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

Umeclidinium (bromide)

Catalog No: tcsc0874

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
869113-09-7

Formula:
$C_{29}H_{34}BrNO_2$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
mAChR;mAChR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 34 mg/mL (66.86 mM)

Alternative Names:
GSK573719A

Observed Molecular Weight:
508.49

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Product Description

Umeclidinium bromide is a novel **mAChR** antagonist. The affinity ($\mathbf{K_i}$) of Umeclidinium bromide for the cloned human M1-M5 mAChRs ranges from 0.05 to 0.16 nM.

IC50 & Target: Ki: 0.16 nM (M1 mAChR), 0.15 nM (M2 mAChR), 0.06 nM (M3 mAChR), 0.05 nM (M4 mAChR), 0.13 nM (M4 mAChR)[1]

In Vitro: In human embryonic kidney 293 cells, Umeclidinium bromide (GSK573719A) inhibits the human ether-a-go-go-related gene channel tail current in a concentration-dependent manner (IC_{50}=9.4 μM)[1]. Umeclidinium bromide, previously known as GSK573719, is a novel high-affinity specific mAChR antagonist. It is a potent agent that demonstrates slow functional reversibility at cloned human M_3 mAChRs and at endogenous mAChR in isolated human bronchus[2].

In Vivo: When Umeclidinium bromide (GSK573719A) is given once daily to mice for 5 consecutive days (0.025 μg intranasally), the level of inhibition on the fifth day is modestly increased above that obtained after a single administration to the same mice (60 versus 35%, respectively). After the fifth day of dosing, the mice are rested for 5 additional days, allowing bronchomotor tone to return to baseline levels. On the sixth day, the mice receive one last dose of antagonist and are once again challenged with Mch. The level of inhibition is essentially the same as that found on the first day of testing, indicating that tolerance is not evident with repeated intranasal delivery of Umeclidinium bromide. By contrast, when Umeclidinium bromide is given orally (2.0 mg/kg) to mice at a dose 100 times the ED_{50} value (intranasal), there is no observable protection against an Mch challenge[1].