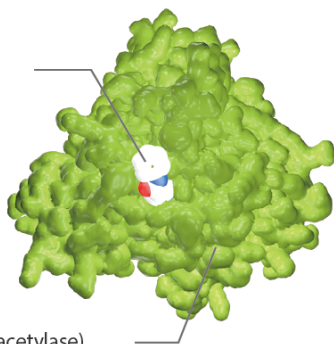


CFTR

Cystic fibrosis transmembrane conductance regulator

HDAC Inhibitor:
Vorinostat (SAHA)



HDAC (Histone deacetylase)

CFTR (Cystic fibrosis transmembrane conductance regulator) is a membrane protein in vertebrates that is encoded by the CFTR gene. CFTR is an ABC transporter-class ion channel that conducts chloride and thiocyanate ions across epithelial cell membranes. Mutations of the CFTR gene affecting chloride ion channel function lead to dysregulation of epithelial fluid transport in the lung, pancreas and other organs, resulting in cystic fibrosis. Complications include thickened mucus in the lungs with frequent respiratory infections, and pancreatic insufficiency giving rise to malnutrition and diabetes. These conditions lead to chronic disability and reduced life expectancy. CFTR functions as a cAMP-activated ATP-gated anion channel, increasing the conductance for certain anions to flow down their electrochemical gradient. ATP-driven conformational changes in CFTR open and close a gate to allow transmembrane flow of anions down their electrochemical gradient. CFTR is an ion channel that evolved as a 'broken' ABC transporter that leaks when in open conformation.

CFTR Inhibitors & Modulators

<p>(R)-BPO-27</p> <p style="text-align: right;">Cat. No.: HY-19778</p> <p>Bioactivity: (R)-BPO-27 is a potent CFTR inhibitor with an IC₅₀ of 4 nM.</p> <p>Purity: 99.56%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Ataluren (PTC124)</p> <p style="text-align: right;">Cat. No.: HY-14832</p> <p>Bioactivity: Ataluren (PTC124) is an orally available CFTR-G542X nonsense allele inhibitor.</p> <p>Purity: 99.88%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</p> 
<p>BPO-27 racemate</p> <p style="text-align: right;">Cat. No.: HY-19778A</p> <p>Bioactivity: BPO-27 racemate is a potent CFTR inhibitor with an IC₅₀ of 8 nM.</p> <p>Purity: 98.67%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>CFTR corrector 1</p> <p style="text-align: right;">Cat. No.: HY-111772</p> <p>Bioactivity: CFTR corrector 1 (compound 1) is a modulator of cystic fibrosis transmembrane conductance regulator (CFTR). CFTR corrector 1 (compound 1) facilitates the processing and trafficking of CFTR to increase the amount of CFTR at the cell surface [1].</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size:</p> 
<p>CFTR corrector 2</p> <p style="text-align: right;">Cat. No.: HY-125381</p> <p>Bioactivity: CFTR corrector 2 is a cystic fibrosis transmembrane conductance corrector (CFTR), extracted from patent US20140274933 [1].</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>CFTR(inh)-172</p> <p style="text-align: right;">Cat. No.: HY-16671</p> <p>Bioactivity: CFTR(inh)-172 is a potent and selective blocker of the CFTR chloride channel; reversibly inhibits CFTR short-circuit current in less than 2 minutes with a K_i of 300 nM.</p> <p>Purity: 98.82%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 
<p>GLPG1837 (ABBV-974)</p> <p style="text-align: right;">Cat. No.: HY-111099</p> <p>Bioactivity: GLPG1837 is a potent and reversible CFTR potentiator, with EC₅₀s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.</p> <p>Purity: 99.15%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>GLPG2451</p> <p style="text-align: right;">Cat. No.: HY-119936</p> <p>Bioactivity: GLPG2451 is a cystic fibrosis transmembrane conductance regulator (CFTR) potentiator, which effectively potentiates low temperature rescued F508del CFTR with an EC₅₀ of 11.1 nM [1].</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 100 mg, 500 mg, 250 mg</p> 
<p>GlyH-101</p> <p style="text-align: right;">Cat. No.: HY-18336</p> <p>Bioactivity: GlyH-101 is a cell-permeable glycinyl hydrazone compound that blocks CFTR with K_i of 1.4 μM.</p> <p>Purity: 99.35%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>IOWH-032</p> <p style="text-align: right;">Cat. No.: HY-18337</p> <p>Bioactivity: IOWH-032 is a novel and potent CFTR inhibitor (IC₅₀=1.01 μM) in T84 and CHO-CFTR cell based assays. IC₅₀ value: 1.01 μM (CHO-CFTR FLIPR) [1] Target: CFTR Profiling of iOWH032 showed it to be a CFTR inhibitor in T84 and CHO-CFTR cell based assays. It also demonstrated statistical significant...</p> <p>Purity: 99.66%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg</p> 

<p>Ivacaftor (VX-770) Cat. No.: HY-13017</p>	<p>Ivacaftor benzenesulfonate (VX-770 benzenesulfonate) Cat. No.: HY-13017A</p>
<p>Bioactivity: Ivacaftor is a potent and orally bioavailable CFTR potentiator, targeting G551D-CFTR and F508del-CFTR with EC₅₀s of 100 nM and 25 nM, respectively.</p> <p>Purity: 99.93%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: Ivacaftor benzenesulfonate is an orally bioavailable CFTR potentiator, used for cystic fibrosis treatment.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>Ivacaftor hydrate (VX-770 hydrate) Cat. No.: HY-13017B</p>	<p>KM11060 Cat. No.: HY-19970</p>
<p>Bioactivity: Ivacaftor hydrate is an orally bioavailable CFTR potentiator, used for cystic fibrosis treatment.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: KM11060 is a novel corrector of the F508del-CFTR trafficking defect.</p> <p>Purity: 99.80%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</p> 
<p>Lumacaftor (VX-809; VRT 826809) Cat. No.: HY-13262</p>	<p>PPQ-102 (CFTR Inhibitor) Cat. No.: HY-14179</p>
<p>Bioactivity: Lumacaftor (VX-809) is a CFTR modulator that corrects the folding and trafficking of CFTR protein.</p> <p>Purity: 99.12%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: PPQ-102 is a potent CFTR inhibitor which can completely inhibited CFTR chloride current with IC₅₀ approximately 90 nM.</p> <p>Purity: 98.19%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>PTI-428 Cat. No.: HY-111680</p>	<p>Tezacaftor (VX-661) Cat. No.: HY-15448</p>
<p>Bioactivity: PTI-428 is a specific cystic fibrosis transmembrane conductance regulator (CFTR) amplifier ^[1].</p> <p>Purity: 99.77%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: Tezacaftor (VX-661) is a second F508del CFTR corrector and help CFTR protein reach the cell surface.</p> <p>Purity: 99.92%</p> <p>Clinical Data: Phase 3</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 