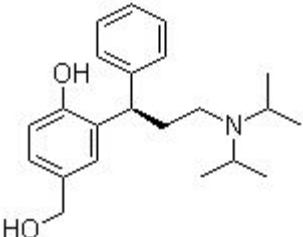


Product Introduction

5-hydroxymethyl Tolterodine (PNU 200577, 5-HMT, 5-HM)

5-hydroxymethyl tolterodine (PNU 200577) is a new muscarinic receptor antagonist with K_b of 0.84 nM.

Technical Data:

Molecular Weight (MW):	341.49	
Formula:	$C_{22}H_{31}NO_2$	
Solubility (25°C):	DMSO 68 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 68 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months-80°C in DMSO	
CAS No.:	207679-81-0	

Biological Activity

5-hydroxymethyl tolterodine is a major pharmacologically active metabolite of tolterodine. 5-hydroxymethyl tolterodine produces a competitive and concentration-dependent inhibition of carbachol-induced contraction of guinea-pig isolated urinary bladder strips. 5-hydroxymethyl tolterodine antagonizes muscarinic receptors with a pA_2 of 9.1. 5-hydroxymethyl tolterodine causes a concentration-dependent inhibition of $(-)^3$ H-QNB binding in homogenates of guinea-pig urinary bladder, parotid gland, heart and cerebral cortex. [1] 5-hydroxymethyl tolterodine has a similar pharmacological profile with tolterodine. [2] Intravenous infusion of 5-hydroxymethyl tolterodine produces a

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dose-dependent inhibition of the intravesical volume-induced urinary bladder contraction measured as the micturition pressure. [3]

5-hydroxymethyl tolterodine is significantly more potent at inhibiting acetylcholine-induced urinary bladder contraction than electrically induced salivation in the anaesthetised cat (ID50 15 and 40 nmol/kg, respectively. 5-hydroxymethyl tolterodine is three times more potent at the urinary bladder compared to the salivary gland. [1]

A competitive antagonist at the muscarinic receptors.

References

[1] Nilvebrant L, et al. *Pharmacol Toxicol*, 1997, 81(4), 169-172.

[2] Nilvebrant L, et al. *Life Sci*, 1997, 60(13-14), 1129-1136.

[3] Modiri AR, et al. *Urology*, 2002, 59(6), 963-968.



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