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 IC₅₀ of 25 nM.

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

A 83-01 sodium salt
Cat. No.: HY-10432A

A 83-01 sodium salt is a potent inhibitor of TGF-β type I receptor ALK5 kinase, ALK4 and ALK7, with IC₅₀s of 12 nM, 45 nM and 7.5 nM against the transcription induced by ALK5, ALK4 and ALK7, respectively.

Purity: >98.0%
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 IC₅₀ 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

AZ12601011
Cat. No.: HY-122856

AZ12601011 is an orally active, selective TGFBR1 kinase inhibitor with an IC₅₀ of 18 nM and a Kᵣ₅₀ 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

BMP signaling agonist sb4
Cat. No.: HY-124697

BMP signaling agonist sb4 is a potent benzoxazole bone morphogenetic protein 4 (BMP4) signaling agonist with an EC₅₀ value of 74 nM, activates BMP signaling by stabilizing intracellular p-SMAD-1/5/9.

Purity: 99.86%
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 IC₅₀ of 34 nM.

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

BIO-013077-01
Cat. No.: HY-118810

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

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 IC₅₀s of 27/10/79/85/47.6 nM for ALK1/ALK2/ALK3/ALK5, respectively.

Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Dorsomorphin
Cat. No.: HY-13418A

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

Purity: 99.65%
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) | Purity: 99.91%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |
|---|---|
| **Galunisertib** (LY2157299) | Purity: 99.95%  
Clinical Data: Phase 3  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |
| **GW788388** | Purity: 99.91%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |
| **IN-1130** | Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg |
| **ITD-1** | Purity: 99.94%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |
| **K02288** | Purity: 99.80%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 10 mg, 50 mg |
| **LDN-212854** | Purity: 99.87%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg |
| **LDN-214117** | Purity: 99.85%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |
| **LDN193189** (DM-3189) | Purity: 95.92%  
Clinical Data: No Development Reported  
Size: 5 mg, 10 mg, 50 mg |
| **LDN193189 Tetrahydrochloride** | Purity: 99.92%  
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:** 98.46%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

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**LY-364947 (HTS466284)**  
**Cat. No.: HY-13462**

LY-364947 is a potent ATP-competitive inhibitor of TGFβR-I with IC₅₀ of 59 nM, and exhibits 7-fold selectivity over TGFβR-II.

**Purity:** 99.49%

**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 TGFβ 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:** 99.49%

**Clinical Data:** Phase 2

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 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 PDGFRA, EGFR and c-Src tyrosine kinases with IC₅₀ values of 310 nM, 240 nM, and 44 nM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg

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**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.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg

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**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

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**LY2109761**  
**Cat. No.: HY-12075**

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

**Purity:** 99.95%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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**ML347 (LDN 193719)**  
**Cat. No.: HY-12274**

ML347 (LDN 193719) is a highly selective ALK1/ALK2 inhibitor with IC₅₀s of 46/32 nM; shows >300-fold selectivity for ALK2 vs. ALK3.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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**PF-06952229**  
**Cat. No.: HY-136244**

PF-06952229 is a potent, selective and orally active TGFβR1 inhibitor. PF-06952229 specifically binds to TGFβR1 and prevents TGFβR1-mediated signal transduction.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

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**pm26TGF-β1 peptide TFA**  
**Cat. No.: HY-P2294A**

pm26TGF-β1 peptide TFA 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.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg

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Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
R-268712
Cat. No.: HY-12953
R-268712 is a potent and selective inhibitor of ALK5 with an IC50 of 2.5 nM.

Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RepSox
Cat. No.: HY-13012
RepSox is a potent and selective inhibitor of TGFβR-1/ALK5 which inhibits ALK5 autophosphorylation with an IC50 of 4 nM.

Purity: 99.96%
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 IC50 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-505124
Cat. No.: HY-13521
SB-505124 is a selective inhibitor of TGF-β Receptor type I receptor (ALK4, ALK5, ALK7), with IC50 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 IC50 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 IC50 of 48 nM, and > 100-fold selectivity over TGF-βRIII.

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

SM 16
Cat. No.: HY-11482
SM 16 is a ALK5/ALK4 kinase inhibitor with Ki's of 10 and 1.5 nM, respectively.

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

SM 16 hydrochloride
Cat. No.: HY-11482A
SM 16 hydrochloride is a ALK5/ALK4 kinase inhibitor with Ki's of 10 and 1.5 nM, respectively.

Purity: 99.96%
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 IC50 of 10-100 nM.

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

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<table>
<thead>
<tr>
<th><strong>TGFβRI-IN-1</strong></th>
<th>Cat. No.: HY-114192</th>
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</thead>
<tbody>
<tr>
<td>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 ( \mu )M for TGFβRI and TGFβRII, respectively.</td>
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<tr>
<td><strong>Vactosertib</strong></td>
<td>Cat. No.: HY-19928</td>
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<tr>
<td>(EW-7197; TEW-7197)</td>
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<tr>
<td>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.</td>
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<tr>
<td><strong>Vactosertib Hydrochloride</strong></td>
<td>Cat. No.: HY-19928A</td>
</tr>
<tr>
<td>(EW-7197 Hydrochloride; TEW-7197 Hydrochloride)</td>
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<tr>
<td>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.</td>
<td></td>
</tr>
</tbody>
</table>

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

**Vactosertib**

(Purity: 99.58%

**Clinical Data:** Phase 1

**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com