TGF-beta/Smad

Transforming growth factor beta

Transforming growth factor-beta (TGF-beta) is a multifunctional cytokine that regulates proliferation, migration, differentiation, and survival of many different cell types. Deletion or mutation of different members of the TGF-β family have been shown to cause vascular remodeling defect and absence of mural cell formation, leading to embryonic lethality or severe vascular disorders. TGF-β induces smooth muscle differentiation via Notch or SMAD2 and SMAD3 signaling in ES cells or in a neural crest stem cell line. TGF-β binds to TGF-βRI and to induce phosphorylation of SMAD2/3, thereby inhibiting proliferation, tube formation, and migration of endothelial cells (ECs).

TGF-β is a pluripotent cytokine with dual tumour-suppressive and tumour-promoting effects. TGF-β induces the epithelial-to-mesenchymal transition (EMT) leading to increased cell plasticity at the onset of cancer cell invasion and metastasis.
TGF-beta/Smad Inhibitors, Agonists, Activators & Modulators

**(E)-SIS3**

Cat. No.: HY-13013

**(E)-SIS3** is a specific, cell-permeable, and selective Smad3 inhibitor, which inhibits Smad3 phosphorylation with an IC_{50} of 3 µM. (E)-SIS3 also inhibits the myofibroblast differentiation of fibroblasts by TGF-β1.

Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg

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**10,11-Dehydrocurvularin**

Cat. No.: HY-N6679

10,11-Dehydrocurvularin is an antibiotic and a strong activator of the heat shock response, a conserved evolutionary mechanism that maintains protein homeostasis via the overexpression of heat shock factor 1 (HSF1) and various chaperones including heat shock protein 90...

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

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**Asiaticoside**

(Cat. No.: HY-N0439)

Asiaticoside, a trisaccaride triterpene from Centella asiatica, suppresses TGF-β/Smad signaling through inducing Smad7 and inhibiting TGF-βRI and TGF-βRII in keloid fibroblasts; Asiaticoside shows antioxidant, anti-inflammatory, and anti-ulcer properties.

Purity: 99.84%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

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**Chebulinic acid**

Cat. No.: HY-N2033

Chebulinic acid is a potent natural inhibitor of M. tuberculosis DNA gyrase, also can inhibit SMAD-3 phosphorylation, inhibit H+ K+-ATPase activity.

Purity: 98.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

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**Disitertide**

(P144)

Disitertide is an inhibitor of TGF-β1.

Purity: 98.12%
Clinical Data: Phase 2
Size: 1 mg, 5 mg, 10 mg, 25 mg

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**EMT inhibitor-1**

Cat. No.: HY-101275

EMT inhibitor-1 is an inhibitor of of Hippo, TGF-β, and Wnt signaling pathways with antitumor activities.

Purity: 98.71%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

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**Halofuginone**

(RU-19110)

Halofuginone (RU-19110) is a less-toxic form of Febrifugine, which is isolated from the plant Dichroa febrifuga. Halofuginone inhibits prolyl-tRNA synthetase in an ATP-dependent manner with a K_{i} of 18.3 nM. Halofuginone attenuates osteoarthritis (OA) by inhibition of TGF-β activity.

Purity: 98.32%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**Halofuginone hydrobromide**

(RU-19110 hydrobromide)

Halofuginone hydrobromide (RU-19110 hydrobromide) is a less-toxic form of Febrifugine, which is isolated from the plant Dichroa febrifuga. Halofuginone inhibits prolyl-tRNA synthetase in an ATP-dependent manner with a K_{i} of 18.3 nM.

Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

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**Hydrochlorothiazide**

(HCTZ)

Hydrochlorothiazide is a diuretic drug of the thiazide class.

Purity: 99.20%
Clinical Data: Launched
Size: 500 mg, 5 g, 10 g

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**Kartogenin**

(KGN)

Kartogenin is an inducer of differentiation of human mesenchymal stem cells into chondrocytes.

Purity: 98.34%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Oxymatrine

Cat. No.: HY-N0158

Oxymatrine, an alkaloid from the roots of Sophora species, with anti-inflammatory, anti-fibrosis, and anti-tumor effects, inhibits the iNOS expression and TGF-β/Smad pathway.

Purity: >98.0%
Clinical Data: Phase 4
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg, 1 g

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Pirfenidone (AMR69)

Cat. No.: HY-B0673

Pirfenidone (AMR69) is an antifibrotic agent that attenuates CCL2 and CCL12 production in fibrocyte cells. Pirfenidone has growth-inhibitory effect and reduces TGF-β2 protein levels in human glioma cell lines. Pirfenidone also has anti-inflammatory activities.

Purity: 99.98%
Clinical Data: launched
Size: 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 5 g

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Pirfenidone D5 (AMR69 D5)

Cat. No.: HY-B0673S

Pirfenidone D5 (AMR69 D5) is a deuterium labeled Pirfenidone. Pirfenidone is an antifibrotic agent that attenuates CCL2 and CCL12 production in fibrocyte cells. Pirfenidone has growth-inhibitory effect and reduces TGF-β2 protein levels in human glioma cell lines.

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

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SIS3 free base

Cat. No.: HY-100444

SIS3 free base is a potent and selective inhibitor of TGF-β1-induced Smad3 phosphorylation with an IC₅₀ of 3 μM. SIS3 free base increases luciferase activity of p3TP-lux by abrogating the overexpression of constitutively active form of ALK-5.

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

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SRI-011381 hydrochloride

Cat. No.: HY-100347A

SRI-011381 hydrochloride is an oral bioavailable TGF-beta signaling agonist, exhibits neuroprotective effect.

Purity: 99.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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