PRODUCT INFORMATION



Ivacaftor

Item No. 15145

CAS Registry No.: 873054-44-5

Formal Name: N-[2,4-bis(1,1-dimethylethyl)-5-

hydroxyphenyl]-1,4-dihydro-4-

oxo-3-quinolinecarboxamide

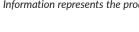
Synonym: VX 770 MF: $C_{24}H_{28}N_2O_3$ FW: 392.5 **Purity:**

UV/Vis.: λ_{max} : 213, 247, 254, 310 nm

Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Ivacaftor is supplied as a crystalline solid. A stock solution may be made by dissolving the ivacaftor in the solvent of choice. Ivacaftor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ivacaftor in ethanol is approximately 0.1 mg/ml and approximately 25 mg/ml in DMSO and DMF.

Description

Ivacaftor is an orally bioavailable potentiator of the cystic fibrosis transmembrane conductance regulator (CFTR) that improves chloride transport. It increases the forskolin-induced CFTR-mediated epithelial current in cells expressing the G551D missense mutation associated with severe cystic fibrosis by approximately 4-fold (EC₅₀ = 100 nM) but has no effect on current in the absence of forskolin. ¹ Ivacaftor increases chloride secretion in cultured human cystic fibrosis bronchial epithelial cells carrying the G551D mutation on one allele and the common Δ F508 processing mutation on the other allele. It binds CFTR directly and leads to CFTR channel opening via an ATP-independent mechanism.² Formulations containing ivacaftor have been used in the treatment of cystic fibrosis in patients carrying one or more mutations in the CFTR gene.

References

- 1. Van Goor, F., Hadida, S., Grootenhuis, P.D., et al. Rescue of CF airway epithelial cell function in vitro by a CFTR potentiator, VX-770. Proc. Natl. Acad. Sci. U.S.A. 106(44), 18825-18830 (2009).
- 2. Eckford, P.D., Li, C., Ramjeesingh, M., et al. Cystic fibrosis transmembrane conductance regulator (CFTR) potentiator VX-770 (ivacaftor) opens the defective channel gate of mutant CFTR in a phosphorylationdependent but ATP-independent manner. J. Biol. Chem. 287(44), 36639-36649 (2012).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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