



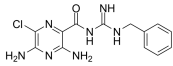
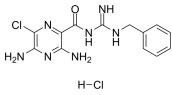
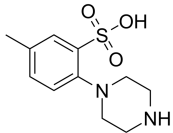
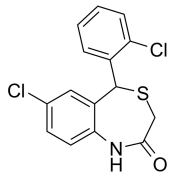
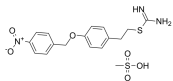
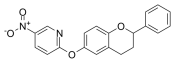
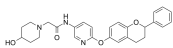
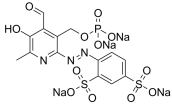
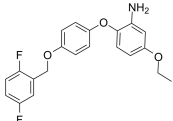
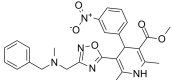
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Inhibitors, Screening Libraries, Proteins

# Na<sup>+</sup>/Ca<sup>2+</sup> Exchanger

Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (sodium-calcium exchanger, NCX) is an antiporter membrane protein that removes calcium from cells. It uses the energy that is stored in the electrochemical gradient of sodium (Na<sup>+</sup>) by allowing Na<sup>+</sup> to flow down its gradient across the plasma membrane in exchange for the countertransport of calcium ions (Ca<sup>2+</sup>). Na<sup>+</sup>/Ca<sup>2+</sup> exchanger removes a single calcium ion in exchange for the import of three sodium ions. Na<sup>+</sup>/Ca<sup>2+</sup> exchanger exists in many different cell types and animal species. Na<sup>+</sup>/Ca<sup>2+</sup> exchanger is considered one of the most important cellular mechanisms for removing Ca<sup>2+</sup>. The Na<sup>+</sup>/Ca<sup>2+</sup> exchanger does not bind very tightly to Ca<sup>2+</sup> (has a low affinity), but it can transport the ions rapidly (has a high capacity), transporting up to five thousand Ca<sup>2+</sup> ions per second. The Na<sup>+</sup>/Ca<sup>2+</sup> exchanger also likely plays an important role in regaining the cell's normal calcium concentrations after an excitotoxic insult.

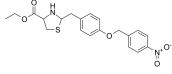
## Na<sup>+</sup>/Ca<sup>2+</sup> Exchanger Inhibitors & Activators

<p><b>Benzamil</b> (Benzylamiloride)</p> <p>Cat. No.: HY-B1546</p> <p>Benzamil (Benzylamiloride), an Amiloride analogue, is a <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (NCX)</b> inhibitor (IC<sub>50</sub>~100 nM). Benzamil also is a non-selective <b>Deg/epithelial sodium channels (ENaC)</b> blocker, and can potentiate myogenic vasoconstriction.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Benzamil hydrochloride</b> (Benzylamiloride hydrochloride)</p> <p>Cat. No.: HY-B1546A</p> <p>Benzamil hydrochloride (Benzylamiloride hydrochloride), an Amiloride analogue, is a <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (NCX)</b> inhibitor (IC<sub>50</sub>~100 nM).</p>  <p><b>Purity:</b> 99.60% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Caldaret</b> (MCC-135)</p> <p>Cat. No.: HY-100298</p> <p>Caldaret is an intracellular <b>Ca<sup>2+</sup> handling modulator</b> that acts through reverse mode <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger</b> inhibition.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p><b>CGP37157</b></p> <p>Cat. No.: HY-15754</p> <p>CGP37157 is a potent, selective inhibitor of <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger</b>, inhibiting the Na<sup>+</sup>-induced Ca<sup>2+</sup>-release from guinea-pig heart mitochondria, with an IC<sub>50</sub> of 0.8 μM.</p>  <p><b>Purity:</b> 99.77% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>KB-R7943 mesylate</b></p> <p>Cat. No.: HY-15415</p> <p>KB-R7943 mesylate is a widely used inhibitor of the reverse <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (NCX<sub>rev</sub>)</b> with IC<sub>50</sub> of 5.7±2.1 μM. KB-R7943 mesylate induces cancer cell death via activating the JNK pathway and blocking autophagic flux.</p>  <p><b>Purity:</b> 99.16% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg</p>	<p><b>ORM-10103</b></p> <p>Cat. No.: HY-128678</p> <p>ORM-10103 is a specific inhibitor of the <b>Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (NCX)</b>, which decreases the NCX current with estimated IC<sub>50</sub>s of 55 and 67 nM at -80 and at 20 mV, respectively.</p>  <p><b>Purity:</b> 99.24% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg</p>
<p><b>ORM-10962</b></p> <p>Cat. No.: HY-123785</p> <p>ORM-10962 is a potent, highly selective <b>sodium-calcium exchanger (NCX)</b> inhibitor, with IC<sub>50</sub> values of 67 and 55 nM for the reverse and forward mode inhibition, respectively.</p>  <p><b>Purity:</b> 99.74% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 50 mg</p>	<p><b>PPADS tetrasodium</b></p> <p>Cat. No.: HY-101044</p> <p>PPADS tetrasodium is a non-selective <b>P2X receptor antagonist</b>. PPADS tetrasodium blocks recombinant P2X<sub>1</sub>, -2, -3, -5 with IC<sub>50</sub>s ranging from 1 to 2.6 μM. PPADS tetrasodium blocks native P2Y<sub>2</sub>-like (IC<sub>50</sub>~0.9 mM) and recombinant P2Y<sub>4</sub> (IC<sub>50</sub>~15 mM) receptors.</p>  <p><b>Purity:</b> ≥95.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</p>
<p><b>SEA0400</b></p> <p>Cat. No.: HY-15515</p> <p>SEA0400 is a novel and selective inhibitor of the <b>Na<sup>+</sup>-Ca<sup>2+</sup> exchanger (NCX)</b>, inhibiting Na<sup>+</sup>-dependent Ca<sup>2+</sup> uptake in cultured neurons, astrocytes, and microglia with IC<sub>50</sub>s of from 5 to 33 nM.</p>  <p><b>Purity:</b> 99.96% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>SM-6586</b></p> <p>Cat. No.: HY-19062</p> <p>SM-6586 is a <b>calcium channel antagonist</b> and inhibitor of Na<sup>+</sup>/H<sup>+</sup> and Na<sup>+</sup>/Ca<sup>2+</sup> exchange transport, potentially for the treatment of cerebrovascular diseases and hypertension.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>

**SN 6**

**Cat. No.:** HY-107658

SN 6 is a selective **Na<sup>+</sup>/Ca<sup>2+</sup> exchanger (NCX)** inhibitor, and inhibits <sup>45</sup>Ca<sup>2+</sup> uptake by NCX1, NCX2, and NCX3, with IC<sub>50</sub>s of 2.9, 16, and 8.6 μM, respectively.

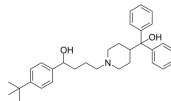


**Purity:** 99.70%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

**Terfenadine**  
 ((±)-Terfenadine; MDL-991)

**Cat. No.:** HY-B1193

Terfenadine ((±)-Terfenadine) is a potent open-channel blocker of **hERG** with an IC<sub>50</sub> of 204 nM. Terfenadine, an **H1 histamine receptor** antagonist, acts as a potent apoptosis inducer in melanoma cells through modulation of Ca<sup>2+</sup> homeostasis.

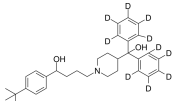


**Purity:** 99.93%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 100 mg

**Terfenadine-d10**  
 ((±)-Terfenadine-d10; MDL-991-d10)

**Cat. No.:** HY-B1193S1

Terfenadine-d10 ((±)-Terfenadine-d10) is the deuterium labeled Terfenadine. Terfenadine ((±)-Terfenadine) is a potent open-channel blocker of **hERG** with an IC<sub>50</sub> of 204 nM.

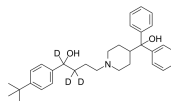


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

**Terfenadine-d3**

**Cat. No.:** HY-B1193S

Terfenadine-d3 ((±)-Terfenadine-d3) is the deuterium labeled Terfenadine. Terfenadine ((±)-Terfenadine) is a potent open-channel blocker of **hERG** with an IC<sub>50</sub> of 204 nM.

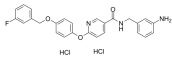


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 2000 μg, 5 mg, 10 mg, 25 mg

**YM-244769 dihydrochloride**

**Cat. No.:** HY-136182

YM-244769 dihydrochloride is a potent **Na<sup>+</sup>/Ca<sup>2+</sup> exchange (NCX)** inhibitor that preferentially inhibits NCX3 (IC<sub>50</sub>=18 nM). Neuronal and renal protection.



**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg