

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

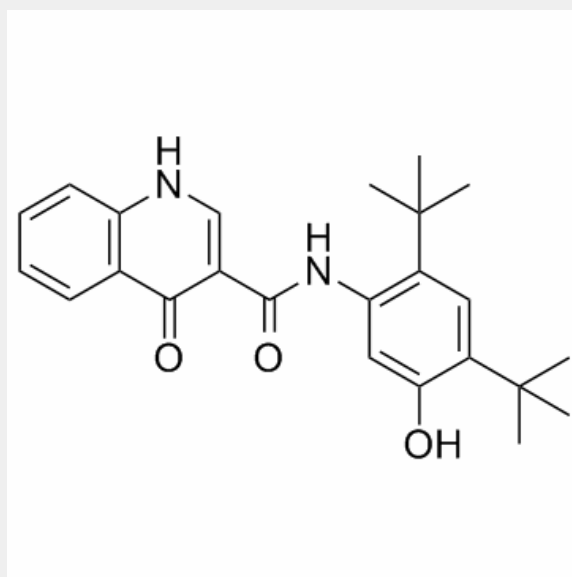
Product Description

Ivacaftor is a potent and orally bioavailable **CFTR** potentiator, targeting G551D-CFTR and F508del-CFTR with **EC₅₀**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 EC₅₀ 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 EC₅₀ of 25 nM^[4].

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



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!