

# 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:**

H<sub>2</sub>O :

**Alternative Names:**

VX-770

**Observed Molecular Weight:**

392.49

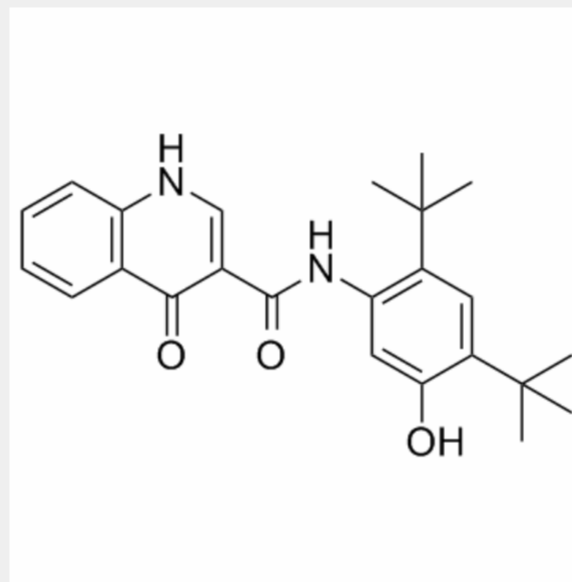
## Product Description

Ivacaftor is a potent and orally bioavailable **CFTR** potentiator, targeting G551D-CFTR and F508del-CFTR with **EC<sub>50</sub>**s of 100 nM and 25 nM, respectively.

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

**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<sup>[1]</sup>. Ivacaftor (10 μM) significantly increases CFTR activity in W1282X-expressing cells compared to R1162X CFTR cells<sup>[2]</sup>. Ivacaftor shows no significant activity against 160 targets tested including the GABA<sub>A</sub> benzodiazepine receptor. Ivacaftor increases the chloride secretion with an EC<sub>50</sub> of 0.236 ± 0.200 μM, a 10-fold shift in potency compared to the F508del HBEs<sup>[3]</sup>. 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<sub>T</sub> in temperature-corrected F508del-FRT cells by appr 6-fold with an EC<sub>50</sub> of 25 nM<sup>[4]</sup>.

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



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