2007 May 31;50(11):2597-6

Caution: Product has not been fully validated for medical applications. For research use only.

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