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.
TGF-β Receptor Inhibitors, Agonists, Antagonists & Activators

**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} of 12 nM, 45 nM and 7.5 nM against the transcription induced by ALK5, ALK4 and ALK7, respectively.

- **Purity:** 98.24%
- **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, ALK4 and ALK7, with IC_{50} 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 succinate 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 TGFBR1 (ALK5). ALK5-IN-8 inhibits the phosphorylation of ALK5 on its downstream signaling proteins (Smad2 or Smad3) by blocking the binding of TGFBR1 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 TGFBR1 (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

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

Cat. No.: HY-118810

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

BMS-986260

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.

Cat. No.: HY-W107024

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

CJJ300

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.

Cat. No.: HY-146693

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

Dorsomorphin

Dorsomorphin (Compound C, BML-275) 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.

Cat. No.: HY-13418A

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

EW-7195

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.

Cat. No.: HY-18766

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

BMP signaling agonist sb4

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

Cat. No.: HY-124697

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

Chromone 1

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

Cat. No.: HY-143891

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

DMH-1

DMH-1 is a potent and selective BMP inhibitor with an IC_{50} of 27/107/<5/47.6 nM for ALK1/ALK2/ALK3/ALK6, respectively.

Cat. No.: HY-12273

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

Dorsomorphin dihydrochloride

Dorsomorphin dihydrochloride (Compound C dihydrochloride; BML-275 dihydrochloride) is a potent, selective and ATP-competitive AMPK inhibitor, with a K_{i} of 109 nM.

Cat. No.: HY-13418

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

Fresolimumab

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.

Cat. No.: HY-P99020

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
Galunisertib (LY2157299)

Galunisertib (LY2157299) is an oral selective TGF-β receptor type I (TGF-βRI) kinase inhibitor with an IC₅₀ of 56 nM.

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

GW788388

GW788388 is a potent and selective inhibitor of ALK5 with IC₅₀ 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

IN-1130 is a highly selective transforming growth factor-β type I receptor inhibitor, which efficiently inhibits 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

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

ITD-1 is the first selective TGFβ receptor inhibitor with an IC₅₀ 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

K02288 is a potent bone morphogenetic protein (BMP) type I receptor inhibitor with IC₅₀s of 1.8, 1.1, 6.4 nM for ALK1, ALK2 and ALK6, respectively. K02288 shows slightly weaker inhibition against ALK3 and ALK6 with IC₅₀s of of 5-34 nM.

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

LDN-212854

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=13 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

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)

LDN193189 (DM-3189) is a selective BMP type I receptor inhibitor, which efficiently inhibits ALK2 and ALK3 (IC₅₀=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 (IC₅₀≥500 nM).

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

LDN193189 Tetrahydrochloride

LDN193189 Tetrahydrochloride is a selective BMP type I receptor inhibitor, which efficiently inhibits ALK2 and ALK3 (IC₅₀=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 (IC₅₀≥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-β inhibitor. 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

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LY-364974  
**Cat. No.: HY-13462**

LY-364974 (HTS466284) is a potent ATP-competitive inhibitor of TGFβRI with IC₅₀ of 59 nM, and exhibits 7-fold selectivity over TGFBR-III.

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

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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 IC₅₀ 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

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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

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Myostatin-IN-1  
**Cat. No.: HY-P99005**

Myostatin-IN-1 is a potent myostatin inhibitor (IC₅₀ of 0.19, 0.63, 0.89 and 1.6 μM for myostatin, GDF-11, activin A and TGF-β1, respectively). Myostatin-IN-1 increases the tibialis anterior muscle mass in mice.

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

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OD36  
**Cat. No.: HY-19628**

OD36 is a RIPK2 inhibitor with an IC₅₀ of 5.3 nM. OD36 is a macrocyclic inhibitor with potent binding to the ALK2 kinase ATP pocket. OD36 shows ALK2-directed activity with Kᵢ of 37 nM.

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

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PD-161570  
**Cat. No.: HY-100434**

PD-161570 is a potent and ATP-competitive human FGF-1 receptor inhibitor with an IC₅₀ of 39.9 nM and a Ki of 42 nM. PD-161570 also inhibits the PDGFR, EGFR and c-Src tyrosine kinases with IC₅₀ 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)

Pentachloropseudilin (Antibiotic A 15104 Y; PCIP) is a reversible and allosteric potent inhibitor of Myo1s (class 1 myosins) with IC\textsubscript{50} 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

pm26TGF-β1 peptide

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' chemotraction.

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

R-268712

R-268712 is a potent and selective inhibitor of ALK5 with an IC\textsubscript{50} 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

SB 52534

SB 52534 is a potent and selective transforming growth factor β1 receptor (ALK5) inhibitor with an IC\textsubscript{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-06952229

SB-06952229 is a potent, selective and orally active TGF\textsubscript{β}R1 inhibitor. SB-06952229 specifically binds to TGF\textsubscript{β}R1 and prevents TGF\textsubscript{β}R1-mediated signal transduction.

Purity: 99.90%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

pm26TGF-β1 peptide TFA

pm26TGF-β1 TFA peptide is a peptide that mimics a portion of the human TGF-β1 molecule. pm26TGF-β1 TFA peptide TFA displays potent anti-inflammatory properties and does not exhibit neutrophils' chemotraction.

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

RepSox (E-616452; SJN 2511)

RepSox (E-616452) is a potent and selective of the TGF\textsubscript{β}R-1/ALK5 inhibitor which inhibits ALK5 autophosphorylation with an IC\textsubscript{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-431542

SB-431542 is a potent and selective inhibitor of ALK5/TGF-β type I Receptor with an IC\textsubscript{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

SB-505124 is a selective inhibitor of TGF-β Receptor type I receptors (ALK4, ALK5, ALK7), with IC\textsubscript{50} 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

SB-505124 hydrochloride is a selective inhibitor of TGF-β Receptor type I receptor (ALK4, ALK5, ALK7), with IC\textsubscript{50} 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

SD-208 is a selective TGF-βRI (ALK5) inhibitor with IC₅₀ 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

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

SM 16 is a ALK5/ALK4 kinase inhibitor with Kᵢ 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

### TGFβ-IN-1

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

### TGFβ-IN-4

TGFβ-IN-4 is a highly potent and orally active TGFβ receptor type 1 (TGFβR1) inhibitor, with IC₅₀ of 44 nM and 42.5 nM for ALK5 and NIH3T3. TGFβ-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

### TP0427736 hydrochloride

TP0427736 hydrochloride is a potent inhibitor of ALK5 kinase activity with an IC₅₀ of 2.72 nM and this effect is 300-fold higher than the inhibitory effect on ALK3 (IC₅₀=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