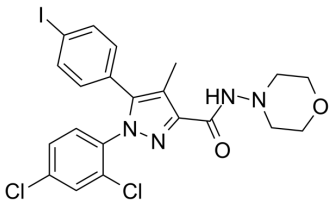
AM281

Cat. No.:	HY-13505
CAS No.:	202463-68-1
Molecular Formula:	$C_{21}H_{19}Cl_2IN_4O_2$
Molecular Weight:	557.21
Target:	Cannabinoid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 7.14 mg/mL (12.81 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7947 mL	8.9733 mL	17.9466 mL
	5 mM	0.3589 mL	1.7947 mL	3.5893 mL
	10 mM	0.1795 mL	0.8973 mL	1.7947 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.71 mg/mL (1.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AM281 is a selective CB1 receptor antagonist with an IC_{50} of 9.91 nM. AM281 inhibits CB2 receptor with an IC_{50} of 13000 nM[1].

IC_{50} & Target

CB1	CB2
9.91 nM (IC_{50})	13000 nM (IC_{50})

In Vitro

AM281 (0.01-10 μM) promotes a concentration dependent increase in 10 μM Aβ 25-35 induced neurotoxicity in SH-SY5Y cells in the presence of 10 μM KSO 1-6[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Acute administration (2.5, 5 and 10 mg/kg) of AM281 shortens exploration time and improves memory performance, as does chronic administration (0.62, 1.25 and 2.5 mg/kg) of AM281[3].

Chronic administration of AM281 at 2.5 mg/kg improves recognition index to the 22.1±4.8 and single dose of AM281 at 5

mg/kg improves the memory impairment to the 8.5±4, as compared with vehicle-treated which is 4.8±2.5. Administration of AM281 at a dose of 2.5 mg/kg in chronic form and 5 mg/kg in acute dose improve memory[3].

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Animal Model:	Male NMRI mice with the weight of 25-30 g[3]
Dosage:	0.62, 1.25 and 2.5 mg/kg (chronic administration); 2.5, 5 and 10 mg/kg (acute administration)
Administration:	Administrated i.p. every day concurrently with morphine except the day of experiment (chronic administration); Singly injected 40 min before second trial (acute administration)
Result:	The simultaneous daily administration of AM281 with morphine significantly shortened the exploration time, as compared with morphine-dependent mice receiving vehicle. Acute administration at a dose of 5 mg/kg, significantly augmented recognition index.

REFERENCES

[1]. K S S Dossou, et al. Development and preliminary validation of a plate-based CB1/CB2 receptor functional assay. Anal Biochem. 2013 Jun 15;437(2):138-43.

[2]. Milton, NG, et al. Effects of the CB1 cannabinoid receptor antagonist AM281 on kissorphin protection against amyloid-β neurotoxicity.

[3]. G Vaseghi, et al. The effect of AM281, a cannabinoid antagonist, on memory performance during spontaneous morphine withdrawal in mice. Res Pharm Sci. 2013 Jan;8(1):59-64.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA