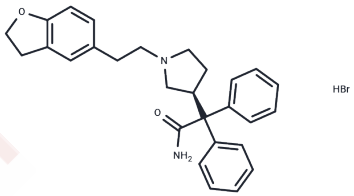
Data Sheet (Cat.No.T1534)

TargetMol

Darifenacin hydrobromide

Chemical Properties



CAS No. : 133099-07-7

Formula: C28H31BrN2O2

Molecular Weight: 505.45

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Darifenacin hydrobromide (UK-88525) is a selective muscarinic receptor antagonist used to treat urinary incontinence and overactive bladder syndrome.
Targets(IC50)	Akt,AChR,p38 MAPK
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] Darifenacin (7.5 mg and 15 mg, daily) reduces the number of incontinence episodes per week from baseline by 67.7% and 72.8% respectively compared with 55.9% with placebo in patients with overactive bladder (OAB). Darifenacin (7.5 mg and 15 mg, daily) also shows significantly superior to placebo for improvements in micturition frequency, bladder capacity, frequency of urgency, severity of urgency and number of incontinence episodes leading to a change in clothing or pads in patients with overactive bladder (OAB). [4]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 71.43 mg/mL (141.32 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Saline: 7.14 mg/mL (14.13 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.53 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9784 mL	9.8922 mL	19.7844 mL
5 mM	0.3957 mL	1.9784 mL	3.9569 mL
10 mM	0.1978 mL	0.9892 mL	1.9784 mL
50 mM	0.0396 mL	0.1978 mL	0.3957 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

Hegde SS, et al. Br J Pharmacol, 1997, 120(8), 1409-1418.
Miller DW, et al. Neurourol Urodyn, 2011, 30(8), 1633-1638.
Iijima K, et al. Eur Urol, 2007, 52(3), 842-847.
Haab F, et al. Eur Urol, 2004, 45(4), 420-429.