Darifenacin

Cat. No.: HY-A0033

CAS No.: 133099-04-4

Molecular Formula: $C_{28}H_{30}N_2O_2$

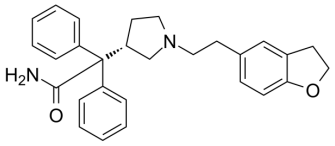
Molecular Weight: 426.55

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (234.44 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3444 mL	11.7220 mL	23.4439 mL
	5 mM	0.4689 mL	2.3444 mL	4.6888 mL
	10 mM	0.2344 mL	1.1722 mL	2.3444 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.86 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.86 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.86 mM); Clear solution; Need ultrasonic

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

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REFERENCES

[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 cholinoceptors 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.

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