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

PPQ-102

Catalog No: tcsc2863

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
931706-15-9

Formula:
$C_{26}H_{22}N_4O_3$

Pathway:
Membrane Transporter/Ion Channel

Target:
CFTR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 52 mg/mL (118.59 mM)

Alternative Names:
CFTR Inhibitor

Observed Molecular Weight:
438.48

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Product Description

PPQ-102 is a potent CFTR inhibitor which can completely inhibited CFTR chloride current with IC50 of ~90 nM.

IC50 value: 90 nM [1]

Target: CFTR

in vitro: The most potent compound, 7,9-dimethyl-11-phenyl-6-(5-methylfuran-2-yl)-5,6-dihydro-pyrimido[4\',5\'-3,4]pyrrolo[1,2-a]quinoxaline-8,10-(7H,9H)-dione, PPQ-102, completely inhibited CFTR chloride current with IC(50) approximately 90 nM. The PPQs, unlike prior CFTR inhibitors, are uncharged at physiological pH, and therefore not subject to membrane potential-dependent cellular partitioning or block efficiency. Patch-clamp analysis confirmed voltage-independent CFTR inhibition by PPQ-102 and showed stabilization of the channel closed state [1]. The three gpSlc26 anion transporters exhibited distinct pharmacological profiles of (36)Cl(-) influx, including partial sensitivity to CFTR inhibitors Inh-172 and GlyH101, but only Slc26a11 was inhibited by PPQ-102 [2]. Airway epithelial NCI-H292 cells and primary cultures of noncystic fibrosis human airway epithelial cells were treated with cystic fibrosis transmembrane conductance regulator (CFTR) inhibitors (CFTR-inh(172) or PPQ-102) or transfected with a CFTR small interfering (si)RNA with or without a selective epidermal growth factor receptor tyrosine kinase inhibitor [3].

in vivo: PPQ-102 prevented cyst expansion and reduced the size of preformed cysts in a neonatal kidney organ culture model of polycystic kidney disease. PPQ-102 is the most potent CFTR inhibitor identified to date [1].