Cytoskeleton

The cytoskeleton is a filamentous network of F-actin, microtubules, and intermediate filaments (IFs) composed of one of three chemically distinct subunits, actin, tubulin, or one of several classes of IF protein. Cytoskeleton not only helps cells maintain their shape and internal organization, but also provides mechanical support that enables cells to carry out essential functions like division and movement.

The cytoskeleton is involved in intracellular signal transduction at least two ways. First, individual proteins of the cytoskeleton might participate directly in signal transduction by linking two or more signaling proteins. Second, the cytoskeleton might provide a macromolecular scaffold, which spatially organizes components of a signal transduction cascade. Cell migration is a complex and multistep process involved in homeostasis maintenance, morphogenesis, and disease development, such as cancer metastasis, and requires coordination of cytoskeletal dynamics and reorganization, cell adhesion, and signal transduction, and takes a variety of forms. Many signaling pathways including Rho-family GTPases, Paxillin/FAK signaling and PI3K signaling is involved in the process by regulating cytoskeletal activity.

Since the cytoskeleton is involved in virtually all cellular processes, abnormalities in this essential cellular component frequently result in disease. Drugs that modulate microtubule stability, inhibitors of posttranslational modifications of cytoskeletal components, specifically compounds affecting the levels of tubulin acetylation, and compounds targeting signaling molecules which regulate cytoskeleton dynamics, constitute the mostly addressed therapeutic interventions for the diseases including cancer and neurodegenerative disorders.

References:
Target List in Cytoskeleton

- Arp2/3 Complex ........................................ 3
- Dynamin .................................................. 5
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- Integrin .................................................... 9
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- Mps1 ....................................................... 27
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The intact ARP2/3 complex is first purified from Acanthamoeba castellanii based on its affinity for the actin-binding protein profilin, and is shown to consist of a stable assembly of seven polypeptides. Two of the subunits are actin-related proteins of the ARP2 and ARP3 subfamilies, giving the complex its name. The ARP2/3 complex possesses little biochemical activity on its own. However, when engaged by nucleation-promoting factor (NPF) proteins, it is activated to initiate the formation of a new (daughter) filament that emerges from an existing (mother) filament in a y-branch configuration with a regular 70° branch angle. This coupling of nucleation and branching by the ARP2/3 complex is referred to as autocatalytic branching or dendritic nucleation, and is central to its functions in vivo. Polymerization of actin filaments directed by the Arp2/3 complex supports many types of cellular movements.
## Arp2/3 Complex Inhibitors & Modulators

### CK-636
(CK-0944636)  
**Cat. No.: HY-15892**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>CK-636 is a cell permeable inhibitor of <strong>Arp2/3 complex</strong>, that could inhibit actin polymerization, with $IC_{50}$ values of 4 μM, 24 μM and 32 μM for human, fission yeast and bovine, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.10%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### CK-869
Cat. No.: HY-16927

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>CK-869 is an Actin-Related Protein 2/3 (<strong>ARP2/3</strong>) complex inhibitor, with an $IC_{50}$ of 7 μM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>99.76%</td>
</tr>
<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, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Cytochalasin B
(Phomin)  
**Cat. No.: HY-16928**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Cytochalasin B is a cell-permeable mycotoxin binding to the barbed end of actin filaments, disrupting the formation of actin polymers, with $K_d$ value of 1.4-2.2 nM for F-actin.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>1 mg</td>
</tr>
</tbody>
</table>
Dynamin

Dynamins are large superfamily GTPase proteins that are involved in various cellular processes including budding of transport vesicles, division of organelles, cytokinesis, and pathogen resistance. Dynamins are involved in scission (cleavage of the vesicle from the parent membrane) of nascent vesicles from parent membranes in eukaryotic cells. Dynamins interact directly with the lipid bilayer at the necks of clathrin-coated pits to sever and release coated vesicles. Dynamins contain five domains, including GTPase domain, middle domain, PH domain, GTPase effector domain (GED), and proline rich domain (PRD), while the dynamin-related proteins (DRPs) lack one or more of these domains or have additional domains. Dynamins and DRPs participate in a wide variety of cellular processes, including budding mitochondrial fission (mammalian Dlp1 and Saccharomyces cerevisiae Dnm1) and fusion (mammalian OPA1, S.cerevisiae Mgm1 and Schizosaccharomyces pombe Msp1), vacuolar fission (S. cerevisiae Vps1), interferon-induced anti-viral protection (fish Mx proteins), plant cell cytokinesis and membrane fission (Arabidopsis thaliana DRP proteins), as well as pathogen resistance.
# Dynamin Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Product</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dynasore</td>
<td>HY-15304</td>
<td>Dynasore is a cell-permeable dynamin inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 15 μM.</td>
<td>98.75%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Mdivi-1 (Mitochondrial division inhibitor 1)</td>
<td>HY-15886</td>
<td>Mdivi-1 is a selective dynamin-related protein 1 (Drp1) inhibitor.</td>
<td>99.61%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

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

Gap junction channels are essential in normal heart function and they assist in the mediated spread of electrical impulses that stimulate synchronized contraction (via an electrical syncytium) of cardiac tissues.

Gap junction (GJ) proteins play an important role in direct communication between cells of many tissue types. GJs are specialised intercellular membrane-spanning domains that allow the passage of small molecules including second messenger (e.g. c-AMP, inositol triphosphate) or ionic signals from one cell to another. GJ proteins and their long evolutionary history have permitted adaptation of gap junction intercellular communication (GJIC) with several important functions and multiple regulatory processes. Formation of GJIC is an essential mechanism in coordinating growth and development and tissue compartmentalization during embryonic development.
# Gap Junction Protein Inhibitors & Modulators

## AT-1002

**Bioactivity:** AT-1002, a 6-mer synthetic peptide, is a tight junction regulator and absorption enhancer.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500 mg, 250 mg

---

## Danegaptide (GAP-134; ZP 1609)

**Bioactivity:** Danegaptide (GAP-134), a small modified dipeptide, has been identified as a potent and selective second generation gap junction modifier with oral bioavailability.

**Purity:** >98%

**Clinical Data:** Phase 2

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

---

## Danegaptide Hydrochloride (GAP-134 (Hydrochloride); ZP 1609 Hydrochloride)

**Bioactivity:** Danegaptide Hydrochloride (GAP-134 Hydrochloride) is a selective modifier of the gap junction protein.

**Purity:** 99.20%

**Clinical Data:** Phase 2

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

---

## Gap 26

**Bioactivity:** Gap 26 is a connexin mimetic peptide corresponding to the residues 63-75 of connexin 43, which is a gap junction blocker.

**Purity:** 99.30%

**Clinical Data:** No Development Reported

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

---

## Gap 27

**Bioactivity:** Gap 27, connexin43 mimetic peptide, is a gap junction inhibitor.

**Purity:** 98.34%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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## Tonabersat (SB-220453)

**Bioactivity:** Tonabersat is a gap-junction modulator.

**Purity:** 98.48%

**Clinical Data:** Phase 2

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

**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 trifluoroacetate salt

**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:** No Development Reported

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

---

### ATN-161

**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

---

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

**Bioactivity:** c(phg-isoD-G-R-(NMe)k) is a selective α5β1 integrin ligand with an IC50 of 2.9 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

### Carotegrast

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

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

### Cilengitide

**Bioactivity:** Cilengitide is a potent and selective inhibitor for αβ3 and αβ5 receptor, with IC50s of 4 and 79 nM, respectively.

**Purity:** 98.99%

**Clinical Data:** Phase 3

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

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### Cucurbitacin B

**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...

**Purity:** 99.92%

**Clinical Data:** No Development Reported

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

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### CWHM-12

**Bioactivity:** CWHM-12 is a potent inhibitor of αvβ3, αvβ6, and αvβ8 integrins with IC50s 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)

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

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

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

**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
<table>
<thead>
<tr>
<th><strong>Cyclo(RADfK)</strong></th>
<th><strong>Cat. No.: HY-P0031</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cyclo(RADfK) is a selective α(β3) integrin ligand that has been extensively used for research, therapy, and diagnosis of neoangiogenesis.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cyclo(RGDyK) trifluoroacetate</strong></th>
<th><strong>Cat. No.: HY-100563</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cyclo(RGDyK) trifluoroacetate is a potent and selective α1β3 integrin inhibitor with an IC₅₀ of 20 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.13%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>E7820</strong> (ER68203-00)</th>
<th><strong>Cat. No.: HY-14571</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>E7820 is an angiogenesis inhibitor by suppressing integrin a2, a cell adhesion molecule expressed on endothelial cells.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.62%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Eptifibatide</strong> (MPA-HAR-Gly-Asp-Trp-Pro-Cys-NH₂; (MPA)(HAR)GDWPC-NH₂)</th>
<th><strong>Cat. No.: HY-B0686</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Eptifibatide is a cyclic heptapeptide, acts as a competitive antagonist for the activated platelet glycoprotein IIb/IIIa receptor, with anti-platelet activity [1].</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.49%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Firategrast</strong> (SB 683699)</th>
<th><strong>Cat. No.: HY-14951</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Firategrast is an orally bioavailable α4β1/α4β7 integrin antagonist.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.66%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Fradafiban</strong> (BBU-52)</th>
<th><strong>Cat. No.: HY-101720</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Fradafiban is a nonpeptide platelet glycoprotein IIb/IIIa antagonist, which binds to the human platelet GP IIb/IIIa complex with a Kₐ value of 148 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GLPG0187</strong></th>
<th><strong>Cat. No.: HY-100506</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity, inhibits αiβj-integrin with an IC₅₀ of 1.3 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.08%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GRGDSP</strong></th>
<th><strong>Cat. No.: HY-P0290</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GRGDSP, a synthetic RGD peptide, is an integrin inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GRGDSP TFA</strong></th>
<th><strong>Cat. No.: HY-P0290A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GRGDSP (TFA) is an integrin inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.53%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in Water, 1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ILK-IN-2</strong></th>
<th><strong>Cat. No.: HY-186768</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ILK-IN-2 is an ILK inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

---

[Cyclo(RADfK)](HY-P0031) | [Cyclo(RGDyK) trifluoroacetate](HY-100563) | [E7820](ER68203-00) | [Eptifibatide](MPA-HAR-Gly-Asp-Trp-Pro-Cys-NH₂; (MPA)(HAR)GDWPC-NH₂) | [Firategrast](SB 683699) | [Fradafiban](BBU-52) | [GLPG0187](HY-100506) | [GRGDSP](HY-P0290) | [GRGDSP TFA](HY-P0290A) | [ILK-IN-2](HY-186768) |
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 a 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 αv 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 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)
Cat. No.: HY-15102

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)
Cat. No.: HY-18676

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
Cat. No.: HY-P0278

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
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

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 IC₅₀ 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-173698

Bioactivity: Tirofiban (L700462; MK383) is a potent non-peptide, glycoprotein IIb/IIIa (integrins αIIbbeta3) antagonist. 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-173669

Bioactivity: Tirofiban hydrochloride monohydrate is a potent non-peptide, glycoprotein IIb/IIIa (integrins αIIbbeta3) 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 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

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

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

**Purity:** 98.81%

**Clinical Data:** Phase 2

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

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

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

**Purity:** 99.06%

**Clinical Data:** No Development Reported

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

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**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 an 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
Kinesin is a protein belonging to a class of motor proteins found in eukaryotic cells. Kinesins move along microtubule filaments, and are powered by the hydrolysis of ATP (thus kinesins are ATPases). The active movement of kinesins supports several cellular functions including mitosis, meiosis and transport of cellular cargo. Most kinesins walk towards the plus end of a microtubule, entails transporting cargo from the centre of the cell towards the periphery. Kinesins were discovered as microtubule (MT)-based anterograde intracellular transport motors. The founding member of this superfamily, the genomes of mammals encode more than 40 kinesin proteins, organized into at least 14 families named kinesin-1 through kinesin-14.
Kinesin Inhibitors & Modulators

(R)-Filanesib
((R)-ARRY-520)  
Cat. No.: HY-15187A

Bioactivity:  (R)-Filanesib ((R)-ARRY-520) is the R-enantiomer of ARRY-520. (R)-Filanesib ((R)-ARRY-520) is a synthetic kinesin spindle protein (KSP) inhibitor with IC\textsubscript{50} of 6 nM.

Purity:  98.86%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AZ82
Cat. No.: HY-12241

Bioactivity:  AZ82 is a selective HSET/KIFC1 inhibitor, with a \( K_\text{i} \) of 43 nM and an IC\textsubscript{50} of 300 nM for KIFC1.

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

CW-069
Cat. No.: HY-15857

Bioactivity:  CW-069 is an allosteric inhibitor of HSET with an IC\textsubscript{50} of 75 \( \mu \text{M} \).

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

Dimethylenastron
Cat. No.: HY-19944

Bioactivity:  Dimethylenastron is a potent Eg5 inhibitor, with an IC\textsubscript{50} of 200 nM.

Purity:  98.24%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Eg5 Inhibitor V, trans-24
Cat. No.: HY-112915

Bioactivity:  Eg5 Inhibitor V, trans-24 is a potent and specific Eg5 inhibitor with an IC\textsubscript{50} of 0.65 \( \mu \text{M} \), and can be used in the research of cancer.

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

EMD534085
Cat. No.: HY-15000

Bioactivity:  EMD534085 is a potent and selective inhibitor of the mitotic kinesin-5 with an IC\textsubscript{50} of 8 nM.

Purity:  98.61%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Filanesib
(ARRY-520)  
Cat. No.: HY-15187

Bioactivity:  Filanesib (ARRY-520) is a synthetic kinesin spindle protein (KSP) inhibitor with IC\textsubscript{50} of 6 nM.

Purity:  99.73%
Clinical Data:  Phase 2
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

GSK-923295
Cat. No.: HY-10299

Bioactivity:  GSK-923295 is a special, allosteric inhibitor of CENP-E kinesin motor ATPase activity, with \( K_\text{i} \) of 3.2±0.2 nM and 1.6±0.1 nM for human and canine, respectively.

Purity:  99.0%
Clinical Data:  Phase 1
Size:  5 mg, 10 mg, 50 mg, 100 mg

Ispinesib
(SB-715992)  
Cat. No.: HY-50759

Bioactivity:  Ispinesib is a specific inhibitor of KSP, with a \( K_{\text{app}} \) of 1.7 nM.

Purity:  98.71%
Clinical Data:  Phase 2
Size:  10mM x 1mL in DMSO, 10 mg, 50 mg

K858 Racemic
Cat. No.: HY-19966

Bioactivity:  K858 Racemic is an ATP-uncompetitive inhibitor of Eg5 with an IC\textsubscript{50} of 1.3 \( \mu \text{M} \).

Purity:  99.93%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

www.MedChemExpress.com
Kif15-IN-1
Cat. No.: HY-15948

Bioactivity: Kif15-IN-1 is an inhibitor of the mitotic *kinesin Kif15*, and is used for the research of cellular proliferative diseases.

Purity: 99.04%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

Kif15-IN-2
Cat. No.: HY-15949

Bioactivity: Kif15-IN-2 is an inhibitor of the mitotic *kinesin Kif15*, and is used for the research of cellular proliferative diseases.

Purity: 96.51%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

Litronesib
(LY-2523355; KF-89617)
Cat. No.: HY-14846

Bioactivity: Litronesib is a selective *Eg5* inhibitor, with antitumor activity.

Purity: 99.59%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

Litronesib Racemate
(LY-2523355 Racemate; KF-89617 Racemate)
Cat. No.: HY-14846A

Bioactivity: Litronesib (Racemate) is the racemate of litronesib. Litronesib is a selective, allosteric inhibitor of Eg5.

Purity: 99.00%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg

Monastrol
((±)-Monastrol)
Cat. No.: HY-101071A

Bioactivity: Monastrol is a potent and cell-permeable inhibitor of the mitotic *kinesin Eg5* with an *IC_{50}* value of 14 μM.

Purity: 99.89%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Paprotain
Cat. No.: HY-101298

Bioactivity: Paprotain is a cell-permeable inhibitor of the *kinesin MKLP-2*, inhibits the ATPase activity of MKLP-2 with an *IC_{50}* of 1.35 μM and a *K_{i}* of 3.36 μM and shows a moderate inhibition activity on *DYRK1A* with an *IC_{50}* of 5.5 μM.

Purity: 97.05%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PF-2771
Cat. No.: HY-19530

Bioactivity: PF-2771 is a potent and selective centromere protein E (*CENP-E*) inhibitor, inhibiting CENP-E motor activity with an *IC_{50}* of 16.1 nM; PF-2771 is used as an anticancer agent.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

SB-743921
Cat. No.: HY-12069

Bioactivity: SB-743921 is a potent inhibitor of the mitotic *kinesin KSP (Eg5)*, with a *K_{i}* of 0.1 nM.

Purity: 97.31%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg
Microtubule/Tubulin

Microtubules are a component of the cytoskeleton, found throughout the cytoplasm. These tubular polymers of tubulin can grow as long as 50 micrometres, with an average length of 25 µm, and are highly dynamic. The outer diameter of a microtubule is about 24 nm while the inner diameter is about 12 nm. Microtubules are found in eukaryotic cells and are formed by the polymerization of a dimer of two globular proteins, alpha and beta tubulin. Tubulin is one of several members of a small family of globular proteins. The tubulin superfamily includes five distinct families, the alpha-, beta-, gamma-, delta-, and epsilon-tubulins and a sixth family which is present only in kinetoplastid protozoa. The most common members of the tubulin family are α-tubulin and β-tubulin, the proteins that make up microtubules. Microtubules are very important in a number of cellular processes. They are involved in maintaining the structure of the cell.
## Microtubule/Tubulin Inhibitors & Modulators

### 10-Deacetyl-7-xylosyl paclitaxel (10-Deacetyl-7-xylosyltaxol; 10-Deacetylpaclitaxel 7-Xyloside; ...)

**Cat. No.: HY-20584**

**Bioactivity:** 10-Deacetyl-7-xylosyl paclitaxel is a Paclitaxel derivative with improved pharmacological features and higher water solubility. IC50 value: Target: Microtubule inhibitor 10-Deacetyl-7-xylosyl paclitaxel induced mitotic cell cycle arrest and apoptosis as measured by flow cytometry, DNA...

**Purity:** 80.17%

**Clinical Data:** No Development Reported

**Size:** 10 mg, 50 mg

![Image](image1.png)

### 10-Oxo Docetaxel (Docetaxel Impurity)

**Cat. No.: HY-16674**

**Bioactivity:** 10-Oxo Docetaxel(Docetaxel Impurity) is a novel taxoid having remarkable anti-tumor properties and a Docetaxel intermediate.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

![Image](image2.png)

### 2-Methoxysteradiol (2-ME2; NSC-659853)

**Cat. No.: HY-12033**

**Bioactivity:** 2-Methoxysteradiol is an angiogenesis inhibitor and apoptosis inducer with potent anti-neoplastic activity. 2-Methoxysteradiol also destabilize microtubules.

**Purity:** 99.82%

**Clinical Data:** Phase 2

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

![Image](image3.png)

### 4'-Demethylepipodophyllotoxin (4'-O-demethylepipodophyllotoxin; 4'-DMEP)

**Cat. No.: HY-17435**

**Bioactivity:** 4'-Demethylepipodophyllotoxin(4'-DMEP) is a key intermediate compound for the preparation of podophyllotoxin-type anti-cancer drugs, a potent inhibitor of microtubule assembly.

**Purity:** 99.20%

**Clinical Data:** No Development Reported

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

![Image](image4.png)

### 7-Epi-10-oxo-docetaxel (Docetaxel Impurity)

**Cat. No.: HY-16675**

**Bioactivity:** 7-Epi-10-oxo-docetaxel (Docetaxel Impurity D) is an impurity of docetaxel detected by high performance liquid chromatography (HPLC).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

![Image](image5.png)

### 7-Epi-docetaxel (4-epi-Docetaxel; 7-Epidocetaxel; 7-Epitaxotere)

**Cat. No.: HY-16676**

**Bioactivity:** 7-Epi-10-oxo-docetaxel (Docetaxel Impurity C; 7-Epitaxotere) is an impurity of docetaxel.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

![Image](image6.png)

### 7-epi-Taxol (7-epi-Paclitaxel)

**Cat. No.: HY-N0227**

**Bioactivity:** 7-epi-Taxol is an active metabolite of taxol, with activity comparable to that of taxol against cell replication, promoting microtubule bundle formation and against microtubule depolymerization.

**Purity:** 99.75%

**Clinical Data:** No Development Reported

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

![Image](image7.png)

### 7-xylosyltaxol (7-Xylosylpaclitaxel; Taxol-7-xyloside)

**Cat. No.: HY-77574**

**Bioactivity:** 7-xylosyltaxol(Taxol-7-xyloside) is a taxol (Paclitaxel) derivative; Paclitaxel binds to tubulin and inhibits the disassembly of microtubules.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg

![Image](image8.png)

### ABT-751 (E7010)

**Cat. No.: HY-13270**

**Bioactivity:** ABT-751(E 7010) is a novel bioavailable tubulin-binding and antimitotic sulfonamide agent with IC50 of about 1.5 and 3.4 μM in neuroblastoma and non-neuroblastoma cell lines, respectively. IC50 Value: 1.5 μM(neuroblastoma); 3.4 μM(non-neuroblastoma) Target: Microtubule/Tubulin in vitro...

**Purity:** 99.87%

**Clinical Data:** Phase 2

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

![Image](image9.png)

### Amphethinile (Amphetinile; CRC 82-07)

**Cat. No.: HY-100190**

**Bioactivity:** Amphethinile is an anti-tubulin agent. The affinity constant for the association (K_a) of Amphethinile with tubulin is 1.3 μM.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

![Image](image10.png)
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ansamitocin P-3</td>
<td>HY-15739</td>
<td>Ansamitocin P-3 is a microtubule inhibitor. Ansamitocin P-3 is a macrocyclic antitumor antibiotic.</td>
<td>98.04%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Auristatin E</td>
<td>HY-15582</td>
<td>Auristatin E is a cytotoxic tubulin modifier with potent and selective antitumor activity; MMAE analog and cytotoxin in Antibody-drug conjugates.</td>
<td>99.36%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Auristatin F</td>
<td>HY-15583</td>
<td>Auristatin F is a cytotoxic tubulin modifier with potent and selective antitumor activity; MMAF analog and cytotoxin in Antibody-drug conjugates.</td>
<td>98.35%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>BTB-1</td>
<td>HY-101770</td>
<td>BTB-1 is a potent, selective and reversible mitotic motor protein Kif18A inhibitor with an IC_{50} of 1.69 μM.</td>
<td>99.62%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Cephalomannine</td>
<td>HY-77554</td>
<td>Cephalomannine is a taxol derivative with antitumor, antiproliferative properties.</td>
<td>99.29%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Cevipabulin fumarate (TTI-237 fumarate)</td>
<td>HY-14949C</td>
<td>Cevipabulin fumarate (TTI-237 fumarate) is a microtubule-active antitumor compound and inhibits the binding of [3H]vinblastine to tubulin, with an IC_{50} of 18-40 nM for cytotoxicity in human tumor cell line [1].</td>
<td>98.04%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Cevipabulin (TTI-237)</td>
<td>HY-14949</td>
<td>Cevipabulin (TTI-237) is a microtubule-active, oral active antitumor compound and inhibits the binding of [3H]vinblastine to tubulin, with an IC_{50} of 18-40 nM for cytotoxicity in human tumor cell line [1].</td>
<td>99.93%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

**Bioactivity:**

- **Ansamitocin P-3:** A microtubule inhibitor. Ansamitocin P-3 is a macrocyclic antitumor antibiotic.
- **Auristatin E:** A cytotoxic tubulin modifier with potent and selective antitumor activity; MMAE analog and cytotoxin in Antibody-drug conjugates.
- **Auristatin F:** A cytotoxic tubulin modifier with potent and selective antitumor activity; MMAF analog and cytotoxin in Antibody-drug conjugates.
- **BTB-1:** A potent, selective and reversible mitotic motor protein Kif18A inhibitor with an IC_{50} of 1.69 μM.
- **Cephalomannine:** A taxol derivative with antitumor, antiproliferative properties.
- **Cevipabulin (TTI-237):** A microtubule-active, oral active antitumor compound and inhibits the binding of [3H]vinblastine to tubulin, with an IC_{50} of 18-40 nM for cytotoxicity in human tumor cell line [1].
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Colchicine</td>
<td>HY-16569</td>
<td>Colchicine is a tubulin inhibitor and a microtubule disrupting agent. Colchicine inhibits microtubule polymerization with an IC&lt;sub&gt;50&lt;/sub&gt; of 3 nM.</td>
<td>99.74%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 200 mg, 500 mg</td>
</tr>
<tr>
<td>Combretastatin A4 (CRC 87-09)</td>
<td>HY-N2146</td>
<td>Combretastatin A4 is a microtubule-targeting agent that binds β-tubulin with K&lt;sub&gt;d&lt;/sub&gt; of 0.4 μM.</td>
<td>99.41%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Crolibulin (EPC2407)</td>
<td>HY-13603</td>
<td>Crolibulin is a small molecule tubulin polymerization inhibitor.</td>
<td>98.04%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>D-64131</td>
<td>HY-15482</td>
<td>D-64131 is a novel inhibitor of Tubulin polymerization that competitively binds with [(3)H]colchicine to αβ-Tubulin.</td>
<td>99.42%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg</td>
</tr>
<tr>
<td>D8-MMAD (Demethyldolastatin 10 D8; Monomethylauristatin D D8; Monomethyl Dolastatin 10 D8)</td>
<td>HY-15581S</td>
<td>D8-MMAD is a deuterated form of MMAD, which is a microtubule disrupting agent.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>D8-MMAE (D8-Monomethyl auristatin E; D8-Vedotin)</td>
<td>HY-15162A</td>
<td>D8-MMAE is a deuterated labeled MMAE, a potent mitotic inhibitor.</td>
<td>98.31%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>D8-MMAF (Monomethylauristatin F D8)</td>
<td>HY-15579S</td>
<td>D8-MMAF is a deuterated form of MMAF, which is a microtubule disrupting agent.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>D8-MMAF hydrochloride</td>
<td>HY-15579AS</td>
<td>D8-MMAF hydrochloride is a deuterated form of MMAF hydrochloride, which is a microtubule disrupting agent.</td>
<td>99.56%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>DM4</td>
<td>HY-12454</td>
<td>DM4 is an antitubulin agent that inhibit cell division. DM4 can be used in the preparation of antibody drug conjugate.</td>
<td>98.28%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Bioactivity:**
- **Colchicine** is a tubulin inhibitor and a microtubule disrupting agent. Colchicine inhibits microtubule polymerization with an IC<sub>50</sub> of 3 nM.
- **Combretastatin A4** is a microtubule-targeting agent that binds β-tubulin with K<sub>d</sub> of 0.4 μM.
- **Crolibulin** is a small molecule tubulin polymerization inhibitor.
- **D-64131** is a novel inhibitor of Tubulin polymerization that competitively binds with [(3)H]colchicine to αβ-Tubulin.
- **D8-MMAD** is a deuterated form of MMAD, which is a microtubule disrupting agent.
- **D8-MMAE** is a deuterated labeled MMAE, a potent mitotic inhibitor.
- **D8-MMAF** is a deuterated form of MMAF, which is a microtubule disrupting agent.
- **D8-MMAF hydrochloride** is a deuterated form of MMAF hydrochloride, which is a microtubule disrupting agent.
- **DM4** is an antitubulin agent that inhibit cell division. DM4 can be used in the preparation of antibody drug conjugate.

**Target:**
- Tubulin
- β-Tubulin
- αβ-Tubulin

**IC<sub>50</sub> and K<sub>d</sub> values:**
- Colchicine: IC<sub>50</sub> of 3 nM
- Combretastatin A4: K<sub>d</sub> of 0.4 μM
- D-64131: IC<sub>50</sub>
- D8-MMAD: K<sub>d</sub> 0.4 μM
- D8-MMAE: IC<sub>50</sub>
- D8-MMAF: IC<sub>50</sub>
- D8-MMAF hydrochloride: IC<sub>50</sub>
- DM4: IC<sub>50</sub>
| **Docetaxel**  
(RP-56976) | **Cat. No.: HY-80011** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Docetaxel is an antineoplastic drug by inhibiting microtubule depolymerization, and attenuating the effects of <strong>bcl-2</strong> and <strong>bcl-xL</strong> gene expression.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.93%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

| **Docetaxel Trihydrate**  
(RP-56976 (Trihydrate)) | **Cat. No.: HY-80011A** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Docetaxel Trihydrate is a semi-synthetic taxane analogue, acts as a microtubule stabilizer.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>100 mg, 200 mg</td>
</tr>
</tbody>
</table>

| **Dolastatin 10**  
(DLS 10; NSC 376128) | **Cat. No.: HY-15580** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Angiotensin II human is a vasoconstrictor that acts on the <strong>AT1</strong> and the <strong>AT2</strong> receptor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.83%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Entasobulin</strong></th>
<th><strong>Cat. No.: HY-16777</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Entasobulin is a <strong>β-tubulin</strong> polymerization inhibitor with potential anticancer activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.04%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>

| **Epothilone A**  
(Epo A) | **Cat. No.: HY-13503** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Epothilone A is a competitive inhibitor of the binding of [3H]paclitaxel to tubulin polymers, with a <strong>K_i</strong> of 0.6-1.4 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.05%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
</tbody>
</table>

| **Epothilone B**  
(EPO 906, Patupilone) | **Cat. No.: HY-17029** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Epothilone B is a microtubule stabilizer with a <strong>K_i</strong> of 0.71μM. It acts by binding to the αβ-tubulin heterodimer subunit which causes decreasing of αβ-tubulin dissociation.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **Epothilone D**  
(KOS 862) | **Cat. No.: HY-15278** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Epothilone D (KOS 862) is a potent microtubule stabilizer.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.93%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
</tbody>
</table>

| **Eribulin**  
(B1939; E7389; ER-086526) | **Cat. No.: HY-13442** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Eribulin (E7389; ER-086526), a synthetic analogue of halichondrin B in phase III clinical trials for breast cancer, binds to tubulin and microtubules. Target: Microtubule/Tubulin Eribulin suppressed centromere dynamics at concentrations that arrest mitosis. At 60 nmol/L eribulin (2 x mitotic IC(50)),...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg</td>
</tr>
</tbody>
</table>

| **Eribulin mesylate**  
(B1939 mesylate; E7389 mesylate; ER-086526 mesylate) | **Cat. No.: HY-13442A** |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Eribulin mesylate is a microtubule targeting agent that is used in the treatment of metastatic breast cancer. It inhibits the proliferation of cancer cells by binding microtubule proteins and microtubules.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.97%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>500μg, 1 mg</td>
</tr>
</tbody>
</table>

www.MedChemExpress.com
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Estramustine phosphate sodium</td>
<td>HY-13627</td>
<td>Estramustine phosphate sodium is an antimicrotubule chemotherapy agent; arrests prostate cancer cells in the G2/M phase of the cell cycle.</td>
<td>98.01%</td>
<td>Launched</td>
<td>10mM x 1mL in Water, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Fosbretabulin disodium</td>
<td>HY-17449</td>
<td>Fosbretabulin disodium (CA 4DP; CA 4P; Combretastatin A4 disodium phosphate) is a microtubule destabilizing drug, a type of vascular-targeting agent, a drug designed to damage the vasculature (blood vessels) of cancer tumors causing central necrosis. IC50 Value: 4 nM [1] Target: microtubule in vitro: Cytotoxic IC(50) values of CA-4 in human...</td>
<td>99.47%</td>
<td>Phase 3</td>
<td>10mM x 1mL in Water, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Ixabepilone (Azapeothilone B; BMS 247550; BMS 247550-1)</td>
<td>HY-10222</td>
<td>Ixabepilone is an orally bioavailable microtubule inhibitor, which binds to tubulin and promotes tubulin polymerization and microtubule stabilization, thereby arrests cells in the G2-M phase of the cell cycle and induces tumor cell apoptosis.</td>
<td>99.93%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Lexibulin (CYT-997)</td>
<td>HY-10498</td>
<td>Lexibulin (CYT-997) is a potent tubulin polymerisation inhibitor with IC50 of 10-100 nM in cancer cell lines; with potent cytotoxic and vascular disrupting activity in vitro and in vivo.</td>
<td>99.46%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Lexibulin dihydrochloride (CYT-997 dihydrochloride)</td>
<td>HY-10498A</td>
<td>Lexibulin 2HCl (CYT-997 2Hcl) is a potent tubulin polymerisation inhibitor with IC50 of 10-100 nM in cancer cell lines; with potent cytotoxic and vascular disrupting activity in vitro and in vivo.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Maytansinol (Ansamitocin P-0)</td>
<td>HY-19474</td>
<td>Maytansinol inhibits microtubule assembly and induces microtubule disassembly in vitro. Target: Microtubule/Tubulin in vitro: Maytansinol disrupts the mitotic spindle and prevents mitotic exit in Drosophila. Maytansinol reduces the growth and/or survival of HCT116 cells in a dose-dependent...</td>
<td>98.15%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Mc-MMAD</td>
<td>HY-15740</td>
<td>Monomethyl auristatin D (MMAD), a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate; Mc-MMAD is a protective group (maleimidocaproyl) -conjugated MMAD. IC50 Value: Target: tubulin; ADCs For comparison purposes, the ADC A1 -mc-MMAD and/or A1 -vc-MMAD were used. The linker...</td>
<td>99.38%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Mc-MMAE (Maleimidocaproyl-monomethylauristatin E)</td>
<td>HY-15741</td>
<td>Mc-MMAE is a protective group (maleimidocaproyl)-conjugated monomethyl auristatin E (MMAE), which is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate (ADC).</td>
<td>97.23%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>McMMMAF (Maleimidocaproyl monomethylauristatin F)</td>
<td>HY-15578</td>
<td>Mc-MMAF is a protective group-conjugated MMAF. MMAF is a more potent drug than Monomethyl auristatin E (MMAE), but is charged and relatively membrane-impermeable, is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate. Target: MMAF is a new auristatin derivative with a...</td>
<td>99.58%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Mertansine (DM1; Maytansinoid DM1)</td>
<td>HY-19792</td>
<td>Mertansine (DM1) is a microtubulin inhibitor which binds at the tips of microtubules and suppresses the dynamicity of microtubules. Mertansine is an antibody-conjugatable maytansinoid that was developed to overcome systemic toxicity associated with maytansine and to enhance tumor-specific...</td>
<td>98.74%</td>
<td>Phase 2</td>
<td>2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Estramustine phosphate sodium Cat. No.: HY-13627

Estramustine phosphate sodium is an antimicrotubule chemotherapy agent; arrests prostate cancer cells in the G2/M phase of the cell cycle.

Clinical Data:

Size:

10mM x 1mL in Water, 10 mg, 50 mg, 100 mg

Fosbretabulin disodium (CA 4DP; CA 4P; Combretastatin A4 disodium phosphate) Cat. No.: HY-17449

Fosbretabulin disodium is a microtubule destabilizing drug, a type of vascular-targeting agent, a drug designed to damage the vasculature (blood vessels) of cancer tumors causing central necrosis. IC50 Value: 4 nM [1] Target: microtubule in vitro: Cytotoxic IC(50) values of CA-4 in human...

Clinical Data:

Phase 3

Size:

10mM x 1mL in Water, 5 mg, 10 mg, 50 mg

Ixabepilone (Azapeothilone B; BMS 247550; BMS 247550-1) Cat. No.: HY-10222

Ixabepilone is an orally bioavailable microtubule inhibitor, which binds to tubulin and promotes tubulin polymerization and microtubule stabilization, thereby arrests cells in the G2-M phase of the cell cycle and induces tumor cell apoptosis.

Clinical Data:

Launched

Size:

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

Lexibulin (CYT-997) Cat. No.: HY-10498

Lexibulin (CYT-997) is a potent tubulin polymerisation inhibitor with IC50 of 10-100 nM in cancer cell lines; with potent cytotoxic and vascular disrupting activity in vitro and in vivo.

Clinical Data:

Phase 2

Size:

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

Lexibulin dihydrochloride (CYT-997 dihydrochloride) Cat. No.: HY-10498A

Lexibulin 2HCl (CYT-997 2Hcl) is a potent tubulin polymerisation inhibitor with IC50 of 10-100 nM in cancer cell lines; with potent cytotoxic and vascular disrupting activity in vitro and in vivo.

Clinical Data:

No Development Reported

Size:

5 mg, 10 mg, 50 mg

Maytansinol (Ansamitocin P-0) Cat. No.: HY-19474

Maytansinol inhibits microtubule assembly and induces microtubule disassembly in vitro. Target: Microtubule/Tubulin in vitro: Maytansinol disrupts the mitotic spindle and prevents mitotic exit in Drosophila. Maytansinol reduces the growth and/or survival of HCT116 cells in a dose-dependent...

Clinical Data:

No Development Reported

Size:

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

Mc-MMAD Cat. No.: HY-15740

Monomethyl auristatin D (MMAD), a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate; Mc-MMAD is a protective group (maleimidocaproyl) -conjugated MMAD. IC50 Value: Target: tubulin; ADCs For comparison purposes, the ADC A1 -mc-MMAD and/or A1 -vc-MMAD were used. The linker...

Clinical Data:

No Development Reported

Size:

10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

Mc-MMAE (Maleimidocaproyl-monomethylauristatin E) Cat. No.: HY-15741

Mc-MMAE is a protective group (maleimidocaproyl)-conjugated monomethyl auristatin E (MMAE), which is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate (ADC).

Clinical Data:

No Development Reported

Size:

10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

McMMMAF (Maleimidocaproyl monomethylauristatin F) Cat. No.: HY-15578

Mc-MMAF is a protective group-conjugated MMAF. MMAF is a more potent drug than Monomethyl auristatin E (MMAE), but is charged and relatively membrane-impermeable, is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate. Target: MMAF is a new auristatin derivative with a...

Clinical Data:

No Development Reported

Size:

10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

Mertansine (DM1; Maytansinoid DM1) Cat. No.: HY-19792

Mertansine (DM1) is a microtubulin inhibitor which binds at the tips of microtubules and suppresses the dynamicity of microtubules. Mertansine is an antibody-conjugatable maytansinoid that was developed to overcome systemic toxicity associated with maytansine and to enhance tumor-specific...

Clinical Data:

Phase 2

Size:

2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
MMAD (Demethyldolastatin 10; Monomethylauristatin D; Monomethyl Dolastatin 10)  Cat. No.: HY-15581

Bioactivity: MMAD is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugates (ADCs).

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

MMAF (Monomethylauristatin F)  Cat. No.: HY-15579

Bioactivity: MMAF (Monomethylauristatin F) is an antitubulin agent that inhibit cell division; inhibits H3397 cell growth with an IC_{50} of 105 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg, 10 mg

MMAF Hydrochloride (Monomethylauristatin F Hydrochloride)  Cat. No.: HY-15579A

Bioactivity: MMAF hydrochloride is an antitubulin agent that inhibit cell division; inhibits H3397 cell growth with an IC_{50} of 105 nM.

Purity: 98.96%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg

Monomethyl auristatin E (Vedotin; MMAE)  Cat. No.: HY-15162

Bioactivity: Monomethyl auristatin E (MMAE) is a synthetic derivative of dolastatin 10 and functions as a potent mitotic inhibitor by inhibiting tubulin polymerization. MMAE is widely used as a cytotoxic component of antibody-drug conjugates (ADCs) to treat several different cancer types.

Purity: 99.94%
Clinical Data: Phase 4
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg, 1 g

Nocodazole (Oncodazole; R17934)  Cat. No.: HY-13520

Bioactivity: Nocodazole is a rapidly-reversible inhibitor of microtubule. Nocodazole binds to β-tubulin and disrupts microtubule assembly/disassembly dynamics, which prevents mitosis and induces apoptosis in tumor cells.

Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Ombrabulin (AC-7700; AVE8062)  Cat. No.: HY-14797

Bioactivity: Ombrabulin (AC-7700) is a derivative of CA-4 phosphate, which is known to exhibit antivascular effects through selective disruption of the tubulin cytoskeleton of endothelial cells.

Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg, 10 mg, 20 mg

Ombrabulin hydrochloride (AVE8062; AVE8062A; AC7700)  Cat. No.: HY-18256

Bioactivity: Ombrabulin hydrochloride is a derivative of CA-4 phosphate, which is known to exhibit antivascular effects through selective disruption of the tubulin cytoskeleton of endothelial cells.

Purity: 99.57%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Paclitaxel (Taxol)  Cat. No.: HY-80015

Bioactivity: Paclitaxel (Taxol) is a potent anticancer medication which can promote microtubule (MT) assembly, inhibit MT depolymerization, and change MT dynamics required for mitosis and cell proliferation.

Purity: 99.97%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg

Parbendazole (SKF 29044)  Cat. No.: HY-115364

Bioactivity: Parbendazole is a potent inhibitor of microtubule assembly, destabilizes tubulin, with an EC_{50} of 8.79nM, and exhibits a broad-spectrum anthelmintic activity.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity:

MMAD is a potent tubulin inhibitor, is a toxin payload in antibody drug conjugates (ADCs).

Bioactivity: MMAF (Monomethylauristatin F) is an antitubulin agent that inhibit cell division; inhibits H3397 cell growth with an IC_{50} of 105 nM.

Bioactivity: MMAF hydrochloride is an antitubulin agent that inhibit cell division; inhibits H3397 cell growth with an IC_{50} of 105 nM.

Bioactivity: Monomethyl auristatin E (MMAE) is a synthetic derivative of dolastatin 10 and functions as a potent mitotic inhibitor by inhibiting tubulin polymerization. MMAE is widely used as a cytotoxic component of antibody-drug conjugates (ADCs) to treat several different cancer types.

Bioactivity: Nocodazole is a rapidly-reversible inhibitor of microtubule. Nocodazole binds to β-tubulin and disrupts microtubule assembly/disassembly dynamics, which prevents mitosis and induces apoptosis in tumor cells.

Bioactivity: Ombrabulin (AC-7700) is a derivative of CA-4 phosphate, which is known to exhibit antivascular effects through selective disruption of the tubulin cytoskeleton of endothelial cells.

Bioactivity: Ombrabulin hydrochloride is a derivative of CA-4 phosphate, which is known to exhibit antivascular effects through selective disruption of the tubulin cytoskeleton of endothelial cells.

Bioactivity: Paclitaxel (Taxol) is a potent anticancer medication which can promote microtubule (MT) assembly, inhibit MT depolymerization, and change MT dynamics required for mitosis and cell proliferation.

Bioactivity: Parbendazole is a potent inhibitor of microtubule assembly, destabilizes tubulin, with an EC_{50} of 8.79nM, and exhibits a broad-spectrum anthelmintic activity.
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>PBOX 6</strong></td>
<td>HY-U00446</td>
<td>PBOX 6 is a pyrrolo-1,5-benzoxazepine (PBOX) compound, acts as a microtubule-depolymerizing agent and an apoptotic agent.</td>
<td>99.06%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td><strong>PE859</strong></td>
<td>HY-12662</td>
<td>PE859 is a potent inhibitor of both tau and Aβ aggregation with IC₅₀ values of 0.66 and 1.2 μM, respectively.</td>
<td>98.01%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>PF-06380101</strong></td>
<td>HY-12522</td>
<td>PF-06380101 is a novel cytotoxic Dolastatin 10 analogue; with excellent potencies in tumor cell proliferation assays and differential ADME properties when compared to other synthetic auristatin analogues that are used in the preparation of ADCs. IC₅₀ value: ~0.2 nM (G50 in BT474, MDA-MB-361-DYT2 and N87...</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>Podofilox</strong></td>
<td>HY-15552</td>
<td>Podofilox (Podophyllotoxin) is a potent inhibitor of microtubule assembly and DNA topoisomerase II.</td>
<td>99.79%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td><strong>Rosabulin</strong></td>
<td>HY-14934</td>
<td>Rosabulin is a potent microtubule inhibitor, with anti-cancer activities.</td>
<td>99.68%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td><strong>Soblidotin</strong></td>
<td>HY-14672</td>
<td>Soblidotin (Auristatin PE; TZT-1027) is a novel synthetic Dolastatin 10 derivative and inhibitor of tubulin polymerization.</td>
<td>99.76%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td><strong>SSE15206</strong></td>
<td>HY-111425</td>
<td>SSE15206 is a microtubule polymerization inhibitor (GI₅₀ = 197 nM in HCT116 cells) that overcomes multidrug resistance. Causes aberrant mitosis resulting in G2/M arrest due to incomplete spindle formation in cancer cells [1].</td>
<td>99.74%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Taltobulin</strong></td>
<td>HY-15584</td>
<td>Taltobulin (HTI-286; SPA-110) is an analogue of Hemiasterlin; potent tubulin inhibitor; ADCs cytotoxin. IC₅₀ value: Target: tubulin in vitro: HTI-286 significantly inhibited proliferation of all three hepatic tumor cell lines (mean IC₅₀ = 2 nmol/L +/- 1 nmol/L) in vitro. Interestingly, no decrease...</td>
<td>99.90%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>Taltobulin hydrochloride</strong> (HTI-286 hydrochloride; SPA-110 hydrochloride)</td>
<td>HY-15584B</td>
<td>Taltobulin hydrochloride is an analogue of Hemiasterlin; potent tubulin inhibitor; ADCs cytotoxin. IC₅₀ value: Target: tubulin in vitro: HTI-286 significantly inhibits proliferation of all three hepatic tumor cell lines (mean IC₅₀ = 2 nmol/L +/- 1 nmol/L) in vitro. Interestingly,...</td>
<td>99.05%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>Taltobulin trifluoroacetate</strong> (HTI-286 trifluoroacetate; SPA-110 trifluoroacetate)</td>
<td>HY-15584A</td>
<td>Taltobulin trifluoroacetate (HTI-286; SPA-110) is an analogue of Hemiasterlin; potent tubulin inhibitor; ADCs cytotoxin. IC₅₀ value: Target: tubulin in vitro: HTI-286 significantly inhibited proliferation of all three hepatic tumor cell lines (mean IC₅₀ = 2 nmol/L +/- 1 nmol/L) in vitro. Interestingly,...</td>
<td>99.96%</td>
<td>No Development Reported</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Tasidotin hydrochloride (ILX651)  
**Cat. No.:** HY-13760  
**Bioactivity:** Tasidotin hydrochloride is a peptide analog of the antimitotic depsipeptide dolastatin 15, as an inhibitor of microtubule assembly and microtubule dynamics.  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

Tirbanibulin (KX2-391; KX-01)  
**Cat. No.:** HY-10340  
**Bioactivity:** Tirbanibulin (KX2-391) is an inhibitor of Src that targets the peptide substrate site of Src, with **GI<sub>50</sub>** of 9-60 nM in cancer cell lines.  
**Purity:** >98%  
**Clinical Data:** Phase 2  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

Tirbanibulin dihydrochloride (KX2-391 (dihydrochloride); KX-01 (dihydrochloride))  
**Cat. No.:** HY-10340A  
**Bioactivity:** Tirbanibulin (dihydrochloride) (KX2-391 (dihydrochloride)) is an inhibitor of **Src** that targets the peptide substrate site of **Src**, with **GI<sub>50</sub>** of 9-60 nM in cancer cell lines.  
**Purity:** 98.09%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Tirbanibulin Mesylate (KX2-391 (Mesylate); KX01 (Mesylate))  
**Cat. No.:** HY-10340B  
**Bioactivity:** Tirbanibulin (Mesylate) (KX2-391 (Mesylate)) is an inhibitor of **Src** that targets the peptide substrate site of **Src**, with **GI<sub>50</sub>** of 9-60 nM in cancer cell lines.  
**Purity:** 99.97%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Triclabendazole (CGA89317)  
**Cat. No.:** HY-80621  
**Bioactivity:** Triclabendazole (CGA89317) is a benzimidazole, it binds to tubulin impairing intracellular transport mechanisms and interferes with protein synthesis. Target: Microtubule/Tubulin. Triclabendazole treatment produces percentage decreases of the fluke egg output by 15.3%, 4.3% and 36.6%, respectively, in...  
**Purity:** 98.38%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

Tubulin inhibitor 1  
**Cat. No.:** HY-112607  
**Bioactivity:** Tubulin inhibitor 1 is a **tubulin** inhibitor, occupying the colchicine binding site, inhibits tubulin polymerization. Tubulin inhibitor 1 shows potent anti-tumor activity, causes cellular mitotic arrest in the G2/M phase, and induces cellular apoptosis [1].  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 500 mg, 250 mg

Tubulysin A (TubA)  
**Cat. No.:** HY-15995  
**Bioactivity:** Tubulysin A (TubA) is a myxobacterial product that can function as an antiangiogenic agent in many in vitro assays; anti-microtubule, anti-mitotic, an apoptosis inducer, anticancer, anti-angiogenic, and antiproliferative. **IC50** value: Target: microtubule Tubulysin A is a novel antibiotic,...  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg

Valecobulin (CKD-516)  
**Cat. No.:** HY-13598  
**Bioactivity:** Valecobulin (CKD516), a valine prodrug of (5S16) and a vascular disrupting agent (VDA), is a potent **beta-tubulin polymerization** inhibitor with marked antitumor activity against murine and human solid tumors [1] [2].  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 250 mg, 500 mg

Vc-MMAD  
**Cat. No.:** HY-15742  
**Bioactivity:** Vc-MMAD consists the ADCs linker (Val-Cit) and potent tubulin inhibitor (MMAD). Vc-MMAD is an antibody drug conjugate. **IC50** Valu: N/A Target: tubulin. ADCs Monomethyl auristatin D (MMAD), a potent tubulin inhibitor, is a toxin payload and antibody drug conjugate. For comparison purposes, the ADC A1...  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

VcMMAE (mc-vc-PAB-MMAE)  
**Cat. No.:** HY-15575  
**Bioactivity:** VcMMAE is a **drug-linker conjugate for ADC** with potent antitumor activity by using the anti-mitic agent, monomethyl auristatin E (MMAE), linked via the lysosomally cleavable dipeptide, valine-citrulline (vc).  
**Purity:** 99.89%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

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www.MedChemExpress.com
**Vinblastine**

**Cat. No.: HY-17418**

**Bioactivity:** Vinblastine is a cytotoxic alkaloid used against various cancer types. Vinblastine inhibits the formation of microtubule and suppresses nAChR with an IC\textsubscript{50} of 8.9 μM.

**Purity:** 98.0%

**Clinical Data:** Launched

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

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**Vinblastine sulfate**

(Vincaleukoblastine sulfate salt)

**Cat. No.: HY-13780**

**Bioactivity:** Vinblastine sulfate is a cytotoxic alkaloid used against various cancer types. Vinblastine sulfate inhibits the formation of microtubule and suppresses nAChR with an IC\textsubscript{50} of 8.9 μM.

**Purity:** 99.87%

**Clinical Data:** Launched

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

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**Vincristine sulfate**

(Leurocristine sulfate; 22-Oxovincaleukoblastine sulfate)

**Cat. No.: HY-N0488**

**Bioactivity:** Vincristine sulfate is an antitumor vinca alkaloid which inhibits microtubule formation in mitotic spindle, resulting in an arrest of dividing cells at the metaphase stage. It binds to microtubule with a K\textsubscript{i} of 85 nM.

**Purity:** 99.51%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg, 100 mg, 200 mg

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**Vinflunine Tartrate**

**Cat. No.: HY-B0628A**

**Bioactivity:** Vinflunine Tartrate is a new vinca alkaloid uniquely fluorinated with the properties of mitotic-arresting and tubulin-interacting activity.

**Purity:** 98.97%

**Clinical Data:** Launched

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

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**Vinorelbine**

(KW-2307 base)

**Cat. No.: HY-12053**

**Bioactivity:** Vinorelbine is an anti-mitotic agent which inhibits the proliferation of Hela cells with IC\textsubscript{50} of 1.25 nM.

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg

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**Vinorelbine ditartrate**

(KW-2307, Nor-5'-anhydrovinblastine ditartrate)

**Cat. No.: HY-12053A**

**Bioactivity:** Vinorelbine (ditartrate) is an anti-mitotic agent which inhibits the proliferation of Hela cells with IC\textsubscript{50} of 1.25 nM.

**Purity:** 99.58%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg
Monopolar spindle 1 (Mps1), also known as TTK, is a serine threonine kinase, which ensures proper biorientation of sister chromatids on the mitotic spindle by the activation of the spindle assembly checkpoint (SAC). Mps1 has been shown to function as the key kinase that activates the spindle assembly checkpoint (SAC) to secure proper distribution of chromosomes to daughter cells.

Mps1 is a dual specificity protein kinase that is essential for the bipolar attachment of chromosomes to the mitotic spindle and for maintaining the spindle assembly checkpoint until all chromosomes are properly attached. Mps1 is expressed at high levels during mitosis and is abundantly expressed in cancer cells. Disruption of Mps1 function induces aneuploidy and cell death.
### AZ3146
**Cat. No.: HY-14710**

**Bioactivity:** AZ3146 is a reasonably potent and selective Mps1 inhibitor with an IC\(_{50}\) of 35 nM for Mps1.

**Purity:** 99.64%

**Clinical Data:** No Development Reported

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

### BAY1217389
**Cat. No.: HY-12859**

**Bioactivity:** BAY1217389 is a potent, and selective inhibitor of the monopolar spindle 1 (MPS1) kinase with an IC\(_{50}\) value less than 10 nM.

**Purity:** 98.0%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

### BOS-172722
**Cat. No.: HY-112162**

**Bioactivity:** BOS-172722 is an inhibitor of monopolar spindle 1 (MPS1) checkpoint with an IC\(_{50}\) of 2 nM.

**Purity:** 99.41%

**Clinical Data:** No Development Reported

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

### CCT251455
**Cat. No.: HY-12603**

**Bioactivity:** CCT251455 is a potent and selective mitotic kinase monopolar spindle 1 (MPS1) inhibitor with an IC\(_{50}\) of 3 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

### CFI-402257
**Cat. No.: HY-101340**

**Bioactivity:** CFI-402257 is a highly selective and orally bioavailable TTK and Mps1 inhibitor with K\(_d\) of 0.1 and 0.09 nM, respectively.

**Purity:** 99.52%

**Clinical Data:** Phase 1

**Size:** 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Empesertib
(BAY 1161909)
**Cat. No.: HY-12858**

**Bioactivity:** Empesertib (BAY 1161909) is a potent Mps1 inhibitor, with an IC\(_{50}\) of < 1 nM.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

### MPI-0479605
**Cat. No.: HY-12660**

**Bioactivity:** MPI-0479605 is a potent and selective ATP-competitive inhibitor of Mps1, with an IC\(_{50}\) of 1.8 nM.

**Purity:** 99.85%

**Clinical Data:** No Development Reported

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

### Mps1-IN-1
**Cat. No.: HY-13298**

**Bioactivity:** Mps1-IN-1 is a potent, selective and ATP-competitive Mps1 kinase inhibitor, with an IC\(_{50}\) of 145 nM and K\(_d\) of 61 nM for Plk1.

**Purity:** 99.66%

**Clinical Data:** No Development Reported

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

### Mps1-IN-2
**Cat. No.: HY-13994**

**Bioactivity:** Mps1-IN-2 is a potent, selective and ATP-competitive dual Mps1/Plk1 inhibitor, with an IC\(_{50}\) and a K\(_d\) of 145 nM and 12 nM for Mps1 and a K\(_d\) of 61 nM for Plk1.

**Purity:** 98.06%

**Clinical Data:** No Development Reported

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

### Mps1-IN-3
**Cat. No.: HY-12401**

**Bioactivity:** Mps1-IN-3 is a potent and selective MPS1 kinase inhibitor, with an IC\(_{50}\) of 50 nM.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th></th>
<th><strong>NMS-P715</strong></th>
<th><strong>NMS-P715 analog</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong></td>
<td>NMS-P715 is a selective, ATP-competitive inhibitor of MPS1 with an IC(_{50}) of 182 nM.</td>
<td>NMS-P715 analog is an inhibitor of MPS1 with an IC(_{50}) of 84 nM.</td>
</tr>
<tr>
<td><strong>Purity</strong></td>
<td>99.0%</td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
<td>5 mg</td>
</tr>
</tbody>
</table>

**Cat. No.: HY-12382**  
**Cat. No.: HY-14712**
Myosin

Myosin light chain kinase (MLCK) is a ubiquitous Ca\textsuperscript{2+}/calmodulin (CaM)-activated kinase found in smooth, cardiac, and skeletal muscle as well as in mammalian nonmuscle cells.

Myosin light chain kinase (MLCK) is a regulatory protein for smooth muscle contraction, which acts by phosphorylating 20-kDa myosin light chain (MLC20) to activate the myosin ATPase activity. Myosin light chain kinase (MLCK) of smooth muscle has been purified as an enzyme that phosphorylates 20-kDa light chain of smooth muscle myosin (MLC20).

Analysis of the cross talk between Ras-ERK and PI3K-AKT signaling pathways reveals integrin β1, myosin light chain kinase (MLCK) and myosin IIA are required for the activation of PI3K-AKT following inhibition of the Ras-ERK pathway. Integrin β1, MLCK, and myosin IIA are factors in the development of resistance to MEK inhibitors.

Myosin light chain kinase (MLCK) phosphorylates the regulatory light chain (RLC) of myosin producing increases in force development during skeletal muscle contraction.
Myosin Inhibitors & Modulators

(-)-Blebbistatin
((S)-(−)-Blebbistatin)  
**Cat. No.: HY-13441**

**Bioactivity:** (-)-Blebbistatin is an S enantiomer of blebbistatin. Blebbistatin is a potent and selective myosin II inhibitor with IC\(_{50}\)s ranging from 0.5 to 5 μM.

**Purity:** 99.42%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

BTS  
(N-Benzyl-p-toluenesulfonamide; N-Tosylbenzylamine)  
**Cat. No.: HY-16690**

**Bioactivity:** BTS is a potent inhibitor of Ca\(^{2+}\)-stimulated myosin S1 ATPase (IC\(_{50}\) ~ 5 μM) and reversibly blocks the gliding motility.

**Purity:** 99.78%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 500 mg

Mavacamten  
(MYK461; SAR439152)  
**Cat. No.: HY-109037**

**Bioactivity:** Mavacamten is a modulator of cardiac myosin, with IC\(_{50}\)s of 490, 711 nM for bovine cardiac and human cardiac, respectively.

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

MLCK inhibitor peptide 18  
**Cat. No.: HY-P1029**

**Bioactivity:** MLCK inhibitor peptide 18 is a myosin light chain kinase (MLCK) inhibitor with an IC\(_{50}\) of 50 nM, and inhibits Ca\(^{2+}\)M kinase II only at 4000-fold higher concentrations.

**Purity:** 98.71%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 25 mg

Omecamtiv mecarbil  
(CK-1827452)  
**Cat. No.: HY-14233**

**Bioactivity:** Omecamtiv mecarbil is a cardiac myosin activator.

**Purity:** 99.28%
**Clinical Data:** Phase 3
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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ATM-3507  
**Cat. No.: HY-100948**

**Bioactivity:** ATM-3507 is a potent tropomyosin inhibitor with IC\(_{50}\)s from 3.83–6.84 μM in human melanoma cell lines.

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

HA-100  
**Cat. No.: HY-100984**

**Bioactivity:** HA-100 is an inhibitor of cGMP-dependent protein kinase (PKG), cAMP-dependent protein kinase (PKA), Protein kinase C (PKC) and MLCK-kinase with IC\(_{50}\)s of 4, 8, 12 and 240 μM, respectively.

**Purity:** 99.76%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ML-7 hydrochloride  
**Cat. No.: HY-15417**

**Bioactivity:** ML-7 hydrochloride is a naphthalene sulphonamide derivative, potently inhibits MLCK (IC\(_{50}\)=300 nM) and TRPC6 channel (IC\(_{50}\)>10 μM).

**Purity:** 98.18%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

MS-444  
(BE-34776)  
**Cat. No.: HY-100685**

**Bioactivity:** MS-444 inhibits the activity of purified smooth muscle myosin light chain kinase (MLCK) with an IC\(_{50}\) value of 10 μM.

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

MS-444 inhibits the activity of purified smooth muscle myosin light chain kinase (MLCK) with an IC\(_{50}\) value of 10 μM.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 250 mg, 500 mg
PAK
p21 activated kinases

PAKs (p21-activated kinases) are key regulators of actin dynamics, cell proliferation and cell survival. PAKs are Ser/Thr kinases that are classified into two groups on the basis of their structural and functional features: group I (PAK1–3) and group II (PAK4–6). Group I PAKs have an auto-inhibitory domain (also called an inhibitory switch domain) and a kinase domain (catalytic domain, CD) and are activated by the binding of the active (that is, GTP-bound) forms of Rho GTPases, such as Cdc42 and Rac1. Group II PAKs have no auto-inhibitory domains and are not activated by active Rho GTPases. Because the deregulation of PAKs is closely associated with various human diseases, small-molecule inhibitors of these kinases have great potential as therapeutic agents. In addition, these compounds can also be used as powerful tools in studies aimed at understanding the PAK signaling pathway.

PAKs are considered prime regulators of the actin cytoskeleton and motility. Due to their central role in actin remodelling and their ability to activate Matrix metalloproteinases (MMPs), Rho GTPases play an important role in tumor cell invasion and metastasis. The current evidence suggests the involvement of PAKs in motility, cell survival, anchorage-independent growth, angiogenesis, invasion, migration and regulation of cell cycle and mitosis. Consequently, PAKs have also been implicated in a number of pathological conditions including cancer.
## PAK Inhibitors & Modulators

### 5-Aminosalicylic Acid  
(Mesalamine; 5-ASA; Mesalazine)  
**Cat. No.: HY-15027**

**Bioactivity:** 5-Aminosalicylic acid acts as a specific PPARγ agonist and also inhibits p21-activated kinase 1 (PAK1) and NF-κB.

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

### FRAX1036  
**Cat. No.: HY-19538**

**Bioactivity:** FRAX1036 is a PAK inhibitor with $K_i$ s of 23.3 nM, 72.4 nM, and 2.4 μM for PAK1, PAK2 and PAK4, respectively.

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

### FRAX486  
**Cat. No.: HY-15542B**

**Bioactivity:** FRAX486 is a p21-activated kinase (PAK) inhibitor with $IC_{50}$s of 14, 33 and 39 nM for PAK1, PAK2 and PAK3, respectively.

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

### FRAX597  
**Cat. No.: HY-15542A**

**Bioactivity:** FRAX597 is a potent group I p21-activated Kinases (PAKs) inhibitor with $IC_{50}$ of 8, 13 and 19 nM for PAK1, 2 and 3.

**Purity:** 99.02%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### G-5555  
**Cat. No.: HY-19635**

**Bioactivity:** G-5555 is a potent p21-activated kinase 1 (PAK1) inhibitor with $K_i$ s of 3.7 nM and 11 nM for PAK1 and PAK2, respectively.

**Purity:** 99.18%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### G-5555 hydrochloride  
**Cat. No.: HY-19635A**

**Bioactivity:** G-5555 hydrochloride is a potent and selective p21-activated kinase 1 (PAK1) inhibitor with a $K_i$ of 3.7 nM.

**Purity:** 98.19%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg

### IPA-3  
**Cat. No.: HY-15663**

**Bioactivity:** IPA-3 is a selective non-ATP competitive PAK1 inhibitor with $IC_{50}$ of 2.5 μM, and shows no inhibition to group II PAKs (PAKs 4-6).

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

### NVS-PAK1-1  
**Cat. No.: HY-100519**

**Bioactivity:** NVS-PAK1-1 is a potent and selective allosteric PAK1 inhibitor with an $IC_{50}$ of 5 nM.

**Purity:** 99.82%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### PAK-IN-1  
**Cat. No.: HY-12632**

**Bioactivity:** PAK-IN-1 is a PAK inhibitor that displays group II selectivity. PAK-IN-1 inhibits PAK4, PAK5 and PAK6 with $IC_{50}$s of 7.5, 36, 126 nM, respectively.

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

### PF-3758309  
**Cat. No.: HY-13007**

**Bioactivity:** PF-3758309 is an inhibitor of PAK with $IC_{50}$ of 1.3 nM for PAK4.

**Purity:** 98.41%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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