PKC Inhibitors
(inhibitors, agonists and modulators)

Protein kinase C (PKC) family members regulate numerous cellular responses including gene expression, protein secretion, cell proliferation, and the inflammatory response. The basic protein structure includes an N-terminal regulatory region connected to a C-terminal kinase domain by a hinge region. PKC enzymes contain an auto-inhibitory pseudosubstrate domain that binds a catalytic domain sequence to inhibit kinase activity.
# Staurosporine - CAS 62996-74-1

**Catalog Number:** B0084-073869  
**Price:** $298/25 mg  
**Molecular Weight:** 466.53  
**Molecular Formula:** C28H26N4O3

**Description:** Staurosporine is broad spectrum protein kinase inhibitor. Enzymes inhibited include protein kinase C (IC50 = 3 nM), protein kinase A (IC50 = 7 nM), p60v-src tyrosine protein kinase (IC50 = 6 nM) and CaM kinase II (IC50 = 20 nM).

# Enzastaurin - CAS 170364-57-5

**Catalog Number:** B0084-085618  
**Price:** $350/200 mg; $1250/1 g  
**Molecular Weight:** 515.617  
**Molecular Formula:** C32H29N5O2

**Description:** Enzastaurin is a protein kinase C beta inhibitor with 6– to 20-fold selectivity against PKCα, PKCγ and PKCε. Binding to the ATP-binding site, enzastaurin selectively inhibits protein kinase C beta, an enzyme involved in the induction of vascular endothelial growth factor (VEGF)-stimulated neo-angiogenesis. This agent may decrease tumor blood supply and so tumor burden.

# Midostaurin - CAS 120685-11-2

**Catalog Number:** B0084-162132  
**Price:** $298/20 mg  
**Molecular Weight:** 570.649  
**Molecular Formula:** C35H30N4O4

**Description:** Midostaurin is a multi-target protein kinase inhibitor being investigated for the treatment of acute myeloid leukemia (AML) and myelodysplastic syndrome (MDS).

# Ruboxistaurin Hydrochloride - CAS 169939-93-9

**Catalog Number:** B0084-263880  
**Price:** $199/10 mg  
**Molecular Weight:** 505.01  
**Molecular Formula:** C28H28N4O3.HCl

**Description:** Ruboxistaurin, also called as LY 333531, initially developed for the treatment of diabetic retinopathy, inhibits isolated enzymes PKCβI and PKCβII with a half-maximal inhibitory constant of 4.5 and 5.9 nM, respectively. The half-life of ruboxistaurin, which can be orally administered, is approximately 9 h and that of its metabolite 16 h, therefore allowing once-daily dosing. Based on data from clinicalTrials.gov, Children's Hospital Medical Center, Cincinnati plan a phase I/II trial of the effect of ruboxistaurin for its safety, tolerability, and effectiveness in treating adult patients with heart failure on June 9, 2016.
**LXS196 - CAS 1874276-76-2**

**Catalog Number:**

**Molecular Weight:** 472.47  
**Molecular Formula:** C22H23F3N8O

**Description:** LXS196 is a potent and orally active protein kinase C (PKC) inhibitor under clinical trials for the treatment of uveal melanoma, the most common cancer of the eye in adults.

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**[Ala113]-MBP (104-118) - CAS 99026-78-5**

**Catalog Number:**

**Molecular Weight:** 1493.68  
**Molecular Formula:** C67H104N20O19

**Description:** [Ala113]-MBP (104-118) is a non-competitive inhibitor of PKC with IC50 value of 46-145 mM. It is a synthetic peptide analog of bovine myelin basic protein (MBP).

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**CRT0066854 - CAS 1438881-19-6**

**Catalog Number:**

**Molecular Weight:** 415.55  
**Molecular Formula:** C24H25N5S

**Description:** CRT0066854 is a selective and ATP-competitive inhibitor of the atypical PKC isoenzymes (IC50 values 639 nM and 132 nM for full-length PKCζ, PKCι, respectively). CRT0066854 decreases colony formation in HeLa cells, inhibits LLGL2 phosphorylation and polarized epithelial morphogenesis, and impedes directed migration of NRK cells.

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**LY 333531 mesylate - CAS 192050-59-2**

**Catalog Number:**

**Molecular Weight:** 564.65  
**Molecular Formula:** C28H28N4O3.CH4O3S

**Description:** LY 333531 mesylate is an orally-available protein kinase Cβ (PKC-β) specific inhibitor used for the treatment of diabetic nephropathy and diabetic macular edema.
Zoledronic acid monohydrate - CAS 165800-06-6

Catalog Number: 165800-06-6

Molecular Weight: 290.1  Molecular Formula: C8H2N2O8P2

Description: Zoledronic acid (zoledronate) is a bisphosphonate bone resorption inhibitor, an inhibitor of farnesyl diphosphate (FPP) synthase which results in downstream inhibition of osteoclast activity and reduced bone resorption and turnover. It has been used to treat postmenopausal osteoporosis, Paget’s disease, hypercalcemia, and along with cancer chemotherapy to treat bone damage caused by cancer that has spread to the bones.

H-9 dihydrochloride - CAS 116700-36-8

Catalog Number:

Molecular Weight: 324.22  Molecular Formula: C11H13N3O2S.2HCl

Description: H-9 dihydrochloride is a protein kinase inhibitor. It inhibits PKA (Ki = 1.9 μM), PKG (Ki = 0.9 μM), CaMK II (Ki = 60 μM), PKC (Ki = 18 μM), casein kinase I (Ki = 110 μM) and casein kinase II (Ki > 300 μM). H-9 hydrochloride reduces the cAMP-mediated excitatory response to serotonin in C. elegans enteric neurons2 and inhibits PKA-mediated phosphorylation in a rat seizure model.

Zoledronic Acid - CAS 118072-93-8

Catalog Number: 118072-93-8

Molecular Weight: 272.09  Molecular Formula: C5H10N2O7P2

Description: Zoledronic acid induces apoptosis in osteoclasts by inhibiting enzymes of the mevalonate pathway and preventing the isoprenylation of small GTP-binding proteins such as Ras and Rho.

Phorbol 12,13-dibutyrate - CAS 37558-16-0

Catalog Number: 37558-16-0

Molecular Weight: 504.61  Molecular Formula: C28H40O8

Description: Phorbol 12,13-dibutyrate, a protein kinase C activator, stimulates the phosphorylation of Na+,K+-ATPase, thereby inhibiting its activity.
Bisindolylmaleimide II - CAS 137592-45-1

**Catalog Number:**

**Molecular Weight:** 438.52  
**Molecular Formula:** C27H26N4O2

**Description:** Bisindolylmaleimide II is a potent and ATP-competitive inhibitor of protein kinase C (PKC) (IC50 = 0.01 μM). It also displays an antagonistic effect on nicotinic cholinergic receptors (IC50 ~ 0.03 μM for inhibition of catecholamine secretion in nicotine-stimulated PC-12 cells) and an inhibitory effect on PDK1 (IC50 = 14 μM).

Bryostatin 1 - CAS 83314-01-6

**Catalog Number:** 83314-01-6  
**Molecular Weight:** 905.04  
**Molecular Formula:** C47H68O17

**Description:** Bryostatin 1, a structurally unique macrolactone marine natural product, is a protein kinase C (PKC) activator that binds with high affinity (Ki = 1.35 nM). Bryostatin 1 fails to mimic many effects caused by PMA and actually blocks some PMA-induced response in a variety of cells and tissues. Animal tests have shown bryostatin 1 may alleviate brain damage after a stroke.

Bryostatin 2 - CAS 87745-28-6

**Catalog Number:** 87745-28-6  
**Molecular Weight:** 863  
**Molecular Formula:** C45H66O16

**Description:** Bryostatin 2, an analog of Bryostatin 1, is an activator of PKC (protein kinase C) with anti-tumor properties. Bryostatin 2 inhibits DNA synthesis at 100 nM in SH-SY5Y human neuroblastoma cells.

Prostratin - CAS 60857-08-1

**Catalog Number:** 60857-08-1  
**Molecular Weight:** 390.47  
**Molecular Formula:** C22H30O6

**Description:** Prostratin is an activator of protein kinase C (PKC) and also an activator of nuclear factor KB (NF-KB) mediated through activation of the IKKs (IKB kinases). It is an unusual non-tumorigenic phorbol ester and is found in the bark of the mamala tree of Samoa, Homalanthus nutans (Euphorbiaceae). It has potential to be useful in the treatment of HIV as it could flush viral reservoirs in latently infected CD4+ T-cells. It exhibits promising therapeutic potential against other diseases such as cancer and Alzheimer’s disease. It inhibits growth and induces differentiation of AML cell lines. It inhibits tumorigenesis in KRAS mutant pancreatic cancer cells and reduces tumor growth in mouse pancreatic tumor models.
**PKC (19-36) - CAS 113731-96-7**

**Catalog Number:**

**Molecular Weight:** 2151.48  
**Molecular Formula:** C93H159N35O24  
**Description:** PKC (19-36) is a pseudosubstrate peptide inhibitor of protein kinase C (IC50 = 0.18 μM).

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**Bryostatin 3 - CAS 143370-84-7**

**Catalog Number:**

**Molecular Weight:** 888.99  
**Molecular Formula:** C46H64O17  
**Description:** Bryostatin 3 has been found to be a potent protein kinase C activator and could probably be useful in studies of emerging cancers.

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**CRT 0066854 hydrochloride**

**Catalog Number:**

**Molecular Weight:** 488.48  
**Molecular Formula:** C24H25N5S.2HCl  
**Description:** The hydrochloride salt form of CRT 0066854, which has been found to be a PKC inhibitor.

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**Pseudo RACK1**

**Catalog Number:**

**Molecular Weight:** 3198.81  
**Molecular Formula:** C144H225N43O34S3  
**Description:** Pseudo RACK1 is an activator of protein kinase C which is a family of protein kinase enzymes involved in controlling the function of other proteins through the phosphorylation of hydroxyl groups of serine and threonine amino acid residues on these proteins. Consists of peptide derived from the C2 domain of PKC β linked by a disulfide bridge to the Antennapedia domain vector peptide.
PKC ζ pseudosubstrate
Catalog Number: M97359
Molecular Weight: 4673.59
Molecular Formula: C208H336N74O44S3
Description: PKC ζ pseudosubstrate, a synthetic peptide, is an inhibitor of protein kinase C (PKC) ζ that attached to cell permeabilisation Antennapedia domain vector peptide.

PKC β pseudosubstrate - CAS 172308-76-8
Catalog Number: M97359
Molecular Weight: 3994.84
Molecular Formula: C177H294N62O38S3
Description: PKC β pseudosubstrate, a selective cell-permeable peptide inhibitor of protein kinase C (IC50 ~ 0.5 μM), consists of amino acids 19-31 of PKC pseudosubstrate domain linked by a disulphide bridge to a cell permeabilisation Antennapedia domain vector peptide.

[Glu27]-PKC (19-36) - CAS 309247-49-2
Catalog Number: M97359
Molecular Weight: 2124.43
Molecular Formula: C92H154N32O26
Description: [Glu27]-PKC (19-36) is the inactive single mutation control peptide for PKC (19-36), a pseudosubstrate peptide inhibitor of protein kinase C.

Phorbol - CAS 17673-25-5
Catalog Number: 17673-25-5
Molecular Weight: 364.4
Molecular Formula: C20H28O6
Description: Phorbol, derived from the roots of Euphorbia pekinensis Rupr., as a tumor promoter it is able to activate protein kinase C.
**RO31-8220 - CAS 125314-64-9**

**Catalog Number:** 125314-64-9  
**Molecular Weight:** 457.552  
**Molecular Formula:** C25H23N5O2S  
**Description:** Ro 31-8220 is a PKC-inhibitor, which inhibits stimulated fluid pinocytosis of human PMNs induced by the PKC-activators phorbol myristate acetate or diacylglycerols by 95%. Ro-31-8220 showed the apoptotic effect on HL-60 cells, which was mediated by a well-characterized transduction process of apoptotic signals. Moreover, the ability of Ro-31-8220 to induce apoptotic activation was completely inhibited by the over-expression of the apoptotic suppressor gene, Bcl-2, in the cells.

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**Ro 32-0432 hydrochloride - CAS 151342-35-7**

**Catalog Number:** 489.01  
**Molecular Weight:** C28H28N4O2.HCl  
**Molecular Formula:** C28H28N4O2.HCl  
**Description:** Ro 32-0432 hydrochloride is a selective and orally active inhibitor of PKC displaying a 10-fold greater selectivity for PKC-α (IC50 = 9.3 nM); and a 4-fold greater selectivity for PKC-β over PKC-ε (IC50 = 108 nM).

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**Ro 31-8220 Mesylate - CAS 138489-18-6**

**Catalog Number:** 138489-18-6  
**Molecular Weight:** 553.65  
**Molecular Formula:** C25H23N5O2S.CH4O3S  
**Description:** Ro 31-8220 inhibits rat brain PKC activity with IC50 of 23 nM, and does not show any high degree of selectivity between PKC-α, PKC-β, PKC-γ, and PKC-ε.

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**Go6983 - CAS 133053-19-7**

**Catalog Number:** 133053-19-7  
**Molecular Weight:** 442.519  
**Molecular Formula:** C26H26N4O3  
**Description:** Go6983 is a potent protein kinase C (PKC) inhibitor. Go6893 displays cardioprotective properties; reduces polymorphonuclear leukocyte adherence and infiltration following myocardial ischemia/reperfusion injury.
FR 236924 - CAS 28399-31-7

Catalog Number:  
**Molecular Weight:** 308.5  
**Molecular Formula:** C20H36O2  
**Description:** FR 236924 is a selective PKCε activator with > 7-fold selectivity over other PKC isozymes. FR 236924 promotes glutamate release via presynaptic α7 nicotinic receptors on glutamatergic terminals in vitro and enhances cognition in vivo. It has the potential for the treatment of Alzheimer disease.

TAS-301 - CAS 193620-69-8

Catalog Number: 193620-69-8  
**Molecular Weight:** 357.41  
**Molecular Formula:** C23H19NO3  
**Description:** TAS-301 is a potent and selective constrictive remodeling regulator on renarrowing after balloon overstretch injury of porcine coronary artery. TAS-103 inhibits smooth muscle cell migration and proliferation.

H-7 dihydrochloride - CAS 108930-17-2

Catalog Number:  
**Molecular Weight:** 364.29  
**Molecular Formula:** C14H17N3O2S.2HCl  
**Description:** H-7 dihydrochloride is a protein kinase inhibitor (IC50 = 6.0, 5.8, 3.0 and 97.0 µM for inhibition of PKC, PKG, PKA and myosin light chain kinase, respectively). H-7 inhibits cell invasion and metastasis in B16BL6 cancer cells through the PKC/MEK/ERK pathway. It was also shown to inhibit Topo I and II in murine L929 cells and induce apoptosis via PKC inhibition.

Palmitoylcarnitine chloride - CAS 6865-14-1

Catalog Number:  
**Molecular Weight:** 436.07  
**Molecular Formula:** C23H46ClNO4  
**Description:** (±)-Palmitoylcarnitine chloride, a long-chain acylcarnitine with both intracellular and extracellular roles, has a wide range of biological actions including the inhibition of protein kinase C and cell membrane disruption.
**Rottlerin - CAS 82-08-6**

**Catalog Number:**

**Molecular Weight:** 516.55  
**Molecular Formula:** C₃₀H₂₈O₈

**Description:** Rottlerin, a principal phenolic compound of the Kamala plant Mallotus philippinensis, originally reported to inhibit PKC isoforms, especially PKCδ and CAM-KIII. Recently, it has been shown to inhibit a wide range of protein kinases, and most potently to inhibit PRAK and MAPKAP-K2 (IC₅₀ values are 1.9 and 5 μM respectively).

**SC-9 - CAS 102649-78-5**

**Catalog Number:**

**Molecular Weight:** 401.95  
**Molecular Formula:** C₂₂H₂₄ClNO₂S

**Description:** SC-9 is a potent activator of protein kinase C in the presence of Ca²⁺.

**rac-3-Hexadecanamido-2-methoxypropan-1-ol Phosphocholine Monohydrate - CAS 163751-35-7**

**Catalog Number:** 163751-35-7

**Molecular Weight:** 526.69  
**Molecular Formula:** C₂₅H₅₅N₂O₇P

**Description:** The Pharmacological activity of this molecular is Growth Inhibition of Malignant Cells in vivo and in vitro and cytotoxic properties may result inhibition of protein kinase C activity.

**(-)-Indolactam V - CAS 90365-57-4**

**Catalog Number:** 90365-57-4

**Molecular Weight:** 301.38  
**Molecular Formula:** C₁₇H₂₃N₃O₂

**Description:** (-)-Indolactam V is an indole alkaloid compound which activates protein kinase C (PKC), which strongly directs human ES cell-derived definitive endoderm into pancreatic endoderm. It is weak tumor promoter. It binds to PKC regulatory domains of mouse skin PKCγ and rat brain PKCγ with Ki values of 3.4 nM and 1 μM, respectively. It induces differentiation of human embryonic stem cells into pancreatic progenitors through activation of PKC signaling at 1 μM. It may be used as an effective diabetes therapy. It may share an RA-dependent signaling pathway, allowing ultimately to streamline the process of efficient pancreatic endoderm derivation. It was predicted to be a biosynthetic intermediate and was confirmed via in vitro prenylation by LtxC due to the structural relatedness of the lyngbyatoxins and teleocidin. It induces differentiation of a substantial number of Pdx1-expressing cells from human ESCs.
**[Ala107]-MBP (104-118) - CAS 99026-77-4**

**Catalog Number:**

**Molecular Weight:** 1493.68  
**Molecular Formula:** C67H104N2O19  
**Description:** [Ala107]-MBP (104-118) is a non-competitive inhibitor of PKC with IC50 value of 46-145 mM. It is a synthetic peptide analog of bovine myelin basic protein (MBP).

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**Ruboxistaurin - CAS 169939-94-0**

**Catalog Number:** 169939-94-0  
**Molecular Weight:** 468.557  
**Molecular Formula:** C28H28N4O3  
**Description:** Ruboxistaurin, also called as LY 333531, initially developed for the treatment of diabetic retinopathy, inhibits isolated enzymes PKCβI and PKCβII with a half-maximal inhibitory constant of 4.5 and 5.9 nM, respectively. The half-life of ruboxistaurin, which can be orally administered, is approximately 9 h and that of its metabolite 16 h, therefore allowing once-daily dosing. Based on data from clinicalTrials.gov, Children's Hospital Medical Center, Cincinnati plan a phase I/II trial of the effect of ruboxistaurin for its safety, tolerability, and effectiveness in treating adult patients with heart failure on June 9, 2016.

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**CGP60474 - CAS 164658-13-3**

**Catalog Number:** B0084-430557  
**Molecular Weight:** 355.82  
**Molecular Formula:** C18H18ClN5O  
**Description:** CGP60474 is a promising inhibitor of PKC with a high degree of selectivity versus other serine/threonine and tyrosine kinases and show competitive kinetics relative to ATP.

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**Sotrastaurin - CAS 425637-18-9**

**Catalog Number:** 425637-18-9  
**Molecular Weight:** 438.491  
**Molecular Formula:** C25H22N6O2  
**Description:** Sotrastaurin, also known as AEB-701, is an orally available pan-protein kinase C (PKC) inhibitor with potential immunosuppressive and antineoplastic activities. Sotrastaurin inhibits both T- and B-cell activations via PKC theta and beta isozymes, respectively. Both PKCs are important in the activation of nuclear factor-kappaB (NF–kB). Inhibition of PKC beta in B-cells results in prevention of NF–kB-mediated signaling and down regulation of NF–kB target genes. This may eventually lead to an induction of G1 cell cycle arrest and tumor cell apoptosis in susceptible tumor cells.
**Ingenol Mebutate - CAS 75567-37-2**

**Catalog Number:** 75567-37-2  
**Molecular Weight:** 430.53  
**Molecular Formula:** C25H34O6  
**Description:** Ingenol Mebutate is a substance found in the sap of the plant Euphorbia peplus and an inducer of cell death. It is effective for field treatment of actinic keratoses, significantly reduced head and non-head actinic keratosis lesions.

**Chelerythrine Chloride - CAS 3895-92-9**

**Catalog Number:** 3895-92-9  
**Molecular Weight:** 383.82  
**Molecular Formula:** C21H19NO4  
**Description:** Chelerythrine is a cell-permeable inhibitor of protein kinase C (IC50 = 660 nM) with a wide range of biological activities.

**NSC305787 hydrochloride - CAS 53868-26-1**

**Catalog Number:** 53868-26-1  
**Molecular Weight:** 481.89  
**Molecular Formula:** C25H31Cl3N2O  
**Description:** NSC305787 hydrochloride is a small molecule inhibitor of PKC (IC50=8.3 μM) that directly inhibits ezrin protein as an approach to prevent tumor metastasis.

**PKC-IN-1 - CAS 1046787-18-1**

**Catalog Number:** 1046787-18-1  
**Molecular Weight:** 500.61  
**Molecular Formula:** C25H37FN8O2  
**Description:** PKC-IN-1 has been found to be a PKCβII inhibitor that probably has biological activity in antineoplastic studies. Ki: 14.9 nM.
Ingenol - CAS 30220-46-3

**Catalog Number:** 30220-46-3  
**Molecular Weight:** 348.44  
**Molecular Formula:** C20H28O5

**Description:** Ingenol, the analogue of Ingenol 3-Angelate found in the seeds of Euphorbia lathyris L, is an extremely weak PKC (protein kinase C) activator (Ki=30 μM, ED50=27 μM, in vitro). Ingenol has anti-tumor activity when used topically for the treatment of actin.

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NSC305787 - CAS 785718-37-8

**Catalog Number:** 785718-37-8  
**Molecular Weight:** 445.42  
**Molecular Formula:** C25H30Cl2N2O

**Description:** NSC305787 is a small molecule inhibitor of PKC. Its IC50 value is 8.3 μM, 9.4 μM, 55 μM for PKCl phosphorylation of recombinant ezrin, moesin and radixin. It is proved a novel targeted therapy which could inhibit ezrin protein as an approach to prevent tumor metastasis in vitro. It could inhibited T567 phosphorylation and actin binding of endogenous ezrin at 10 μM without altering cellular ezrin levels and inhibit the lung metastases growth of high-ezrin-expressing K7M2 OS cells in this organ culture assay. It cause reduced cell motility phenotypes in zebrafish in vivo.