

# Desfesoterodine

Catalog No: tcsc0825



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

207679-81-0

**Formula:**

$C_{22}H_{31}NO_2$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

mAChR;mAChR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

PNU-200577;(R)-5-Hydroxymethyl Tolterodine

**Observed Molecular Weight:**

341.49

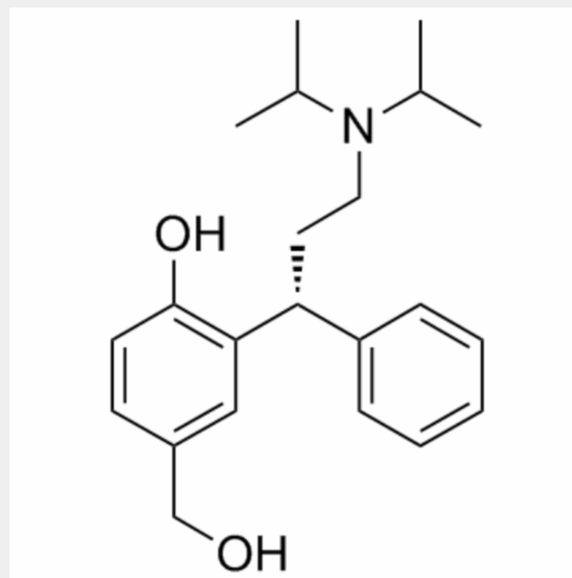
## Product Description

(R)-5-Hydroxymethyl Tolterodine (PNU-200577; Desfesoterodine) is a potent and selective muscarinic receptor antagonist with a  $K_b$  and a  $pA_2$  of 0.84 nM and 9.14, respectively.

IC<sub>50</sub> value: 0.84 nM (Kb)

Target: mAChR

(R)-5-Hydroxymethyl Tolterodine is a major pharmacologically active metabolite of tolterodine. In vitro, (R)-5-Hydroxymethyl Tolterodine prevented carbachol-induced contraction of guinea-pig isolated urinary bladder strips in a competitive and concentration-dependent manner. In vivo, (R)-5-Hydroxymethyl Tolterodine was significantly more potent at suppressing acetylcholine-induced urinary bladder contraction than electrically induced salivation in the anaesthetised cat (ID<sub>50</sub>=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 m<sub>1</sub>-m<sub>5</sub> receptors, (R)-5-Hydroxymethyl Tolterodine was not selective for any muscarinic receptor subtype. Thus, (R)-5-Hydroxymethyl Tolterodine 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.



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