产品名称：5-hydroxymethyl Tolterodine (PNU 200577, 5-HMT, 5-HM)
产品别名：Desfesoterodine ；(R)-5-羟甲基托特罗定

生物活性：

Description	Desfesoterodine (PNU-200577; Desfesoterodine) is a potent and selective muscarinic receptor antagonist with a Kb and a pA2 of 0.84 nM and 9.14, respectively.
IC_{50} & Target	Kb: 0.84 nM (mAChR)[1].
In Vitro	Desfesoterodine (PNU-200577; Desfesoterodine) is a major pharmacologically active metabolite of tolterodine. In vitro, Desfesoterodine (PNU-200577; Desfesoterodine) prevented carbachol-induced contraction of guinea-pig isolated urinary bladder strips in a competitive and concentration-dependent manner. In vivo, Desfesoterodine (PNU-200577; Desfesoterodine) was significantly more potent at suppressing acetylcholine-induced urinary bladder contraction than electrically induced salivation in the anaesthetised cat (ID50=15 and 40 nmol/kg, respectively). In radioligand binding studies carried out in homogenates of guinea-pig tissues and Chinese hamster ovary cell lines expressing human muscarinic m1-m5 receptors, Desfesoterodine (PNU-200577; Desfesoterodine) was not selective for any muscarinic receptor subtype. Thus, Desfesoterodine (PNU-200577; Desfesoterodine) is similar to tolterodine in terms of antimuscarinic potency, functional selectivity for the urinary bladder in vivo and absence of selectivity for muscarinic receptor subtypes in vitro. The results of this study clearly indicate that (R)-5-Hydroxymethyl Tolterodine contributes to the therapeutic action of tolterodine, in view of its high antimuscarinic potency, similar serum concentration and lower degree of protein binding.
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 100 mg/mL (292.83 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9283 mL	14.6417 mL	29.2834 mL
	5 mM	0.5857 mL	2.9283 mL	5.8567 mL
	10 mM	0.2928 mL	1.4642 mL	2.9283 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 (7.32 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.32 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.32 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.32 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 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]. Nilvebrant L, Gillberg PG, Sparf B. Antimuscarinic potency and bladder selectivity of PNU-200577, a major metabolite of tolterodine. Pharmacol Toxicol. 1997 Oct;81(4):169-72. [2]. Fullhase, Claudius; Soler, Roberto; Gratzke, Christian et al. Spinal effects of the fesoterodine metabolite 5-hydroxymethyl tolterodine and/or doxazosin in rats with or without partial urethral obstruction. Journal of Urology (New York, NY, United States) (2010), 184(2), 783-789. [3]. Nilvebrant, Lisbeth Tolterodine and its active 5-hydroxymethyl metabolite: pure muscarinic receptor antagonists. Pharmacology & Toxicology (Oxford, United Kingdom) (2002), 90(5), 260-267. [4]. Yono, Makoto; Yoshida, Masaki; Wada, Yoshihiro et al. Pharmacological effects of tolterodine on human isolated urinary bladder. European Journal of Pharmacology (1999), 368(2/3), 223-230.