

CFTR

Cystic fibrosis transmembrane conductance regulator

CFTR (Cystic fibrosis transmembrane conductance regulator), mutations of which cause cystic fibrosis, belongs to the ATP-binding cassette (ABC) transporter family and works as a channel for small anions, such as chloride and bicarbonate. CFTR is composed of two homologous halves, each comprising a transmembrane (TMD) and a nucleotide binding domain (NBD). CFTR activity is regulated by phosphorylation of its cytosolic regulatory (R) domain, and ATP binding and hydrolysis at two NBDs.

CFTR is expressed in many cell types throughout the body, but in the airways it is found mainly in secretory serous cells of the submucosal glands. Transitions between open and closed states of CFTR are regulated by ATP binding and hydrolysis on the cytosolic nucleotide binding domains, which are coupled with the transmembrane (TM) domains forming the pathway for anion permeation. CFTR function is normally tightly controlled as dysregulation can lead to life-threatening diseases such as secretory diarrhoea and cystic fibrosis.

CFTR Inhibitors, Agonists, Antagonists, Activators & Modulators

(R)-BPO-27

Cat. No.: HY-19778

(R)-BPO-27, the R enantiomer of BPO-27, is a potent, orally active and ATP-competitive CFTR inhibitor with an IC₅₀ of 4 nM.

Purity: 99.86%

Aloisine A

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

(R)-Posenacaftor sodium

((R)-PTI-801 sodium)

(R)-Posenacaftor (R)-PTI-801) sodium is the R enantiomer of Posenacaftor, Posenacaftor is a cystic fibrosis transmembrane regulator (CFTR) protein modulator that corrects the folding and trafficking of CFTR protein.

Cat. No.: HY-109187B

>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

(RP107) Cat. No.: HY-112363

Aloisine A (RP107) is a a potent cyclin-dependent kinase (CDK) inhibitor with IC_{50} s of 0.15 μ M, 0.12 μM, 0.4 μM, 0.16 μM for CDK1/cyclin B, CDK2/cyclin A, CDK2/cyclin E, CDK5/p35, respectively. Aloisine A ininhibits GSK-3 α (IC_{s0}=0.5 μ M) and GSK-3 β $(IC_{50}=1.5 \mu M).$

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

Ataluren (PTC124)

Ataluren (PTC124) is an orally available

CFTR-G542X nonsense allele inhibitor.

Cat. No.: HY-14832

Purity: 99.71% Clinical Data: Launched

10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

Bamocaftor

(VX-659) Cat. No.: HY-126394

Bamocaftor (VX-659) is a cystic fibrosis transmembrane conductance regulator (CFTR) corrector designed to restore F508del-CFTR protein function. Bamocaftor can be used combine with Tezacaftor and Ivacaftor in cystic fibrosis research.

Purity: >98%

Clinical Data: No Development Reported Size: 50 mg, 100 mg, 200 mg

BPO-27 racemate

Cat. No.: HY-19778A

BPO-27 racemate is a potent CFTR inhibitor with an IC_{50} of 8 nM.



98.37% Purity:

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg

Cavosonstat

(N91115) Cat. No.: HY-109027

Cavosonstat (N91115) is an orally active S-nitrosoglutathione reductase (GSNOR) inhibitor. Cavosonstat is a CFTR stabilizer, and can be used for cystic fibrosis research.

>98% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size

CFTR corrector 2

CFTR corrector 2 is a cystic fibrosis transmembrane conductance corrector (CFTR), extracted from

patent US20140274933.

Cat. No.: HY-125381

98.29% Purity: Clinical Data: Phase 2

5 mg, 10 mg, 50 mg, 100 mg

CFTR corrector 4

Cat. No.: HY-135279

CFTR corrector 4 (Compound 13), an active (R,R)-form enantiomer, is a highly potent and orally active cystic fibrosis transmembrane conductance regulator (CFTR) corrector.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg CFTR corrector 6

Cat. No.: HY-136939

CFTR corrector 6 is a potent potentiator of Cystic Fibrosis Transmembrane conductance Regulator (CFTR). CFTR corrector 6 has the potential for cystic fibrosis (CF) and other CFTR associated disorders research.

99.87%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CFTR(inh)-172

Cat. No.: HY-16671

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, of 300 nM.

Purity: 98.70%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

Chromanol 293B

Chromanol 293B is a selective blocker of the slow delayed rectifier K * current (IKs) with IC $_{50}$ of 1-10 μ M and a weak inhibitor of KATP channel. Chromanol 293B also blocks the CFTR chloride current with an IC $_{50}$ of 19 μ M.



Cat. No.: HY-108575

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

CP-628006

Cat. No.: HY-145126

CP-628006, a small molecule CFTR potentiator, restores ATP-dependent channel gating to the cystic fibrosis mutant G551D-CFTR.

Purity: >98%

Clinical Data: No Development Reported

ize: 1 mg, 5 mg

Crinecerfont

(SSR-125543) Cat. No.: HY-106203

Crinecerfont (SSR-125543) hydrochloride is a potent, orally active, non-peptide **CRF1 receptor** antagonist. Crinecerfont can be used for Classic congenital adrenal hyperplasia (CAH) research.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Crinecerfont hydrochloride

(SSR-125543 hydrochloride; SSR-125543A) Cat. No.: HY-106203A

Crinecerfont (SSR-125543) hydrochloride is a potent, orally active, non-peptide CRF1 receptor antagonist. Crinecerfont can be used for Classic congenital adrenal hyperplasia (CAH) research.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Dirocaftor

(PTI-808) Cat. No.: HY-137437

Dirocaftor (PTI-808) is a CFTR potentiator that enhances the function of CFTR protein by opening chloride channels. Dirocaftor can be used for cystic fibrosis (CF) research.



Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Elexacaftor

(VX-445) Cat. No.: HY-111772

Elexacaftor (VX-445, Compound 1) is a modulator of cystic fibrosis transmembrane conductance regulator (CFTR). Elexacaftor (VX-445, Compound 1) facilitates the processing and trafficking of CFTR to increase the amount of CFTR at the cell surface.



Purity: 99.50% Clinical Data: Launched

Size: $10 \text{ mM} \times 1 \text{ mL}, 1 \text{ mg}, 5 \text{ mg}, 10 \text{ mg}, 50 \text{ mg}$

Galicaftor

(ABBV-2222; GLPG-2222) Cat. No.: HY-111111

Galicaftor (ABBV-2222; GLPG-2222) is a potent and orally active **cystic fibrosis transmembrane conductance regulator (CFTR)** corrector.
Galicaftor can be used for cystic fibrosis research.



Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

Glibenclamide

(Glyburide) Cat. No.: HY-15206

Glibenclamide (Glyburide) is an orally active ATP-sensitive K* channel ($K_{\rm ATP}$) inhibitor and can be used for the research of diabetes and obesity. Glibenclamide inhibits **P-glycoprotein**.

Purity: 99.79%
Clinical Data: Launched

Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

GLPG-3221

Cat. No.: HY-133013

GLPG-3221 is a potent, orally active corrector of CFTR (cystic fibrosis transmembrane conductance regulator), with an EC_{50} of 105 nM. GLPG-3221 can be uesd for the treatment of cystic fibrosis.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

GLPG1837

(ABBV-974) Cat. No.: HY-111099

GLPG1837 is a potent and reversible CFTR potentiator, with EC_{so}s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.

99.03% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Glyburide-d3

(Glyburide-d3) Cat. No.: HY-15206S1

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Glyburide-d3 (Glyburide-d3) is the deuterium labeled Glibenclamide. Glibenclamide (Glyburide) is an orally active ATP-sensitive K+ channel (K_{ATP}) inhibitor and can be used for the research of diabetes and obesity. Glibenclamide inhibits P-glycoprotein.

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.

99 62%

Clinical Data: No Development Reported

Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Glyburide-d11

Cat. No.: HY-15206S

Glyburide-d11 is the deuterium labeled Glibenclamide. Glibenclamide (Glyburide) is an orally active ATP-sensitive K^+ channel (K_{ATP}) inhibitor and can be used for the research of diabetes and obesity. Glibenclamide inhibits P-glycoprotein.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 10 mg Size:

GlyH-101

Cat. No.: HY-18336

GlyH-101 is a cell-permeable glycinyl hydrazone compound that blocks CFTR with Ki of 1.4 uM. IC50 value: 1.4 uM (Ki, at +60 mV) Target: CFTR in vitro: GlyH-101 reversibly inhibited CFTR Clconductance in <1 min.

Purity: 98.24%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Icenticaftor

GLPG2451

Purity:

Size:

(QBW251) Cat. No.: HY-109177

Icenticaftor (QBW251) is an orally active CFTR channel potentiator, with EC₅₀s of 79 nM and 497 nM for F508del and G551D CFTR, respectively. Icenticaftor can be used for chronic obstructive pulmonary disease (COPD) and cystic fibrosis research.



Cat. No.: HY-119936

Purity: 99.87%

Clinical Data: No Development Reported Size 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IOWH-032

Cat. No.: HY-18337

IOWH-032 is a novel and potent CFTR inhibitor (IC50=1.01 uM) in T84 and CHO-CFTR cell based assays. IC50 value: 1.01 uM (CHO-CFTR FLIPR) Target: CFTR Profiling of iOWH032 showed it to be a CFTR inhibitor in T84 and CHO-CFTR cell based assays.

Purity: 99.63% Clinical Data: Phase 2

10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg Size:

Ivacaftor (VX-770)

Cat. No.: HY-13017

Ivacaftor (VX-770) is a potent and orally bioavailable CFTR potentiator, targeting G551D-CFTR and F508del-CFTR with EC_{so} s of 100 nM and 25 nM, respectively.

99.90% Purity: Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg

Ivacaftor benzenesulfonate

(VX-770 benzenesulfonate) Cat. No.: HY-13017A

Ivacaftor benzenesulfonate is an orally bioavailable CFTR potentiator, used for cystic fibrosis treatment.

Purity: >98% Clinical Data: Launched Size: 1 mg, 5 mg

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Ivacaftor hydrate

(VX-770 hydrate) Cat. No.: HY-13017B

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

>98% **Purity:** Clinical Data: Launched 1 mg, 5 mg

Tel: 609-228-6898 Email: sales@MedChemExpress.com Fax: 609-228-5909

Ivacaftor-d19

(VX-770-d19) Cat. No.: HY-13017S1

Ivacaftor-d19 (VX-770-d19) is the deuterium labeled Ivacaftor. Ivacaftor (VX-770) is a potent and orally bioavailable CFTR potentiator, targeting G551D-CFTR and F508del-CFTR with EC₅₀s of 100 nM and 25 nM, respectively.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

K41498 TFA

Cat. No.: HY-P1106A

K41498 TFA is a potent and highly selective CRF2 receptor antagonist with K_i values of 0.66 nM, 0.62 nM and 425 nM for human CRF 201 CRF₂₈ and CRF₁ receptors respectively.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

KM11060

Ivacaftor-d9

fibrosis research.

Clinical Data: Launched

Purity:

Size:

Ivacaftor-D9 (CTP-656) is a potent CFTR

>98%

1 mg, 5 mg

modulator and exhibits an EC₅₀ value of 255

Cells. Ivacaftor-D9 acts as an orally active and

improved deuterated Ivacaftor analog for cystic

nM for CFTR potentiation in G551D/F508del HBE

(VX-770-d9)

KM11060 is a corrector of the F508 deletion (F508del)-cystic fibrosis transmembrane conductance regulator (CFTR) trafficking defect. KM11060 can be used for the research of F508del-CFTR processing defect and development of

cystic fibrosis therapeutics.

Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg Size:

Kobusin

Cat. No.: HY-N5101

Kobusin is a bisepoxylignan isolated from the Pnonobio biondii Pamp. Kobusin is an activator of CFTR and CaCCgie chloride channels and a inhibitor of ANO1/CaCC (calcium-activated chloride channel) channel.

Purity: >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

Lumacaftor

(VX-809; VRT 826809)

Lumacaftor (VX-809; VRT 826809) is a CFTR modulator that corrects the folding and trafficking of CFTR protein.

Cat. No.: HY-13262

Cat. No.: HY-13017S

Cat. No.: HY-19970

99.19% Purity: Clinical Data: Launched

Size $10~\text{mM}\times1~\text{mL},\,5~\text{mg},\,10~\text{mg},\,50~\text{mg},\,100~\text{mg}$

Navocaftor

(GLPG 3067; ABBV-3067) Cat. No.: HY-109152

Navocaftor (GLPG 3067), as a cystic fibrosis transmembrane regulator (CFTR), is a protein modulator (US 20200377491 Al, example 1).

O NH2 O N-N OH

99.05% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

Nesolicaftor

(PTI-428) Cat. No.: HY-111680

Nesolicaftor (PTI-428) is a specific cystic fibrosis transmembrane conductance regulator (CFTR) amplifier.

99.65% Purity: Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

NJH-2-057

Cat. No.: HY-115878

NJH-2-057 is an EN523 OTUB1 recruiter linked to lumacaftor, a drug used to treat cystic fibrosis that binds AF508-CFTR.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Olacaftor

(VX-440) Cat. No.: HY-112267

Olacaftor (VX-440) is a cystic fibrosis transmembrane conductance regulator (CFTR) modulator extracted from patent US9782408.



>98% Purity:

Clinical Data: No Development Reported

5 mg, 10 mg

PG01

Cat. No.: HY-103369

PG01 is a potent CFTR Cl⁻ channel potentiator. PG01 can correct gating defects of CFTR mutants, is effective on b>E193K, **G970R** and **G551D** (CFTR mutants) with K_d values of 0.22 μ M, 0.45 μM and 1.94 μM , respectively. PG01 is also effective on Δ F508 (K, of 0.3 μ M).

Purity: ≥98.0%

Clinical Data: No Development Reported 10 mM × 1 mL, 1 mg, 5 mg, 10 mg Size:

Size: PPQ-102

Purity:

(CFTR Inhibitor)

Posenacaftor (PTI-801)

Posenacaftor (PTI-801) is a cystic fibrosis

that corrects the folding and trafficking

of CFTR protein. Posenacaftor is used for the research of cystic fibrosis (CF).

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

transmembrane regulator (CFTR) protein modulator

PPQ-102 is a potent CFTR inhibitor which can completely inhibited CFTR chloride current with IC50 of ~90 nM. IC50 value: 90 nM Target: CFTR in vitro: The most potent compound, 7,9-dimethyl-11-p henyl-6-(5-methylfuran-2-yl)-5,6-dihydro-pyrimido[.



Cat. No.: HY-14179

Cat. No.: HY-109187

Purity: 99.82%

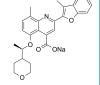
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Posenacaftor sodium

(PTI-801 sodium) Cat. No.: HY-109187A

Posenacaftor (PTI-801) sodium is a cystic fibrosis transmembrane regulator (CFTR) protein modulator that corrects the folding and trafficking of CFTR protein. Posenacaftor sodium is used for the research of cystic fibrosis (CF).



Purity: 99.65% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tezacaftor

(VX-661) Cat. No.: HY-15448

Tezacaftor (VX-661) is a second F508del CFTR corrector and help CFTR protein reach the cell surface.



Purity: 99.94% Clinical Data: Launched

Size: $10 \text{ mM} \times 1 \text{ mL}$, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Vanzacaftor

Vanzacaftor is a modulator of cystic fibrosis transmembrane conductance regulator (CFTR) for treating cystic fibrosis.



Cat. No.: HY-145603

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Tel: 609-228-6898

Fax: 609-228-5909 Email: sales@MedChemExpress.com