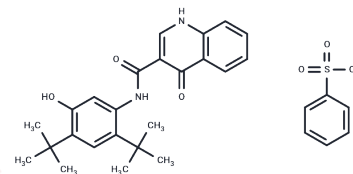


Ivacaftor benzenesulfonate

Chemical Properties

CAS No. : 1134822-09-5
 Formula: C₃₀H₃₄N₂O₆S
 Molecular Weight: 550.67
 Appearance: no data available
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Ivacaftor benzenesulfonate used for cystic fibrosis treatment. is an orally bioavailable CFTR potentiator.
Targets(IC50)	Others
In vitro	Ivacaftor, at a concentration of 10 μ M, significantly enhances CFTR activity in cells expressing the W1282X mutation, showing superior efficacy compared to cells with the R1162X mutation. It exhibits negligible activity towards 160 other targets, including the GABAA benzodiazepine receptor. Additionally, ivacaftor notably enhances chloride secretion, demonstrating a 10-fold increase in potency with an EC ₅₀ of $0.236 \pm 0.200 \mu$ M compared to F508del HBEs. In recombinant cells, VX-770 (ivacaftor) markedly improves the CFTR channel's open probability (Po) in the presence of both F508del processing and G551D gating mutations, and amplifies forskolin-stimulated IT in temperature-corrected F508del-FRT cells approximately 6-fold at an EC ₅₀ of 25 nM. Ivacaftor triples PC secretion activity for the ABCB4-G535D mutation and significantly amplifies it for ABCB4-G536R (13.7-fold), ABCB4-S1076C (6.7-fold), ABCB4-S1176L (9.4-fold), and ABCB4-G1178S (5.7-fold), effectively correcting the functional defects of these ABCB4 mutations.
In vivo	Ivacaftor (1-200 mg/kg, p.o.) exhibits good oral bioavailability in rat.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.816 mL	9.0798 mL	18.1597 mL
5 mM	0.3632 mL	1.816 mL	3.6319 mL
10 mM	0.1816 mL	0.908 mL	1.816 mL
50 mM	0.0363 mL	0.1816 mL	0.3632 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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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