CP 376395

Cat. No.: HY-14130

CAS No.: 175140-00-8

Molecular Formula: $C_{21}H_{30}N_2O$

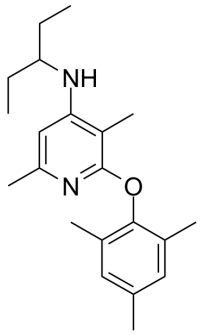
Molecular Weight: 326.48

Target: CRFR

Pathway: GPCR/G Protein

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 : ≥ 100 mg/mL (306.30 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0630 mL	15.3149 mL	30.6297 mL
	5 mM	0.6126 mL	3.0630 mL	6.1259 mL
	10 mM	0.3063 mL	1.5315 mL	3.0630 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.66 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP 376395 is a potent, selective, and brain-penetrable Corticotropin releasing factor 1 (CRF1) receptor antagonist[1][2].

IC_{50} & Target	CRFR1	CRFR2

In Vitro

CP 376395 fully antagonizes oCRF-stimulated adenylate cyclase activity in rat cerebral cortex and at human CRF1 receptors with an apparent K_i value of 12 nM, indicating antagonist functional activity. It is highly selective for the human CRF1

	receptor subtype; affinity for the CRF2 receptor is >10000 nM. It shows affinities greater than 1 μM against 40 neurotransmitter receptor and ion channels[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CP 376395 (10-20 mg/kg, i.p., Male B6 mice) attenuates H2O and food intake, increases sucrose intake, attenuates EtOH intake but not EtOH preference[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male B6 mice (n=8-9 per group)[2]
Dosage:	0.0, 10.0, or 20.0 mg/kg
Administration:	Intraperitoneally
Result:	Dose-dependently attenuated intake of H2O and food, with H2O intake affected specifically during the first half of the session.

REFERENCES

[1]. Giardino WJ, et al. CRF1 receptor signaling regulates food and fluid intake in the drinking-in-the-dark model of binge alcohol consumption. Alcohol Clin Exp Res. 2013 Jul;37(7):1161-70.

[2]. Chen YL, et al. 2-aryloxy-4-alkylaminopyridines: discovery of novel corticotropin-releasing factor 1 antagonists. J Med Chem. 2008 Mar 13;51(5):1385-92.

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