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产品名称：3-(6-(二甲基氨基)-4-甲基吡啶-3-基)-2,5-二甲基-N,N-二丙基吡唑并[1,5-A]嘧啶-7-胺
产品别名：R121919 ； NBI30775

生物活性：

Description	R121919 is a potent small-molecule CRF1 receptor antagonist with a K_i of 2 to 5 nM for the CRF1 receptor and over 1000-fold weaker activity at the CRF2 receptor, CRF-binding protein, or 70 other receptor types.
IC_{50} & Target	Ki: 2 to 5 nM (CRF1)[1]
In Vitro	R121919 is a potent small-molecule CRF1 receptor antagonistwith high affinity for the CRF1 receptor (K_i=2–5 nM) and over 1000-fold weaker activity at the CRF2 receptor, CRF-binding protein, or 70 other receptor types[1].
In Vivo	R121919 reduces measures of both anxiety and depression in the depressed patients. R121919 dose dependently decreases adrenocorticopin hormone and corticosterone 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[1]. R121919 reduces levels of anxiety in mice with a steep dose-response curve. Molecules such as GR, MR, BAG-1 and AP-1 have been identified as some of the drug's intracellular targets[2].
Solvent&Solubility	***In Vitro:*** **DMSO : 6.2 mg/mL (16.29 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. 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. [2]. 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.

实验参考：

Animal Administration	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[1]. 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/2Ola strain; an additional (vehicle) group of mice receives water, while a further group of mice (untreated controls) are spared the aforementioned manipulations[2].
References	[1]. 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. [2]. 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.