产品名称：**ANA-12**
产品别名：**ANA-12**

生物活性：

Description	ANA-12 is a potent and selective TrkB antagonist with IC_{50}s of 45.6 nM and 41.1 μM for the high and low affinity sites, respectively.
In Vitro	ANA-12 (10 nM) prevents BDNF-induced neurite outgrowth in the TrkB-expressing cells, and completely abolishes the effects of BDNF at concentrations up to 10-100 μM[1].
In Vivo	ANA-12 (0.5 mg/kg, i.p.) partially inhibits the total endogenous TrkB activity in the whole brain of mice. ANA-12, injected in mice, demonstrates anxiolytic and antidepressive activities at 0.5 mg/kg. ANA-12 (0.5, 1.0, and 2.0 mg/kg) does not affect neuron survival[1]. ANA-12 (0.5 mg/kg) shows antidepressant effects in lipopolysaccharide (LPS)-induced depression-like behavior. ANA-12 (0.5 mg/kg) significantly attenuates an increased immobility time in depressed mice. In the TST, FST, and SPT, ANA-12 (0.5 mg/kg) does not show antidepressant-like effects in the control mice[2]. ANA-12 (0.5 mg/kg, i.p.) reverses the diminished self-administration of cocaine in CocSired rats[3].

Solvent&Solubility

In Vitro:

DMSO : 9.09 mg/mL (**22.31 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4540 mL	12.2702 mL	24.5405 mL
	5 mM	0.4908 mL	2.4540 mL	4.9081 mL
	10 mM	0.2454 mL	1.2270 mL	2.4540 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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: 1.43 mg/mL (3.51 mM); Suspended solution; Need ultrasonic

此方案可获得 1.43 mg/mL (3.51 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 14.299999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 1.43 mg/mL (3.51 mM); Clear solution

此方案可获得 ≥ 1.43 mg/mL (3.51 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

以 1 mL 工作液为例，取 100 μL 14.299999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均

	匀。 3.请依序添加每种溶剂： 5% DMSO →40% PEG300 →5% Tween-80 →50% saline Solubility: 1 mg/mL (2.45 mM); Suspended solution; Need ultrasonic 4.请依序添加每种溶剂： 5% DMSO →95% (20% SBE-β-CD in saline) Solubility: ≥ 0.45 mg/mL (1.10 mM); Clear solution 5.请依序添加每种溶剂： 5% DMSO →95% corn oil Solubility: ≥ 0.45 mg/mL (1.10 mM); Clear solution
References	[1]. Cazorla M, et al. Identification of a low-molecular weight TrkB antagonist with anxiolytic and antidepressant activity in mice. J Clin Invest. 2011 May;121(5):1846-57. [2]. Fang X, et al. Brain-derived neurotrophic factor-TrkB signaling in the medial prefrontal cortex plays a role in the anhedonia-like phenotype after spared nerve injury. Eur Arch Psychiatry Clin Neurosci. 2018 Jun 7. [3]. Zhang JC, et al. Comparison of ketamine, 7,8-dihydroxyflavone, and ANA-12 antidepressant effects in the social defeat stress model of depression. Psychopharmacology (Berl). 2015 Dec;232(23):4325-35. [4]. Vassoler FM, et al. Epigenetic inheritance of a cocaine-resistance phenotype. Nat Neurosci. 2013 Jan;16(1):42-7.

实验参考：

Animal Administration	On the day of injection, ketamine (ketamine hydrochloride, 10 mg/kg), 7,8-dihydroxyflavone (7,8-DHF; 10 mg/kg), and ANA-12, N2-(2-{[(2-oxoazepan-3-yl) amino]carbonyl}phenyl)benzo[b]thiophene-2-carboxamide (0.5 mg/kg) are prepared in vehicle of 17 % dimethyl sulfoxide (DMSO) in phosphate-buffered saline. The doses of ketamine (10 mg/kg), 7,8-DHF (10 mg/kg), and ANA-12 (0.5 mg/kg) are selected. All compounds are administered intraperitoneally (i.p.) to mice. [2]
References	[1]. Cazorla M, et al. Identification of a low-molecular weight TrkB antagonist with anxiolytic and antidepressant activity in mice. J Clin Invest. 2011 May;121(5):1846-57. [2]. Fang X, et al. Brain-derived neurotrophic factor-TrkB signaling in the medial prefrontal cortex plays a role in the anhedonia-like phenotype after spared nerve injury. Eur Arch Psychiatry Clin Neurosci. 2018 Jun 7. [3]. Zhang JC, et al. Comparison of ketamine, 7,8-dihydroxyflavone, and ANA-12 antidepressant effects in the social defeat stress model of depression. Psychopharmacology (Berl). 2015 Dec;232(23):4325-35. [4]. Vassoler FM, et al. Epigenetic inheritance of a cocaine-resistance phenotype. Nat Neurosci. 2013 Jan;16(1):42-7.