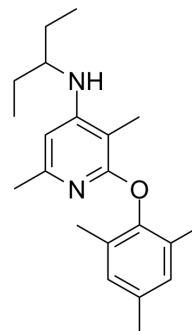


## CP 376395

<b>Cat. No.:</b>	HY-14130		
<b>CAS No.:</b>	175140-00-8		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>30</sub> N <sub>2</sub> O		
<b>Molecular Weight:</b>	326.48		
<b>Target:</b>	CRFR		
<b>Pathway:</b>	GPCR/G Protein		
<b>Storage:</b>	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	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	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

- 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
- 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
- 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<sup>[1][2]</sup>.

#### IC<sub>50</sub> & 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<sub>i</sub> 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  $\mu$ M against 40 neurotransmitter receptor and ion channels<sup>[1]</sup>.

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 H<sub>2</sub>O and food intake, increases sucrose intake, attenuates EtOH intake but not EtOH preference<sup>[2]</sup>.

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) <sup>[2]</sup>
Dosage:	0.0, 10.0, or 20.0 mg/kg
Administration:	Intraperitoneally
Result:	Dose-dependently attenuated intake of H <sub>2</sub> O and food, with H <sub>2</sub> O 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.

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

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