# TGF-beta/Smad

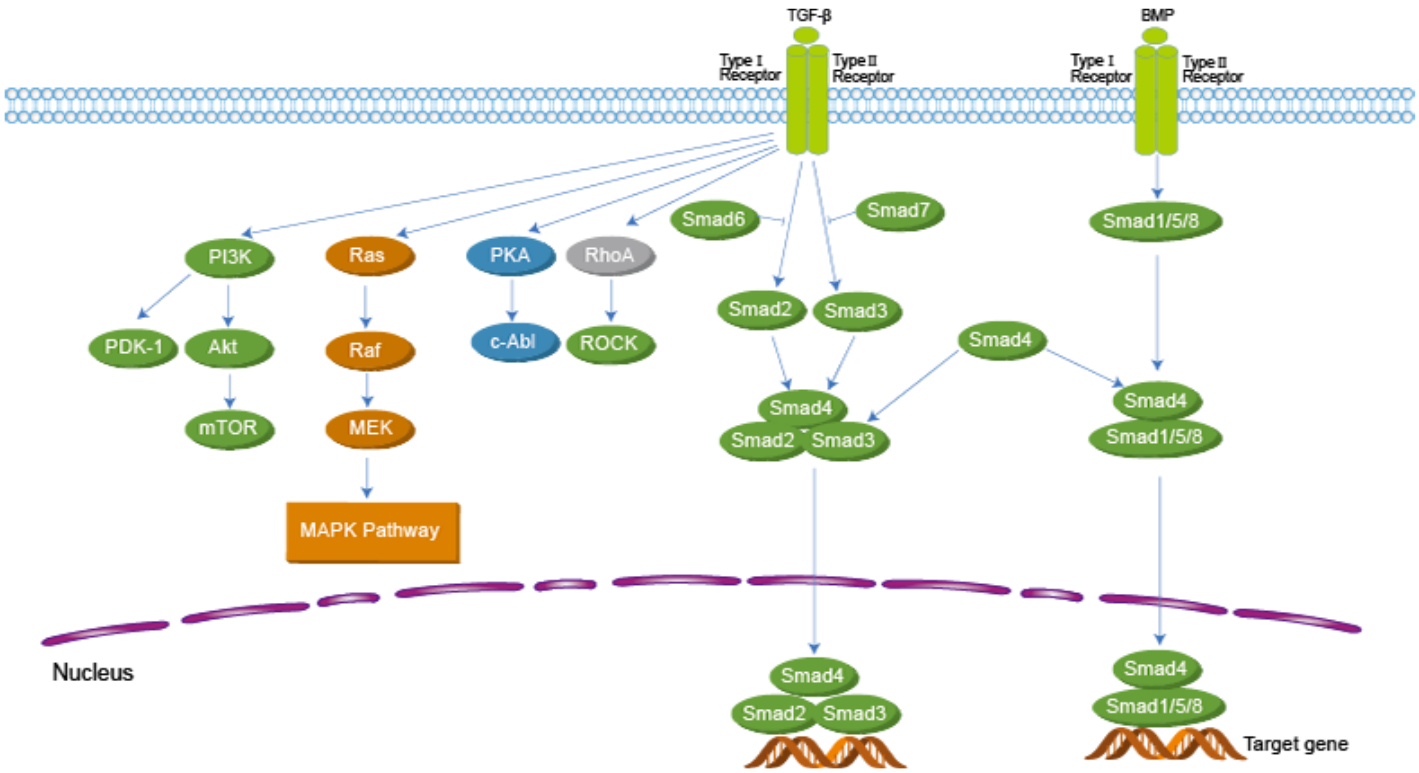
The TGF-β superfamily comprises TGF-βs, bone morphogenetic proteins (BMPs), activins and related proteins. These proteins were identified mainly through their roles in development; they regulate the establishment of the body plan and tissue differentiation through their effects on cell proliferation, differentiation and migration. There are eight vertebrate Smads: Smad1 to Smad8. Smad2 and Smad3 are activated through carboxy-terminal phosphorylation by the TGF-b and activin receptors TbRI and ActRIB, whereas Smad1, Smad5 and Smad8 are activated by ALK-1, ALK-2, BMP-RIA/ALK-3 and BMP-RIB/ALK-6 in response to BMP1–4 or other ligands.

TGF-β binds two receptor types, the TGF-β type I and type II receptors (TβRI and TβRII, respectively) to form the active signaling complex. The TβRII activates TβRI kinase activity by phosphorylating the TβRI, which then transmits the signal intracellularly by phosphorylating the Smad transcription factors. The Smads constitutively shuttle between the cytoplasm and nucleus, but signaling causes the Smads to accumulate predominantly in the nucleus where they bind DNA and other transcriptional machinery to regulate the expression of target genes. TGF-β also involves in the regulations of PI3K and MAPK signaling pathways.

Abnormalities of the TGF-beta receptors and SMADs have been detected in various tumors, including colorectal cancers and pancreatic cancers. In addition, TGF-β/BMP signaling is also involved in osteoblast differentiation, chondrocyte differentiation, skeletal development, cartilage formation, bone formation, bone homeostasis, and related human bone diseases caused by the disruption ofTGF-β/BMP signaling.

References:

[1] Derynck R, et al. Nature. 2003 Oct 9;425(6958):577-84.
[2] Clarke DC, et al. Trends Cell Biol. 2008 Sep;18(9):430-42.
[3] Wu M, et al. Bone Res. 2016 Apr 26;4:16009.





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## Target List in TGF-beta/Smad

# PKC

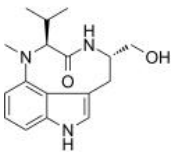
## Protein kinase C

PKC (Protein kinase C) is a family of protein kinase enzymes that are involved in controlling the function of otherproteins through the phosphorylation of hydroxyl groups of serine and threonine amino acid residues on these proteins. PKC enzymes in turn are activated by signals such as increases in the concentration of diacylglycerol (DAG) or calcium ions ($Ca^{2+}$). Hence PKC enzymes play important roles in several signal transduction cascades. The PKC family consists of 15 isozymes in humans: PKC-α (PRKCA), PKC-β1 (PRKCB), PKC-β2 (PRKCB), PKC-γ (PRKCG), PKC-δ (PRKCD), PKC-δ1 (PRKD1), PKC-δ2 (PRKD2), PKC-δ3 (PRKD3), PKC-ε (PRKCE), PKC-η (PRKCH), PKC-θ (PRKCQ), PKC-ι (PRKCI), PKC-ζ (PRKCZ), PK-N1 (PKN1), PK-N2 (PKN2), PK-N3 (PKN3). PKC is involved in receptor desensitization, in modulating membrane structure events, in regulating transcription, in mediating immune responses, in regulating cell growth, and in learning and memory. These functions are achieved by PKC-mediated phosphorylation of other proteins.

## (-)-Indolactam V

**(Indolactam V)** Cat. No.: HY-12307

(-)-Indolactam V is a **PKC** activator, with $K_i$s of 3.36 nM, 1.03 μM for η-CRD2 (PKCη surrogate peptide), γ-CRD2 (PKCγ surrogate peptide), and $K_d$s of 5.5 nM (η-C1B), 7.7 nM (ε-C1B), 8.3 nM (δ-C1B), 18.9 nM (β-C1A-long), 20.8 nM (α-C1A-long), 137 nM (β-C1B), 138 nM (γ-C1A),...

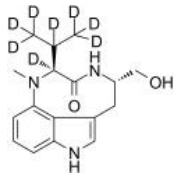


Purity: 98.75%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

## (-)-Indolactam V-d8

**(Indolactam V-d8)** Cat. No.: HY-12307S

(-)-Indolactam V-d8 (Indolactam V-d8) is the deuterium labeled (-)-Indolactam V.

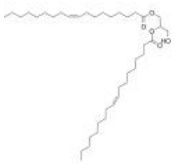


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## (±)-1,2-Diolein

**(1,2-Dioleoyl-rac-glycerol)** Cat. No.: HY-115767

(±)-1,2-Diolein (1,2-Dioleoyl-rac-glycerol) is a **PKC** activator. (±)-1,2-Diolein increases myotubes $Ca^{2+}$ influx.



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

## 1,2-Didecanoylglycerol

Cat. No.: HY-115769

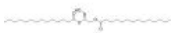
1,2-Didecanoylglycerol, a synthetic diacylglycerol, is metabolized by platelets to 1,2-didecanoylphosphatidic acid ($PA_{10}$) and activates **protein kinase C (PKC)**.

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

## 1,2-Dimyristoyl-sn-glycerol

Cat. No.: HY-128468

1,2-Dimyristoyl-sn-glycerol is a saturated diacylglycerol and a weak second messenger for the activation of **PKC**.



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

## 1-Oleoyl-2-acetyl-sn-glycerol

Cat. No.: HY-131648

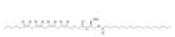
1-Oleoyl-2-acetyl-sn glycerol is a synthetic, cell permeable diacylgly cerol analog. 1-Oleoyl-2-acetyl-sn glycerol activates **calcium-dependent pro tein kinase C (PKC)** and induces the superoxide-production.

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

## 1-Stearoyl-2-Arachidonoyl-d8-sn-Glycerol

Cat. No.: HY-131897S

1-Stearoyl-2-Arachidonoyl-d8-sn-Glycerol is the deuterium labeled 1-Stearoyl-2-arachidonoyl-sn-glycerol. 1-Stearoyl-2-arachidonoyl-sn-glycerol is a diacylglycerol (DAG) containing polyunsaturated fatty acids.



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

## 1-Stearoyl-2-arachidonoyl-sn-glycerol

Cat. No.: HY-131897

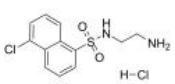
1-Stearoyl-2-arachidonoyl-sn-glycerol is a diacylglycerol (DAG) containing polyunsaturated fatty acids. 1-Stearoyl-2-arachidonoyl-sn-glycerol can activate **PKC**.

Purity: 96.10%
Clinical Data: No Development Reported
Size: 5 mg15.50 mM * 500 μL in Methyl acetate,

## A-3 hydrochloride

Cat. No.: HY-125957

A-3 hydrochloride is a potent, cell-permeable, reversible, ATP-competitive non-selective antagonist of various **kinases**. It against PKA ($K_i$=4.3 μM), casein kinase II ($K_i$=5.1 μM) and myosin light chain kinase (MLCK) ($K_i$=7.4 μM).

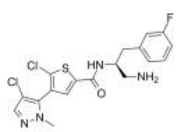


Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Afuresertib

**(GSK2110183)** Cat. No.: HY-15727

Afuresertib (GSK2110183) is an orally bioavailable, selective, ATP-competitive and potent pan-Akt kinase inhibitor with $K_i$s of 0.08/2/2.6 nM for Akt1/Akt2/Akt3, respectively.

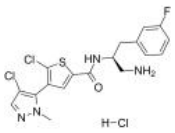


Purity: 99.54%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Afuresertib hydrochloride

**(GSK2110183 hydrochloride)** Cat. No.: HY-15727A

Afuresertib hydrochloride (GSK 2110183 hydrochloride) is an orally bioavailable, selective, ATP-competitive and potent pan-Akt kinase inhibitor with $K_i$s of 0.08/2/2.6 nM for Akt1/Akt2/Akt3 respectively.

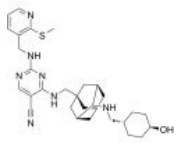


Purity: 98.02%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## AS2521780

Cat. No.: HY-12663

AS2521780 is a novel **PKCθ** selective inhibitor with an $IC_{50}$ of 0.48 nM.

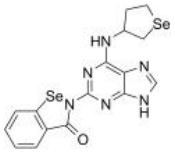


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## Aurora A/PKC-IN-1

Cat. No.: HY-144307

Aurora A/PKC-IN-1 (Compound 2e) is a potent dual inhibitor of **Aurora A (AurA)** and **PKC (α, β1, β2, and θ) kinases** with $IC_{50}$s of 6.9 nM and 16.9 nM for AurA and PKCα, respectively. Aurora A/PKC-IN-1 has antiproliferative activity in breast cancer cells and antimetastatic activity.

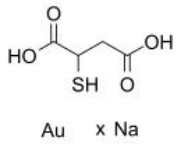


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## Aurothiomalate sodium

Cat. No.: HY-106381

Aurothiomalate sodium is a potent and selective oncogenic $PKC_ι$ signaling inhibitor.
Aurothiomalate sodium inhibits tumor cell proliferation and not cell apoptosis.
Aurothiomalate sodium is a potent **thioredoxin reductase (TrxR)** inhibitor.

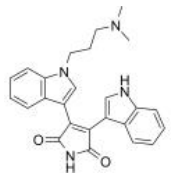


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Bisindolylmaleimide I

**(GF109203X; Go 6850)** Cat. No.: HY-13867

Bisindolylmaleimide I (GF109203X) is a highly selective, cell-permeable, and reversible protein kinase C (**PKC**) inhibitor with a $K_i$ of 14 nM.

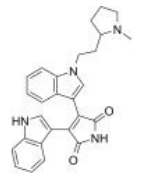


Purity: 99.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Bisindolylmaleimide II

**(Bis II)** Cat. No.: HY-108604

Bisindolylmaleimide II is a general inhibitor of all PKC subtypes.

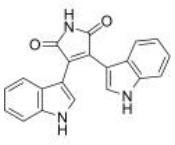


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## Bisindolylmaleimide IV

**(Arcyriarubin A)** Cat. No.: HY-108254

Bisindolylmaleimide IV (Arcyriarubin A) is a potent **protein kinase C (PKC)** inhibitor, with $IC_{50}$s ranging from 0.1 to 0.55 μM. Bisindolylmaleimide IV also inhibits PKA ($IC_{50}$=3.1-11.8μM).

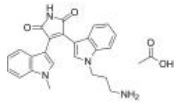


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

## Bisindolylmaleimide VIII acetate

**(Ro 31-7549 acetate; Bis VIII acetate)** Cat. No.: HY-129624A

Bisindolylmaleimide VIII acetate (Ro 31-7549 acetate) is a potent and selective **protein kinase C (PKC)** inhibitor with an $IC_{50}$ of 158 nM for rat brain PKC.

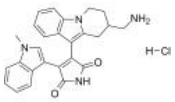


Purity: 99.70%
Clinical Data: No Development Reported
Size: 5 mg

## Bisindolylmaleimide X hydrochloride

**(BIM-X hydrochloride; Ro31-8425 hydrochloride)** Cat. No.: HY-108136A

Bisindolylmaleimide X hydrochloride (BIM-X hydrochloride) is a potent and selective **protein kinase C (PKC)** inhibitor. Bisindolylmaleimide X hydrochloride is a potent **cyclin-dependent kinase 2 (CDK2)** antagonist with an $IC_{50}$ of 200 nM.

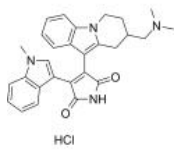


Purity: 99.35%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## Bisindolylmaleimide XI hydrochloride

**(Ro 32-0432; Ro 31-8830 hydrochloride)** Cat. No.: HY-117610A

Bisindolylmaleimide XI hydrochloride (Ro 32-0432) is a potent, selective and orally active **PKC** inhibitor with $IC_{50}$s of 9 nM, 28 nM, 31 nM, 37 nM, and 108 nM for **PKCα**, **PKCβI**, **PKCβII**, **PKCγ**, and **PKCε**, respectively.

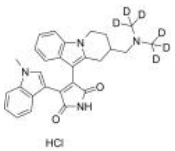


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

## Bisindolylmaleimide XI-d6 hydrochloride

**(Ro 32-0432-d6; Ro 31-8830-d6 hydrochloride)** Cat. No.: HY-117610AS

Bisindolylmaleimide XI-d6 hydrochloride (Ro 32-0432-d6) is the deuterium labeled Bisindolylmaleimide XI hydrochloride.

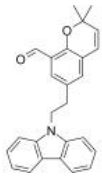


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## BJE6-106

**(B106)** Cat. No.: HY-117800

BJE6-106 (B106) is a potent, selective 3rd generation **PKCδ** inhibitor with an **$IC_{50}$** of 0.05 μM and targets selectivity over classical PKC isozyme PKCα ($IC_{50}$=50 μM). BJE6-106 (B106) induces caspase-dependent **apoptosis**. BJE6-106 (B106) possesses tumor-specific effect.

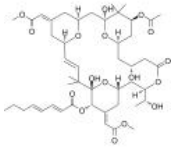


**Purity:** 98.17%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Bryostatin 1

Cat. No.: HY-105231

Bryostatin 1 is a natural macrolide isolated from the bryozoan Bugula neritina and is a potent and central nervous system (CNS)-permeable **PKC** modulator.

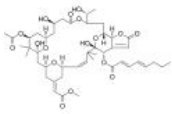


**Purity:** ≥99.0%
**Clinical Data:** No Development Reported
**Size:** 10 μg

## Bryostatin 3

Cat. No.: HY-108602

Bryostatin 3, a macrocyclic lactone, is a **protein kinase C** activator, with a **$K_i$** of 2.75 nM. Bryostatin 3 can block 12-O-tetradecanoylphorbol-13-acetate (TPA) inhibition of cell proliferation, yet did not block TPA-enhanced cell-substratum adhesion.

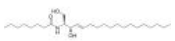


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## C8-Ceramide

**(N-Octanoyl-D-erythro-sphingosine)** Cat. No.: HY-108391

C8-Ceramide (N-Octanoyl-D-erythro-sphingosine) is a cell-permeable analog of naturally occurring ceramides. C8-Ceramide has anti-proliferation properties and acts as a potent chemotherapeutic agent.

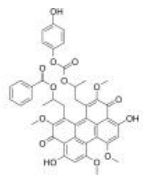


**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg

## Calphostin C

**(UCN-1028C)** Cat. No.: HY-105416

Calphostin C is a potent and specific inhibitor of **protein kinase C**. Calphostin C is an antitumor antibiotic. Calphostin C has 1000 times more inhibitory to protein kinase C with an **$IC_{50}$** of 0.05 μM than other protein kinases.

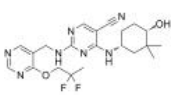


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## CC-90005

Cat. No.: HY-132304

CC-90005 is a potent, selective and orally active inhibitor of **protein kinase C-θ (PKC-θ)**, with an **$IC_{50}$** of 8 nM. CC-90005 shows selectivity for PKC-θ over PKC-δ ($IC_{50}$=4440 nM). CC-90005 can inhibit T cell activation by IL-2 expression.

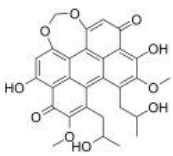


**Purity:** 99.98%
**Clinical Data:** Phase 1
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Cercosporin

Cat. No.: HY-N6743

Cercosporin is produced by a plant pathogen, Cercosporakikuchii, and the elsinochromes, pigments of the elsinoe family of fungi.

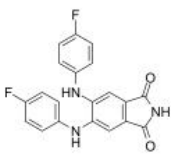


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

## CGP-53353

**(DAPH-7)** Cat. No.: HY-108600

CGP-53353 (DAPH-7) is an potent **PKC** inhibitor with **$IC_{50}$**s of 0.41 mM and 3.8 mM for PKCβII and PKCβI, respectively. CGP-53353 can inhibit glucose-induced cell proliferation and **DNA synthesis** in AoSMC and A10 cells.

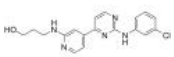


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## CGP60474

Cat. No.: HY-11009

CGP60474, a highly potent anti-endotoxemic agent, is a potent **cyclin-dependent kinase (CDK)** inhibitor (**$IC_{50}$** values are 26, 3, 4, 216, 10, 200 and 13 nM for CDK1/B, CDK2/E, CDK2/A, CDK4/D, CDK5/p25, CDK7/H and CDK9/T, respectively).

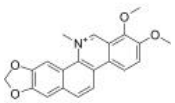


**Purity:** 98.70%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Chelerythrine

Cat. No.: HY-N2359

Chelerythrine is a natural alkaloid, acts as a potent and selective $Ca^{2+}$/phospholipid-dependent **PKC** antagonist, with an $IC_{50}$ of 0.7 μM. Chelerythrine has antitumor, antidiabetic and anti-inflammatory activity.

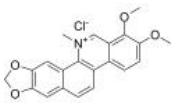


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

## Chelerythrine chloride

Cat. No.: HY-12048

Chelerythrine chloride is a potent, cell-permeable inhibitor of **protein kinase C**, with an $IC_{50}$ of 660 nM. Chelerythrine chloride inhibits the **Bcl-XL-Bak BH3** peptide binding with $IC_{50}$ of 1.5 μM and displaces Bax from Bcl-XL. Chelerythrine chloride induces **apoptosis** and **autophagy**.

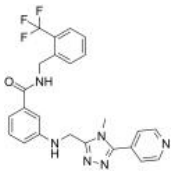


Purity: 98.56%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## CMPD101

Cat. No.: HY-103045

CMPD101 is a potent, highly selective and membrane-permeable small-molecule inhibitor of **GRK2/3** with $IC_{50}$ of 18 nM and 5.4 nM, respectively.

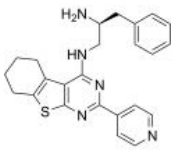


Purity: 98.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg

## CRT0066854

Cat. No.: HY-18713

CRT0066854 is a potent and selective atypical **PKC isoenzymes** inhibitor. CRT0066854 is against full-length (FL) **PKCι**, **PKCζ**, and **ROCK-II** kinases with $IC_{50}$ values of 132 nM, 639 nM, and 620 nM, respectively.

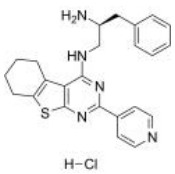


Purity: 99.59%
Clinical Data: No Development Reported
Size: 1 mg

## CRT0066854 hydrochloride

Cat. No.: HY-18713A

CRT0066854 hydrochloride is a potent and selective atypical **PKC**s inhibitor. CRT0066854 is against full-length (FL) **PKCι**, **PKCζ**, and **ROCK-II** kinases with $IC_{50}$ values of 132 nM, 639 nM, and 620 nM, respectively.



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

## D-erythro-Sphingosine (Erythrosphingosine; erythro-C18-Sphingosine; trans-4-Sphingenine)

Cat. No.: HY-101047

D-erythro-Sphingosine (Erythrosphingosine) is a very potent activator of **p32-kinase** with an $EC_{50}$ of 8 μM, and inhibits **protein kinase C (PKC)**. D-erythro-Sphingosine (Erythrosphingosine) is also a **PP2A** activator.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

## D-erythro-Sphingosine-d7 (Erythrosphingosine-d7; erythro-C18-Sphingosine-d7; trans-4-Sphingenine-d7)

Cat. No.: HY-101047S

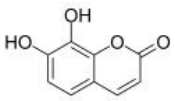
D-erythro-Sphingosine-d7 (Erythrosphingosine-d7) is the deuterium labeled D-erythro-Sphingosine. D-erythro-Sphingosine (Erythrosphingosine) is a very potent activator of **p32-kinase** with an $EC_{50}$ of 8 μM, and inhibits **protein kinase C (PKC)**.

Purity: >98%
Clinical Data: No Development Reported
Size: 500 μg

## Daphnetin (7,8-Dihydroxycoumarin)

Cat. No.: HY-N0281

Daphnetin (7,8-dihydroxycoumarin), one coumarin derivative isolated from plants of the Genus Daphne, is a **protein kinase** inhibitor, with $IC_{50}$**s** of 7.67 μM, 9.33 μM and 25.01 μM for EGFR, PKA and PKC in vitro, respectively.

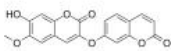


Purity: 99.21%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Daphnoretin (Dephnoretin; Thymelol)

Cat. No.: HY-N0699

Daphnoretin (Dephnoretin), isolated from Wikstroemia indica, possesses antiviral activity. Daphnoretin likes PMA, may direct activation of protein kinase C which in turn activated NADPH oxidase and elicited respiratory burst.

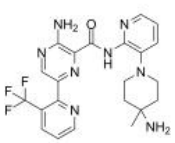


Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg

## Darovasertib (LXS196; IDE196)

Cat. No.: HY-101569

Darovasertib (LXS196) is a potent, selective and orally active protein kinase C (**PKC**) inhibitor, with $IC_{50}$ values of 1.9 nM, 0.4 nM and 3.1 μM for PKCα, PKCθ and GSK3β, respectively. Darovasertib has the potential for uveal melanoma research.



Purity: 99.68%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## DCP-LA

**(FR236924)** Cat. No.: HY-108599

DCP-LA (FR236924), a linoleic acid derivative, selectively and directly activates **PKCε**.

**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

## DCPLA-ME

**(DCPLA methyl ester)** Cat. No.: HY-108599A

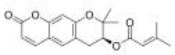
DCPLA-ME, the methyl ester form of DCPLA, is a potent **PKCε** activator for use in the treatment of neurodegenerative diseases.

**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Decursin

**((+)-Decursin)** Cat. No.: HY-18981

Decursin ((+)-Decursin) is a cytotoxic agent and a potent **protein kinase C** activator from the Root of Angelica gigas. Decursin inhibits tumor growth, migration, and invasion in gastric cancer by down-regulating CXCR7 expression.

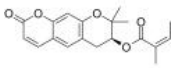


**Purity:** 99.94%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

## Decursinol angelate

Cat. No.: HY-N4322

Decursinol angelate, a cytotoxic and **protein kinase C (PKC)** activating agent from the root of Angelica gigas, possesses anti-tumor and anti-inflammatory activities.



**Purity:** 99.54%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg

## Delcasertib

**(KAI-9803; BMS-875944)** Cat. No.: HY-106262

Delcasertib (KAI-9803) is a potent and selective δ-protein kinase C (**δPKC**) inhibitor. Delcasertib (KAI-9803) could ameliorate injury associated with ischemia and reperfusion in animal models of acute myocardial infarction (MI).

**Purity:** 98.21%
**Clinical Data:** Phase 2
**Size:** 5 mg, 10 mg, 25 mg, 50 mg

## Delcasertib hydrochloride

**(KAI-9803 hydrochloride; BMS-875944 hydrochloride)** Cat. No.: HY-106262B

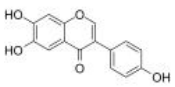
Delcasertib (KAI-9803) hydrochloride is a potent and selective δ-protein kinase C (**δPKC**) inhibitor. Delcasertib (KAI-9803) hydrochloride could ameliorate injury associated with ischemia and reperfusion in animal models of acute myocardial infarction (MI).

**Purity:** 98.11%
**Clinical Data:** Phase 2
**Size:** 5 mg, 10 mg

## Desmethylglycitein

**(4',6,7-Trihydroxyisoflavone)** Cat. No.: HY-N5072

Desmethylglycitein (4',6,7-Trihydroxyisoflavone), a metabolite of daidzein, sourced from Glycine max with antioxidant, and anti-cancer activities.

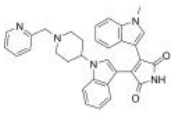


**Purity:** ≥95.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Enzastaurin

**(LY317615)** Cat. No.: HY-10342

Enzastaurin (LY317615) is a potent and selective **PKCβ** inhibitor with an $IC_{50}$ of 6 nM, showing 6- to 20-fold selectivity over PKCα, PKCγ and PKCε.

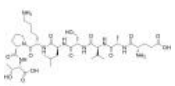


**Purity:** 99.92%
**Clinical Data:** Phase 3
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

## Epsilon-V1-2

**(ε-V1-2; EAVSLKPT)** Cat. No.: HY-P0154

Epsilon-V1-2 (ε-V1-2), a PKCε-derived peptide, is a selective **PKCε** inhibitor. Epsilon-V1-2 inhibits the translocationof PKCε, but not α-, β-, and δPKC.

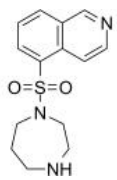


**Purity:** 98.18%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

## Fasudil

**(HA-1077; AT877)** Cat. No.: HY-10341A

Fasudil (HA-1077; AT877), is a nonspecific **RhoA/ROCK** inhibitor and also has inhibitory effect on protein kinases, with an $K_i$ of 0.33 μM for ROCK1, $IC_{50}$s of 0.158 μM and 4.58 μM, 12.30 μM, 1.650 μM for ROCK2 and PKA, PKC, PKG, respectively.

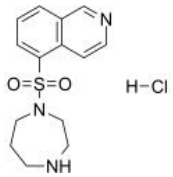


**Purity:** >98%
**Clinical Data:** Launched
**Size:** 1 mg, 5 mg

## Fasudil Hydrochloride

**(HA-1077 Hydrochloride; AT-877 Hydrochloride)** Cat. No.: HY-10341

Fasudil Hydrochloride (HA-1077 Hydrochloride; AT877 Hydrochloride), is a nonspecific **RhoA/ROCK** inhibitor and also has inhibitory effect on protein kinases, with an $K_i$ of 0.33 μM for ROCK1, $IC_{50}$s of 0.158 μM and 4.58 μM, 12.30 μM, 1.650 μM for ROCK2 and PKA, PKC, PKG, respectively.

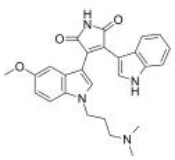


**Purity:** 99.91%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 200 mg, 500 mg

## Go 6983

**(Gö 6983; Goe 6983)** Cat. No.: HY-13689

Go 6983 is a pan-PKC inhibitor against for **PKCα**, **PKCβ**, **PKCγ**, **PKCδ** and **PKCζ** with $IC_{50}$ of 7 nM, 7 nM, 6 nM, 10 nM and 60 nM, respectively.

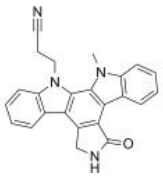


**Purity:** 98.01%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Go6976

Cat. No.: HY-10183

Go6976 is a Protein Kinase C (**PKC**) inhibitor, with an $IC_{50}$ of 20 nM.

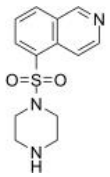


**Purity:** 99.34%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## HA-100

Cat. No.: HY-100984

HA-100 is a potent **protein kinase** inhibitor, with $IC_{50}$s of 4 μM, 8 μM, 12 μM and 240 μM for **cGMP-dependent protein kinase (PKG)**, **cAMP-dependent protein kinase (PKA)**, **protein kinase C (PKC)** and **MLC-kinase**, respectively. HA-100 also used as a **ROCK** inhibitor.

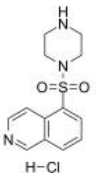


**Purity:** 99.77%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## HA-100 hydrochloride

Cat. No.: HY-100984A

HA-100 hydrochloride is a potent **protein kinase** inhibitor, with $IC_{50}$s of 4 μM, 8 μM, 12 μM and 240 μM for cGMP-dependent protein kinase (**PKG**), cAMP-dependent protein kinase (**PKA**), protein kinase C (**PKC**) and **MLC-kinase**, respectively.

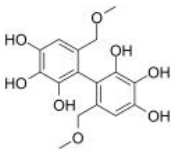


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## HBDDE

Cat. No.: HY-131305

HBDDE, a derivative of Ellagic acid, is an isoform-selective **PKCα** and **PKCγ** inhibitor with $IC_{50}$s of 43 μM and 50 μM, respectively. HBDDE shows selective for **PKCα/PKCγ** over PKCδ, PKCβI and PKCβII isozymes. HBDDE induces neuronal **apoptosis**.

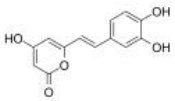


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Hispidin

Cat. No.: HY-100618

Hispidin, a **PKC** inhibitor and a phenolic compound from Phellinus linteus, has been shown to possess strong anti-oxidant, anti-cancer, anti-diabetic, and anti-dementia properties.

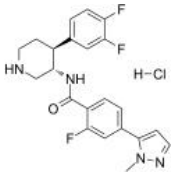


**Purity:** 99.57%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

## Hu7691

Cat. No.: HY-132302

Hu7691 is an orally active, selective **Akt** inhibitor with $IC_{50}$s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 inhibits tumor growth and enables decrease of cutaneous toxicity in mice.

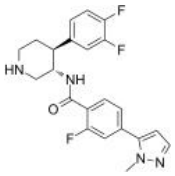


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Hu7691 free base

Cat. No.: HY-132302A

Hu7691 free base is an orally active, selective **Akt** inhibitor with $IC_{50}$s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 free base inhibits tumor growth and enables decrease of cutaneous toxicity in mice.

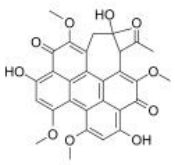


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Hypocrellin A

Cat. No.: HY-N2575

Hypocrellin A, a naturally occurring **PKC** inhibitor, has many biological and pharmacological properties, such as antitumour, antiviral, antibacterial, and antileishmanial activities. Hypocrellin A is a promising photosensitizer for anticancer photodynamic therapy (PDT).



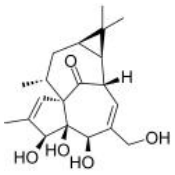
**Purity:** 99.55%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg

## Ingenol

**((-)-Ingenol)**

Cat. No.: HY-N0865

Ingenol is a **PKC** activator, with a $K_i$ of 30 μM, with antitumor activity.

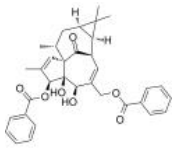


**Purity:** 98.17%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## Ingenol 3,20-dibenzoate

Cat. No.: HY-137295

Ingenol 3,20-dibenzoate is a potent **protein kinase C (PKC)** isoform-selective agonist.



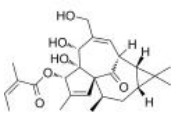
**Purity:** 99.31%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

## Ingenol Mebutate

**(Ingenol 3-angelate; PEP005)**

Cat. No.: HY-B0719

Ingenol Mebutate is an active ingredient in Euphorbia peplus, acts as a potent **PKC** modulator, with $K_i$s of 0.3, 0.105, 0.162, 0.376, and 0.171 nM for PKC-α, PKC-β, PKC-γ, PKC-δ, and PKC-ε, respectively, and has antiinflammatory and antitumor activity.



**Purity:** 99.07%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

## Ionomycin

**(SQ23377)**

Cat. No.: HY-13434

Ionomycin (SQ23377) is a potent, selective **calcium ionophore** and an antibiotic produced by Streptomyces conglobatus. Ionomycin (SQ23377) is highly specific for divalent cations (Ca>Mg>Sr=Ba). Ionomycin (SQ23377) promotes **apoptosis**.

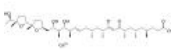
**Purity:** ≥99.0%
**Clinical Data:** No Development Reported
**Size:** 10 mg (14.1 mM * 1 mL in Ethanol)

## Ionomycin calcium

**(SQ23377 calcium)**

Cat. No.: HY-13434A

Ionomycin calcium (SQ23377 calcium) is a potent, selective **calcium ionophore** and an antibiotic produced by Streptomyces conglobatus. Ionomycin calcium (SQ23377 calcium) is highly specific for divalent cations (Ca>Mg>Sr=Ba). Ionomycin (SQ23377) promotes **apoptosis**.



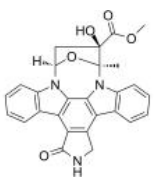
**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg

## K-252a

**(SF2370; Antibiotic K 252a; Antibiotic SF 2370)**

Cat. No.: HY-N6732

K-252a, a staurosporine analog, inhibits **protein kinase**, with $IC_{50}$ values of 470 nM, 140 nM, 270 nM, and 1.7 nM for PKC, PKA, $Ca^{2+}$/calmodulin-dependent kinase type II, and phosphorylase kinase, respectively.

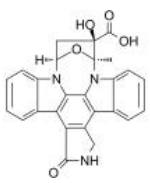


**Purity:** 99.45%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg

## K-252b

Cat. No.: HY-N6734

K-252b, an indolocarbazole isolated from the actinomycete Nocardiopsis, is a **PKC** inhibitor. K-252b can be used to inhibit extracellular kinases of cells in culture because it can't pass through cell membrane freely .

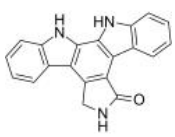


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 25 mg

## K-252c

Cat. No.: HY-N6736

K-252c, a staurosporine analog isolated from Nocardiopsis sp., is a cell-permeable **PKC** inhibitor, with an $IC_{50}$ of 2.45 μM. K-252c induces apoptosis in human chronic myelogenous leukemia cancer cells. K-252c also inhibits β-lactamase, chymotrypsin, and malate dehydrogenase.

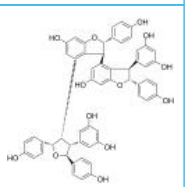


**Purity:** ≥99.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Kobophenol A

Cat. No.: HY-126419

Kobophenol A, an oligomeric stilbene, blocks the interaction between the ACE2 receptor and S1-RBD with an $IC_{50}$ of 1.81 μM and inhibits **SARS-CoV-2** viral infection in cells with an $EC_{50}$ of 71.6 μM.

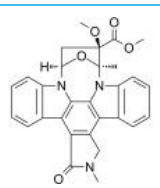


**Purity:** ≥99.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg

## KT5823

Cat. No.: HY-N6791

KT5823, a selective the cGMP-dependent protein kinase (**PKG**) inhibitor with an $K_i$ value of 0.23 μM, it also inhibits **PKA** and **PKC** with $K_i$ values of 10 μM and 4 μM, respectively.

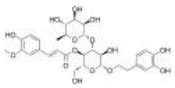


**Purity:** 99.68%
**Clinical Data:** No Development Reported
**Size:** 100 μg

## Leucosceptoside A

Cat. No.: HY-N8018

Leucosceptoside A is a phenylethanoid glycoside with anti-hyperglycemic and anti-hypertensive activities. Leucosceptoside A shows inhibitory activity against **α-glucosidase** and **PKCα** ($IC_{50}$ of 19.0 μM).



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

## Malantide

Cat. No.: HY-P1597

Malantide is a synthetic dodecapeptide derived from the site phosphorylated by **cAMP-dependent protein kinase (PKA)** on the β-subunit of phosphorylase kinase.

RTKRSGSVYEPLKI

**Purity:** 98.56%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg

## Malantide TFA

Cat. No.: HY-P1597A

Malantide TFA is a synthetic dodecapeptide derived from the site phosphorylated by **cAMP-dependent protein kinase (PKA)** on the β-subunit of phosphorylase kinase.

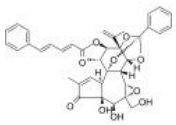
RTKRSGSVYEPLKI (TFA salt)

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

## Mezerein

Cat. No.: HY-N7466

Mezerein is a **PKC** activator that exhibits antileukemic properties. Mezerein inhibits the growth of yeast expressing PKC alpha ($IC_{50}$=1190 nM), PKC beta1 ($IC_{50}$=908 nM), and PKC delta ($IC_{50}$=141 nM) but not of yeast expressing PKC.



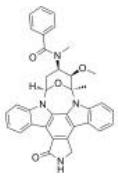
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

## Midostaurin

(PKC412; CGP 41251)

Cat. No.: HY-10230

Midostaurin (PKC412; CGP 41251) is an orally active, reversible multi-targeted protein kinase inhibitor. Midostaurin inhibits **PKCα/β/γ**, **Syk**, **Flk-1**, **Akt**, **PKA**, **c-Kit**, **c-Fgr**, **c-Src**, **FLT3**, **PDFRβ** and **VEGFR1/2** with $IC_{50}$s ranging from 22-500 nM.



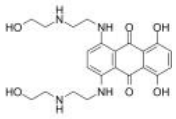
**Purity:** 99.89%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

## Mitoxantrone

(mitozantrone)

Cat. No.: HY-13502

Mitoxantrone is a **topoisomerase II** inhibitor; also inhibits protein kinase C (**PKC**) activity with an $IC_{50}$ of 8.5 μM.



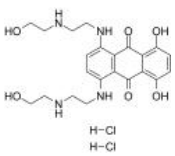
**Purity:** 98.28%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 50 mg, 100 mg

## Mitoxantrone dihydrochloride

(mitozantrone dihydrochloride)

Cat. No.: HY-13502A

Mitoxantrone dihydrochloride is a **topoisomerase II** inhibitor; also inhibits protein kinase C (**PKC**) activity with an $IC_{50}$ of 8.5 μM.

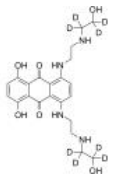


**Purity:** 99.55%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 50 mg, 100 mg

## Mitoxantrone-d8

Cat. No.: HY-13502S

Mitoxantrone-d8 (mitozantrone-d8) is the deuterium labeled Mitoxantrone. Mitoxantrone is a **topoisomerase II** inhibitor and also inhibits protein kinase C (**PKC**) activity with an $IC_{50}$ of 8.5 μM.



**Purity:** >98%
**Clinical Data:**
**Size:** 1 mg, 10 mg

## Myelin Basic Protein

(MHP4-14)

Cat. No.: HY-P1821

Myelin Basic Protein (MHP4-14), a synthetic peptide comprising residues 4-14 of myelin basic protein, is a very selective PKC substrate ($K_m$=7 μM).

QKRPSQRSKYL

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

## Myelin Basic Protein TFA

(MHP4-14 TFA)

Cat. No.: HY-P1821A

Myelin Basic Protein (MHP4-14) TFA, a synthetic peptide comprising residues 4-14 of myelin basic protein, is a very selective PKC substrate ($K_m$=7 μM).

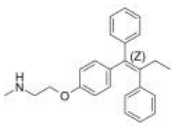
QKRPSQRSKYL (TFA salt)

**Purity:** 95.02%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

## N-Desmethyltamoxifen

Cat. No.: HY-129099

N-Desmethyltamoxifen is the major metabolite of tamoxifen in humans. N-Desmethyltamoxifen, a poor antiestrogen, is a ten-fold more potent **protein kinase C (PKC)** inhibitor than Tamoxifen.

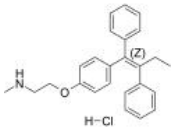


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## N-Desmethyltamoxifen hydrochloride

Cat. No.: HY-129099A

N-Desmethyltamoxifen hydrochloride is the major metabolite of tamoxifen in humans. N-Desmethyltamoxifen, a poor antiestrogen, is a ten-fold more potent **protein kinase C (PKC)** inhibitor than Tamoxifen.



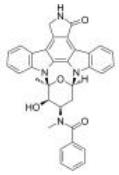
Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## O-Desmethyl Midostaurin

(CGP62221; O-Desmethyl PKC412)

Cat. No.: HY-129491

O-Desmethyl Midostaurin (CGP62221; O-Desmethyl PKC412) is the active metabolite of Midostaurin (HY-10230) via cytochrome P450 liver enzyme metabolism. O-Desmethyl Midostaurin can be used as an indicator for Midostaurin metabolism in vivo.



Purity: 95.48%
Clinical Data: No Development Reported
Size: 5 mg

## p32 Inhibitor M36

(M36)

Cat. No.: HY-124718

p32 inhibitor M36 (M36) is a **p32** mitochondrial protein inhibitor, which binds directly to p32 and inhibits p32 association with LyP-1.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Pep2m, myristoylated

(Myr-Pep2m)

Cat. No.: HY-P1399

Pep2m, myristoylated (Myr-Pep2m) is a cell-permeable peptide. Pep2m, myristoylated can disrupt the protein kinase ζ (PKMζ) downstream targets, **N-ethylmaleimide-sensitive factor/glutamate receptor subunit 2 (NSF/GluR2) interactions**.

{Myr}-KRMKVAKNAQ

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

## Pep2m, myristoylated TFA

(Myr-Pep2m TFA)

Cat. No.: HY-P1399A

Pep2m, myristoylated TFA (Myr-Pep2m TFA) is a cell-permeable peptide. Pep2m, myristoylated TFA can disrupt the protein kinase ζ (PKMζ) downstream targets, **N-ethylmaleimide-sensitive factor/glutamate receptor subunit 2 (NSF/GluR2) interactions**.

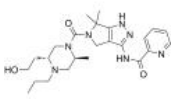
{Myr}-KRMKVAKNAQ (TFA salt)

Purity: 99.77%
Clinical Data: No Development Reported
Size: 5 mg

## PF-03622905

Cat. No.: HY-139466

PF-03622905 is a potent and ATP-competitive **PKC** inhibitor with $IC_{50}$s of 5.6 nM, 14.5 nM, 13 nM, 37.7 nM, and 74.1 nM for **PKCα**, **PKCβI**, **PKCβII**, **PKCγ**, and **PKCθ**, respectively. PF-03622905 shows high specificity for PKC over other protein kinases.

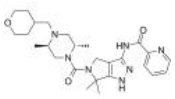


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## PF-04577806

Cat. No.: HY-139467

PF-04577806 is a potent, selective and ATP competitive **PKC** inhibitor. PF-04577806 shows potent inhibitory activity towards **PKCα**, **PKCβI**, **PKCβII**, **PKCγ**, and **PKCθ** with $IC_{50}$s of 2.4 nM, 8.1 nM, 6.9 nM, 45.9 nM, and 29.5 nM, respectively.

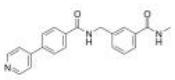


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## PF-4950834

Cat. No.: HY-122011

PF-4950834 is a potent, selective, orally bioavailable, ATP-competitive **rho kinase** inhibitor with $IC_{50}$ values of 8.35 nM and 33.12 nM against ROCK2 and ROCK1, respectively. PF-4950834 inhibits neutrophil migration.



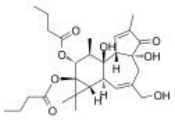
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## Phorbol 12,13-dibutyrate

(Phorbol dibutyrate; PDBu)

Cat. No.: HY-18985

Phorbol 12,13-dibutyrate (Phorbol dibutyrate) is a **PKC** activator and a potent skin tumor promoter.



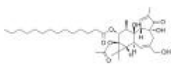
Purity: 98.28%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

## Phorbol 12-myristate 13-acetate

**(PMA; TPA; Phorbol myristate acetate)**

Cat. No.: HY-18739

Phorbol 12-myristate 13-acetate (PMA), a phorbol ester, is a dual **SphK** and **protein kinase C (PKC)** activator. Phorbol 12-myristate 13-acetate is a NF-κB activator. Phorbol 12-myristate 13-acetate induces differentiation in THP-1 cells.



**Purity:** 99.66%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg

## PKC β pseudosubstrate

Cat. No.: HY-P1286

PKC β pseudosubstrate is a selective cell-permeable inhibitor of **PKC**.


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

## PKC β pseudosubstrate TFA

Cat. No.: HY-P1286A

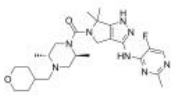
PKC β pseudosubstrate TFA is a selective cell-permeable inhibitor of **PKC**.


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

## PKC-IN-1

Cat. No.: HY-16903

PKC-IN-1 is a potent, ATP-competitive and reversible inhibitor of conventional **PKC** enzymes with $K_i$s of 5.3 and 10.4 nM for human PKCβ and PKCα, and $IC_{50}$s of 2.3, 8.1, 7.6, 25.6, 57.5, 314, 808 nM for PKCα, PKCβI, PKCβII, PKCθ, PKCγ, PKC mu and PKCε, respectively.

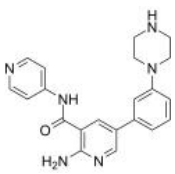


**Purity:** 99.94%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## PKC-iota inhibitor 1

Cat. No.: HY-126146

PKC-iota inhibitor 1 (compound 19) is a **protein kinase C-iota (PKC-ι )** inhibitor with an $IC_{50}$ value of 0.34 μM.

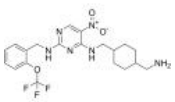


**Purity:** 98.73%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## PKC-theta inhibitor

Cat. No.: HY-112681

PKC-theta inhibitor is a selective PKC-θinhibitor, with an $IC_{50}$ of 12 nM.

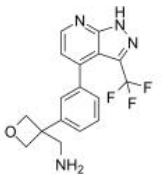


**Purity:** 99.75%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## PKC-theta inhibitor 1

Cat. No.: HY-126328

PKC-theta inhibitor 1 is the **PKCθ** inhibitor with an $K_i$ value of 6 nM, inhibits IL-2 production in vivo with an $IC_{50}$ of 0.19 μM. PKC-theta inhibitor 1 demonstrates a reduction of symptoms in a mouse model of multiple sclerosis.

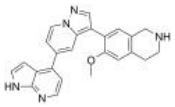


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## PKCiota-IN-2

Cat. No.: HY-122858

PKCiota-IN-2 is a potent **PKCiota (PKC-ι)** inhibitor with an $IC_{50}$ of 2.8 nM. PKCiota-IN-2 also inhibits **PKC-α** and **PKC-ε** with $IC_{50}$s of 71 nM and 350 nM, respectively.

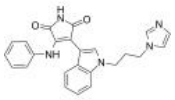


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## PKCβ inhibitor 1

Cat. No.: HY-13335

PKCβ inhibitor 1 is a potent, ATP-competitive, and selective **PKCβ** inhibitor with $IC_{50}$s of 21 and 5 nM for human PKCβ1 and PKCβ2, respectively. PKCβ inhibitor 1 exhibits selectivity of more than 60-fold in favor of PKCβ2 relative to other PKC isozymes (PKCα, PKCγ, and PKCε).



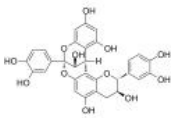
**Purity:** 98.21%
**Clinical Data:** No Development Reported
**Size:** 500 μg, 1 mg, 5 mg, 10 mg

## Procyanidin A1

**(Proanthocyanidin A1)**

Cat. No.: HY-N2344

Procyanidin A1 (Proanthocyanidin A1) is a procyanidin dimer, which inhibits degranulation downstream of protein kinase C activation or $Ca^{2+}$ influx from an internal store in RBL-213 cells. Procyanidin A1 has antiallergic effects.



**Purity:** 99.19%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

## Protein Kinase C (19-31)

(PKC (19-31))

Cat. No.: HY-P1746

Protein Kinase C (19-31), a peptide inhibitor of **protein kinase C (PKC)**, derived from the pseudo-substrate regulatory domain of PKCa (residues 19-31) with a serine at position 25 replacing the wild-type alanine, is used as protein kinase C substrate peptide for testing...

RFARKGALRQKNV

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

## Protein Kinase C (19-31) (TFA)

(PKC (19-31) (TFA))

Cat. No.: HY-P1746A

Protein Kinase C (19-31) TFA, a peptide inhibitor of **protein kinase C (PKC)**, derived from the pseudo-substrate regulatory domain of PKCa (residues 19-31) with a serine at position 25 replacing the wild-type alanine, is used as protein kinase C substrate peptide for testing...

RFARKGALRQKNV (TFA salt)

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

## Protein Kinase C (19-36)

Cat. No.: HY-P1401

Protein Kinase C (19-36) is a pseudosubstrate peptide inhibitor of **protein kinase C (PKC)**, with an $IC_{50}$ of 0.18 μM.

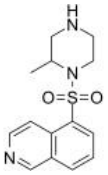
RFARKGALRQKNVHEVKN

**Purity:** 99.44%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Protein kinase inhibitor H-7

Cat. No.: HY-131900

Protein kinase inhibitor H-7 is a potent inhibitor of **protein kinase C (PKC)** and **cyclic nucleotide dependent protein kinase**, with a $K_i$ of 6 μM for PKC.

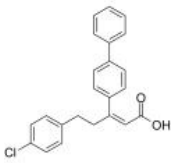


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## PS315

Cat. No.: HY-124308

PS315, a derivative of PS48 (HY-15967), is an allosteric **PKC** inhibitor by binding to the PIF-pocket of aPKC and inducing a displacement of the active site residue Lys111. PS315 inhibits the full-length and catalytic domain constructs of $PKC_ζ$ ($IC_{50}$=10 μM) and $PKC_η$ ($IC_{50}$=30 μM).



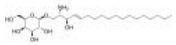
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Psychosine

(Galactosylsphingosine)

Cat. No.: HY-136490

Psychosine (Galactosylsphingosine), a substrate of the galactocerebrosidase (GALC) enzyme, is a potential biomarker for Krabbe disease.



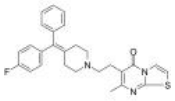
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## R 59-022

(DKGI-I; Diacylglycerol kinase inhibitor I)

Cat. No.: HY-107613

R 59-022 (DKGI-I) is a **diacylglycerol kinase** inhibitor ($IC_{50}$=2.8 μM). R 59-022 is a **5-HTR** antagonist, and activates **protein kinase C (PKC)**.



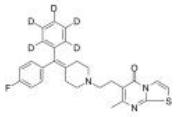
**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

## R 59-022-d5

(DKGI-I-d5; Diacylglycerol kinase inhibitor I-d5)

Cat. No.: HY-107613S

R 59-022-d5 (DKGI-I-d5) is the deuterium labeled R 59-022. R 59-022 (DKGI-I) is a **diacylglycerol kinase** inhibitor ($IC_{50}$=2.8 μM). R 59-022 is a **5-HTR** antagonist, and activates **protein kinase C (PKC)**.

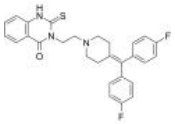


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## R59949

Cat. No.: HY-108355

R59949 is a pan **diacylglycerol kinase (DGK)** inhibitor with an $IC_{50}$ of 300 nM. R59949 strongly inhibits the activity of type I DGK α and γ and moderately attenuates the activity of type II DGK θ and κ.



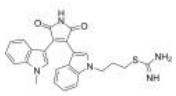
**Purity:** 97.01%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Ro 31-8220

(Bisindolylmaleimide IX)

Cat. No.: HY-13866A

Ro 31-8220 is a potent **PKC** inhibitor, with $IC_{50}$s of 5, 24, 14, 27, 24 and 23 nM for PKCα, PKCβI, PKCβII, PKCγ, PKCε and rat brain PKC, respectively.

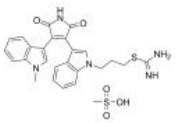


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Ro 31-8220 mesylate (Ro 31-8220 methanesulfonate; Bisindolylmaleimide IX mesylate)

Cat. No.: HY-13866

Ro 31-8220 mesylate is a potent **PKC** inhibitor, with $IC_{50}$s of 5, 24, 14, 27, 24 and 23 nM for PKCα, PKCβI, PKCβII, PKCγ, PKCε and rat brain PKC, respectively.

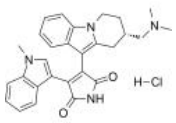


**Purity:** 99.28%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

## Ro 32-0432 hydrochloride

Cat. No.: HY-108601A

Ro 32-0432 hydrochloride is a potent, selective, ATP-competitive and orally active **PKC** inhibitor. The $IC_{50}$ values of Ro 32-0432 hydrochloride for **PKCα**, **PKCβI**, **PKCβII**, **PKCγ** and **PKCε** are 9.3 nM, 28 nM, 30 nM, 36.5 nM and 108.3 nM, respectively.



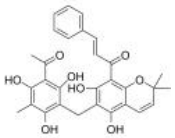
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg

## Rottlerin

(Mallotoxin; NSC 56346; NSC 94525)

Cat. No.: HY-18980

Rottlerin, a natural product purified from Mallotus Philippinensis, is a specific **PKC** inhibitor, with $IC_{50}$ values for PKCδ of 3-6 μM, PKCα,β,γ of 30-42 μM, PKCε,η,ζ of 80-100 μM.

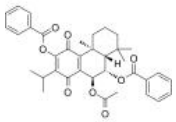


**Purity:** 98.09%
**Clinical Data:** No Development Reported
**Size:** 10 mg, 25 mg

## Roy-Bz

Cat. No.: HY-111364

Roy-Bz is a selecive **PKCδ** activator. Roy-Bz potently inhibits the proliferation of colon cancer cells by inducing a PKCδ-dependent mitochondrial apoptotic pathway involving caspase-3 activation.



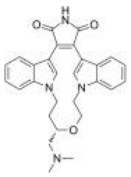
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Ruboxistaurin

(LY333531)

Cat. No.: HY-10195

Ruboxistaurin (LY333531) is an orally active, selective **PKC beta** inhibitor ($K_i$=2 nM). Ruboxistaurin exhibits ATP dependent competitive inhibition of PKC beta I with an $IC_{50}$ of 4.7 nM. Ruboxistaurin inhibits PKC beta II with an $IC_{50}$ of 5.9 nM.



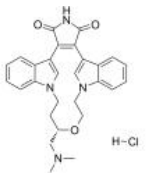
**Purity:** 98.03%
**Clinical Data:** Phase 3
**Size:** 5 mg, 10 mg, 25 mg

## Ruboxistaurin hydrochloride

(LY333531 hydrochloride)

Cat. No.: HY-10195B

Ruboxistaurin (LY333531) hydrochloride is an orally active, selective **PKC beta** inhibitor ($K_i$=2 nM). Ruboxistaurin hydrochloride exhibits ATP dependent competitive inhibition of PKC beta I with an $IC_{50}$ of 4.7 nM.

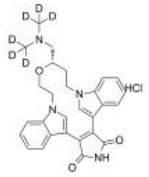


**Purity:** 99.84%
**Clinical Data:** Launched
**Size:** 5 mg

## Ruboxistaurin-d6 hydrochloride

Cat. No.: HY-10195BS

Ruboxistaurin-d6 (LY333531-d6) hydrochloride is the deuterium labeled Ruboxistaurin hydrochloride. Ruboxistaurin (LY333531) hydrochloride is an orally active, selective **PKC beta** inhibitor ($K_i$=2 nM).



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

## Safingol

(L-threo-dihydrosphingosine)

Cat. No.: HY-112384

Safingol is a lyso-sphingolipid PKC (protein kinase C ) inhibitor.

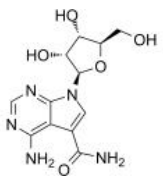
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Sangivamycin

(NSC 65346; BA-90912)

Cat. No.: HY-118384

Sangivamycin (NSC 65346), a nucleoside analog, is a potent inhibitor of **protein kinase C (PKC)** with an $K_i$ of 10 μM. Sangivamycin has potent antiproliferative activity against a variety of human cancers.

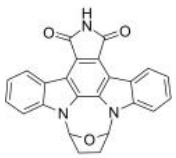


**Purity:** 97.06%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

## SB-218078

Cat. No.: HY-107407

SB-218078 is a potent, selective, ATP-competitive and cell-permeable **checkpoint kinase 1 (Chk1)** inhibitor that inhibits **Chk1** phosphorylation of cdc25C with an $IC_{50}$ of 15 nM. SB-218078 is less potently inhibits **Cdc2** ($IC_{50}$ of 250 nM) and **PKC** ($IC_{50}$ of 1000 nM).



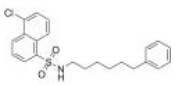
**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## SC-9

**(NCM 119)**

Cat. No.: HY-100934

SC-9 is a **PKC** activator in the presence of $Ca^{2+}$.



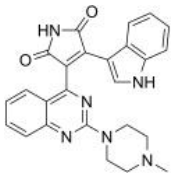
**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Sotrastaurin

**(AEB071)**

Cat. No.: HY-10343

Sotrastaurin (AEB071) is a potent and orally-active pan-**PKC** inhibitor, with $K_i$s of 0.22 nM, 0.64 nM, 0.95 nM, 1.8 nM, 2.1 nM and 3.2 nM for PKCθ, PKCβ, PKCα, PKCη, PKCδ and PKCε, respectively.



**Purity:** 99.89%
**Clinical Data:** Phase 2
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## Sphingosine (d14:1)

**(Tetradecasphing-4-enine)**

Cat. No.: HY-118442

Sphingosine (d14:1) (Tetradecasphing-4-enine), a sphingolipid, is a potent **Protein kinase C (PKC)** inhibitor. Sphingosine (d14:1) prevents its interaction with sn-1,2-diacylglycerol (DAG)/Phorbol esters.

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

## Spisulosine

**(ES-285)**

Cat. No.: HY-13626

Spisulosine (ES-285) is an antiproliferative (antitumoral) compound of marine origin. Spisulosine inhibits the growth of the prostate PC-3 and LNCaP cells through intracellular ceramide accumulation and **PKCζ** activation.

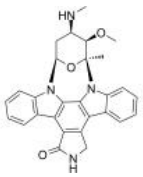
**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Staurosporine

**(Antibiotic AM-2282; STS; AM-2282)**

Cat. No.: HY-15141

Staurosporine is a potent, ATP-competitive and non-selective inhibitor of protein kinases with $IC_{50}$s of 6 nM, 15 nM, 2 nM, and 3 nM for **PKC**, **PKA**, **c-Fgr**, and **Phosphorylase kinase** respectively. Staurosporine also inhibits **TAOK2** with an $IC_{50}$ of 3 μM. Staurosporine is an apoptosis inducer.

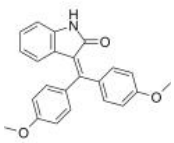


**Purity:** 99.98%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg

## TAS-301

Cat. No.: HY-18965

TAS-301 is an inhibitor of smooth muscle cell migration and proliferation, and inhibits **PKC** activation induced by PDGF.



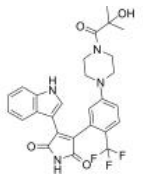
**Purity:** 99.50%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## TCS 21311

**(NIBR3049)**

Cat. No.: HY-108264

TCS 21311 (NIBR3049) is a potent, highly selective **JAK3** inhibitor with an $IC_{50}$ of 8 nM, it displays >100-fold selectivity over JAK1, JAK2 and TYK2. TCS 21311 (NIBR3049) inhibits **PKCα**, **PKCθ**, and **GSK3β** with $IC_{50}$s of 13, 68, and 3 nM, respectively.



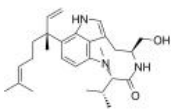
**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

## Teleocidin A1

**(Lyngbyatoxin A)**

Cat. No.: HY-118834

Teleocidin A1 (Lyngbyatoxin A), a highly toxic skin irritant, is a potent activator of protein kinase C **(PKC)**. Teleocidin A1 shows antiproliferative activity against HeLa cancer cells ($IC_{50}$=9.2 nM).

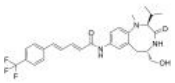


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TPPB

Cat. No.: HY-12359

TPPB is a cell-permeable benzolactam-derived protein kinase C (**PKC**) activator with a $K_i$ of 11.9 nM.



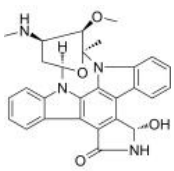
**Purity:** 99.81%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg

## UCN-02

**(7-epi-Hydroxystaurosporine)**

Cat. No.: HY-108262

UCN-02 (7-epi-Hydroxystaurosporine) is a selective **protein kinase C (PKC)** inhibitor produced by Streptomyces strain N-12, with $IC_{50}$s of 62 nM and 250 nM for PKC and protein kinase A (PKA), respectively.



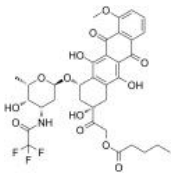
**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg

## Valrubicin

(AD-32)

Cat. No.: HY-13772

Valrubicin is a chemotherapy agent, inhibits TPA- and PDBu-induced **PKC** activation with $IC_{50}$s of 0.85 and 1.25 μM, respectively, and has antitumor and antiinflammatory activity.



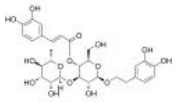
Purity: 99.60%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Verbascoside

(Acteoside; Kusaginin; TJC160)

Cat. No.: HY-N0021

Verbascoside is isolated from Lantana camara, acts as an ATP-competitive inhibitor of **PKC**, with an $IC_{50}$ of 25 μM, and has antitumor, anti-inflammatory and antineuropathic pain activity.

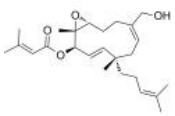


Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Vibsanin A

Cat. No.: HY-N10393

Vibsanin A, a **protein kinase C (PKC)** activator, exhibits anti-proliferative activity against human cancer cell lines. Vibsanin A is also a **HSP90** inhibitor.

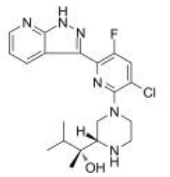


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## VTX-27

Cat. No.: HY-112782

VTX-27 is a selective protein kinase C θ **(PKC θ)** inhibitor, with $K_i$s of 0.08 nM and 16 nM for PKC θ and PKC δ.



Purity: 99.64%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## ZIP

Cat. No.: HY-P1284

ZIP is a selective peptide inhibitor of **PKMζ**. ZIP injections can block the impairment in morphine conditioned place preference induced.

{Myr-Ser}-IYRRGARRWRKL

Purity: 99.62%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

## ZIP TFA

Cat. No.: HY-P1284A

ZIP TFA is a selective peptide inhibitor of **PKMζ**. ZIP TFA injections can block the impairment in morphine conditioned place preference induced.

{Myr-Ser}-IYRRGARRWRKL (TFA salt)

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

## [Ala107]MBP(104-118)

Cat. No.: HY-P1289A

[Ala107]MBP(104-118) is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with $IC_{50}$s ranging from 46-145 μM.

GKGAGLSLSRFSWGA

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

## [Ala107]MBP(104-118) TFA

Cat. No.: HY-P1289B

[Ala107]MBP(104-118) TFA is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with $IC_{50}$s ranging from 46-145 μM.

GKGAGLSLSRFSWGA (TFA salt)

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

## [Ala113]MBP(104-118)

Cat. No.: HY-P1289

[Ala113]MBP(104-118) is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with $IC_{50}$s ranging from 28-62 μM.

GKGRGLSLSAFSWGA

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

## [Ala113]MBP(104-118) TFA

Cat. No.: HY-P1289C

[Ala113]MBP(104-118) TFA is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with $IC_{50}$s ranging from 28-62 μM.

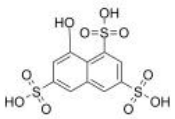
GKGRGLSLSAFSWGA (TFA salt)

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

## ζ-Stat

**(NSC37044)** **Cat. No.:** HY-123979

ζ-Stat (NSC37044) is a specific and atypical **PKC-ζ** inhibitor, with an $IC_{50}$ of 5 μM. ζ-Stat can reduce melanoma cell lines proliferation and induce apoptosis, and has antitumor activity in vitro.

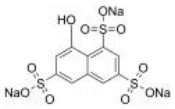


**Purity:** ≥95.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## ζ-Stat trisodium

**(NSC37044 trisodium)** **Cat. No.:** HY-123979A

ζ-Stat trisodium (NSC37044 trisodium) is a specific and atypical **PKC-ζ** inhibitor, with an $IC_{50}$ of 5 μM. ζ-Stat trisodium can reduce melanoma cell lines proliferation and induce apoptosis, and has antitumor activity in vitro.



**Purity:** ≥97.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

# ROCK

## Rho-associated protein kinase; Rho-associated kinase; Rho-kinase; ROK

ROCK (Rho-associated protein kinase) is a kinase belonging to the AGC (PKA/ PKG/PKC) family of serine-threonine kinases. ROCKs (ROCK1 and ROCK2) occur in mammals, zebrafish, Xenopus, invertebrates and chicken. Human ROCK1 has a molecular mass of 158 kDa and is a major downstream effector of the small GTPase RhoA. Mammalian ROCK consists of a kinase domain, acoiled-coil region and a Pleckstrin homology (PH) domain, which reduces the kinase activity of ROCKs by an autoinhibitory intramolecular fold if RhoA-GTP is not present. ROCK plays a role in a wide range of different cellular phenomena, as ROCK is a downstream effector protein of the small GTPase Rho, which is one of the major regulators of the cytoskeleton.

# TGF-beta/Smad

## Transforming growth factor beta

Transforming growth factor-beta (TGF-β) is a member of a superfamily of pleiotropic proteins that regulate multiple cellular processes such as growth, development and differentiation. The intracellular effectors of TGF-beta signalling, the Smad proteins, are activated by receptors and translocate into the nucleus, where they regulate transcription. Although this pathway is inherently simple, combinatorial interactions in the heteromeric receptor and Smad complexes, receptor-interacting and Smad-interacting proteins, and cooperation with sequence-specific transcription factors allow substantial versatility and diversification of TGF-beta family responses. Other signalling pathways further regulate Smad activation and function.

In addition, TGF-beta receptors activate Smad-independent pathways that not only regulate Smad signalling, but also allow Smad-independent TGF-beta responses. Aberrant TGF-β signaling is associated with a variety of diseases, such as fibrosis, cardiovascular disease and cancer. Hence, the TGF-β signaling pathway is recognized as a potential drug target.

# TGF-β Receptor

## Transforming growth factor beta receptors

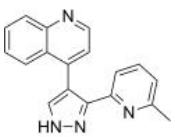
TGF-β receptors (Transforming growth factor-β receptors) are single pass serine/threonine kinase receptors. Transforming growth factor beta (TGF-beta) is a member of a large family of pleiotropic cytokines that are involved in many biological processes, including growth control, differentiation, migration, cell survival, adhesion, and specification of developmental fate, in both normal and diseased states. TGF-beta superfamily members signal through a receptor complex comprising a type II and type I receptor, both serine/threonine kinases.

The type I receptors, referred to as activin receptor-like kinases (ALK), lie at the epicenter of the signaling cascade as they transduce TGF-beta signals to intracellular regulators of transcription known as Smad proteins. ALKs possess an extracellular binding domain, a transmembrane domain, a GS domain that serves as the site of activation by type II receptors, and a kinase domain that activates downstream signaling molecules. ALKs mediate the effect of TGF-beta superfamily on a variety of cellular processes such as proliferation, differentiation, apoptosis, adhesion and migration, and therefore play important roles in many biological processes. Some ALKs have been implicated in several disorders, including tumorigenesis and immune diseases, suggesting that these receptors can be used as drug targets.

## A 77-01

Cat. No.: HY-78349

A 77-01 is a potent inhibitor of **transforming growth factor (TGF)-β** type I receptor superfamily **activin-like kinase ALK5** with an $IC_{50}$ of 25 nM.

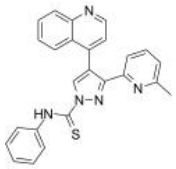


Purity: 99.55%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

## A 83-01

Cat. No.: HY-10432

A 83-01 is a potent inhibitor of TGF-β type I receptor **ALK5 kinase**, type I nodal receptor **ALK4** and type I nodal receptor **ALK7**, with $IC_{50}$s of 12 nM, 45 nM and 7.5 nM against the transcription induced by **ALK5**, **ALK4** and **ALK7**, respectively.

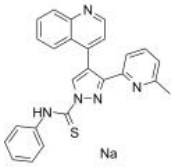


Purity: 98.83%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

## A 83-01 sodium

Cat. No.: HY-10432A

A 83-01 sodium is a potent inhibitor of **TGF-β type I receptor ALK5 kinase**, **ALK4** and **ALK7**, with $IC_{50}$s of 12 nM, 45 nM and 7.5 nM against the transcription induced by **ALK5**, **ALK4** and **ALK7**, respectively.

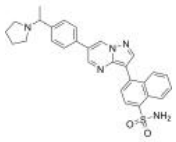


Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg

## ALK2-IN-2

Cat. No.: HY-112815

ALK2-IN-2 is a potent and selective inhibitor of **activin receptor-like kinase 2 (ALK2)** with an $IC_{50}$ of 9 nM, and over 700-fold selectivity against ALK3.

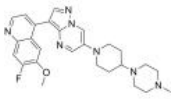


Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## ALK2-IN-4

Cat. No.: HY-136773

ALK2-IN-4 is a potent **ALK2** inhibitor extracted from patent WO2020086963A1, compound Formula I free base.

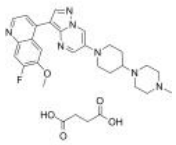


Purity: 99.86%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## ALK2-IN-4 succinate

Cat. No.: HY-136773A

ALK2-IN-4 succinate is a potent **ALK2** inhibitor extracted from patent WO2020086963A1, compound Formula I free base.

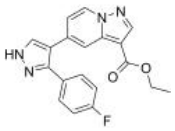


Purity: 99.73%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## ALK5-IN-8

Cat. No.: HY-144043

ALK5-IN-8 is a potent inhibitor of **TGFβRI** (**ALK5**). ALK5-IN-8 Inhibits the phosphorylation of ALK5 on its downstream signaling proteins (Smad2 or Smad3) by blocking the binding of TGFβRI to ligands, thereby affecting or blocking TGF-β signaling.

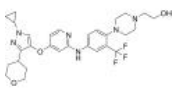


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## ALK5-IN-9

Cat. No.: HY-144437

ALK5-IN-9 (Compound 8h) is a potent and orally active inhibitor of **TGFβRI** (**ALK5**). ALK5-IN-9 inhibits ALK5 autophosphorylation and NIH3T3 cell activity with $IC_{50}$ values of 25 nM and 74.6 nM, respectively.

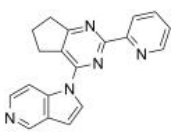


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

## AZ12601011

Cat. No.: HY-122856

AZ12601011 is an orally active, selective **TGFBR1 kinase** inhibitor with an $IC_{50}$ of 18 nM and a $K_d$ of 2.9 nM. AZ12601011 inhibits phosphorylation of SMAD2 via selectively inhibiting **ALK4**, **TGFBR1**, and **ALK7**. AZ12601011 inhibits mammary tumor growth .

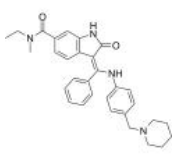


Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## BIBF0775

Cat. No.: HY-13783

BIBF0775 is a potent and selective **transforming growth factor β (TGFβ) type I receptor (Alk5)** inhibitor with an $IC_{50}$ of 34 nM.

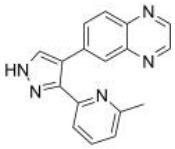


Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## BIO-013077-01

Cat. No.: HY-118810

BIO-013077-01 is a pyrazole **TGF-β** inhibitor.

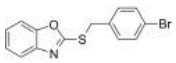


**Purity:** 98.16%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg

## BMP signaling agonist sb4

Cat. No.: HY-124697

BMP signaling agonist sb4 is a potent benzoxazole **bone morphogenetic protein 4 (BMP4) signaling** agonist with a $EC_{50}$ value of 74 nM, activates BMP signaling by stabilizing intracellular p-SMAD-1/5/9.

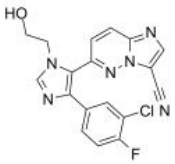


**Purity:** 99.89%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## BMS-986260

Cat. No.: HY-W107024

BMS-986260, an immuno-oncology agent, is a potent, selective, and orally active **TGFβR1** inhibitor ($IC_{50}$=1.6 nM). BMS-986260 displays exquisite selectivity for TGFβR1 over its isozyme TGFβR2, as well as in a panel of more than 200 kinases examined.

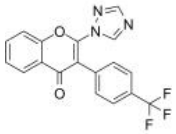


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Chromenone 1

Cat. No.: HY-143891

Chromenone 1 is a potent osteogenic bone morphogenetic protein **(BMP)** potentiator. Chromenone 1 exhibits a unique mode of action as it induces a pronounced, kinase-independent, negative TGFβ feedback that enhances nuclear BMP-Smad signaling outputs.

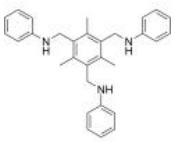


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## CJJ300

Cat. No.: HY-146693

CJJ300 is a transforming growth factor-β (**TGF-β**) inhibitor with an $IC_{50}$ of 5.3 μM. CJJ300 inhibits TGF-β signaling by disrupting the formation of the TGF-β-TβR-I-TβR-II signaling complex.

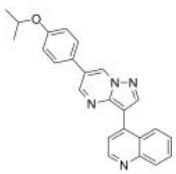


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## DMH-1

Cat. No.: HY-12273

DMH-1 is a potent and selective **BMP** inhibitor with $IC_{50}$s of 27/107.9/<5/47.6 nM for ALK1/ALK2/ALK3/ALK6, respectively.



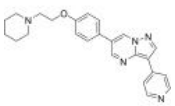
**Purity:** 99.81%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Dorsomorphin

**(Compound C; BML-275)**

Cat. No.: HY-13418A

Dorsomorphin (Compound C) is a selective and ATP-competitive **AMPK** inhibitor ($K_i$=109 nM in the absence of AMP). Dorsomorphin (BML-275) selectively inhibits BMP type I receptors **ALK2**, **ALK3**, and **ALK6**. Dorsomorphin induces **autophagy**.



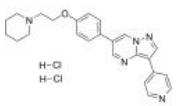
**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Dorsomorphin dihydrochloride

**(Compound C dihydrochloride; BML-275 dihydrochloride)**

Cat. No.: HY-13418

Dorsomorphin dihydrochloride (BML-275 dihydrochloride; Compound C dihydrochloride) is a potent, selective and ATP-competitive **AMPK** inhibitor, with a $K_i$ of 109 nM.

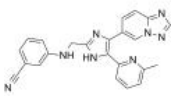


**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## EW-7195

Cat. No.: HY-18766

EW-7195 is a potent and selective **ALK5 (TGFβR1)** inhibitor with an $IC_{50}$ of 4.83 nM. EW-7195 has >300-fold selectivity for ALK5 over p38α. EW-7195 efficiently inhibits TGF-β1-induced Smad signaling, epithelial-to-mesenchymal transition (EMT) and breast tumour metastasis to the lung.



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

## Fresolimumab

**(GC1008)**

Cat. No.: HY-P99020

Fresolimumab (GC1008) is a high-affinity fully human monoclonal antibody that neutralizes the active form of human **TGFβ1**, **TGFβ2**, and **TGFβ3**. Fresolimumab can be used for the research of cancer and fibrotic diseases .

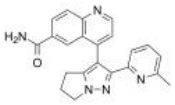
Fresolimumab

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

## Galunisertib
(LY2157299)

Cat. No.: HY-13226

Galunisertib (LY2157299) is an oral and selective **TGF-β receptor type I (TGF-βRI) kinase** inhibitor with an $IC_{50}$ of 56 nM.

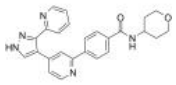


**Purity:** 99.95%
**Clinical Data:** Phase 3
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## GW788388

Cat. No.: HY-10326

GW788388 is a potent and selective inhibitor of **ALK5** with $IC_{50}$ of 18 nM, and also inhibits TGF-β type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor.

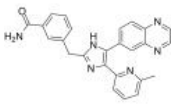


**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## IN-1130

Cat. No.: HY-18758

IN-1130 is a highly selective **transforming growth factor-β type I receptor kinase (ALK5)** inhibitor with an $IC_{50}$ of 5.3 nM for ALK5-mediated Smad3 phosphorylation.

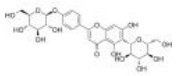


**Purity:** 99.79%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Isosaponarin

Cat. No.: HY-N2589

Isosaponarin is a flavone glycoside isolated from wasabi leaves. Isosaponarin increases **collagen synthesis**, caused by up-regulated **TGF-β type II receptor (TβR-II)** and **prolyl 4-hydroxylase (P4H)** proteins production.

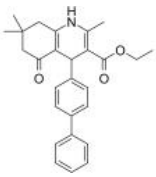


**Purity:** 99.59%
**Clinical Data:** No Development Reported
**Size:** 5 mg

## ITD-1

Cat. No.: HY-12704

ITD-1 is the first selective **TGFβ receptor** inhibitor with an $IC_{50}$ of 460 nM.

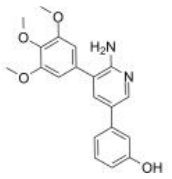


**Purity:** 99.96%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## K02288

Cat. No.: HY-12278

K02288 is a potent **bone morphogenetic protein (BMP) type I receptor** inhibitor with $IC_{50}$s of 1.8, 1.1, 6.4 nM for ALK1, ALK2 and ALK6, respectively. K02288 shows slightly weaker inhibition against ALK3 and ALK6 with $IC_{50}$s of of 5-34 nM.

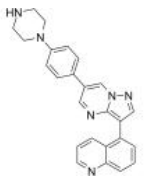


**Purity:** 99.80%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

## LDN-212854

Cat. No.: HY-15897

LDN-212854 is a novel BMP inhibitor that exhibits substantially greater selectivity for BMP versus the TGF-β type I receptors; possesses a bias towards ALK2(IC50=1.3 nM) versus ALK1 and ALK3 compared to other inhibitors.

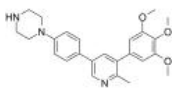


**Purity:** 99.87%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

## LDN-214117

Cat. No.: HY-16712

LDN-214117 is a potent and selective ALK2 inhibitor with IC50 of 22 nM; > 100 fold selectivity for ALK5; also inhibits BMP6(IC50=100 nM).

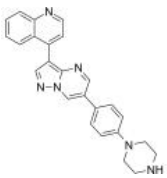


**Purity:** 99.95%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## LDN193189
(DM-3189)

Cat. No.: HY-12071

LDN193189 (DM-3189) is a selective BMP type I receptor inhibitor, which efficiently inhibits **ALK2** and **ALK3** ($IC_{50}$=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 ($IC_{50}$≥500 nM).

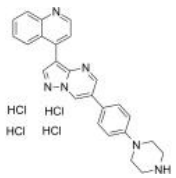


**Purity:** 99.48%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

## LDN193189 Tetrahydrochloride

Cat. No.: HY-12071A

LDN193189 Tetrahydrochloride is a selective BMP type I receptor inhibitor, which efficiently inhibits **ALK2** and **ALK3** ($IC_{50}$=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 ($IC_{50}$≥500 nM).

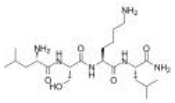


**Purity:** 98.04%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## LSKL, Inhibitor of Thrombospondin (TSP-1)

Cat. No.: HY-P0299

LSKL, Inhibitor of Thrombospondin (TSP-1) is a latency-associated protein (LAP)-TGFβ derived tetrapeptide and a competitive **TGF-β1** antagonist. LSKL, Inhibitor of Thrombospondin (TSP-1) inhibits the binding of **TSP-1** to LAP and alleviates renal interstitial fibrosis and hepatic fibrosis.

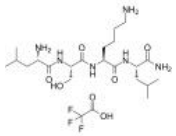


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

## LSKL, Inhibitor of Thrombospondin (TSP-1) (TFA)

Cat. No.: HY-P0299A

LSKL, Inhibitor of Thrombospondin (TSP-1) TFA is a latency-associated protein (LAP)-TGFβ derived tetrapeptide and a competitive **TGF-β1** antagonist.



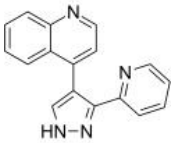
**Purity:** 99.30%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

## LY-364947

**(HTS466284)**

Cat. No.: HY-13462

LY-364947 (HTS466284) is a potent ATP-competitive inhibitor of **TGFβR-I** with $IC_{50}$ of 59 nM, and exhibits 7-fold selectivity over TGFβR-II.

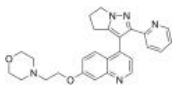


**Purity:** 98.86%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## LY2109761

Cat. No.: HY-12075

LY2109761 is an orally active, selective **TGF-β receptor type I/II** inhibitor with $K_i$s of 38 nM and 300 nM, respectively.

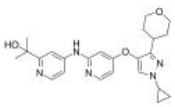


**Purity:** 99.88%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

## LY3200882

Cat. No.: HY-103021

LY3200882 is a potent, highly selective, ATP-competitive and orally active **TGF-β receptor type 1 (ALK5)** inhibitor with an $IC_{50}$ of 38.2 nM. LY3200882 inhibits various pro-tumorigenic activities and is also used as an immune modulatory agent.

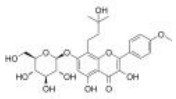


**Purity:** 99.60%
**Clinical Data:** Phase 2
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Maohuoside A

Cat. No.: HY-N4019

Maohuoside A, a single compound isolated from the E. koreanum that potently promotes osteogenesis. Maohuoside A enhances the osteogenesis of bone marrow-derived mesenchymal stem cells via bone morphogenetic protein (BMP) and MAPK signaling pathways.



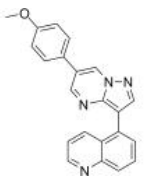
**Purity:** 98.94%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## ML347

**(LDN 193719)**

Cat. No.: HY-12274

ML347 (LDN193719) is a highly selective **ALK1/ALK2** inhibitor. ML347 has $IC_{50}$ values of 46 and 32 nM against ALK1 and ALK2, respectively, >300-fold selective over ALK3. ML347 block the phosphorylation of Smad1/5 by TGF-β1.

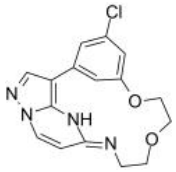


**Purity:** 99.89%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## OD36

Cat. No.: HY-19628

OD36 is a **RIPK2** inhibitor with an $IC_{50}$ of 5.3 nM. OD36 is a macrocyclic inhibitor with potent binding to the **ALK2** kinase ATP pocket. OD36 shows **ALK2**-directed activity with $K_D$s of 37 nM.

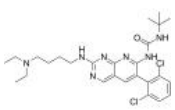


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg

## PD-161570

Cat. No.: HY-100434

PD-161570 is a potent and ATP-competitive **human FGF-1 receptor** inhibitor with an $IC_{50}$ of 39.9 nM and a $K_i$ of 42 nM. PD-161570 also inhibits the **PDGFR**, **EGFR** and **c-Src tyrosine kinases** with $IC_{50}$ values of 310 nM, 240 nM, and 44 nM, respectively.



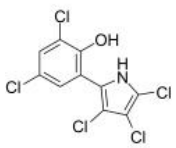
**Purity:** 99.04%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg

## Pentachloropseudilin

**(Antibiotic A 15104 Y; PCIP)**

Cat. No.: HY-115669

Pentachloropseudilin (Antibiotic A 15104 Y; PCIP) is a reversible and allosteric potent inhibitor of **Myo1s** (class 1 myosins) with $IC_{50}$s range from 1 to 5 μM for mammalian class-1 myosins and greater than 90 μM for class-2 and class-5 myosins.

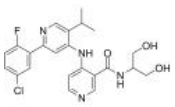


**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg

## PF-06952229

Cat. No.: HY-136244

PF-06952229 is a potent, selective and orally active **TGFbR1** inhibitor. PF-06952229 specifically binds to TGFbR1 and prevents TGFbR1-mediated signal transduction.



**Purity:** 99.70%
**Clinical Data:** Phase 1
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## pm26TGF-β1 peptide

Cat. No.: HY-P2294

pm26TGF-β1 peptide is a peptide that mimics a portion of the human TGF-β1 molecule. pm26TGF-β1 peptide shows high affinity for the **TGF-β1 receptor**. pm26TGF-β1 peptide displays potent anti-inflammatory properties and does not exhibit neutrophils' chemoattraction.

ACESPLKRQCGGGS

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

## pm26TGF-β1 peptide TFA

Cat. No.: HY-P2294A

pm26TGF-β1 TFA peptide is a peptide that mimics a portion of the human TGF-β1 molecule. pm26TGF-β1 peptide TFA shows high affinity for the **TGF-β1 receptor**. pm26TGF-β1 peptide TFA displays potent anti-inflammatory properties and does not exhibit neutrophils' chemoattraction.

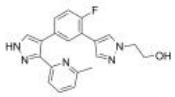
ACESPLKRQCGGGS (TFA salt)

**Purity:** 99.68%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

## R-268712

Cat. No.: HY-12953

R-268712 is a potent and selective inhibitor of ALK5 with an IC50 of 2.5 nM.



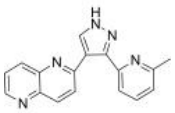
**Purity:** 99.78%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## RepSox

(E-616452; SJN 2511)

Cat. No.: HY-13012

RepSox (E-616452) is a potent and selective of the **TGFβR-1/ALK5** inhibitor which inhibits ALK5 autophosphorylation with an $IC_{50}$ of 4 nM.

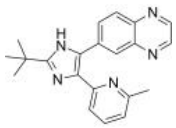


**Purity:** 99.64%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## SB 525334

Cat. No.: HY-12043

SB 525334 is a potent and selective transforming growth factor β1 receptor **(ALK5)** inhibitor with an $IC_{50}$ of 14.3 nM.

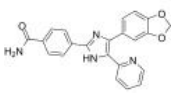


**Purity:** 99.96%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## SB-431542

Cat. No.: HY-10431

SB-431542 is a potent and selective inhibitor of **ALK5/TGF-β type I Receptor** with an $IC_{50}$ value of 94 nM.

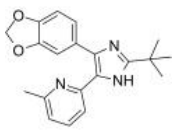


**Purity:** 99.89%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## SB-505124

Cat. No.: HY-13521

SB-505124 is a selective inhibitor of **TGF-β Receptor type I receptors** (**ALK4**, **ALK5**, **ALK7**), with $IC_{50}$s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.

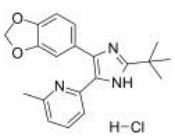


**Purity:** 99.63%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

## SB-505124 hydrochloride

Cat. No.: HY-13521A

SB-505124 hydrochloride is a selective inhibitor of **TGF-β Receptor type I receptor** (**ALK4**, **ALK5**, **ALK7**), with $IC_{50}$s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.

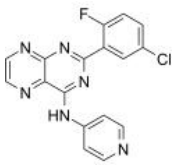


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## SD-208

Cat. No.: HY-13227

SD-208 is a selective **TGF-βRI (ALK5)** inhibitor with $IC_{50}$ of 48 nM, and > 100-fold selectivity over TGF-βRII.

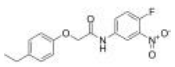


**Purity:** 99.87%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

## SJ000291942

Cat. No.: HY-112331

SJ000291942 is an activator of the canonical bone morphogenetic proteins (**BMP**) signaling pathway. BMPs are members of the transforming growth factor beta (**TGFβ**) family of secreted signaling molecules.

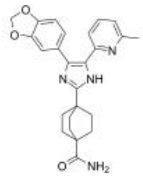


**Purity:** 98.41%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## SM 16

Cat. No.: HY-111482

SM 16 is a **ALK5/ALK4** kinase inhibitor with $K_i$s of 10 and 1.5 nM, respectively.

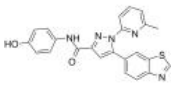


**Purity:** 99.88%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## TGFBR1-IN-1

Cat. No.: HY-129171

TGFBR1-IN-1 is an **ALK5** inhibitor extracted from patent WO2018004290A1, Compound 33, has an $IC_{50}$ of 10-100 nM.

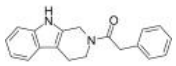


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TGFβ-IN-1

Cat. No.: HY-142967

TGFβ-IN-1 is an antitumor growth and metastasis agent through inhibiting the **transforming growth factorβ** signaling pathway.

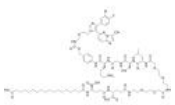


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TGFβR-IN-1

Cat. No.: HY-139858

TGFβR-IN-1 is a long-acting tumor-activated prodrug of a **TGFβR** inhibitor.

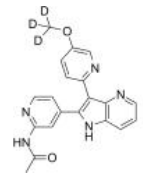


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TGFβRI-IN-1

Cat. No.: HY-114192

TGFβRI-IN-1 is an oral active and selective **TGFβ receptor type I (TGFβRI)** kinase inhibitor, with $IC_{50}$ values of 2 nM and 7.6 μM for TGFβRI and TGFβRII, respectively .

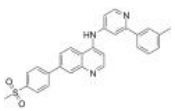


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TGFβRI-IN-3

Cat. No.: HY-132290

TGFβRI-IN-3 inhibits **TGFβR1** at an $IC_{50}$ of 0.79 nM with 2000-fold selectivity against MAP4K4. TGFβRI-IN-3 represents a highly selective TGFβR1 inhibitor that has potential applications in immuno-oncology.

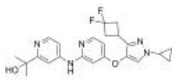


**Purity:** 98.04%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## TGFβRI-IN-4

Cat. No.: HY-146780

TGFβRI-IN-4 is a highly potent and orally active **TGFβ receptor type I (TGFβRI)** inhibitor, with $IC_{50}$s of 44 nM and 42.5 nM for ALK5 and NIH3T3. TGFβRI-IN-4 can suppress tumor growth and tumor weight in tumor xenograft model.

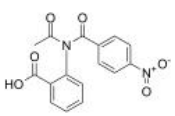


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TGFβRI-IN-5

Cat. No.: HY-147786

TGFβRI-IN-5 (Compound 4b) is a potent inhibitor of **TGFβRI** with an $IC_{50}$ of 0.08 μM. TGFβRI-IN-5 displays amazing anticancer activity 5–7 times that of reference drug against all the tested cell lines. TGFβRI-IN-5 enhances **apoptosis** and arrested G2/M phase of cell cycle.

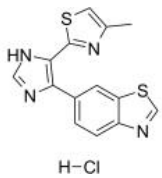


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## TP0427736 hydrochloride

Cat. No.: HY-118528A

TP0427736 hydrochloride is a potent inhibitor of **ALK5** kinase activity with an $IC_{50}$ of 2.72 nM and this effect is 300-fold higher than the inhibitory effect on ALK3 ($IC_{50}$=836 nM).

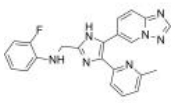


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

## Vactosertib

**(EW-7197; TEW-7197)** **Cat. No.:** HY-19928

Vactosertib (EW-7197) is a potent, orally active and ATP-competitive **activin receptor-like kinase 5 (ALK5)** inhibitor with an $IC_{50}$ of 12.9 nM. Vactosertib also inhibits ALK2 and ALK4 ($IC_{50}$ of 17.3 nM) at nanomolar concentrations.

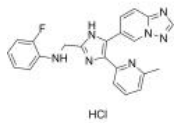


**Purity:** 99.58%
**Clinical Data:** Phase 2
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Vactosertib Hydrochloride

**(EW-7197 Hydrochloride; TEW-7197 Hydrochloride)** **Cat. No.:** HY-19928A

Vactosertib Hydrochloride (EW-7197 Hydrochloride) is a potent, orally active and ATP-competitive **activin receptor-like kinase 5 (ALK5)** inhibitor with an $IC_{50}$ of 12.9 nM. Vactosertib Hydrochloride also inhibits ALK2 and ALK4 ($IC_{50}$ of 17.3 nM) at nanomolar concentrations.

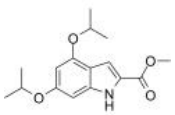


**Purity:** 98.02%
**Clinical Data:** Phase 2
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## XST-14

**Cat. No.:** HY-137506

XST-14 is a potent, competitive and highly selective **ULK1** inhibitor with an $IC_{50}$ of 26.6 nM. XST-14 induces **autophagy** inhibition by reducing the phosphorylation of the ULK1 downstream substrate.



**Purity:** 99.69%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg