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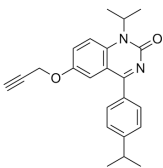
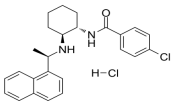
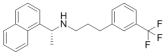
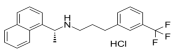
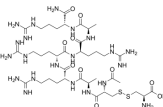
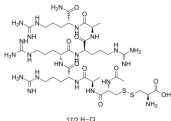
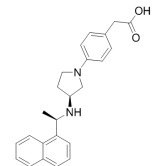
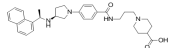
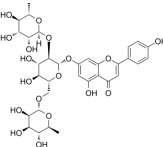
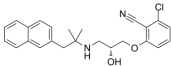
Inhibitors, Agonists, Screening Libraries

# CaSR

## Calcium-sensing receptor

CaSR (calcium-sensing receptor) is a Class C G-protein coupled receptor which senses extracellular levels of calcium ion. In the parathyroid gland, the calcium-sensing receptor controls calcium homeostasis by regulating the release of parathyroid hormone (PTH). The release of PTH is inhibited in response to elevations in plasma calcium concentrations and activation of the calcium receptor. Increased calcium binding on the extracellular side gives a conformational change in the receptor, which, on the intracellular side, initiates the phospholipase C pathway, presumably through a Gq $\alpha$  type of G protein, which ultimately increases intracellular concentration of calcium, which inhibits vesicle fusion and exocytosis of parathyroid hormone. It also inhibits the cAMP dependent pathway.

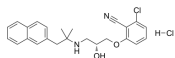
## CaSR Inhibitors, Agonists, Antagonists, Activators & Modulators

<p><b>Calcium-Sensing Receptor Antagonists I</b></p> <p>Cat. No.: HY-50713</p> <p>Calcium-Sensing Receptor Antagonists I is an antagonist of calcium-sensing parathyroid hormone receptors.</p> <p><b>Purity:</b> 99.91%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 10 mg, 100 mg</p> 	<p><b>Calhex 231 hydrochloride</b></p> <p>Cat. No.: HY-103320A</p> <p>Calhex 231 hydrochloride is a CaSR inhibitor via negative allosteric modulation. Calhex 231 hydrochloride blocks Ca<sup>2+</sup>-induced accumulation of [<sup>3</sup>H]inositol phosphate with an IC<sub>50</sub> of 0.39 μM in HEK293 cells.</p> <p><b>Purity:</b> &gt;98.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg</p> 
<p><b>Cinacalcet</b> (AMG 073)</p> <p>Cat. No.: HY-70037</p> <p>Cinacalcet (AMG 073) is an orally active, allosteric agonist of Ca receptor (CaR), used for cardiovascular disease treatment.</p> <p><b>Purity:</b> 99.94%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> 	<p><b>Cinacalcet hydrochloride</b> (AMG-073 hydrochloride)</p> <p>Cat. No.: HY-70037A</p> <p>Cinacalcet hydrochloride (AMG-073 hydrochloride) is an orally active, allosteric agonist of Ca receptor (CaR), used for cardiovascular disease treatment.</p> <p><b>Purity:</b> 99.98%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> 
<p><b>Etelcalcetide</b> (AMG 416; KAI-4169)</p> <p>Cat. No.: HY-P1955</p> <p>Etelcalcetide (AMG 416) is a synthetic peptide as an activator of the calcium sensing receptor (CaSR). Etelcalcetide is effective in lowering parathyroid hormone (PTH) concentrations in patients receiving dialysis with secondary hyperparathyroidism receiving hemodialysis.</p> <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> Launched  <b>Size:</b> 1 mg, 5 mg, 10 mg, 25 mg</p> 	<p><b>Etelcalcetide hydrochloride</b> (AMG 416 hydrochloride; KAI-4169 hydrochloride)</p> <p>Cat. No.: HY-P1955A</p> <p>Etelcalcetide hydrochloride (AMG 416 hydrochloride) is a synthetic peptide as an activator of the calcium sensing receptor (CaSR).</p> <p><b>Purity:</b> 98.85%  <b>Clinical Data:</b> Launched  <b>Size:</b> 1 mg, 5 mg, 10 mg, 25 mg</p> 
<p><b>Evocalcet</b> (KHK7580)</p> <p>Cat. No.: HY-17613</p> <p>Evocalcet has an activating effect on calcium sensing receptor (CaSR) extracted from patent WO 2017061621 A1, compound A.</p> <p><b>Purity:</b> 98.52%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p> 	<p><b>GSK3004774</b></p> <p>Cat. No.: HY-107773</p> <p>GSK3004774 is a potent, nonabsorbable agonist of CaSR, with an pEC<sub>50</sub> of 7.3, 6.6 and 6.5 for human, mouse and rat CaSR, respectively. GSK3004774 shows an EC<sub>50</sub> of 50 nM for human CaSR.</p> <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p> 
<p><b>Ligustroflavone</b> (Nuezhenoside)</p> <p>Cat. No.: HY-N0546</p> <p>Ligustroflavone, extracted from Ligustrum lucidum, is a potential candidate as calcium-sensing receptor (CaSR) antagonist. Ligustroflavone exhibits protective effects against diabetic osteoporosis in mice.</p> <p><b>Purity:</b> 99.41%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg</p> 	<p><b>NPS-2143</b> (SB-262470A)</p> <p>Cat. No.: HY-10007</p> <p>NPS-2143 (SB-262470A), an orally active calcilytic agent, is a selective and potent calcium ion-sensing receptor (CaSR) antagonist.</p> <p><b>Purity:</b> 99.42%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 

**NPS-2143 hydrochloride**  
(SB-262470A hydrochloride)

Cat. No.: HY-10171

NPS-2143 hydrochloride (SB-262470A hydrochloride), an orally active calcilytic agent, is a selective and potent calcium ion-sensing receptor (CaSR) antagonist.

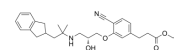


**Purity:** 99.96%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

**SB-423557**

Cat. No.: HY-15106

SB-423557 is an orally active calcium-sensing receptor (CaR) antagonist ( $IC_{50}$ =520 nM), precursor of SB-423562 ( $IC_{50}$ =73 nM). SB-423557 is well tolerated in human and increases plasma concentrations of exogenous parathyroid hormone (PTH) and stimulates bone formation.

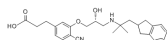


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

**SB-423562**

Cat. No.: HY-15105

SB-423562 is a short-acting calcium-sensing receptor (CaR) antagonist.



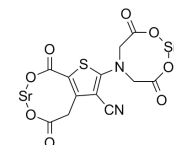
**Purity:** 99.88%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**Strontium Ranelate**

(Distrontium renelete; S12911)

Cat. No.: HY-17397

Strontium Ranelate (S12911) is an antiosteoporotic agent that acts by reducing bone resorption and promoting bone formation, thereby inducing a positive bone balance.



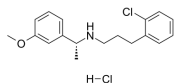
**Purity:** 99.16%  
**Clinical Data:** Launched  
**Size:** 100 mg, 500 mg

**Tecalct Hydrochloride**

(R-568 (hydrochloride))

Cat. No.: HY-10167A

Tecalct Hydrochloride (R 568 Hydrochloride), an orally active calcimimetic compound, allosterically and positively modulates the calcium-sensing receptor (CaSR). Tecalct Hydrochloride (R 568 Hydrochloride) increases the sensitivity to activation by extracellular  $Ca^{2+}$ .



**Purity:** 99.66%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg