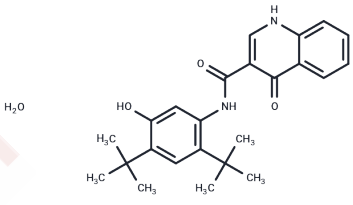
Data Sheet (Cat.No.T13742L)

TargetMol

Ivacaftor hydrate

Chemical Properties



CAS No. : 1134822-07-3

Formula: C24H30N2O4

Molecular Weight: 410.514

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ivacaftor hydrate is an orally bioavailable CFTR potentiator. It also is used for cystic fibrosis treatment.
Targets(IC50)	Others,CFTR,Autophagy
In vitro	Ivacaftor displays no significant activity against 160 targets tested including the GABAA benzodiazepine receptor. Ivacaftor enhances the chloride secretion (EC50 of 0.236 ± 0.200 μM). Compared to the F508del HBEs, it has a 10-fold shift in potency [3]. Ivacaftor (10?μM) enhances 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) obviously increases CFTR activity in W1282X-expressing cells compared to R1162X CFTR cells[2]. VX-770 increases CFTR channel open probability (Po) in both the F508del processing mutation and the G551D gating mutation, in recombinant cells. VX-770 increases forskolin-stimulated IT in temperature-corrected F508del-FRT cells by appr 6-fold with an EC50 of 25 nM[4].
In vivo	In rat, Ivacaftor (1-200 mg/kg, p.o.) shows good oral bioavailability[3].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.436 mL	12.180 mL	24.3599 mL
5 mM	0.4872 mL	2.436 mL	4.872 mL
10 mM	0.2436 mL	1.218 mL	2.436 mL
50 mM	0.0487 mL	0.2436 mL	0.4872 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Delaunay JL, et al. Functional defect of variants in the adenosine triphosphate-binding sites of ABCB4 and their rescue by the cystic fibrosis transmembrane conductance regulator potentiator, ivacaftor (VX-770). Hepatology. 2017 Feb;65(2):560-570

Mutyam V, et al. Therapeutic benefit observed with the CFTR potentiator, ivacaftor, in a CF patient homozygous for the W1282X CFTR nonsense mutation. J Cyst Fibros. 2017 Jan;16(1):24-29

Hadida S, et al. Discovery of N-(2,4-di-tert-butyl-5-hydroxyphenyl)-4-oxo-1,4-dihydroquinoline-3-carboxamide (VX-770, ivacaftor), a potent and orally bioavailable CFTR potentiator. J Med Chem. 2014 Dec 11;57(23):9776-9

Van Goor F, et al. Rescue of CF airway epithelial cell function in vitro by a CFTR potentiator, VX-770. Proc Natl Acad Sci U S A. 2009 Nov 3;106(44):18825-30.

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