AM281

Cat. No.: HY-13505

CAS No.: 202463-68-1

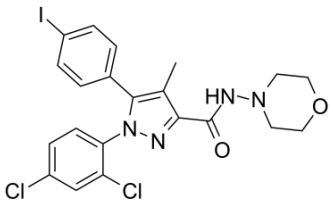
Molecular Formula: $C_{21}H_{19}Cl_2IN_4O_2$

Molecular Weight: 557.21

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



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: 9.91 nM (IC_{50}); CB2: 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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