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

Ivacaftor

Catalog No: tcsc0497

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
873054-44-5

Formula:
$C_{24}H_{28}N_2O_3$

Pathway:
Membrane Transporter/Ion Channel

Target:
CFTR

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
VX-770

Observed Molecular Weight:
392.49

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Product Description

Ivacaftor is a potent and orally bioavailable **CFTR** potentiator, targeting G551D-CFTR and F508del-CFTR with **EC_{50}**s of 100 nM and 25 nM, respectively.

IC50 & Target: EC50: 100 nM (G551D-CFTR), 25 nM (F508del-CFTR)[1]

In Vitro: Ivacaftor (10 μM) increases the PC secretion activity by 3-fold for ABCB4-G535D, 13.7-fold for ABCB4-G536R, 6.7-fold for ABCB4-S1076C, 9.4-fold for ABCB4-S1176L, and 5.7-fold for ABCB4-G1178S. Ivacaftor corrects the functional defect of ABCB4 mutants[1]. Ivacaftor (10 μM) significantly increases CFTR activity in W1282X-expressing cells compared to R1162X CFTR cells[2]. Ivacaftor shows no significant activity against 160 targets tested including the $GABA_A$ benzodiazepine receptor. Ivacaftor increases the chloride secretion with an EC_{50} of 0.236 ± 0.200 μM, a 10-fold shift in potency compared to the F508del HBEs[3]. In recombinant cells, VX-770 increases CFTR channel open probability (Po) in both the F508del processing mutation and the G551D gating mutation. VX-770 increases forskolin-stimulated I_T in temperature-corrected F508del-FRT cells by appr 6-fold with an EC_{50} of 25 nM[4].

In Vivo: Ivacaftor (1-200 mg/kg, p.o.) exhibits good oral bioavailability in rat[3].