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Inhibitors, Agonists, Screening Libraries

CaMK

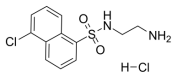
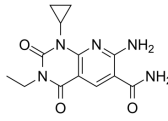
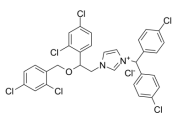
Calmodulin-dependent protein kinases; Calmodulin-dependent kinases

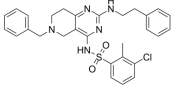
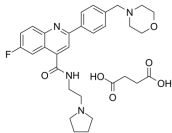
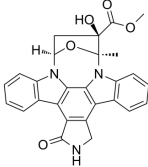
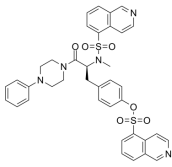
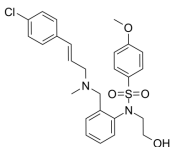
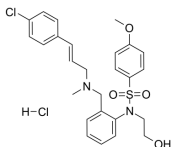
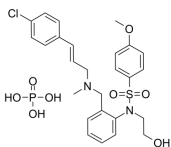
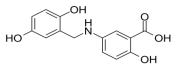
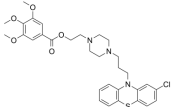
The Ca²⁺/calmodulin-dependent kinase (CaMK) family has been recognized as a key mediator in living organisms and various biological processes.

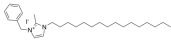
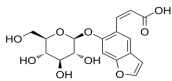
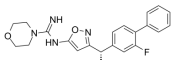
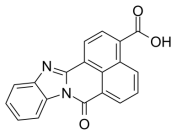
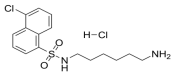
Calcium/calmodulin kinase II (CaMK II) is a multifunctional cytoplasmic calcium and calmodulin-dependent protein kinase that phosphorylates and alters the function of a variety of substrates. The CaMK II pathway has been found to regulate the RANKL-induced osteoclast formation via the cAMP-response element binding protein (CREB) pathway.

Among many signaling pathways of proliferation, intracellular calciumol/L has been extensively demonstrated to be very important. In cytoplasm, calciumol/L binds to calmodulin, and then activates the Ca²⁺/calmodulin (CaM) dependent kinases (CaMKs) which are a family of structurally related serine/threonine protein kinases including CaMKI-IV. CaMKII, a multi functional protein kinase, is ubiquitously involved in many physiological processes including control of cell cycle, apoptosis, gene expression, and neurotransmission.

CaMK Inhibitors & Antagonists

<p>A-3 hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-125957</p>	<p>A-484954</p> <p style="text-align: right;">Cat. No.: HY-110096</p>
<p>A-3 hydrochloride is a potent, cell-permeable, reversible, ATP-competitive non-selective antagonist of various kinases. It against PKA ($K_i=4.3 \mu\text{M}$), casein kinase II ($K_i=5.1 \mu\text{M}$) and myosin light chain kinase (MLCK) ($K_i=7.4 \mu\text{M}$).</p> <div style="text-align: center;">  </div> <p>Purity: 99.67% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>A-484954 is a highly selective eukaryotic elongationfactor-2 (eEF2) inhibitor, with an IC_{50} of 280 nM.</p> <div style="text-align: center;">  </div> <p>Purity: 98.01% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>Autocamtide 2 (Autocamtide II)</p> <p style="text-align: right;">Cat. No.: HY-P0225</p>	<p>Autocamtide 2, amide</p> <p style="text-align: right;">Cat. No.: HY-P1528</p>
<p>Autocamtide 2 is a highly selective peptide substrate of calcium/calmodulin-dependent protein kinase II (CaMKII). It can be used in the CaMKII activity assay.</p> <p style="text-align: center;">KKALRRQETVDAL</p> <p>Purity: 98.17% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Autocamtide 2, amide is a substrate (100 μM final concentration) for CaMK family assays.</p> <p style="text-align: center;">KKALRRQETVDAL-NH₂</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>Autocamtide-2-related inhibitory peptide</p> <p style="text-align: right;">Cat. No.: HY-P0214</p>	<p>Autocamtide-2-related inhibitory peptide TFA</p> <p style="text-align: right;">Cat. No.: HY-P0214A</p>
<p>Autocamtide-2-related inhibitory peptide is a highly specific and potent inhibitor of CaMKII with an IC_{50} of 40 nM.</p> <p style="text-align: center;">KKALRRQEAVDAL</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Autocamtide-2-related inhibitory peptide (TFA) is a highly specific and potent inhibitor of CaMKII with an IC_{50} of 40 nM.</p> <p style="text-align: center;">KKALRRQEAVDAL (TFA salt)</p> <p>Purity: 98.48% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Autocamtide-2-related inhibitory peptide, myristoylated</p> <p style="text-align: right;">Cat. No.: HY-P0215</p>	<p>Autocamtide-2-related inhibitory peptide, myristoylated TFA</p> <p style="text-align: right;">Cat. No.: HY-P0215A</p>
<p>Autocamtide-2-related inhibitory peptide, myristoylated is the myristoylated Autocamtide-2-related inhibitory peptide. Autocamtide-2-related inhibitory peptide is a highly specific and potent inhibitor of CaMKII with an IC_{50} of 40 nM.</p> <p style="text-align: center;">(Lys(Myrr))-KALRRQEAVDAL</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Autocamtide-2-related inhibitory peptide, myristoylated TFA is the myristoylated Autocamtide-2-related inhibitory peptide. Autocamtide-2-related inhibitory peptide is a highly specific and potent inhibitor of CaMKII with an IC_{50} of 40 nM.</p> <p style="text-align: center;">(Lys(Myrr))-KALRRQEAVDAL (TFA salt)</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Calmidazolium chloride (R 24571)</p> <p style="text-align: right;">Cat. No.: HY-103319</p>	<p>Calmodulin-Dependent Protein Kinase II (290-309)</p> <p style="text-align: right;">Cat. No.: HY-P1479</p>
<p>Calmidazolium chloride (R 24571) is a calmodulin (CaMK) antagonist, antagonizing CaM-dependent phosphodiesterase and calmodulin-induced activation of erythrocyte Ca²⁺-transporting ATPase with IC_{50}s of 0.15 and 0.35 μM, respectively.</p> <div style="text-align: center;">  </div> <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Calmodulin-Dependent Protein Kinase II (290-309) is a potent CaMK antagonist with an IC_{50} of 52 nM for inhibition of Ca²⁺/calmodulin-dependent protein kinase II.</p> <p style="text-align: center;">LKKFNARRKLGAILTTLMA</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Calmodulin-Dependent Protein Kinase II(290-309) acetate Cat. No.: HY-P1479A</p> <p>Calmodulin-Dependent Protein Kinase II (290-309) acetate is a potent CaMK antagonist with an IC₅₀ of 52 nM for inhibition of Ca²⁺/calmodulin-dependent protein kinase II.</p> <p>LKKFNARRKLGAILTTMLA (acetate salt)</p> <p>Purity: 98.97% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>CaMKII-IN-1 Cat. No.: HY-18271</p> <p>CaMKII-IN-1 is a potent and highly selective CaMKII inhibitor with IC₅₀ of 63 nM; significantly high selectivity against CaMKIV, MLCK, p38a, Akt1, and PKC. IC₅₀ value: 63 nM Target: CaMKII.</p>  <p>Purity: 99.74% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>DDD107498 succinate (DDD-498 succinate) Cat. No.: HY-117684A</p> <p>DDD107498 succinate (DDD-498 succinate) is a potent and orally active antimalarial agent, inhibits multiple life-cycle stages of the parasite, with an EC₅₀ of 1 nM against <i>P. falciparum</i> 3D7.</p>  <p>Purity: 98.72% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>K-252a (SF2370; Antibiotic K 252a; Antibiotic SF 2370) Cat. No.: HY-N6732</p> <p>K-252a, a staurosporine analog isolated from <i>Nocardopsis</i> sp. soil fungi, inhibits protein kinase, with IC₅₀ values of 470 nM, 140 nM, 270 nM, and 1.7 nM for PKC, PKA, Ca²⁺/calmodulin-dependent kinase type II, and phosphorylase kinase, respectively.</p>  <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg</p>
<p>KN-62 Cat. No.: HY-13290</p> <p>KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (CaMK-II) with IC₅₀ of 0.9 μM, KN-62 also displays noncompetitive antagonism at P2X₇ receptors in HEK293 cells, with an IC₅₀ value of approximately 15 nM.</p>  <p>Purity: 99.45% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>KN-93 Cat. No.: HY-15465</p> <p>KN-93 is a cell-permeable, reversible and competitive inhibitor calmodulin-dependent kinase type II (CaMKII) with a K_i of 370 nM.</p>  <p>Purity: 99.38% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>KN-93 hydrochloride Cat. No.: HY-15465A</p> <p>KN-93 hydrochloride is a cell-permeable, reversible and competitive inhibitor calmodulin-dependent kinase type II (CaMKII) with a K_i of 370 nM.</p>  <p>Purity: 99.43% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>KN-93 phosphate Cat. No.: HY-15465B</p> <p>KN-93 phosphate is a novel membrane-permeant synthetic inhibitor of purified neuronal CaMK-II, with K_i of 370 nM.</p>  <p>Purity: 99.97% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p>
<p>Lavendustin C Cat. No.: HY-W013857</p> <p>Lavendustin C is a potent Ca²⁺ calmodulin-dependent kinase II (CaMK II) inhibitor with an IC₅₀ of 0.2 μM. Lavendustin C inhibits EGFR-associated tyrosine kinase (IC₅₀=0.012 μM) and pp60^{c-src(+)} kinase (IC₅₀=0.5 μM) .</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Metofenazate (Methophenazine) Cat. No.: HY-100263</p> <p>Metofenazate is a selective calmodulin inhibitor.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>MLCK inhibitor peptide 18</p> <p>Cat. No.: HY-P1029</p>	<p>NH125</p> <p>Cat. No.: HY-100576</p>
<p>MLCK inhibitor peptide 18 is a myosin light chain kinase (MLCK) inhibitor with an IC_{50} of 50 nM, and inhibits CaM kinase II only at 4000-fold higher concentrations.</p> <p>RKKYKYRRK-NH₂</p> <p>Purity: 99.66%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg, 25 mg</p>	<p>NH125 is a potent and selective inhibitor of eukaryotic elongation factor 2 kinase (eEF-2K/CaMKIII), also can induce eEF2 phosphorylation, with an IC_{50} of 60 nM for eEF-2K.</p>  <p>Purity: >98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Psoralenoside</p> <p>Cat. No.: HY-N7503</p>	<p>Rimacalib (SMP 114)</p> <p>Cat. No.: HY-100779</p>
<p>Psoralenoside is a benzofuran glycoside from <i>Psoralea corylifolia</i>. Psoralenoside exhibits high binding affinities against histaminergic H₁ calmodulin, and voltage-gated L-type calcium channels (E-value\geq-6.5 Kcal/mol).</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Rimacalib (SMP 114) is a Ca²⁺/calmodulin-dependent protein kinase II (CaMKII) inhibitor, with IC_{50}s of ~1 μM for CaMKIIα to ~30 μM for CaMKIIγ.</p>  <p>Purity: 98.75%</p> <p>Clinical Data: Phase 2</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>STO-609</p> <p>Cat. No.: HY-19805</p>	<p>Syntide 2</p> <p>Cat. No.: HY-P0271</p>
<p>STO-609 is a selective and cell-permeable inhibitor of the Ca²⁺/calmodulin-dependent protein kinase kinase (CaM-KK), with K_i values of 80 and 15 ng/mL for recombinant CaM-KKα and CaM-KKβ, respectively.</p>  <p>Purity: >98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Syntide 2, a Ca²⁺- and calmodulin (CaM)-dependent protein kinase II (CaMKII) substrate peptide, selectively inhibits the gibberellin (GA) response, leaving constitutive and abscisic acid-regulated events unaffected.</p> <p>PLARTLSVAGLPGKK</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg</p>
<p>Syntide 2 TFA</p> <p>Cat. No.: HY-P0271A</p>	<p>W-7 hydrochloride</p> <p>Cat. No.: HY-100912</p>
<p>Syntide 2 (TFA), a Ca²⁺- and calmodulin (CaM)-dependent protein kinase II (CaMKII) substrate peptide, selectively inhibits the gibberellin (GA) response, leaving constitutive and abscisic acid-regulated events unaffected.</p> <p>PLARTLSVAGLPGKK (TFA salt)</p> <p>Purity: 99.76%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg</p>	<p>W-7 hydrochloride is a selective calmodulin antagonist. W-7 hydrochloride inhibits the Ca²⁺-calmodulin-dependent phosphodiesterase and myosin light chain kinase with IC_{50} values of 28 μM and 51 μM, respectively. W-7 hydrochloride induces apoptosis and has antitumor activity.</p>  <p>Purity: 99.50%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 25 mg, 50 mg</p>