

Tarafenacin

Catalog No: tcsc2114



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

385367-47-5

Formula:

$C_{21}H_{20}F_4N_2O_2$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

mAChR;mAChR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

SVT-40776

Observed Molecular Weight:

408.39

Product Description

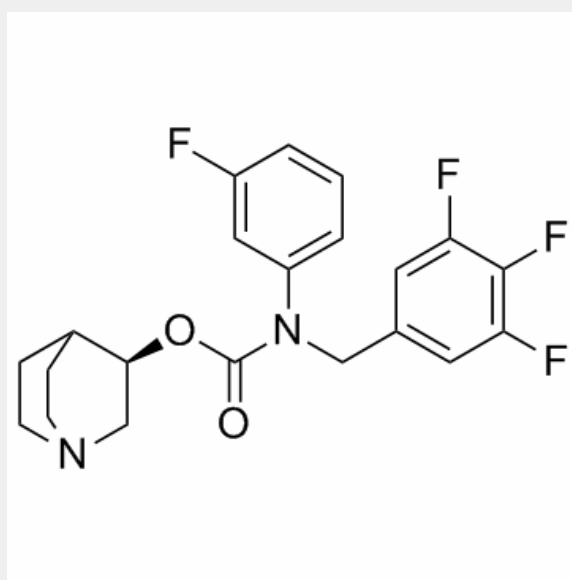
Tarafenacin(SVT-40776) is a highly selective M3 muscarinic receptor antagonist ($K_i = 0.19$ nM), ~200 fold selectivity over M2 receptor.

IC50 value: 0.19 nM (K_i) [1]

Target: M3 muscarinic receptor

in vitro: SVT-40776 is highly selective for M(3) over M(2) receptors ($K_i = 0.19$ nmol.L⁻¹) for M(3) receptor affinity). SVT-40776 was the most potent in inhibiting carbachol-induced bladder contractions of the anti-cholinergic agents tested, without affecting atrial contractions over the same range of concentrations. SVT-40776 exhibited the highest urinary versus cardiac selectivity (199-fold) [1]. SVT-40776 has a much higher binding affinity ($K(d) = 0.4$ nM) to M5 mAChR than that of solifenacin ($K(d) = 31$ nM) with the same receptor. The calculated binding free energy change (-2.3 ± 0.3 kcal/mol) from solifenacin to SVT-40776 is in good agreement with the experimentally derived binding free energy change (-2.58 kcal/mol), suggesting that our modeled M5 mAChR structure and its complexes with the antagonists are reliable [2].

in vivo: In the guinea pig in vivo model, SVT-40776 inhibited 25% of spontaneous bladder contractions at a very low dose (6.97 microg.kg⁻¹ i.v), without affecting arterial blood pressure [1].



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