

# **Integrin**

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  $\alpha 5\beta 1$ , and  $\alpha \nu \beta 3$  or  $\alpha \nu \beta 5$  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  $\alpha v$  integrin family, has exhibited profound effects on fibrosis in multiple organ and disease state. Based on the several studies, the integrins  $\alpha v \beta 3$ ,  $\alpha v \beta 6$ , and  $\alpha v \beta 8$  have been known to modulate the fibrotic process via activation of latent transforming growth factor (TGF)- $\beta$  in pre-clinical models of fibrosis.

Each integrin is typically formed by the non-covalent pairing of one  $\alpha$  subunit, of which, 18 types are known to exist, and one  $\beta$  subunit, of which 8 types are known to exist. Together, 24 distinct heterodimers have been identified to date. The  $\alpha$ v subunit can form heterodimers with the  $\beta$ 1,  $\beta$ 3,  $\beta$ 5,  $\beta$ 6 or  $\beta$ 8 subunits and  $\beta$ 1 can associate with many different  $\alpha$  subunits from  $\alpha$ 1 to  $\alpha$ 11, and  $\alpha$ v, indicating that not all theoretically possible  $\alpha$  and subunit pairs form. Interestingly, the activation of TGF- $\beta$  appears to be a common function of multiple  $\alpha$ v integrins.

### Integrin Inhibitors, Agonists, Antagonists & Modulators

#### A-205804

Cat. No.: HY-100226

A-205804 is an orally bioavailable, potent and selective lead inhibitor of E-selectin and  $\mathbf{ICAM\text{-}1}$  expression, with an  $\mathbf{IC}_{\mathbf{50}}$  of 20 nM and 25 nM for E-selectin and ICAM-1, respectively. A-205804 can be used in the research of chronic inflammatory diseases.

Purity: 98 12%

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

### A-286982

A-286982 is a potent and allosteric LFA-1/ICAM-1 interaction inhibitor with IC<sub>50</sub>s of 44 nM and 35 nM in an LFA-1/ICAM-1 binding and LFA-1-mediated cellular adhesion assay, respectively.

Cat. No.: HY-107587

99 69% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg

#### Alicaforsen

(ISIS-2302) Cat. No.: HY-145728

Alicaforsen is a 20-base antisense oligonucleotide inhibiting ICAM-1 production, which is an important adhesion molecule involved in leukocyte migration and trafficking to the site of inflammation.

Alicaforsen

Purity: >98% Clinical Data: Phase 3 1 mg, 5 mg

#### Arg-Gly-Asp-Ser

(RGDS peptide; Fibronectin tetrapeptide)

Arg-Gly-Asp-Ser is an integrin binding sequence that inhibits integrin receptor function. Arg-Gly-Asp-Ser directly and specifically bind pro-caspase-8, pro-caspase-9 and pro-caspase-3, while it does not bind pro-caspase-1.

Cat. No.: HY-12290

**Purity:** 99 76%

Clinical Data: No Development Reported

10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Arg-Gly-Asp-Ser (TFA)

(RGDS peptide (TFA); Fibronectin tetrapeptide (TFA)) Cat. No.: HY-12290A

Arg-Gly-Asp-Ser (TFA) is an integrin binding sequence that inhibits integrin receptor function. Arg-Gly-Asp-Ser (TFA) directly and specifically bind pro-caspase-8, pro-caspase-9 and pro-caspase-3, while it does not bind pro-caspase-1.

Purity: Clinical Data:

Size: 1 mg, 5 mg

#### ATN-161

ATN-161 is a novel integrin  $\alpha 5\beta 1$  antagonist, which inhibits angiogenesis and growth of liver metastases in a murine model.



Cat. No.: HY-13535

**Purity:** >98% Clinical Data: Phase 2 Size 1 mg, 5 mg

#### ATN-161 trifluoroacetate salt

>98%

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

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



≥95.0% Purity: Clinical Data: Phase 2

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

#### **Bexotegrast**

Bexotegrast is a potent inhibitor of  $\alpha\nu\beta6$ integrin. Bexotegrast can be used for researching fibrosis such as idiopathic pulmonary fibrosis (IPF) and nonspecific interstitial pneumonia (NSIP) (extracted from patent WO2020210404A1, compound 5).



Cat. No.: HY-137561

Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### BI-1950

Cat. No.: HY-124040

BI-1950 is a highly potent lymphocyte function associated antigen-1 (LFA-1) inhibitor. LFA-1 is an essential component in normal immune system function and a target for drug discovery.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### **BIO-1211**

Cat. No.: HY-14126

BIO-1211 is a highly selective and orally active  $\alpha 4\beta 1$  (VLA-4) inhibitor, with IC<sub>50</sub> values of 4 nM and 2  $\mu$ M for  $\alpha$ 4 $\beta$ 1 and  $\alpha$ 4 $\beta$ 7, respectively.



99.64%

Clinical Data: No Development Reported

#### **BIO5192**

Cat. No.: HY-107589

BIO5192 is a selective and potent integrin  $\alpha 4\beta 1$ (VLA-4) inhibitor (K<sub>4</sub><10 pM). BIO5192 selectively binds to  $\alpha 4\beta 1$  (IC<sub>50</sub>=1.8 nM) over a range of other integrins.

Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### BIO5192 hydrate

BIO5192 hydrate is a selective and potent integrin α4β1 (VLA-4) inhibitor (K<sub>4</sub><10 pM). BIO5192 hydrate selectively binds to  $\alpha4\beta1$  (IC<sub>50</sub>=1.8 nM) over a range of other integrins.



Cat. No.: HY-107589A

Purity: >98.0%

Clinical Data: No Development Reported

Size: 1 mg

#### **BIRT 377**

Cat. No.: HY-110117

BIRT 377 is a potent amd orally bioavailable inhibitor of the interaction between intercellular adhesion molecule-1 (ICAM-1) and lymphocyte function-associated antigen-1 (LFA-1), with a K, of 25.8 nM. BIRT 377 also inhibits the production of IL-2 in vivo.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### BMS-587101

Cat. No.: HY-120628

BMS-587101 is a potent and orally active antagonist of leukocyte function associated antigen-1 (LFA-1). BMS-587101 has anti-inflammatory effects and can be used for rheumatoid arthritis research.



**Purity:** 98 67% Clinical Data: Phase 2

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### BMS-688521

Cat. No.: HY-10596

BMS-688521 is a highly potent, orally active inhibitor of the LFA-1/ICAM interaction, with an IC<sub>so</sub> of 2.5 nM in the adhesion assay and an IC<sub>50</sub> of 60 nM in the MLR assay. BMS-688521 is efficacious in a mouse allergic eosinophilic lung inflammation model

Purity: 98.72%

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

#### **BOP** sodium

Cat. No.: HY-129453

BOP sodium is a potent and selective dual  $\alpha 9\beta 1/\alpha 4\beta 1$  integrin inhibitor with  $K_d$  values in the picomolar range. BOP sodium shows the rapid and preferential mobilization of hematopoietic stem cell (HSC) and progenitors.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### c(phg-isoDGR-(NMe)k)

Cat. No.: HY-111413

c(phg-isoDGR-(NMe)k) is a selective and potent  $\alpha$ 5 $\beta$ 1-integrin ligand with an IC<sub>50</sub> of 2.9 nM.



>98% Purity:

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg Size:

#### c(phg-isoDGR-(NMe)k) TFA

Cat. No.: HY-111413A

c(phg-isoDGR-(NMe)k) TFA is a selective and potent  $\alpha$ 5 $\beta$ 1-integrin ligand with an IC<sub>so</sub> of 2.9 nM.



Purity: >98%

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg Size:

#### Carotegrast

Cat. No.: HY-14857

Carotegrast is an orally available  $\alpha 4$  integrin receptor inhibitor with anti-inflammatories activities

Purity: 98.14%

Clinical Data: No Development Reported

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

#### Carotegrast methyl

(AJM300) Cat. No.: HY-124290

Carotegrast methyl (AJM300) is an orally active and selective α4 integrin antagonist. HCA2969, an active metabolite of Carotegrast methyl, is a specific and dual  $\alpha 4\beta 1/\alpha 4\beta 7$  integrin antagonist. Carotegrast methyl prevents the development of colitis in mice. <br/>

Purity: 99.72%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Cilengitide

(EMD 121974) Cat. No.: HY-16141

Cilengitide (EMD 121974) is a potent and selective inhibitor of the integrins  $\alpha_\nu\beta_3$  and  $\alpha_\nu\beta_5.$  Cilengitide inhibits binding of isolated  $\alpha_\nu\beta_3$  and  $\alpha_\nu\beta_5$  to Vitronectin with an  $IC_{s0}$  value of 4 and 79 nM, respectively .

Purity: 99.32% Clinical Data: Phase 3

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

# Cilengitide TFA (EMD 121974 TFA)

Cilengitide is a potent and selective **integrin** inhibitor for  $\alpha_{\nu}\beta_{3}$  and  $\alpha_{\nu}\beta_{5}$  receptor, with IC $_{50}$  values of 4 nM and 79 nM, respectively.



Cat. No.: HY-16143

**Purity:** 98.85%

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

# F F

#### Cucurbitacin B

Cat. No.: HY-N0416

Cucurbitacin B belongs to a class of highly oxidized tetracyclic triterpenoids; could repress cancer cell progression.

Purity: 99.92%

Clinical Data: No Development Reported

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

#### CWHM-12

Cat. No.: HY-18644

CWHM-12 is a potent inhibitor of  $\alpha V$  integrins with  $IC_{s_0}s$  of 0.2, 0.8, 1.5, and 1.8 nM for  $\alpha v\beta 8,$ 

 $\alpha \nu \beta 3$ ,  $\alpha \nu \beta 6$ , and  $\alpha \nu \beta 1$ .



**Purity:** 99.65%

Clinical Data: No Development Reported

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

### Cyclo(-RGDfK)

Cat. No.: HY-P0023

Cyclo(-RGDfK) is a potent and selective inhibitor of the  $\alpha_\nu\beta_3$  integrin, with an  $IC_{50}$  of 0.94 nM. Cyclo(-RGDfK) TFA potently targets tumor microvasculature and cancer cells through the specific binding to the  $\alpha\nu\beta3$  integrin on the cell surface.



**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

#### Cyclo(-RGDfK) TFA

Cat. No.: HY-P0023A

Cyclo(-RGDfK) TFA is a potent and selective inhibitor of the  $\alpha,\beta_3$  integrin, with an  $IC_{50}$  of 0.94 nM. Cyclo(-RGDfK) TFA potently targets tumor microvasculature and cancer cells through the specific binding to the  $\alpha v\beta 3$  integrin on the cell surface.

Purity: 99.81%

Clinical Data: No Development Reported

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



#### Cyclo(Arg-Gly-Asp-D-Phe-Val) TFA

Cat. No.: HY-P1613A

Cyclo(Arg-Gly-Asp-D-Phe-Val) (TFA) is an inhibitor of integrin  $\alpha\nu\beta3$ , with antitumor activity.



Purity: 99.40%

Cyclo(RGDyK)

Clinical Data: No Development Reported

Cyclo(RGDyK) is a potent and selective  $\alpha_{ij}\beta_{ij}$ 

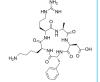
integrin inhibitor with an IC<sub>50</sub> of 20 nM.

Size: 1 mg, 5 mg

#### Cyclo(RADfK)

Cat. No.: HY-P0031

Cyclo(RADfK) is a selective  $\alpha(v)\beta(3)$  integrin ligand that has been extensively used for research, therapy, and diagnosis of neoangiogenesis.



**Purity:** 98.03%

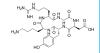
Clinical Data: No Development Reported

Size: 1 mg

## Cyclo(RGDyK) trifluoroacetate

Cat. No.: HY-100563

Cat. No.: HY-100563A



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Cyclo(RGDyK) trifluoroacetate is a potent and selective  $\alpha_v \beta_3$  integrin inhibitor with an  $IC_{50}$  of 20 nM.



Purity: 99.92%

Clinical Data: No Development Reported

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

#### E7820

(ER68203-00) Cat. No.: HY-14571

E7820 (ER68203-00), an orally active aromatic sulfonamide derivative, is a unique angiogenesis inhibitor suppressing an expression of integrin alpha2 subunit on endothelium. E7820 inhibits rat aorta angiogenesis with an  $IC_{50}$  of 0.11 µg/ml.

Purity: 99 25% Clinical Data: Phase 2

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

#### **Echistatin**

Echistatin, the smallest active RGD protein belonging to the family of disintegrins that are derived from snake venoms, is a potent inhibitor of platelet aggregation. Echistatin is a potent inhibitor of bone resorption in culture.

Cat. No.: HY-P1189

>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### **Echistatin TFA**

Cat. No.: HY-P1189A

Echistatin TFA, the smallest active RGD protein belonging to the family of disintegrins that are derived from snake venoms, is a potent inhibitor of platelet aggregation. Echistatin is a potent inhibitor of bone resorption in culture.

Purity: 95.13%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

#### EMD527040

Cat. No.: HY-101473

EMD527040 is a potent and highly selective ανβ6 antagonist with antifibrotic activities. EMD527040 can be used for carcinoma and liver fibrosis research.

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### **Eptifibatide**

Cat. No.: HY-B0686

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

Purity: 99 91% Clinical Data: Launched

Size: 10 mM  $\times$  1 mL, 10 mg, 50 mg, 100 mg

### **Eptifibatide acetate**

Cat. No.: HY-B0686A

Eptifibatide acetate is a cyclic heptapeptide, acts as a competitive antagonist for the activated platelet glycoprotein IIb/IIIa receptor, with anti-platelet activity.

Purity: >98% Clinical Data: Launched Size: 1 mg, 5 mg

#### **Fibronectin**

Cat. No.: HY-P3160

Fibronectin, a glycoprotein (~500 kDa) present in blood as well as in cells, is a biomarker of tissue injury. Fibronectin binds to membrane-spanning receptor proteins called integrins.

**Fibronectins** 

#### **Firategrast**

(SB 683699) Cat. No.: HY-14951

Firategrast (SB 683699) is an orally active and specific α4β1/α4β7 integrin antagonist. Firategrast reduces trafficking of lymphocytes into the central nervous system (CNS) and decreases multiple sclerosis (MS) activity.



99.88% Purity: Clinical Data: Phase 2

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

Clinical Data: No Development Reported

97.40% Size: 1 ma

## Fradafiban

Purity:

(BIBU-52) Cat. No.: HY-101720

Fradafiban is a nonpeptide platelet glycoprotein IIb/IIIa antagonist, which binds to the human platelet GP IIb/IIIa complex with a K<sub>d</sub> value of 148 nM



Purity: >98% Clinical Data: Phase 1 Size: 1 mg, 5 mg

#### **GLPG0187**

Cat. No.: HY-100506

GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity; inhibits  $\alpha_{\nu}\beta_{1}$ -integrin with an IC<sub>50</sub> of 1.3 nM.



Purity: 99.78% Clinical Data: Phase 1

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### Gly-Arg-Gly-Asp-Ser

Cat. No.: HY-P0295

Gly-Arg-Gly-Asp-Ser is a pentapeptide that forms the cell-binding domain of a glycoprotein, osteopontin. Gly-Arg-Gly-Asp-Ser binds to integrin receptors  $\alpha v\beta 3$  and  $\alpha v\beta 5$  with estimated IC<sub>50</sub> of 5 and 6.5 μM.

Purity: 95.05%

Clinical Data: No Development Reported Size: 2 mg, 5 mg, 10 mg, 25 mg

### Gly-Arg-Gly-Asp-Ser TFA

Gly-Arg-Gly-Asp-Ser (TFA) is a pentapeptide that forms the cell-binding domain of a glycoprotein, osteopontin. Gly-Arg-Gly-Asp-Ser binds to integrin receptors  $\alpha v\beta 3$  and  $\alpha v\beta 5$  with estimated IC<sub>50</sub> of 5 and 6.5 μM.



Cat. No.: HY-P0295A

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### **GRGDSP**

Cat. No.: HY-P0290

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

Purity: >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg

#### **GRGDSP TFA**

Cat. No.: HY-P0290A

GRGDSP (TFA) is an integrin inhibitor.



**Purity:** ≥98.0%

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg

#### HSDVHK-NH2

Cat. No.: HY-P1187

HSDVHK-NH2 is an antagonist of the integrin  $\alpha v \beta 3$ -vitronectin interaction, with an  $IC_{50}$  of 1.74 pg/mL (2.414 pM).<br/>.

Purity: 99.63%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

#### **HSDVHK-NH2 TFA**

Cat. No.: HY-P1187A

HSDVHK-NH2 TFA is an antagonist of the integrin  $\alpha v \beta 3$ -vitronectin interaction, with an  $IC_{50}$  of 1.74 pg/mL (2.414 pM).<br/>.



**Purity:** >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### ICAM-1-IN-1

Cat. No.: HY-U00003

ICAM-1-IN-1 is a potent and selective inhibitor of E-selectin and ICAM-1 with IC<sub>50</sub> values of 7 and 5 nM, respectively.

99.96% Purity:

Clinical Data: No Development Reported

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

### ILK-IN-2

(OSU-T315 analog) Cat. No.: HY-18676B

ILK-IN-2 (OSU-T315 analog) is a ILK inhibitor.



99.41% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 2 mg, 5 mg, 50 mg

#### ILK-IN-3

Cat. No.: HY-115677

ILK-IN-3 is an integrin linked kinase inhibitor with antitumor activity.

Purity: 99.57%

Clinical Data: No Development Reported

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

#### **Integrin Antagonists 27**

Cat. No.: HY-18668

Integrin Antagonists 27 is a small molecule integrin  $\alpha v\beta 3$  antagonist with binding affinity of 18 nM, as s novel anticancer agent.



Purity: ≥98.0%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Integrin modulator 1

Cat. No.: HY-134130

Integrin modulator 1 is a potent and selective α4β1 integrin agonist, with an IC<sub>50</sub> of 9.8 nM for RGD-binding α4β1. Integrin modulator 1 increases cell adhesion mediated by  $\alpha 4\beta 1$  integrin, with an EC<sub>50</sub> of 12.9 nM.

Purity: 99 43%

Clinical Data: No Development Reported

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

iRGD peptide

(c(CRGDKGPDC)) Cat. No.: HY-P0122

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:

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg Size:

#### Integrin-IN-2

Integrin-IN-2 (compound 39) is an orally bioavailable pan αν integrin inhibitor. Integrin-IN-2 can increases the ανβ6, ανβ3,  $\alpha\nu\beta5$  and  $\alpha\nu\beta8$  binding affinities with  $pIC_{_{50}}$ values of 7.8, 8.4, 8.4 and 7.4, respectively.

Cat. No.: HY-130119

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Irigenin

Irigenin is a is a lead compound, and mediates its anti-metastatic effect by specifically and selectively blocking  $\alpha 9\beta 1$  and  $\alpha 4\beta 1$  integrins binding sites on C-C loop of Extra Domain A (EDA). Irigenin shows anti-cancer properties.

Cat. No.: HY-N2587

**Purity:** 99 84%

Clinical Data: No Development Reported 5 mg, 10 mg, 20 mg

#### LDV

Cat. No.: HY-P2267

CRGDKGPDC (Disulfide bridge:Cys1-Cys8

LDV, a tripeptide, is a non-fluorescent analog of LDV-FITC. LDV is a  $\alpha 4\beta 1$  integrin (VLA-4) ligand, and binds α4β1 integrin in leukemia cells.

Purity: Clinical Data: Phase 4 Size: 1 mg, 5 mg

#### Leukadherin-1

Leukadherin-1, a specific agonist of the leukocyte surface integrin CD11b/CD18, increases CD11b/CD18-dependent cell adhesion to fibrinogen with an EC<sub>50</sub> of 4  $\mu$ M.



Cat. No.: HY-15701

Purity: ≥98.0%

Clinical Data: No Development Reported

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

#### Lifitegrast

(SAR 1118; SHP-606) Cat. No.: HY-19344

Lifitegrast (SAR 1118) is an integrin lymphocyte function-associated antigen-1 (LFA-1; αLβ2) antagonist; inhibits Jurkat T cell attachment to ICAM-1 with an IC<sub>so</sub> of 2.98 nM.

99.58% Purity: Clinical Data: Launched

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

#### LXW7

LXW7, a cyclic peptide containing Arg-Gly-Asp (RGD), is an integrin αvβ3 inhibitor. LXW7 has a

high binding affinity to ανβ3 integrin with an IC<sub>50</sub> of 0.68 μM. LXW7 increases phosphorylation of VEGFR-2 and activation of ERK1/2.

Anti-inflammatory effect.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Cat. No.: HY-P0178

#### LXW7 TFA

Cat. No.: HY-P0178A

LXW7 TFA, a cyclic peptide containing Arg-Gly-Asp (RGD), is an integrin ανβ3 inhibitor. LXW7 has a high binding affinity to ανβ3 integrin with an  $IC_{50}$  of 0.68  $\mu$ M. LXW7 TFA increases phosphorylation of VEGFR-2 and activation of ERK1/2. Anti-inflammatory effect.

Purity: 99.17%

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

MK-0429

(L-000845704)

MK-0429 (L-000845704) is an orally active, potent, selective and nonpeptide pan-integrin antagonist with IC<sub>so</sub> values of 1.6 nM, 2.8 nM, 0.1 nM, 0.7 nM, 0.5 nM and 12.2 nM for ανβ1, ανβ3, ανβ5, ανβ6,  $\alpha v \beta 8$  and  $\alpha 5 \beta 1$ , respectively.



Cat. No.: HY-15102

99.84% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Natalizumab

Cat. No.: HY-108831

Natalizumab is a recombinant, humanized IgG4 monoclonal antibody, binds to  $\alpha 4\beta 1$ -integrin and blocks its interaction with vascular cell adhesion molecule-1 (VCAM-1). Natalizumab can be used for the treatment of relapsing remitting multiple sclerosis and Crohn's disease.

Natalizumab

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 25 mg

#### OSU-T315

OSU-T315 (ILK-IN-1) is a small Integrin-linked kinase (ILK) inhibitor with an IC $_{50}$  of 0.6  $\mu$ M, inhibiting PI3K/AKT signaling by dephosphorylation of AKT-Ser473 and other ILK targets (GSK-3 $\beta$  and myosin light chain).

Cat. No.: HY-18676

**Purity:** 99.88%

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

### Pyrintegrin

Cat. No.: HY-13306

Pyrintegrin is an  $\beta$ 1-integrin agonist and a 2,4-disubstituted pyrimidine that promotes embryonic stem cells survival. Pyrintegrin enhances cell-extracellular matrix (ECM) adhesion-mediated integrin signaling.

**Purity:** 97.04%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mg

#### R-BC154 acetate

Cat. No.: HY-136214

R-BC154 acetate is a selective fluorescent  $\alpha_9\beta_1$  integrin antagonist. R-BC154 acetate acts as a useful high affinity, activation dependent integrin probe, which can be used to investigate  $\alpha9\beta1$  and  $\alpha4\beta1$  integrin binding activity.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### RGD

Cat. No.: HY-P0278

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

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

#### RGD peptide (GRGDNP)

Cat. No.: HY-P1740

RGD peptide (GRGDNP) acts as an inhibitor of integrin-ligand interactions and plays an important role in cell adhesion, migration, growth, and differentiation.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### RGD peptide (GRGDNP) (TFA)

Cat. No.: HY-P1740A

RGD peptide (GRGDNP) (TFA) acts as an inhibitor of integrin-ligand interactions and plays an important role in cell adhesion, migration, growth, and differentiation.

ОН

Purity: 99.25%

Clinical Data: No Development Reported

Size: 1 ma, 5 ma

#### **RGD Trifluoroacetate**

Cat. No.: HY-P0278A

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: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

RO0270608

Cat. No.: HY-138542

RO0270608, the active metabolite of R411, is a dual alpha4beta1-alpha4beta7 ( $\alpha$ 4 $\beta$ 1/ $\alpha$ 4 $\beta$ 7) integrin antagonist. Antiinflammatory activity.

**Purity:** 98.69%

Clinical Data: No Development Reported

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

#### RWJ 50271

Cat. No.: HY-110086

RWJ 50271 is an selective and orally active inhibitor of lymphocyte function-associated antigen-1/intercellular adhesion molecule-1(LFA-1/ICAM-1) interaction with an IC $_{50}$  of 5.0  $\mu$ M (HL60 cells). RWJ 50271 inhibits LFA-1/ICAM-1-mediated cell adhesion.



Purity: 99.51%

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

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#### SB-267268

Cat. No.: HY-19306

SB-267268 is a selective and nonpeptidic alpha(v)beta3 (ανβ3) and alpha(v)beta5 (ανβ5) integrins antagonist, with Kis of 0.9, 0.5 and 0.7 nM for human ανβ3, monkey ανβ3 and human ανβ5, respectively.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### TC-I 15

Sibrafiban (RO 48-3657)

aggregation.

Purity:

Size:

TC-I 15 (TC-I-15) is an allosteric, collagen-binding integrin  $\alpha 2\beta 1$  inhibitor with  $IC_{so}$  values of 26.8  $\mu M$  and 0.4  $\mu M$  for GFOGER and GLOGEN, respectively. TC-I 15 inhibits platelet

adhesion to collagen and thrombus deposition. >98%

**Purity:** 

Clinical Data: No Development Reported

Sibrafiban (RO 48-3657) is the orally active,

selective glycoprotein IIb/IIIa receptor

antagonist. Sibrafiban inhibits platelet

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

nonpeptide, double-prodrug of Ro 44-3888 and a

1 mg, 5 mg

### SR121566A

Cat. No.: HY-U00235 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  $IC_{so}$ s of  $46\pm7.5$ ,  $56\pm6$  and  $42\pm3$  nM, respectively.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

#### TC113

Cat. No.: HY-145314

TC113 is a c(RGDyK)-Based conjugate of Gemcitabine (GEM). TC113 could be internalized by A549 cells through integrin  $\alpha, \beta$ . TC113 shows potent antiproliferative properties against WM266.4 and A549 cells.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Tetrac (Tetraiodothyroacetic acid;

#### 3,3',5,5'-Tetraiodothyroacetic acid) Cat. No.: HY-W008859

Tetrac (Tetraiodothyroacetic acid), a derivative of L-thyroxine (T4), is a thyrointegrin receptor antagonist. Tetrac blocks the actions of T4 and 3,5,3'-triiodo-L-thyronine (T3) at the cell surface receptor for thyroid hormone on integrin ανβ3.

Purity: ≥95.0%

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 25 mg Size:

#### Tirofiban

#### (L700462; MK383) Cat. No.: HY-17369B

Tirofiban(L700462;MK383) is a potent non-peptide, glycoprotein IIb/IIIa (integrins alphaIIbbetaIII) antagonist Target: integrin IIb/IIIa Tirofiban hydrochloride monohydrate blocks platelet aggregation and thrombus formation.

Purity: 98.37% Clinical Data: Launched

Size 5 mg, 10 mg, 50 mg, 100 mg

### TCS 2314

TCS 2314 (compound 3) is orally active and selective very late antigen-4 (VLA-4, α4β1, CD49d/CD29) antagonist with an IC<sub>50</sub> of 4.4 nM.

≥99.0%

**Purity:** 

Clinical Data: No Development Reported

Size: 5 mg

THI0019

THI0019 is a potent integrin  $\alpha 4\beta 1$  (VLA-4) agonist

with an EC<sub>50</sub> range of 1-2 μM. THI0019 induces stem/progenitor cells adhesion. THI0019 also regulates adhesion mediated by  $\alpha 4\beta 7$ ,  $\alpha 5\beta 1$  and αLβ2.

Cat. No.: HY-117388

Cat. No.: HY-10309

HO N/Z NHo

Cat. No.: HY-107588

Cat. No.: HY-12308

98.31% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Tirofiban hydrochloride monohydrate

Tirofiban hydrochloride monohydrate is a potent non-peptide, glycoprotein IIb/IIIa (integrins alphaIIbbetaIII) antagonist IC50 value: Target: integrin IIb/IIIa Tirofiban hydrochloride monohydrate blocks platelet aggregation and thrombus formation.



Cat. No.: HY-17369

Purity: 99.34% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Tirofiban-d9

(L700462-d9; MK383-d9) Cat. No.: HY-17369BS

Tirofiban-d9 is deuterium labeled Tirofiban.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Tirofiban-d9 hydrochloride

Tirofiban-d9 (L700462-d9) hydrochloride is the deuterium labeled Tirofiban. Tirofiban(L700462) is a potent non-peptide, glycoprotein IIb/IIIa (integrins alphaIIbbetaIII) antagonist.



Cat. No.: HY-17369AS

**Purity:** >98%

Clinical Data:

Size: 1 mg, 10 mg

#### TR-14035

Cat. No.: HY-15770

TR-14035 is a orally active dual  $\alpha_4\beta_7/\alpha_4\beta_1$  integrin antagonist, with IC $_{50}$ s of 7 nM and 87 nM for  $\alpha_4\beta_7$  and  $\alpha_4\beta_1$ , respectively. TR-14035 can be used for the research of inflammation and autoimmune diseases.

Purity: 95.81%

Clinical Data: No Development Reported

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

#### Valategrast

(R-411 free base) Cat. No.: HY-14190

Valategrast (R-411 free base) is a potent and orally active <code>integrin</code>  $\alpha 4\beta 1$  (VLA-4) and  $\alpha 4\beta 7$  dual antagonist. Valategrast has the potential for Chronic obstructive pulmonary disease (COPD) and asthma treatment.



Purity: 98.57%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Valategrast hydrochloride

(R-411) Cat. No.: HY-14189

Valategrast hydrochloride (R-411) is a potent integrin  $\alpha 4\beta 1$  (VLA-4) and  $\alpha 4\beta 7$  dual antagonist. Valategrast hydrochloride has the potential for Chronic obstructive pulmonary disease (COPD) and asthma treatment.

**Purity:** > 98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Vedolizumab

(Anti-Human lymphocyte α4β7 integrin, Humanized Antibody) Cat. No.: HY-P9911

Vedolizumab is a humanized IgG1 monoclonal antibody that targets the  $\alpha 4\beta 7$  integrin for the treatment of ulcerative colitis and Crohn's disease.

Vedolizumab

Purity: 99.64% Clinical Data: Launched

Size: 1 mg, 5 mg, 25 mg, 50 mg

#### Vedolizumab (anti-α4β7-integrin)

Cat. No.: HY-P9911A

Vedolizumab (anti- $\alpha4\beta$ 7-integrin) is a humanized IgG1 monoclonal antibody that targets the  $\alpha4\beta$ 7 integrin for the treatment of ulcerative colitis and Crohn's disease.

 $\text{Vedolizumab (anti-}\alpha_4\beta_7\text{-integrin)}$ 

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

#### XVA143

XVA143, an  $\alpha/\beta$  I-like allosteric antagonist, inhibits LFA-1 dependent firm adhesion, while at the same time it enhances adhesion in shear flow and rolling both in vitro and in vivo.

Cat. No.: HY-139202

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Zaurategrast

(CT7758) Cat. No.: HY-70073

Zaurategrast (CT7758) is a potent and oral-effective  $\alpha_4$ -integrin inhibitor.



**Purity:** 98.03%

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

# Zaurategrast ethyl ester

(CDP323; UCB1184197)

Zaurategrast ethyl ester (CDP323), the ethyl ester prodrug of CT7758, is a  $\alpha 4\beta 1/\alpha 4\beta 7$  integrin antagonist used for the treatment of inflammatory and autoimmune disorders.



Cat. No.: HY-75385

Purity: 99.06% Clinical Data: Phase 2

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

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

# Zaurategrast ethyl ester sulfate (CDP323 sulfate; UCB1184197 sulfate)

Zaurategrast ethyl ester sulfate (CDP323 sulfate), the ethyl ester prodrug of CT7758, is a

the ethyl ester prodrug of CT7758, is a  $\alpha 4\beta 1/\alpha 4\beta 7$  integrin antagonist used for the treatment of inflammatory and autoimmune disorders.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

### $\alpha 2\beta 1$ Integrin Ligand Peptide

 $\alpha 2\beta 1$  Integrin Ligand Peptide interacts with the  $\alpha 2\beta 1$  integrin receptor on the cell membrane and mediates extracellular signals into cells. It is a potential antagonist of collagen receptors.

Cat. No.: HY-P1868

**Purity:** >98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

### α2β1 Integrin Ligand Peptide TFA

Cat. No.: HY-P1868A

 $\alpha 2\beta 1$  Integrin Ligand Peptide TFA interacts with the  $\alpha 2\beta 1$  integrin receptor on the cell membrane and mediates extracellular signals into cells. It is a potential antagonist of collagen receptors.

Purity: 99.33%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### α5β1 integrin agonist-1

 $\alpha5\beta1$  integrin agonist-1, acting as  $\alpha5\beta1$  integrin agonist, is able to selectively deliver 5-FU into tumor cells, successfully leading to

**Purity:** >98%

cancer cell death.

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-139702

#### ανβ1 integrin-IN-1

Cat. No.: HY-100445

 $\alpha\nu\beta1$  integrin-IN-1 (Compound C8) is a potent and selective  $\alpha\nu\beta1$  integrin inhibitor with an IC<sub>50</sub> of 0.63 nM. Antifibrotic effects.

**Purity:** > 98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

#### ανβ1 integrin-IN-1 TFA

Cat. No.: HY-100445A

 $\alpha\nu\beta1$  integrin-IN-1 TFA (Compound C8) is a potent and selective  $\alpha\nu\beta1$  integrin inhibitor with an  $IC_{s0}$  of 0.63 nM. Antifibrotic effects.



**Purity:** 98.30%

Clinical Data: No Development Reported

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

#### ανβ5 integrin-IN-1

Cat. No.: HY-145363

 $\alpha\nu\beta5$  integrin-IN-1 is a first potent and selective  $\alpha\nu\beta5$  integrin inhibitor (pIC  $_{50}$  = 8.2) .

**Purity:** > 98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg