Integrins, a family of heterodimeric adhesion receptors for diverse extracellular matrices, have consistently been implicated as crucial drivers of ovarian cancer development and progression. A number of the RGD-based members of the integrin family, including α5β1, and αvβ3 or αvβ5 integrins, are markedly elevated in aggressive ovarian tumors. These adhesion receptors appear to promote cell adhesion, survival, motility and invasion during ovarian tumor growth or metastatic progression. Importantly, the functions of these integrins are strongly dependent on the activation of focal adhesion kinase (FAK) and its downstream signaling, including the PI3K/Akt- and Ras/MAPK-dependent pathways.

Integrins are transmembrane proteins and are major receptors for cell-extracellular matrix (ECM) and cell-cell adhesion. Modulation of these molecules, particularly αv integrin family, has exhibited profound effects on fibrosis in multiple organ and disease state. Based on the several studies, the integrins αvβ3, αvβ5, αvβ6, and αvβ8 have been known to modulate the fibrotic process via activation of latent transforming growth factor (TGF)-β in pre-clinical models of fibrosis.

Each integrin is typically formed by the non-covalent pairing of one α subunit, of which, 18 types are known to exist, and one β subunit, of which 8 types are known to exist. Together, 24 distinct heterodimers have been identified to date. The αv subunit can form heterodimers with the β1, β3, β5, β6 or β8 subunits and β1 can associate with many different α subunits from α1 to α11, and αv, indicating that not all theoretically possible α and subunit pairs form. Interestingly, the activation of TGF-β appears to be a common function of multiple αv integrins.
## Integrin Inhibitors & Modulators

### Arg-Gly-Asp-Ser
(RGDS peptide; Fibronectin tetrapeptide)  
**Cat. No.:** HY-12290

**Bioactivity:** Arg-Gly-Asp-Ser is an integrin binding sequence that inhibits integrin receptor function, decreases systemic inflammation via inhibition of collagen-triggered activation of leukocytes and attenuates expression of inflammatory cytokines, iNOS and MMP-9.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### ATN-161
**Cat. No.:** HY-13535

**Bioactivity:** ATN-161 is a novel integrin α5β1 antagonist, which inhibits angiogenesis and growth of liver metastases in a murine model.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>&gt;98%</th>
</tr>
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<tbody>
<tr>
<td>Clinical Data:</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size:</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### ATN-161 trifluoroacetate salt
(ATN-161 TFA salt)  
**Cat. No.:** HY-13535A

**Bioactivity:** ATN-161 trifluoroacetate salt is a novel integrin α5β1 antagonist, which inhibits angiogenesis and growth of liver metastases in a murine model.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>95.0%</th>
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<tbody>
<tr>
<td>Clinical Data:</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size:</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Carotegrast
**Cat. No.:** HY-14857

**Bioactivity:** Carotegrast is an orally available α4 integrin receptor inhibitor with anti-inflammatories activities.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>&gt;98%</th>
</tr>
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<tbody>
<tr>
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<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>250 mg, 500 mg</td>
</tr>
</tbody>
</table>

### Cilengitide
(EMD 121974)  
**Cat. No.:** HY-16141

**Bioactivity:** Cilengitide is a potent and selective integrin inhibitor for αvβ3 and αvβ5 receptor, with IC50 of 4 and 79 nM, respectively.

<table>
<thead>
<tr>
<th>Purity:</th>
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<tbody>
<tr>
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<td>Size:</td>
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</table>

### Cucurbitacin B
**Cat. No.:** HY-N0416

**Bioactivity:** Cucurbitacin B belongs to a class of highly oxidized tetracyclic triterpenoids; could repress cancer cell progression. IC50 value: Target: anticancer natural compound in vitro: Cucurbitacin-B inhibited growth and modulated expression of cell-syde regulators in SHSY5Y cells. At the...

<table>
<thead>
<tr>
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<tr>
<td>Size:</td>
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</tr>
</tbody>
</table>

### CWHM-12
**Cat. No.:** HY-18644

**Bioactivity:** CWHM-12 is a potent inhibitor of αv integrins with IC50 of 0.2, 0.8, 1.5, and 1.8 nM for αvβ8, αvβ3, αvβ6, and αvβ1.

<table>
<thead>
<tr>
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</tr>
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<tbody>
<tr>
<td>Clinical Data:</td>
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</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Cyclo(-RGDFK)
**Cat. No.:** HY-P0023

**Bioactivity:** Cyclo(-RGDFK) is a potent and selective inhibitor of the αvβ3 integrin, with an IC50 of 0.94 nM.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.0%</th>
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<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Cyclo(Arg-Gly-Asp-D-Phe-Val) TFA
**Cat. No.:** HY-P1613A

**Bioactivity:** Cyclo(Arg-Gly-Asp-D-Phe-Val) TFA is an inhibitor of integrin αvβ3, with antitumor activity.

<table>
<thead>
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<th>Purity:</th>
<th>99.40%</th>
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<td>Clinical Data:</td>
<td>No Development Reported</td>
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<td>Size:</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>
**Cyclo(RADfK)**

**Cat. No.: HY-P0031**

**Bioactivity:** Cyclo(RADfK) is a selective α(5)β(3) integrin ligand that has been extensively used for research, therapy, and diagnosis of neoangiogenesis.

**Purity:** 98.03%

**Clinical Data:** No Development Reported

**Size:** 1 mg

**Cyclo(RGDyK) trifluoroacetate**

**Cat. No.: HY-100563**

**Bioactivity:** Cyclo(RGDyK) trifluoroacetate is a potent and selective α(4)β(3) integrin inhibitor with an IC₅₀ of 20 nM.

**Purity:** 99.13%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**E7820**

(ER68203-00)

**Cat. No.: HY-14571**

**Bioactivity:** E7820 is an angiogenesis inhibitor by suppressing integrin α2, a cell adhesion molecule expressed on endothelial cells.

**Purity:** 98.62%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Eptifibatide**

(MPA-HAR-Gly-Asp-Trp-Pro-Cys-NH₂; (MPA)(HAR)GDWPC-NH₂)

**Cat. No.: HY-B0686**

**Bioactivity:** Eptifibatide is a cyclic heptapeptide, acts as a competitive antagonist for the activated platelet glycoprotein IIb/IIIa receptor, with anti-platelet activity.[1]

**Purity:** 96.49%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**Firategrast**

(SB 683699)

**Cat. No.: HY-14951**

**Bioactivity:** Firategrast is an orally bioavailable α₄β₉/α₄β₇ integrin antagonist.

**Purity:** 99.66%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Fradafiban**

(BIBU-52)

**Cat. No.: HY-101720**

**Bioactivity:** Fradafiban is a nonpeptide platelet glycoprotein IIb/IIIa antagonist, which binds to the human platelet GP IIb/IIIa complex with a Kᵩ value of 148 nM.

**Purity:** >98%

**Clinical Data:** Phase 1

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

**GLPG0187**

**Cat. No.: HY-100506**

**Bioactivity:** GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity, inhibits α₅β₃ integrin with an IC₅₀ of 1.3 nM.

**Purity:** 98.08%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**GRGDSP**

**Cat. No.: HY-P0290**

**Bioactivity:** GRGDSP, a synthetic linear RGD peptide, is an integrin inhibitor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

**GRGDSP TFA**

**Cat. No.: HY-P0290A**

**Bioactivity:** GRGDSP (TFA) is an integrin inhibitor.

**Purity:** 98.53%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in Water, 1 mg, 5 mg, 10 mg

**ILK-IN-2**

**Cat. No.: HY-18676B**

**Bioactivity:** ILK-IN-2 is an ILK inhibitor.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg
Integrin Antagonists 27

**Bioactivity:** Integrin Antagonists 27 is a small molecule integrin αvβ3 antagonist with binding affinity of 18 nM, as s novel anticancer agent.

**Purity:** 99.99%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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iRGD peptide

**Bioactivity:** iRGD peptide is a 9-amino acid cyclic peptide, triggers tissue penetration of drugs by first binding to av integrins, then proteolytically cleaved in the tumor to produce CRGDK/R to interact with neuropilin-1, and has tumor-targeting and tumor-penetrating properties.

**Purity:** 98.62%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

---

Lifitegrast

(SAR 1118; SHP-606)

**Bioactivity:** Lifitegrast (SAR 1118) is an integrin lymphocyte function-associated antigen-1 (LFA-1) antagonist, inhibits Jurkat T cell attachment to ICAM-1 with an IC50 of 2.98 nM.

**Purity:** 99.12%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

MK-0429

(L-000845704)

**Bioactivity:** MK-0429 (L-000845704) is an orally active, potent, selective and nonpeptide αvβ3 integrin antagonist with an IC50 of 80 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

OSU-T315

(ILK-IN-1)

**Bioactivity:** OSU-T315 is an inhibitor of integrin-linked kinase (ILK) with an IC50 of 600 nM.

**Purity:** 99.42%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

---

RGD

**Bioactivity:** RGD Trifluoroacetate is a tripeptide that effectively triggers cell adhesion, addresses certain cell lines and elicits specific cell responses; RGD Trifluoroacetate binds to integrins.

**Purity:** >98%

**Clinical Data:** Phase 2

**Size:** 5 mg, 10 mg

---

RGD Trifluoroacetate

**Bioactivity:** RGD Trifluoroacetate is a tripeptide that effectively triggers cell adhesion, addresses certain cell lines and elicits specific cell responses; RGD Trifluoroacetate binds to integrins.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

SR121566A

**Bioactivity:** SR121566A is a novel non-peptide Glycoprotein IIb/IIIa (GP IIb-IIIa) antagonist, which can inhibit ADP-, arachidonic acid- and collagen-induced human platelet aggregation with IC50 values of 46±7.5, 56±6 and 42±3 nM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

Tirofiban

(L700462; MK383)

**Bioactivity:** Tirofiban(L700462;MK383) is a potent non-peptide, glycoprotein IIb/IIIa (integrins alphabetaIII) antagonist Target: integrin IIb/IIIa Tirofiban hydrochloride monohydrate blocks platelet aggregation and thrombus formation. Tirofiban is an antithrombotic used in the treatment of unstable angina...

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 5 mg, 10 mg

---

Tirofiban hydrochloride monohydrate

**Bioactivity:** Tirofiban hydrochloride monohydrate is a potent non-peptide, glycoprotein IIb/IIa (integrins alphabetaIII) antagonist IC50 value: Target: integrin IIb/IIa Tirofiban hydrochloride monohydrate blocks platelet aggregation and thrombus formation. Tirofiban is an antithrombotic used in the...

**Purity:** 99.99%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**TR-14035**  
**Cat. No.:** HY-15770

**Bioactivity:** TR-14035 is a dual alpha4beta7 (IC50=7 nM)/alpha4beta1 (IC50=87 nM) integrin antagonist.

**Purity:** 95.78%

**Clinical Data:** No Development Reported

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

---

**Zaurategrast**  
**Cat. No.:** HY-70073

**Bioactivity:** Zaurategrast (CT7758) is a potent oral-effective alpha4 integrin inhibitor.

**Purity:** 98.81%

**Clinical Data:** Phase 2

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

---

**Zaurategrast ethyl ester**  
**(CDP323; UCB1184197)**  
**Cat. No.:** HY-75385

**Bioactivity:** Zaurategrast ethyl ester (CDP323), the ethyl ester prodrug of CT7758 [1], is a alpha4beta1/alpha4beta7 integrin antagonist used for the treatment of inflammatory and autoimmune disorders [2].

**Purity:** 99.06%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

---

**Zaurategrast ethyl ester sulfate**  
**(CDP323 sulfate; UCB1184197 sulfate)**  
**Cat. No.:** HY-75385A

**Bioactivity:** Zaurategrast ethyl ester sulfate (CDP323 sulfate), the ethyl ester prodrug of CT7758 [1], is a alpha4beta1/alpha4beta7 integrin antagonist used for the treatment of inflammatory and autoimmune disorders [2].

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

[1] Purity: 99.06%

[2] Clinical Data: Phase 2

[1] Purity: >98%

[2] Clinical Data: No Development Reported

---

**Bioactivity:** Zaurategrast (CT7758) is a potent oral-effective alpha4 integrin inhibitor.

---

**Bioactivity:** Zaurategrast ethyl ester sulfate (CDP323 sulfate), the ethyl ester prodrug of CT7758 [1], is a alpha4beta1/alpha4beta7 integrin antagonist used for the treatment of inflammatory and autoimmune disorders [2].

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