上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

# 产品名称：NKP608
# 产品别名：NKP608

## 生物活性：

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| **Description** | NKP608 is a non-peptidic derivative of 4-aminopiperidine which acts as a selective, specific and potent antagonist at the neurokinin-1 (NK-1) receptor both in vitro(IC50=2.6 nM) and in vivo. IC50 value: 2.6 nM Target: NK-1 receptor In vitro, the binding of NKP608 to bovine retina was characterized by an IC50 of 2.6+/-0.4 nM, whereas the compound's affinity to other receptor binding sites, including NK-2 and NK-3, was much lower. Species differences in IC(50) values with NKP608 were less pronounced than with previously described NK-1 receptor antagonists, being 13+/-2 and 27+/-2 nM in gerbil midbrain and rat striatum, respectively. In vivo, using the hind foot thumping model in gerbils, NKP608 exhibited a potent NK-1 antagonistic activity following oral administration (ID(50)=0.23 mg/kg; 2 h pretreatment), supporting a central activity of NKP608. NKP608 may prove a useful anxiolytic compound. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : 100 mg/mL** (**161.30 mM;** Need ultrasonic)

**$H_2O$ : < 0.1 mg/mL** (insoluble)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.6130 mL | 8.0648 mL | 16.1296 mL |
| | 5 mM | 0.3226 mL | 1.6130 mL | 3.2259 mL |
| | 10 mM | 0.1613 mL | 0.8065 mL | 1.6130 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: ≥ 2.5 mg/mL (4.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.03 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (4.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.03 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。

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| | 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. El-Hashim AZ, Wyss D, Lewis C. Effect of a novel NK1 receptor selective antagonist (NKP608) on citric acid induced cough and airway obstruction. Pulm Pharmacol Ther. 2004;17(1):11-8.<br>[2]. Vendruscolo LF, Takahashi RN, Brüske GR, Ramos A. Evaluation of the anxiolytic-like effect of NKP608, a NK1-receptor antagonist, in two rat strains that differ in anxiety-related behaviors. Psychopharmacology (Berl). 2003 Nov;170(3):287-93.<br>[3]. Rupniak NM, Carlson EJ, Shepheard S, et al. Comparison of the functional blockade of rat substance P (NK1) receptors by GR205171, RP67580, SR140333 and NKP-608. Neuropharmacology. 2003 Aug;45(2):231-41.<br>[4]. Gentsch C, Cutler M, Vassout A, et al. Anxiolytic effect of NKP608, a NK1-receptor antagonist, in the social investigation test in gerbils. Behav Brain Res. 2002 Jul 18;133(2):363-8.<br>[5]. Vassout A, Veenstra S, Hauser K, et al. NKP608: a selective NK-1 receptor antagonist with anxiolytic-like effects in the social interaction and social exploration test in rats. Regul Pept. 2000 Dec 22;96(1-2):7-16. |