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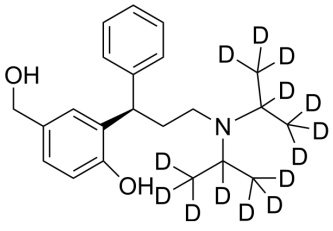
(R)-Hydroxytolterodine-d_{14}

Cat. No.:	HY-76569S1
CAS No.:	1191280-58-6
Molecular Formula:	$C_{22}H_{17}D_{14}NO_2$
Molecular Weight:	355.57
Target:	mAChR; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	(R)-Hydroxytolterodine-d_{14} is deuterated labeled Desfesoterodine (HY-76569). Desfesoterodine (PNU-200577) is a potent and selective muscarinic receptor (mAChR) antagonist with a K_B and a pA_2 of 0.84 nM and 9.14, respectively[1]. Desfesoterodine is a major pharmacologically active metabolite of Tolterodine (PNU-200583; HY-A0024) and Fesoterodine (HY-70053)[2][3]. Desfesoterodine improves cerebral infarction induced detrusor overactivity in rats[4].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. In vitro, Desfesoterodine preventes carbachol-induced contraction of guinea-pig isolated urinary bladder strips in a competitive and concentration-dependent manner[2]. In radioligand binding studies carries out in homogenates of guinea-pig tissues and Chinese hamster ovary cell lines expressing human muscarinic m1-m5 receptors, Desfesoterodine is not selective for any muscarinic receptor subtype[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Desfesoterodine (PNU-200577; 5-Hydroxymethyl Tolterodine; 0.1 and 1 mg/kg; IV) significantly increases bladder compliance after moderate and high doses[5]. In vivo, Desfesoterodine is 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) [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. B Malhotra, et al. The Design and Development of Fesoterodine as a Prodrug of 5-hydroxymethyl Tolterodine (5-HMT), the Active Metabolite of Tolterodine. Curr Med Chem. 2009;16(33):4481-9.

[4]. Naoki Aizawa, et al. Selective Inhibitory Effect of Imidafenacin and 5-hydroxymethyl Tolterodine on Capsaicin Sensitive C Fibers of the Primary Bladder Mechanosensitive Afferent Nerves in the Rat. J Urol. 2015 Apr;193(4):1423-32.

[5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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