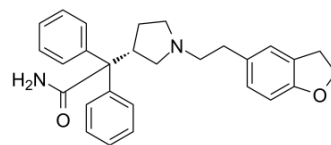


Darifenacin

Cat. No.:	HY-A0033		
CAS No.:	133099-04-4		
Molecular Formula:	C ₂₈ H ₃₀ N ₂ O ₂		
Molecular Weight:	426.55		
Target:	mAChR		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description

Darifenacin(UK88525) is a selective M3 muscarinic receptor antagonist with pKi of 8.9. IC50 value: 8.9 (pKi) [1] Target: M3 receptor in vitro: Darifenacin exerts non-parallel rightward displacement of the agonist curve and also significant depression of the maximum response (+)-cis-Dioxolane produced concentration-dependent contraction of the isolated bladder of rat [1]. Darifenacin produces a concentration dependent increase in R123 (P-gp probe) accumulation in MDCK cells. Darifenacin stimulates ATPase activity in P-gp membrane in a clear concentration dependent response manner with an estimated ED50 value of 1.6 μM. Darifenacin (100 nM) shows a significantly greater permeability for darifenacin in the basolateral to apical direction resulting in an efflux ratio in BBMEC monolayers of approximately 2.6 [2]. in vivo: Darifenacin produces dose-dependent inhibition of amplitude of volume-induced bladder contractions(VIBCAMP), producing 35% inhibition at dose of 283.3 nmol/kg and maximal inhibition of approximately 50–55% [1]. Darifenacin (0.1 mg/kg i.v.) reduces bladder afferent activity in both Aδ and C fibers in female Sprague-Dawley rats, the decrease in afferent spikes in C fibers may be more pronounced than that in Aδ fibers [3].

CUSTOMER VALIDATION

- Br J Pharmacol. 2015 Dec;172(23):5619-33.
- Sci Rep. 2017 Jan 19;7:40802.
- Eur J Pharmacol. 2011 Aug 1;663(1-3):74-9.
- ACS Omega. 2020 Oct 12;5(41):26551-26561.
- Oncotarget. 2016 Apr 5;7(14):18085-94.

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- [1]. Hegde SS, et al. Functional role of M2 and M3 muscarinic receptors in the urinary bladder of rats in vitro and in vivo. Br J Pharmacol, 1997, 120(8), 1409-1418.
- [2]. Miller DW, et al. Evaluation of drug efflux transporter liabilities of darifenacin in cell culture models of the blood-brain and blood-ocular barriers. NeuroUrol Urodyn,

2011, 30(8), 1633-1638.

[3]. Iijima K, et al. Effects of the M3 receptor selective muscarinic antagonist darifenacin on bladder afferent activity of the rat pelvic nerve. *Eur Urol*, 2007, 52(3), 842-847.

[4]. Lu XZ, et al. Activation of M3 cholinceptors attenuates vascular injury after ischaemia/reperfusion by inhibiting the Ca²⁺/calmodulin-dependent protein kinase II pathway. *Br J Pharmacol*. 2015 Dec;172(23):5619-33.

[5]. Yu H, et al. Acetylcholine acts through M3 muscarinic receptor to activate the EGFR signaling and promotes gastric cancer cell proliferation. *Sci Rep*. 2017 Jan 19;7:40802.

Caution: Product has not been fully validated for medical applications. For research use only.

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