

## Ivacaftor (hydrate)

### **Catalog No: tcsc1596**

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

**Size:** 100mg

**Specifications** 

CAS No:

1134822-07-3

Formula:

 $C_{24}H_{30}N_2O_4$ 

**Pathway:** Membrane Transporter/Ion Channel

#### **Target:**

CFTR

#### Purity / Grade:

>98%

#### **Solubility:** 10 mM in DMSO

#### **Alternative Names:**

VX-770 hydrate

# **Observed Molecular Weight:** 410.51

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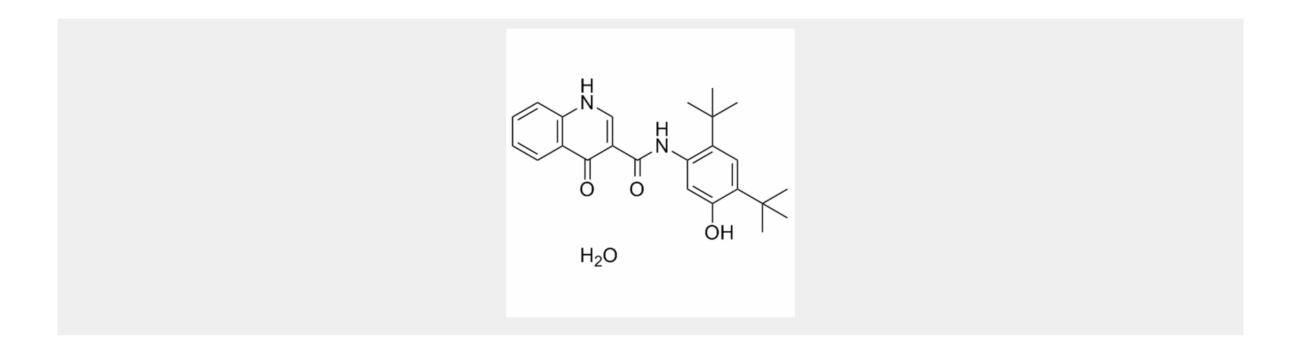


#### **Product Description**

Ivacaftor hydrate is an orally bioavailable **CFTR** potentiator, used for cystic fibrosis treatment.

*In Vitro:* Ivacaftor (10  $\mu$ M) 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  $\mu$ M) 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  $\mu$ M, 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>.



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