

Batefenterol

Catalog No: tcsc6282



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

743461-65-6

Formula:

$C_{40}H_{42}ClN_5O_7$

Pathway:

Neuronal Signaling;GPCR/G Protein;GPCR/G Protein

Target:

mAChR;mAChR;Adrenergic Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

GSK961081;TD-5959

Observed Molecular Weight:

740.24

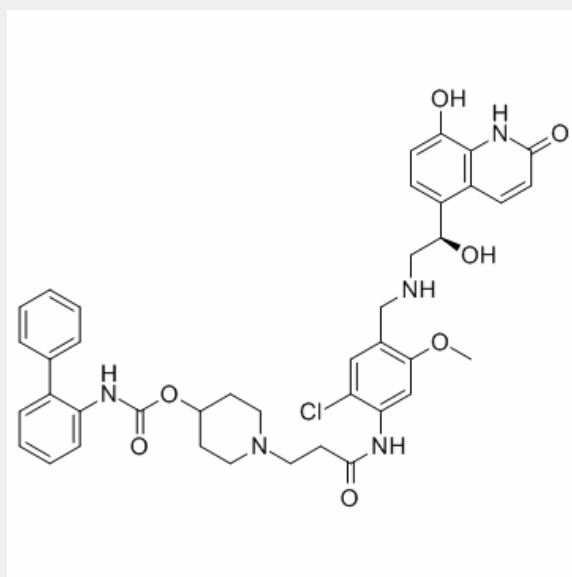
Product Description

Batefenterol (GSK961081;TD-5959) is a novel **muscarinic** receptor antagonist and **β_2 -adrenoceptor** agonist; displays high affinity for hM2, hM3 muscarinic and h β_2 -adrenoceptor with K_i values of 1.4, 1.3 and 3.7 nM, respectively.

IC50 & Target: K_i : 1.4 nM (hM2), 1.3 nM (hM3), 3.7 (β_2)^[1]

In Vitro: Batefenterol is a novel first-in-class inhaled bifunctional compound possessing both muscarinic antagonist (MA) and β_2 -adrenoceptor agonist (BA) properties (MABA). In competition radioligand binding studies at human recombinant receptors, batefenterol displays high affinity for hM2 (K_i =1.4 nM), hM3 muscarinic receptors (K_i =1.3 nM) and h β_2 -adrenoceptors (K_i =3.7 nM). Batefenterol behaves as a potent h β_2 -adrenoceptor agonist (EC_{50} =0.29 nM for stimulation of cAMP levels) with 440- and 320-fold functional selectivity over h β_1 - and h β_3 -adrenoceptors, respectively^[1].

In Vivo: In the guinea pig bronchoprotection assay, inhaled Batefenterol produces potent, dose-dependent inhibition of bronchoconstrictor responses via MA (ED_{50} =33.9 μ g/mL), BA (ED_{50} =14.1 μ g/mL), and MABA (ED_{50} =6.4 μ g/mL) mechanisms. Significant bronchoprotective effects of Batefenterol are evident in guinea pigs via MA, BA, and MABA mechanisms for up to 7 days after dosing^[1]. In guinea pig isolated trachea expressing native muscarinic M3 and β_2 , batefenterol produces smooth muscle relaxation through a dual mechanism involving competitive antagonism of the M3 receptor (EC_{50} =50 nM) and agonism of the β_2 receptor (EC_{50} =25 nM). The combined effect on both muscarinic receptors and β_2 receptors is more potent than either function working alone (EC_{50} =10 nM). Batefenterol exhibits a rapid rate of clearance and short half-life^[2].



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