CaSR

Calcium-sensing receptor

The extracellular CaSR (calcium-sensing receptor is a unique G protein-coupled receptor (GPCR) activated by extracellular Ca^{2+} and by other physiological cations including Mg^{2+}, amino acids, and polyamines. CaSR is the most important master controller of the extracellular Ca^{2+} homeostatic system being expressed at high levels in the parathyroid gland, kidney, gut, and bone, where it regulates parathyroid hormone (PTH) secretion, vitamin D synthesis, and Ca^{2+} absorption and resorption, respectively. Gain and loss of function mutations in the CaSR are responsible for severe disturbances in extracellular Ca^{2+} metabolism.

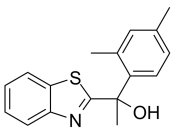
The CaSR stimulates two major signal transduction cascades. The first is the $G_{q/11}$-phospholipase C (PLC)-mediated generation of inositol 1,4,5-trisphosphate (IP3), which induces a rapid rise in intracellular calcium (Ca^{2+}_i) concentrations. The second is the mitogen-activated protein kinases (MAPKs), such as extracellular signal-regulated kinases 1 and 2 (ERK1/2), which phosphorylate proteins mediating cytosolic signaling and translocate into the nucleus to activate transcription factors involved in cellular proliferation and differentiation. The CaSR has been shown to activate MAPK signaling in a manner that depends on the G proteins $G_{q/11}$, and $G_{i/o}$, which inhibits cyclic adenosine monophosphate (cAMP) synthesis, and by a potentially G protein-independent mechanism involving β-arrestin types 1 and 2.

CaSR Inhibitors, Agonists, Antagonists, Activators & Modulators

AC-265347

Cat. No.: HY-117851

AC-265347 is a **calcium-sensing receptor** (**CaSR**) agonist and positive allosteric modulator (ago-PAM) with the functional affinity (pK_B) of 5.1. AC-265347 can be used for the research of hyperparathyroidism and related diseases.

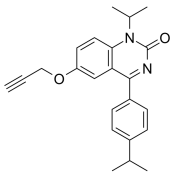


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Calcium-Sensing Receptor Antagonists I

Cat. No.: HY-50713

Calcium-Sensing Receptor Antagonists I is an antagonist of calcium-sensing parathyroid hormone receptors.

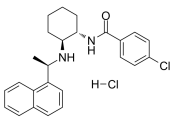


Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Calhex 231 hydrochloride

Cat. No.: HY-103320A

Calhex 231 hydrochloride is a **CaSR** inhibitor via negative allosteric modulation. Calhex 231 hydrochloride blocks Ca^{2+}-induced accumulation of [^{3}H]inositol phosphate with an IC_{50} of 0.39 μM in HEK293 cells.



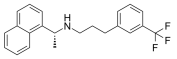
Purity: 99.17%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cinacalcet

(AMG 073)

Cat. No.: HY-70037

Cinacalcet (AMG 073) is an orally active, allosteric agonist of **Ca receptor (CaR)**, used for cardiovascular disease treatment.



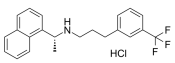
Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Cinacalcet hydrochloride

(AMG-073 hydrochloride)

Cat. No.: HY-70037A

Cinacalcet hydrochloride (AMG-073 hydrochloride) is an orally active, allosteric agonist of **Ca receptor (CaR)**, used for cardiovascular disease treatment.



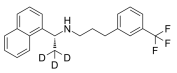
Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Cinacalcet-D3

(AMG 073-D3)

Cat. No.: HY-70037S

Cinacalcet-D3 (AMG 073-D3) is the deuterium labeled Cinacalcet. Cinacalcet (AMG 073) is an orally active, allosteric agonist of **Ca receptor (CaR)**, used for cardiovascular disease treatment.



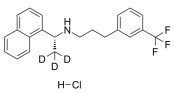
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Cinacalcet-d3 hydrochloride

(AMG 073-d3 hydrochloride)

Cat. No.: HY-70037AS

Cinacalcet-D3 (AMG 073-D3) hydrochloride is the deuterium labeled Cinacalcet (hydrochloride). Cinacalcet hydrochloride (AMG-073 hydrochloride) is an orally active, allosteric agonist of **Ca receptor (CaR)**, used for cardiovascular disease treatment.



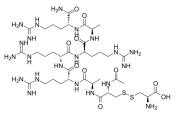
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Etelcalcetide

(AMG 416; KAI-4169)

Cat. No.: HY-P1955

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.



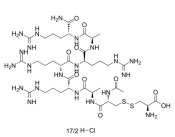
Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg, 10 mg, 25 mg

Etelcalcetide hydrochloride

(AMG 416 hydrochloride; KAI-4169 hydrochloride)

Cat. No.: HY-P1955A

Etelcalcetide hydrochloride (AMG 416 hydrochloride) is a synthetic peptide as an activator of the **calcium sensing receptor (CaSR)**.



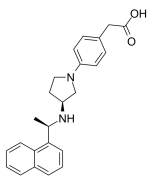
Purity: 99.31%
Clinical Data: Launched
Size: 1 mg, 5 mg, 10 mg, 25 mg

Evocalcet

(KHK7580)

Cat. No.: HY-17613

Evocalcet has an activating effect on **calcium sensing receptor** (**CaSR**) extracted from patent WO 2017061621 A1, compound A.



Purity: 99.05%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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

Gadolinium chloride

(GdCl3)

Cat. No.: HY-103314

Gadolinium chloride is a specific calcium-sensing receptor (**CaSR**) agonist. Gadolinium chloride can be used for the research of cardiovascular disease.

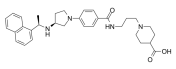
$GdCl_3$

Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 25 mg, 50 mg, 100 mg, 500 mg

GSK3004774

Cat. No.: HY-107773

GSK3004774 is a potent, nonabsorbable agonist of **CaSR**, with an **pEC_{50}** of 7.3, 6.6 and 6.5 for human, mouse and rat CaSR, respectively. GSK3004774 shows an **EC_{50}** of 50 nM for human CaSR.



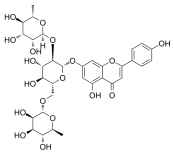
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ligustroflavone

(Nuezhenoside)

Cat. No.: HY-N0546

Ligustroflavone, extracted from Ligustrum lucidum, is a potential candidate as **calcium-sensing receptor (CaSR)** antagonist. Ligustroflavone exhibits protective effects against diabetic osteoporosis in mice.

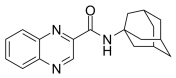


Purity: 99.41%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

NPS 2390

Cat. No.: HY-11095

NPS 2390 is a noncompetitive antagonist of mGluR1 and mGluR5. NPS 2390 is also a potent CaSR (calcium-sensing receptor) inhibitor.



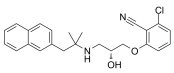
Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg, 100 mg

NPS-2143

(SB-262470A)

Cat. No.: HY-10007

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



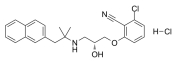
Purity: 99.34%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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.

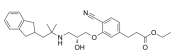


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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.

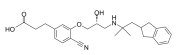


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SB-423562

Cat. No.: HY-15105

SB-423562 is a short-acting calcium-sensing receptor **(CaR)** antagonist. SB-423562 has the potential for osteoporosis research.



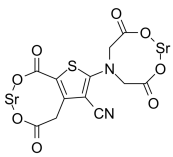
Purity: 99.66%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Strontium Ranelate

(Distrontium renelate; 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.



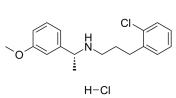
Purity: 99.93%
Clinical Data: Launched
Size: 100 mg, 500 mg

Tecalcet Hydrochloride

(R-568 hydrochloride)

Cat. No.: HY-10167A

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

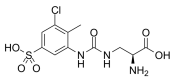


Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Upacicalcet

Cat. No.: HY-109106

Upacicalcet is an intravenous calcimimetic agent. Upacicalcet suppresses excessive parathyroid hormone (PTH) secretion, thereby lowering blood PTH levels, by acting directly on parathyroid cell membrane calcium-sensing receptors.

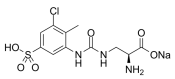


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Upacicalcet sodium

Cat. No.: HY-109106A

Upacicalcet sodium is an intravenous calcimimetic agent. Upacicalcet suppresses excessive parathyroid hormone (PTH) secretion, thereby lowering blood PTH levels, by acting directly on parathyroid cell membrane calcium-sensing receptors.



Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg