Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Darifenacin

Catalog No: tcsc1168

Available Sizes

Size: 10mg

Size: 100mg

Specifications

CAS No:
133099-04-4

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

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
mAChR;mAChR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
UK-88525

Observed Molecular Weight:
426.55

Product Description

Darifenacin(UK88525) is a selective M3 muscarinic receptor antagonist with pKi of 8.9.

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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].