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Inhibitors, Screening Libraries, Proteins

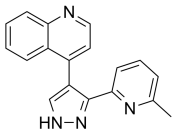
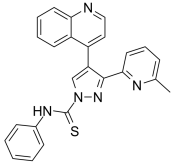
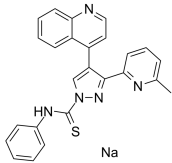
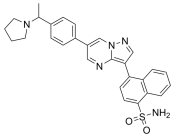
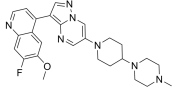
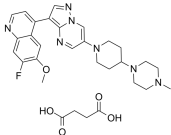
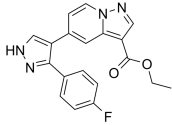
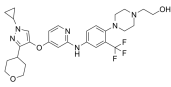
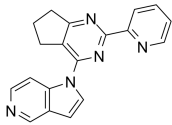
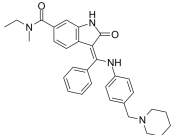
# TGF- $\beta$ Receptor

## Transforming growth factor beta receptors

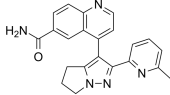
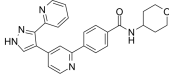
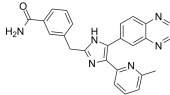
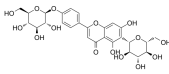
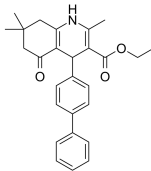
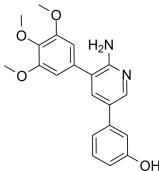
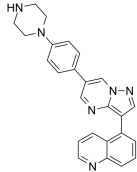
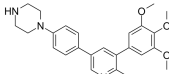
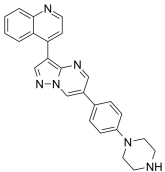
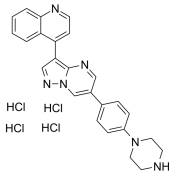
TGF- $\beta$  receptors (Transforming growth factor- $\beta$  receptors) are single pass serine/threonine kinase receptors. Transforming growth factor beta (TGF-beta) is a member of a large family of pleiotropic cytokines that are involved in many biological processes, including growth control, differentiation, 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- $\beta$ Receptor Inhibitors, Agonists, Antagonists & Activators

<p><b>A 77-01</b></p> <p style="text-align: right;">Cat. No.: HY-78349</p> <p>A 77-01 is a potent inhibitor of <b>transforming growth factor (TGF)-<math>\beta</math> type I receptor superfamily activin-like kinase ALK5</b> with an <math>IC_{50}</math> of 25 nM.</p> <p><b>Purity:</b> 99.55%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg</p> 	<p><b>A 83-01</b></p> <p style="text-align: right;">Cat. No.: HY-10432</p> <p>A 83-01 is a potent inhibitor of TGF-<math>\beta</math> type I receptor <b>ALK5 kinase</b>, type I nodal receptor <b>ALK4</b> and type I nodal receptor <b>ALK7</b>, with <math>IC_{50}</math>s of 12 nM, 45 nM and 7.5 nM against the transcription induced by <b>ALK5, ALK4 and ALK7</b>, respectively.</p> <p><b>Purity:</b> 98.24%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg, 50 mg</p> 
<p><b>A 83-01 sodium</b></p> <p style="text-align: right;">Cat. No.: HY-10432A</p> <p>A 83-01 sodium is a potent inhibitor of TGF-<math>\beta</math> type I receptor <b>ALK5 kinase, ALK4 and ALK7</b>, with <math>IC_{50}</math>s of 12 nM, 45 nM and 7.5 nM against the transcription induced by <b>ALK5, ALK4 and ALK7</b>, respectively.</p> <p><b>Purity:</b> <math>\geq</math>95.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mg, 50 mg</p> 	<p><b>ALK2-IN-2</b></p> <p style="text-align: right;">Cat. No.: HY-112815</p> <p>ALK2-IN-2 is a potent and selective inhibitor of <b>activin receptor-like kinase 2 (ALK2)</b> with an <math>IC_{50}</math> of 9 nM, and over 700-fold selectivity against <b>ALK3</b>.</p> <p><b>Purity:</b> 99.90%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p><b>ALK2-IN-4</b></p> <p style="text-align: right;">Cat. No.: HY-136773</p> <p>ALK2-IN-4 is a potent <b>ALK2</b> inhibitor extracted from patent WO2020086963A1, compound Formula I free base.</p> <p><b>Purity:</b> 99.86%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p><b>ALK2-IN-4 succinate</b></p> <p style="text-align: right;">Cat. No.: HY-136773A</p> <p>ALK2-IN-4 succinate is a potent <b>ALK2</b> inhibitor extracted from patent WO2020086963A1, compound Formula I free base.</p> <p><b>Purity:</b> 99.73%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p><b>ALK5-IN-8</b></p> <p style="text-align: right;">Cat. No.: HY-144043</p> <p>ALK5-IN-8 is a potent inhibitor of <b>TGF<math>\beta</math>RI (ALK5)</b>. ALK5-IN-8 inhibits the phosphorylation of ALK5 on its downstream signaling proteins (Smad2 or Smad3) by blocking the binding of TGF<math>\beta</math>RI to ligands, thereby affecting or blocking TGF-<math>\beta</math> signaling.</p> <p><b>Purity:</b> <math>&gt;</math>98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p> 	<p><b>ALK5-IN-9</b></p> <p style="text-align: right;">Cat. No.: HY-144437</p> <p>ALK5-IN-9 (Compound 8h) is a potent and orally active inhibitor of <b>TGF<math>\beta</math>RI (ALK5)</b>. ALK5-IN-9 inhibits ALK5 autophosphorylation and NIH3T3 cell activity with <math>IC_{50}</math> values of 25 nM and 74.6 nM, respectively.</p> <p><b>Purity:</b> <math>&gt;</math>98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p> 
<p><b>AZ12601011</b></p> <p style="text-align: right;">Cat. No.: HY-122856</p> <p>AZ12601011 is an orally active, selective <b>TGF<math>\beta</math>RI kinase inhibitor</b> with an <math>IC_{50}</math> of 18 nM and a <math>K_d</math> of 2.9 nM. AZ12601011 inhibits phosphorylation of SMAD2 via selectively inhibiting <b>ALK4, TGF<math>\beta</math>RI, and ALK7</b>. AZ12601011 inhibits mammary tumor growth.</p> <p><b>Purity:</b> 99.25%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>BIBF0775</b></p> <p style="text-align: right;">Cat. No.: HY-13783</p> <p>BIBF0775 is a potent and selective <b>transforming growth factor <math>\beta</math> (TGF<math>\beta</math>) type I receptor (Alk5)</b> inhibitor with an <math>IC_{50}</math> of 34 nM.</p> <p><b>Purity:</b> 99.90%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 

<p><b>BIO-013077-01</b></p> <p>Cat. No.: HY-118810</p>	<p><b>BMP signaling agonist sb4</b></p> <p>Cat. No.: HY-124697</p>
<p>BIO-013077-01 is a pyrazole TGF-<math>\beta</math> inhibitor.</p> <p><b>Purity:</b> 98.16%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>BMP signaling agonist sb4 is a potent benzoxazole <b>bone morphogenetic protein 4 (BMP4) signaling</b> agonist with a <math>EC_{50}</math> value of 74 nM, activates BMP signaling by stabilizing intracellular p-SMAD-1/5/9.</p> <p><b>Purity:</b> 99.89%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>BMS-986260</b></p> <p>Cat. No.: HY-W107024</p>	<p><b>Chromenone 1</b></p> <p>Cat. No.: HY-143891</p>
<p>BMS-986260, an immuno-oncology agent, is a potent, selective, and orally active <b>TGF<math>\beta</math>R1</b> inhibitor (<math>IC_{50}</math>=1.6 nM). BMS-986260 displays exquisite selectivity for TGF<math>\beta</math>R1 over its isozyme TGF<math>\beta</math>R2, as well as in a panel of more than 200 kinases examined.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>Chromenone 1 is a potent osteogenic bone morphogenetic protein (<b>BMP</b>) potentiator. Chromenone 1 exhibits a unique mode of action as it induces a pronounced, kinase-independent, negative TGF<math>\beta</math> feedback that enhances nuclear BMP-Smad signaling outputs.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>CJJ300</b></p> <p>Cat. No.: HY-146693</p>	<p><b>DMH-1</b></p> <p>Cat. No.: HY-12273</p>
<p>CJJ300 is a transforming growth factor-<math>\beta</math> (<b>TGF-<math>\beta</math></b>) inhibitor with an <math>IC_{50}</math> of 5.3 <math>\mu</math>M. CJJ300 inhibits TGF-<math>\beta</math> signaling by disrupting the formation of the TGF-<math>\beta</math>-T<math>\beta</math>R-I-T<math>\beta</math>R-II signaling complex.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>DMH-1 is a potent and selective <b>BMP</b> inhibitor with <math>IC_{50}</math>s of 27/107.9/&lt;5/47.6 nM for ALK1/ALK2/ALK3/ALK6, respectively.</p> <p><b>Purity:</b> 99.81%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>Dorsomorphin</b> (Compound C; BML-275)</p> <p>Cat. No.: HY-13418A</p>	<p><b>Dorsomorphin dihydrochloride</b> (Compound C dihydrochloride; BML-275 dihydrochloride)</p> <p>Cat. No.: HY-13418</p>
<p>Dorsomorphin (Compound C) is a selective and ATP-competitive <b>AMPK</b> inhibitor (<math>K_i</math>=109 nM in the absence of AMP). Dorsomorphin (BML-275) selectively inhibits BMP type I receptors <b>ALK2</b>, <b>ALK3</b>, and <b>ALK6</b>. Dorsomorphin induces <b>autophagy</b>.</p> <p><b>Purity:</b> 99.91%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Dorsomorphin dihydrochloride (BML-275 dihydrochloride; Compound C dihydrochloride) is a potent, selective and ATP-competitive <b>AMPK</b> inhibitor, with a <math>K_i</math> of 109 nM.</p> <p><b>Purity:</b> 99.91%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>EW-7195</b></p> <p>Cat. No.: HY-18766</p>	<p><b>Fresolimumab</b> (GC1008)</p> <p>Cat. No.: HY-P99020</p>
<p>EW-7195 is a potent and selective <b>ALK5 (TGF<math>\beta</math>R1)</b> inhibitor with an <math>IC_{50}</math> of 4.83 nM. EW-7195 has &gt;300-fold selectivity for ALK5 over p38<math>\alpha</math>. EW-7195 efficiently inhibits TGF-<math>\beta</math>1-induced Smad signaling, epithelial-to-mesenchymal transition (EMT) and breast tumour metastasis to the lung.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>Fresolimumab (GC1008) is a high-affinity fully human monoclonal antibody that neutralizes the active form of human <b>TGF<math>\beta</math>1</b>, <b>TGF<math>\beta</math>2</b>, and <b>TGF<math>\beta</math>3</b>. Fresolimumab can be used for the research of cancer and fibrotic diseases .</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> <p><b>Fresolimumab</b></p>

<p><b>Galunisertib</b> (LY2157299)</p>	<p><b>GW788388</b></p>
<p>Galunisertib (LY2157299) is an oral and selective <b>TGF-<math>\beta</math> receptor type I (TGF-<math>\beta</math>RI) kinase inhibitor</b> with an <math>IC_{50}</math> of 56 nM.</p>  <p><b>Purity:</b> 99.95% <b>Clinical Data:</b> Phase 3 <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>GW788388 is a potent and selective inhibitor of <b>ALK5</b> with <math>IC_{50}</math> of 18 nM, and also inhibits TGF-<math>\beta</math> type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor.</p>  <p><b>Purity:</b> 99.91% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>IN-1130</b></p>	<p><b>Isosaponarin</b></p>
<p>IN-1130 is a highly selective <b>transforming growth factor-<math>\beta</math> type I receptor kinase (ALK5) inhibitor</b> with an <math>IC_{50}</math> of 5.3 nM for ALK5-mediated Smad3 phosphorylation.</p>  <p><b>Purity:</b> 99.79% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Isosaponarin is a flavone glycoside isolated from wasabi leaves. Isosaponarin increases <b>collagen synthesis</b>, caused by up-regulated <b>TGF-<math>\beta</math> type II receptor (T<math>\beta</math>R-II)</b> and <b>prolyl 4-hydroxylase (P4H)</b> proteins production.</p>  <p><b>Purity:</b> 99.59% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg</p>
<p><b>ITD-1</b></p>	<p><b>K02288</b></p>
<p>ITD-1 is the first selective <b>TGF<math>\beta</math> receptor inhibitor</b> with an <math>IC_{50}</math> of 460 nM.</p>  <p><b>Purity:</b> 99.96% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>K02288 is a potent <b>bone morphogenetic protein (BMP) type I receptor inhibitor</b> with <math>IC_{50}</math>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 <math>IC_{50}</math>s of 5-34 nM.</p>  <p><b>Purity:</b> 99.80% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg</p>
<p><b>LDN-212854</b></p>	<p><b>LDN-214117</b></p>
<p>LDN-212854 is a novel BMP inhibitor that exhibits substantially greater selectivity for BMP versus the TGF-<math>\beta</math> type I receptors; possesses a bias towards ALK2 (<math>IC_{50}</math>=1.3 nM) versus ALK1 and ALK3 compared to other inhibitors.</p>  <p><b>Purity:</b> 99.87% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>LDN-214117 is a potent and selective ALK2 inhibitor with <math>IC_{50}</math> of 22 nM; &gt; 100 fold selectivity for ALK5; also inhibits BMP6 (<math>IC_{50}</math>=100 nM).</p>  <p><b>Purity:</b> 99.95% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>LDN193189</b> (DM-3189)</p>	<p><b>LDN193189 Tetrahydrochloride</b></p>
<p>LDN193189 (DM-3189) is a selective BMP type I receptor inhibitor, which efficiently inhibits <b>ALK2</b> and <b>ALK3</b> (<math>IC_{50}</math>=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 (<math>IC_{50}</math><math>\geq</math>500 nM).</p>  <p><b>Purity:</b> 99.48% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 50 mg</p>	<p>LDN193189 Tetrahydrochloride is a selective BMP type I receptor inhibitor, which efficiently inhibits <b>ALK2</b> and <b>ALK3</b> (<math>IC_{50}</math>=5 nM and 30 nM, respectively), with weaker effects on ALK4, ALK5 and ALK7 (<math>IC_{50}</math><math>\geq</math>500 nM).</p>  <p><b>Purity:</b> 98.04% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg</p>

<p><b>LSKL, Inhibitor of Thrombospondin (TSP-1)</b></p> <p>Cat. No.: HY-P0299</p>	<p><b>LSKL, Inhibitor of Thrombospondin (TSP-1) (TFA)</b></p> <p>Cat. No.: HY-P0299A</p>
<p>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.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>LSKL, Inhibitor of Thrombospondin (TSP-1) TFA is a latency-associated protein (LAP)-TGFβ derived tetrapeptide and a competitive TGF-β1 antagonist.</p> <p><b>Purity:</b> 99.30%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>
<p><b>LY-364947</b> (HTS466284)</p> <p>Cat. No.: HY-13462</p>	<p><b>LY2109761</b></p> <p>Cat. No.: HY-12075</p>
<p>LY-364947 (HTS466284) is a potent ATP-competitive inhibitor of TGFβR-I with IC<sub>50</sub> of 59 nM, and exhibits 7-fold selectivity over TGFβR-II.</p> <p><b>Purity:</b> 98.86%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>LY2109761 is an orally active, selective TGF-β receptor type I/II inhibitor with K<sub>s</sub> of 38 nM and 300 nM, respectively.</p> <p><b>Purity:</b> 99.88%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p><b>LY3200882</b></p> <p>Cat. No.: HY-103021</p>	<p><b>Maohuoside A</b></p> <p>Cat. No.: HY-N4019</p>
<p>LY3200882 is a potent, highly selective, ATP-competitive and orally active TGF-β receptor type 1 (ALK5) inhibitor with an IC<sub>50</sub> of 38.2 nM. LY3200882 inhibits various pro-tumorigenic activities and is also used as an immune modulatory agent.</p> <p><b>Purity:</b> 99.60%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>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.</p> <p><b>Purity:</b> 98.94%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>ML347</b> (LDN 193719)</p> <p>Cat. No.: HY-12274</p>	<p><b>Myostatin-IN-1</b></p> <p>Cat. No.: HY-P99005</p>
<p>ML347(DN193719) is a highly selective ALK1/ALK2 inhibitor with IC<sub>50</sub>s of 46/32 nM; shows &gt;300-fold selectivity for ALK2 vs. ALK3.</p> <p><b>Purity:</b> 99.89%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Myostatin-IN-1 is a potent myostatin inhibitor (IC<sub>50</sub> 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.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>OD36</b></p> <p>Cat. No.: HY-19628</p>	<p><b>PD-161570</b></p> <p>Cat. No.: HY-100434</p>
<p>OD36 is a RIPK2 inhibitor with an IC<sub>50</sub> 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<sub>D</sub>s of 37 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg</p>	<p>PD-161570 is a potent and ATP-competitive human FGF-1 receptor inhibitor with an IC<sub>50</sub> of 39.9 nM and a K<sub>i</sub> of 42 nM. PD-161570 also inhibits the PDGFR, EGFR and c-Src tyrosine kinases with IC<sub>50</sub> values of 310 nM, 240 nM, and 44 nM, respectively.</p> <p><b>Purity:</b> 99.04%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg</p>

<p><b>Pentachloropseudilin</b> (Antibiotic A 15104 Y; PCIP)</p>	<p><b>PF-06952229</b></p>
<p>Pentachloropseudilin (Antibiotic A 15104 Y; PCIP) is a reversible and allosteric potent inhibitor of <b>Myo1s</b> (class 1 myosins) with <math>IC_{50}</math>s range from 1 to 5 <math>\mu</math>M for mammalian class-1 myosins and greater than 90 <math>\mu</math>M for class-2 and class-5 myosins.</p> <p><b>Purity:</b> <math>\geq</math>98.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg</p>	<p>PF-06952229 is a potent, selective and orally active <b>TGF<math>\beta</math>R1</b> inhibitor. PF-06952229 specifically binds to TGF<math>\beta</math>R1 and prevents TGF<math>\beta</math>R1-mediated signal transduction.</p> <p><b>Purity:</b> 99.70% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>pm26TGF-<math>\beta</math>1 peptide</b></p>	<p><b>pm26TGF-<math>\beta</math>1 peptide TFA</b></p>
<p>pm26TGF-<math>\beta</math>1 peptide is a peptide that mimics a portion of the human TGF-<math>\beta</math>1 molecule. pm26TGF-<math>\beta</math>1 peptide shows high affinity for the <b>TGF-<math>\beta</math>1 receptor</b>. pm26TGF-<math>\beta</math>1 peptide displays potent anti-inflammatory properties and does not exhibit neutrophils' chemoattraction.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 50 mg</p>	<p>pm26TGF-<math>\beta</math>1 TFA peptide is a peptide that mimics a portion of the human TGF-<math>\beta</math>1 molecule. pm26TGF-<math>\beta</math>1 peptide TFA shows high affinity for the <b>TGF-<math>\beta</math>1 receptor</b>. pm26TGF-<math>\beta</math>1 peptide TFA displays potent anti-inflammatory properties and does not exhibit neutrophils' chemoattraction.</p> <p><b>Purity:</b> 99.68% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 50 mg</p>
<p><b>R-268712</b></p>	<p><b>RepSox</b> (E-616452; SJN 2511)</p>
<p>R-268712 is a potent and selective inhibitor of ALK5 with an <math>IC_{50}</math> of 2.5 nM.</p> <p><b>Purity:</b> 99.78% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>RepSox (E-616452) is a potent and selective of the <b>TGF<math>\beta</math>R-1/ALK5</b> inhibitor which inhibits ALK5 autophosphorylation with an <math>IC_{50}</math> of 4 nM.</p> <p><b>Purity:</b> 99.64% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>SB 525334</b></p>	<p><b>SB-431542</b></p>
<p>SB 525334 is a potent and selective transforming growth factor <math>\beta</math>1 receptor (<b>ALK5</b>) inhibitor with an <math>IC_{50}</math> of 14.3 nM.</p> <p><b>Purity:</b> 99.96% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>SB-431542 is a potent and selective inhibitor of <b>ALK5/TGF-<math>\beta</math> type I Receptor</b> with an <math>IC_{50}</math> value of 94 nM.</p> <p><b>Purity:</b> 99.89% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>SB-505124</b></p>	<p><b>SB-505124 hydrochloride</b></p>
<p>SB-505124 is a selective inhibitor of TGF-<math>\beta</math> Receptor type I receptors (ALK4, ALK5, ALK7), with <math>IC_{50}</math>s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.</p> <p><b>Purity:</b> 99.63% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg</p>	<p>SB-505124 hydrochloride is a selective inhibitor of TGF-<math>\beta</math> Receptor type I receptor (ALK4, ALK5, ALK7), with <math>IC_{50}</math>s of 129 nM and 47 nM for ALK4, ALK5, respectively, but it does not inhibit ALK1, 2, 3, or 6.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>

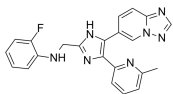
<p><b>SD-208</b></p> <p>Cat. No.: HY-13227</p>	<p><b>SJ000291942</b></p> <p>Cat. No.: HY-112331</p>
<p>SD-208 is a selective <b>TGF-<math>\beta</math>RI (ALK5)</b> inhibitor with <math>IC_{50}</math> of 48 nM, and &gt; 100-fold selectivity over TGF-<math>\beta</math>RII.</p> <p><b>Purity:</b> 99.87%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg</p>	<p>SJ000291942 is an activator of the canonical bone morphogenetic proteins (<b>BMP</b>) signaling pathway. BMPs are members of the transforming growth factor beta (<b>TGF<math>\beta</math></b>) family of secreted signaling molecules.</p> <p><b>Purity:</b> 98.41%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>SM 16</b></p> <p>Cat. No.: HY-111482</p>	<p><b>TGFBR1-IN-1</b></p> <p>Cat. No.: HY-129171</p>
<p>SM 16 is a <b>ALK5/ALK4</b> kinase inhibitor with <math>K_s</math> of 10 and 1.5 nM, respectively.</p> <p><b>Purity:</b> 99.88%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>TGFBR1-IN-1 is an <b>ALK5</b> inhibitor extracted from patent WO2018004290A1, Compound 33, has an <math>IC_{50}</math> of 10-100 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>TGF<math>\beta</math>-IN-1</b></p> <p>Cat. No.: HY-142967</p>	<p><b>TGF<math>\beta</math>RI-IN-1</b></p> <p>Cat. No.: HY-139858</p>
<p>TGF<math>\beta</math>-IN-1 is an antitumor growth and metastasis agent through inhibiting the <b>transforming growth factor<math>\beta</math></b> signaling pathway.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>TGF<math>\beta</math>RI-IN-1 is a long-acting tumor-activated prodrug of a <b>TGF<math>\beta</math>RI</b> inhibitor.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>TGF<math>\beta</math>RI-IN-1</b></p> <p>Cat. No.: HY-114192</p>	<p><b>TGF<math>\beta</math>RI-IN-3</b></p> <p>Cat. No.: HY-132290</p>
<p>TGF<math>\beta</math>RI-IN-1 is an oral active and selective <b>TGF<math>\beta</math> receptor type I (TGF<math>\beta</math>RI)</b> kinase inhibitor, with <math>IC_{50}</math> values of 2 nM and 7.6 <math>\mu</math>M for TGF<math>\beta</math>RI and TGF<math>\beta</math>RII, respectively .</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>TGF<math>\beta</math>RI-IN-3 inhibits <b>TGF<math>\beta</math>RI</b> at an <math>IC_{50}</math> of 0.79 nM with 2000-fold selectivity against MAP4K4. TGF<math>\beta</math>RI-IN-3 represents a highly selective TGF<math>\beta</math>RI inhibitor that has potential applications in immuno-oncology.</p> <p><b>Purity:</b> 98.04%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>TGF<math>\beta</math>RI-IN-4</b></p> <p>Cat. No.: HY-146780</p>	<p><b>TP0427736 hydrochloride</b></p> <p>Cat. No.: HY-118528A</p>
<p>TGF<math>\beta</math>RI-IN-4 is a highly potent and orally active <b>TGF<math>\beta</math> receptor type I (TGF<math>\beta</math>RI)</b> inhibitor, with <math>IC_{50}</math>s of 44 nM and 42.5 nM for ALK5 and NIH3T3. TGF<math>\beta</math>RI-IN-4 can suppress tumor growth and tumor weight in tumor xenograft model.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>TP0427736 hydrochloride is a potent inhibitor of <b>ALK5</b> kinase activity with an <math>IC_{50}</math> of 2.72 nM and this effect is 300-fold higher than the inhibitory effect on ALK3 (<math>IC_{50}</math>=836 nM).</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>

### 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

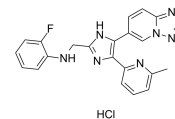
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### 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%

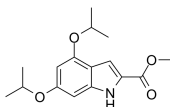
**Clinical Data:** Phase 2

**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