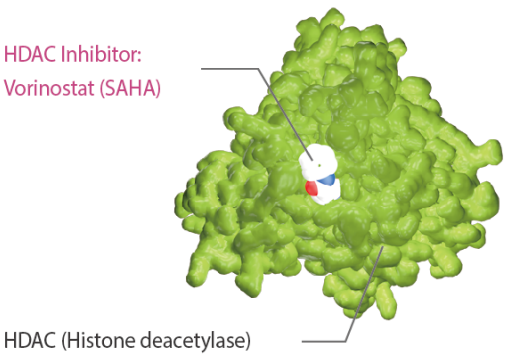
Integrin



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 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)

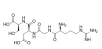
Cat. No.: HY-12290

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.

Purity: 98.0%

Clinical Data: No Development Reported

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



ATN-161

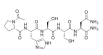
Cat. No.: HY-13535

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

Purity: >98%

Clinical Data: Phase 2

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



ATN-161 trifluoroacetate salt

(ATN-161 TFA salt)

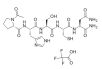
Cat. No.: HY-13535A

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

Purity: 95.0%

Clinical Data: Phase 2

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



c(phg-isoD-G-R-(NMe)k)

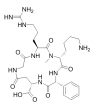
Cat. No.: HY-111413

Bioactivity: c(phg-isoD-G-R-(NMe)k) is a selective **α5β1 integrin** ligand with an **IC_{50}** of 2.9 nM.

Purity: >98%

Clinical Data: No Development Reported

Size: 100 mg, 500 mg, 250 mg



Carotegrast

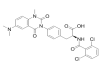
Cat. No.: HY-14857

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

Purity: >98%

Clinical Data: No Development Reported

Size: 250 mg, 500 mg



Cilengitide

(EMD 121974)

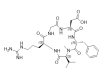
Cat. No.: HY-16141

Bioactivity: Cilengitide is a potent and selective **integrin** inhibitor for $\alpha_v\beta_3$ and $\alpha_v\beta_5$ receptor, with **IC_{50}**s of 4 and 79 nM, respectively.

Purity: 99.06%

Clinical Data: Phase 3

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



Cucurbitacin B

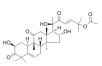
Cat. No.: HY-N0416

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-cycle regulators in SHSY5Y cells. At the...

Purity: 99.92%

Clinical Data: No Development Reported

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



CWHM-12

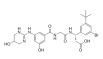
Cat. No.: HY-18644

Bioactivity: CWHM-12 is a potent inhibitor of **αV integrins** with **IC_{50}**s of 0.2, 0.8, 1.5, and 1.8 nM for **αvβ8**, **αvβ3**, **αvβ6**, and **αvβ1**.

Purity: 99.65%

Clinical Data: No Development Reported

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



Cyclo(-RGDfK)

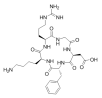
Cat. No.: HY-P0023

Bioactivity: Cyclo(-RGDfK) is a potent and selective inhibitor of the **$\alpha_v\beta_3$** integrin, with an **IC_{50}** of 0.94 nM.

Purity: 98.0%

Clinical Data: No Development Reported

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



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

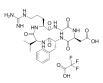
Cat. No.: HY-P1613A

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

Purity: 99.40%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



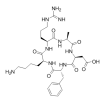
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Cyclo(RADfK)

Cat. No.: HY-P0031

Bioactivity: Cyclo(RADfK) is a selective α(v)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

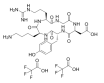


Cyclo(RGDyK) trifluoroacetate

Cat. No.: HY-100563

Bioactivity: Cyclo(RGDyK) trifluoroacetate is a potent and selective **$\alpha_V\beta_3$ integrin** inhibitor with an **IC_{50}** 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



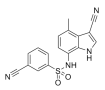
E7820

(ER68203-00)

Cat. No.: HY-14571

Bioactivity: E7820 is an angiogenesis inhibitor by suppressing **integrin a2**, a cell adhesion molecule expressed on endothelial cells.

Purity: 99.36%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg



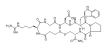
Eptifibatide

(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



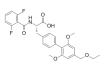
Firategrast

(SB 683699)

Cat. No.: HY-14951

Bioactivity: Firategrast is an orally bioavailable **α4β1/ α4β7 integrin** antagonist.

Purity: 99.66%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



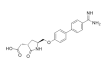
Fradafiban

(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 K_d value of 148 nM.

Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg, 10 mg, 20 mg

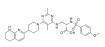


GLPG0187

Cat. No.: HY-100506

Bioactivity: GLPG0187 is a broad spectrum **integrin** receptor antagonist with antitumor activity; inhibits $\alpha_v\beta_1$-integrin with an **IC_{50}** 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

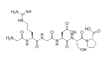


GRGDSP

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

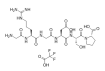


GRGDSP TFA

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

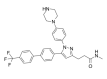


ILK-IN-2

Cat. No.: HY-18676B

Bioactivity: ILK-IN-2 is a ILK inhibitor.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg

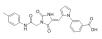


Integrin Antagonists 27

Cat. No.: HY-18668

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

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



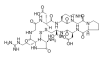
iRGD peptide

(c(CRGDKGPDC))

Cat. No.: HY-P0122

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



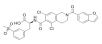
Lifitegrast

(SAR 1118; SHP-606)

Cat. No.: HY-19344

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 $\mathbf{IC_{50}}$ of 2.98 nM.

Purity: 99.12%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



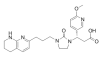
MK-0429

(L-000845704)

Cat. No.: HY-15102

Bioactivity: MK-0429 (L-000845704) is an orally active, potent, selective and nonpeptide **αvβ3 integrin** antagonist with an $\mathbf{IC_{50}}$ of 80 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg



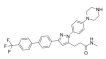
OSU-T315

(ILK-IN-1)

Cat. No.: HY-18676

Bioactivity: OSU-T315 is an inhibitor of integrin-linked kinase (**ILK**) with an $\mathbf{IC_{50}}$ of 600 nM.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

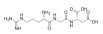


RGD

Cat. No.: HY-P0278

Bioactivity: 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: 5 mg, 10 mg

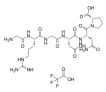


RGD peptide (GRGDNP) TFA

Cat. No.: HY-P1740A

Bioactivity: RGD peptide (GRGDNP) (TFA) acts as an inhibitor of integrin-ligand interactions and plays an important role in cell adhesion, migration, growth, and differentiation [1]. RGD peptide (GRGDNP) (TFA) promote apoptosis through activation of conformation changes that enhance pro-caspase-3...

Purity: >98%
Clinical Data: No Development Reported
Size:

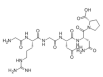


RGD peptide GRGDNP

Cat. No.: HY-P1740

Bioactivity: RGD peptide (GRGDNP) acts as an inhibitor of integrin-ligand interactions and plays an important role in cell adhesion, migration, growth, and differentiation [1]. RGD peptide (GRGDNP) promote apoptosis through activation of conformation changes that enhance pro-caspase-3 activation and...

Purity: >98%
Clinical Data: No Development Reported
Size:

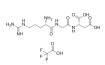


RGD Trifluoroacetate

Cat. No.: HY-P0278A

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

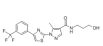


RWJ 50271

Cat. No.: HY-110086

Bioactivity: RWJ 50271 is an selective inhibitor of **lymphocyte function-associated antigen-1/intercellular adhesion molecule-1(LFA-1/ICAM-1)** interaction with an $\mathbf{IC_{50}}$ of 5.0 μM (HL60 cells) [1].

Purity: 99.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg

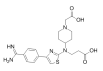


SR121566A

Cat. No.: HY-U00235

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 **IC_{50}**s 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

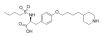


Tirofiban

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

Bioactivity: 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. Tirofiban is an antithrombotic used in the treatment of unstable angina....

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg

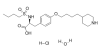


Tirofiban hydrochloride monohydrate

Cat. No.: HY-17369

Bioactivity: 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. 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

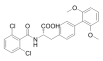


TR-14035

Cat. No.: HY-15770

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

Purity: 95.78%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



Vedolizumab

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

Bioactivity: Vedolizumab is a humanized monoclonal antibody that targets the α4β7 **integrin** for the treatment of ulcerative colitis and Crohn's disease.

Purity: 99.64%
Clinical Data: Launched
Size: 1 mg, 5 mg

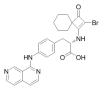
Vedolizumab

Zaurategrast

(CT7758) Cat. No.: HY-70073

Bioactivity: Zaurategrast (CT7758) is a potent and oral-effective **α_4-integrin** inhibitor.

Purity: 98.81%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

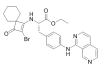


Zaurategrast ethyl ester

(CDP323; UCB1184197) Cat. No.: HY-75385

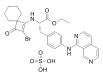
Bioactivity: Zauategrast ethyl ester (CDP323), the ethyl ester prodrug of CT7758 [1], is a **α4β1/ α4β7** integrin antagonist used for the treatment of inflammatory and autoimmune disorders [2].

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: Zauategrast ethyl ester sulfate (CDP323 sulfate), the ethyl ester prodrug of CT7758 [1], is a **α4β1/ α4β7** 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