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Inhibitors, Agonists, Screening Libraries

Chloride Channel

Cl⁻ Channels

Chloride channels represent a relatively under-explored target class for drug discovery as elucidation of their identity and physiological roles has lagged behind that of many other drug targets. Chloride channels are involved in a wide range of biological functions, including epithelial fluid secretion, cell-volume regulation, neuroexcitation, smooth-muscle contraction and acidification of intracellular organelles. Mutations in several chloride channels cause human diseases, including cystic fibrosis, macular degeneration, myotonia, kidney stones, renal salt wasting and hyperekplexia. Chloride-channel modulators have potential applications in the treatment of some of these disorders, as well as in secretory diarrhoeas, polycystic kidney disease, osteoporosis and hypertension.

Chloride channel accessory proteins, also known as calcium-activated chloride channel regulators (CLCA proteins), are a family of transmembrane proteins that have been suggested to have a role in chloride conductance in epithelial cells.

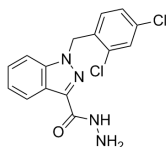
Chloride Channel Inhibitors & Activators

Adjudin

(AF-2364)

Cat. No.: HY-18996

Adjudin is an extensively studied male contraceptive with a superior **mitochondria**-inhibitory effect. Adjudin is also a potent Cl⁻ channel blocker.



Purity: >98.0%

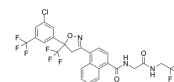
Clinical Data: No Development Reported

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

Afoxolaner

Cat. No.: HY-16974

Afoxolaner is an orally active isoxazoline insecticide/acaricide against *Ixodes scapularis* in dogs.



Purity: 99.53%

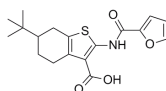
Clinical Data: Launched

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

CaCCinh-A01

Cat. No.: HY-100611

CaCCinh-A01 is an inhibitor of both **TMEM16A** and **calcium-activated chloride channel (CaCC)** with IC₅₀s of 2.1 and 10 μM, respectively.



Purity: 99.79%

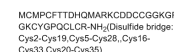
Clinical Data: No Development Reported

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

Chlorotoxin

Cat. No.: HY-P0173A

Chlorotoxin is a 36 amino-acid peptide from the venom of the Israeli scorpion *Leiurus quinquestriatus* with anticancer activity. Chlorotoxin is a **chloride channel blocker**.



Purity: >98.0%

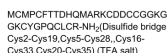
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Chlorotoxin TFA

Cat. No.: HY-P0173B

Chlorotoxin TFA is a peptide isolated from the venom of the scorpion *Leiurus quinquestriatus*, acts as a **chloride channel blocker**. Anti-cancer activity.



Purity: >98%

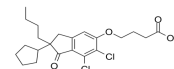
Clinical Data: Phase 1

Size: 100 μg, 500 μg, 1 mg

DCPIB

Cat. No.: HY-103371

DCPIB is a selective, reversible and potent inhibitor of volume-regulated anion channels (**VRAC**). DCPIB voltage-dependently activates potassium channels TREK1 and TRAAK and inhibits TRESK, TASK1 and TASK3 (IC₅₀s of 0.14, 0.95, 50.72 μM, respectively).



Purity: 99.81%

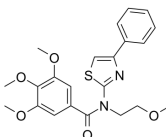
Clinical Data: No Development Reported

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

Eact

Cat. No.: HY-103368

Eact is a selective and potent activator of **TMEM16A**, directly activates the TRPV1 channels in sensory nociceptors and produces itch, acute nociception and thermal hypersensitivity.



Purity: >98%

Clinical Data: No Development Reported

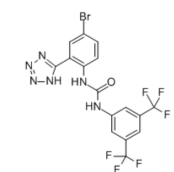
Size: 1 mg, 5 mg

Endovion

(NS3728)

Cat. No.: HY-105917

Endovion (NS3728) is a pharmacological **anion channel inhibitor (like chloride channel)** and the specific **VRAC/VSOAC** blocker. Endovion (NS3728) is also an Anoctamin-1 (ANO 1) channel inhibitor.



Purity: 99.27%

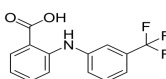
Clinical Data: No Development Reported

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

Flufenamic acid

Cat. No.: HY-B1221

Flufenamic acid is a non-steroidal anti-inflammatory agent, inhibits cyclooxygenase (COX), activates AMPK, and also modulates ion channels, blocking **chloride channels** and **L-type Ca²⁺ channels**, modulating non-selective cation channels (NSC), activating K⁺ channels.



Purity: 99.92%

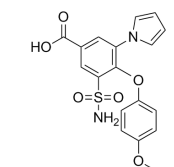
Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg

H100

Cat. No.: HY-100322

H100 is a Cl⁻ **transport** inhibitor, with partial effects against both the NaK2Cl cotransporter and the Band 3 anion exchanger, but no effect against KCl cotransporter, in human erythrocytes.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

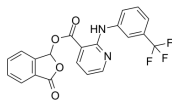
<p>Irisolidone</p> <p>Cat. No.: HY-N2412</p>	<p>Lubiprostone (RU-0211; SPI-0211)</p> <p>Cat. No.: HY-B0679</p>
<p>Irisolidone is a major isoflavone found in Pueraria lobata flowers. Irisolidone exhibits potent hepatoprotective activity. Irisolidone shows the high efficacy for volume-regulated anion channels (VRAC) blockade.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Lubiprostone(SPI-0211;RU0211) is a gastrointestinal agent used for the treatment of idiopathic chronic constipation.</p> <p>Purity: >98.0% Clinical Data: Launched Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p>
<p>Meticrane</p> <p>Cat. No.: HY-B0908</p>	<p>Niflumic acid</p> <p>Cat. No.: HY-B0493</p>
<p>Meticrane is a diuretic. Meticrane inhibits the reabsorption of sodium and chloride ions in the distal convoluted tubule. Meticrane is used to treat essential hypertension.</p> <p>Purity: 98.25% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg</p>	<p>Niflumic acid, a Ca²⁺-activated Cl⁻ channel blocker, is an analgesic and anti-inflammatory agent used in the treatment of rheumatoid arthritis.</p> <p>Purity: 99.86% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg</p>
<p>NPPB</p> <p>Cat. No.: HY-101012</p>	<p>NS1652</p> <p>Cat. No.: HY-100244</p>
<p>NPPB is a blocker of the outwardly rectifying chloride channel (ORCC).</p> <p>Purity: 99.89% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>NS1652 is a reversible anion conductance inhibitor, blocks chloride channel, with an IC₅₀ of 1.6 μM in human and mouse red blood cells.</p> <p>Purity: 99.89% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>Picrotoxinin</p> <p>Cat. No.: HY-B1494</p>	<p>R(+)-IAA-94 (R(+)-Methylindazone)</p> <p>Cat. No.: HY-12693</p>
<p>Picrotoxinin, a potent convulsant, is a chloride channel blocker. Picrotoxinin is a noncompetitive GABA_A receptor antagonist, which negatively modulates the action of GABA on GABA_A receptors.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>R(+)-IAA-94 is a potent indanyloxyacetic acid blocker of epithelial chloride channels. IC₅₀ value: Target: IAA-94 has been employed in modulating chloride channel function to probe the dynamics and function of the channels.</p> <p>Purity: 99.70% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>Shikonin (C.I. 75535; Isoarnebin 4)</p> <p>Cat. No.: HY-N0822</p>	<p>T16Ainh-A01</p> <p>Cat. No.: HY-100612</p>
<p>Shikonin is a major component of a Chinese herbal medicine named zicao. Shikonin is a potent TMEM16A chloride channel inhibitor with an IC₅₀ of 6.5 μM. Shikonin is a specific pyruvate kinase M2 (PKM2) inhibitor and can also inhibit TNF-α and NF-κB pathway.</p> <p>Purity: 99.80% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>T16Ainh-A01, an aminophenylthiazole, is a potent transmembrane protein 16A (TMEM16A) inhibitor, inhibiting TMEM16A-mediated chloride currents with an IC₅₀ value of ~1 μM. TMEM16A (ANO1) functions as a calcium-activated chloride channel (CaCC).</p> <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</p>

Talniflumate

(BA 7602-06)

Cat. No.: HY-103370

Talniflumate (BA 7602-06) is the prodrug of Niflumic acid (HY-B0493), exerting its activity in the body through conversion to niflumic acid by esterase. Talniflumate is an orally active Ca^{2+} -activated Cl^- channel (CaCC) blocker.



Purity: >98.0%

Clinical Data: Launched

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