Ivacaftor hydrate

Cat. No.: HY-13017B
CAS No.: 1134822-07-3
Molecular Formula: C₂₄H₃₀N₂O₄
Molecular Weight: 410.51
Target: CFTR; Autophagy
Pathway: Membrane Transporter/Ion Channel; Autophagy
Storage: Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description
Ivacaftor hydrate (VX-770 hydrate) is an orally bioavailable CFTR potentiator, used for cystic fibrosis treatment.

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 GABA₄ 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 I₇ in temperature-corrected F508del-FRT cells by appr 6-fold with an EC₅₀ of 25 nM[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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CUSTOMER VALIDATION

- J Cell Sci. 2022 Jan 21;jcs.259002.

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REFERENCES

