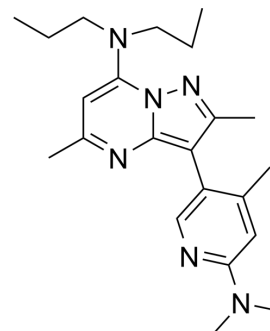


## R121919

<b>Cat. No.:</b>	HY-14127		
<b>CAS No.:</b>	195055-03-9		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>32</sub> N <sub>6</sub>		
<b>Molecular Weight:</b>	380.53		
<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

Ethanol : 100 mg/mL (262.79 mM; Need ultrasonic)  
 DMSO : 6.2 mg/mL (16.29 mM; Need ultrasonic)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.6279 mL	13.1396 mL	26.2791 mL
	5 mM	0.5256 mL	2.6279 mL	5.2558 mL
	10 mM	0.2628 mL	1.3140 mL	2.6279 mL

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

#### In Vivo

- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
Solubility: 2.5 mg/mL (6.57 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% EtOH >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 1.79 mg/mL (4.70 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: 1.79 mg/mL (4.70 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 1.79 mg/mL (4.70 mM); Clear solution

### BIOLOGICAL ACTIVITY

<b>Description</b>	R121919 (NBI30775) is a potent and selective CRF1R antagonist with a $K_i$ of 2 to 5 nM. R121919 has antidepressant and anxiolytic effects. R121919 alleviates defensive withdrawal in rats <sup>[1][2][3]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	CRFR1 2-5 nM (K <sub>i</sub> )
<b>In Vitro</b>	R121919 is a potent small-molecule CRF1 receptor antagonist with high affinity for the CRF1 receptor and over 1000-fold weaker activity at the CRF2 receptor, CRF-binding protein, or 70 other receptor types <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	R121919 (NBI30775) dose dependently decreases adrenocorticopin hormone and Corticosterone (HY-B1618) responses to restraint stress in rats. Peak plasma adrenocorticopin hormone and corticosterone concentrations at a dose of 10 mg/kg R121919 are 9 and 25%, respectively <sup>[1]</sup> . R121919 reduces levels of anxiety in mice with a steep dose-response curve <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Animal Administration <sup>[1][2]</sup>

Rats: For the restraint stress, R121919 is dissolved in an aqueous 70% (v/v) polyethylene glycol 400 solution, and serially diluted in this vehicle to the appropriate concentrations. The drug is injected i.v. in a volume of 1 mL/kg to Male Sprague-Dawley rats. For the defensive withdrawal experiments, R121919 solutions are made fresh the night before each experiment. R121919 is dissolved in a vehicle consisting of 5% (v/v) polyethoxylated castor oil<sup>[1]</sup>.

Mice: R121919 is dissolved in an aqueous. The drug is administered orally (1 mL/100 g bodyweight) via a feeding tube to six to ten mice per group; the doses applied are 0.5, 1.0 and 5.0 mg/kg for the DBA/2NCRL mice and 1.0, 5.0, and 30 mg/kg for the DBA/20la strain; an additional (vehicle) group of mice receives water, while a further group of mice (untreated controls) are spared the aforementioned manipulations<sup>[2]</sup>.

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

## CUSTOMER VALIDATION

- SSRN. 2023 Jul 18.

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## REFERENCES

- [1]. Gutman DA, et al. Behavioral effects of the CRF1 receptor antagonist R121919 in rats selectively bred for high and low activity in the swim test. *Psychoneuroendocrinology*. 2008 Sep;33(8):1093-101.
- [2]. Gutman DA, et al. The corticotropin-releasing factor1 receptor antagonist R121919 attenuates the behavioral and endocrine responses to stress. *J Pharmacol Exp Ther*. 2003 Feb;304(2):874-80.
- [3]. Post A, et al. Identification of molecules potentially involved in mediating the in vivo actions of the corticotropin-releasing hormone receptor 1 antagonist, NBI30775 (R121919). *Psychopharmacology (Berl)*. 2005 Jun;180(1):150-8.

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

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