产品名称：托特罗定
产品别名：**Tolterodine**

生物活性：

Description

Tolterodine(PNU-200583) is a potent muscarinic receptor antagonists that show selectivity for the urinary bladder over salivary glands in vivo. IC50 Value: Target: mAChR in vitro: Carbachol-induced contractions of isolated guinea pig bladder were effectively inhibited by tolterodine (IC50 14 nM) and 5-HM (IC50 5.7 nM). The IC50 values were in the microM range and the antimuscarinic potency of tolterodine was 27, 200 and 370-485 times higher, respectively, than its potency in blocking histamine receptors, alpha-adrenoceptors and calcium channels. The active metabolite, 5-HM, was >900 times less potent at these sites than at bladder muscarinic receptors [1]. in vivo: Tolterodine was extensively metabolized in vivo [2]. In the passive-avoidance test, tolterodine at 1 or 3 mg/kg had no effect on memory; the latency to cross and percentage of animals crossing were comparable to controls. In contrast, scopolamine induced a memory deficit; the latency to cross was decreased, and the number of animals crossing was increased [3].

Solvent&Solubility

In Vitro:

DMSO : 14.29 mg/mL (43.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0723 mL	15.3615 mL	30.7229 mL
	5 mM	0.6145 mL	3.0723 mL	6.1446 mL
	10 mM	0.3072 mL	1.5361 mL	3.0723 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 (4.39 mM); Clear solution

此方案可获得 ≥ 1.43 mg/mL (4.39 mM，饱和度未知) 的澄清溶液。

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% (20% SBE-β-CD in saline)

Solubility: ≥ 1.43 mg/mL (4.39 mM); Clear solution

此方案可获得 ≥ 1.43 mg/mL (4.39 mM，饱和度未知) 的澄清溶液。

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 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

References

[1]. Nilvebrant L. Tolterodine and its active 5-hydroxymethyl metabolite: pure muscarinic receptor

	antagonists. Pharmacol Toxicol. 2002 May;90(5):260-7. [2]. Andersson SH, et al. Biotransformation of tolterodine, a new muscarinic receptor antagonist, in mice, rats, and dogs. Drug Metab Dispos. 1998 Jun;26(6):528-35. [3]. Cappon GD, et al. Tolterodine does not affect memory assessed by passive-avoidance response test in mice. Eur J Pharmacol. 2008 Jan 28;579(1-3):225-8.