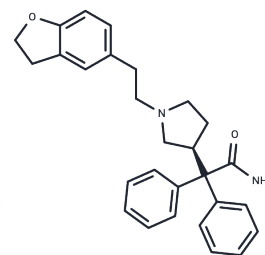


Darifenacin

Chemical Properties

CAS No. :	133099-04-4
Formula:	C ₂₈ H ₃₀ N ₂ O ₂
Molecular Weight:	426.55
Storage:	Store at low temperature, Keep away from direct sunlight
	Store at -20°C

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Darifenacin (UK-88525) is a muscarinic receptor M3 antagonist with anticonvulsant activity and can be used to study urinary incontinence and overactive bladder.
Targets(IC50)	Akt,AChR,p38 MAPK
In vitro	Darifenacin increased the accumulation of R123 (P-gp probe) in a concentration-dependent manner in MDCK cells. [1] Darifenacin stimulated ATPase activity in P-gp membranes in a concentration-dependent manner with an estimated ED50 = 1.6 μM. [1] 100 nM Darifenacin showed higher permeability in the basolateral to apical direction, leading to an exocytosis ratio of ~2.6 in BBMEC monolayer cells. [1]
In vivo	Darifenacin dose-dependently inhibited volume-induced bladder contraction amplitude (VIBCAMP), with 283.3 nmol/kg Darifenacin producing a 35% inhibition, with a maximum inhibition of approximately 50-55%. [2] Intravenous injection of 0.1 mg/kg Darifenacin in female Sprague-Dawley rats reduced bladder afferent activity in Aδ and C fibers, where the reduction in afferent spikes may be more pronounced in C fibers than in Aδ fibers. [3]

Solubility Information

Solubility	DMSO: 80 mg/mL (187.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.74 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3444 mL	11.722 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
50 mM	0.0469 mL	0.2344 mL	0.4689 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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 Apr;120(8):1409-18.

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 Nov;30(8):1633-8.

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