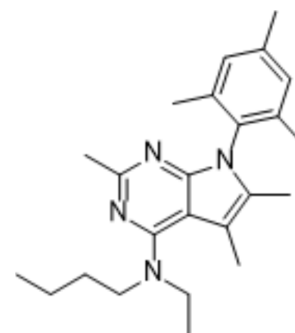


## Antalarmin

Cat. No.:	HY-124475		
CAS No.:	157284-96-3		
Molecular Formula:	C <sub>24</sub> H <sub>34</sub> N <sub>4</sub>		
Molecular Weight:	378.55		
Target:	CRFR		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 25 mg/mL (66.04 mM); ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.6417 mL	13.2083 mL	26.4166 mL
5 mM	0.5283 mL	2.6417 mL	5.2833 mL
10 mM	0.2642 mL	1.3208 mL	2.6417 mL

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

### BIOLOGICAL ACTIVITY

#### Description

Antalarmin is a selective nonpeptide corticotropin-releasing factor receptor 1 (CRHR1) antagonist with a K<sub>i</sub> of 2.7 nM. Antalarmin can pass through the blood-brain barrier<sup>[1][2][3]</sup>.

#### IC<sub>50</sub> & Target

Ki: 2.7 nM (CRHR1)<sup>[3]</sup>

#### In Vitro

Antalarmin inhibits the effect of corticotrophin-releasing factor (CRF) on Aβ<sub>1-42</sub> levels through the cAMP/PKA signaling pathway<sup>[2]</sup>.

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

Western Blot Analysis<sup>[2]</sup>

Cell Line:	Primary hippocampal neurons derived from Tg2576 mice
Concentration:	100 nM
Incubation Time:	48 h

	Result:	Blocked CRF-induced increases in PKAII $\beta$ levels.
<b>In Vivo</b>	Antalarmin (10 mg/kg; i.p.; daily for 4 weeks) leads to an improvement of chronic mild stress (CMS)-induced modifications in mice <sup>[1]</sup> .	
	Antalarmin (20 mg/kg; i.p.; daily for 7 days) significantly reduces A $\beta$ <sub>1-42</sub> levels in sub-acute stressed Tg2576 mice <sup>[2]</sup> .	
	MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	BALB/cByJlco male mice, chronic mild stress model <sup>[1]</sup>
	Dosage:	10 mg/kg
Administration:	Intraperitoneal injection, daily for 4 weeks	
Result:	Induced a significant improvement of mice physical state. Induced a nonsignificant decrease of the lit box (TLB) and activity when compared to controls.	

## REFERENCES

- [1]. Ducottet C, et al. Effects of the selective nonpeptide corticotropin-releasing factor receptor 1 antagonist antalarmin in the chronic mild stress model of depression in mice. *Prog Neuropsychopharmacol Biol Psychiatry*. 2003 Jun;27(4):625-31.
- [2]. Dong H, et al. Effects of corticotrophin-releasing factor receptor 1 antagonists on amyloid- $\beta$  and behavior in Tg2576 mice. *Psychopharmacology (Berl)*. 2014 Dec;231(24):4711-22.
- [3]. Zorrilla EP, et al. Urocortin shares the memory modulating effects of corticotropin-releasing factor (CRF): mediation by CRF1 receptors. *Brain Res*. 2002 Oct 18;952(2):200-10.

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

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