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Inhibitors, Screening Libraries, Proteins

FAK

PTK2 protein tyrosine kinase 2; PTK2; Focal adhesion kinase

FAK (Focal Adhesion Kinase or PTK2) is a non-receptor and non-membrane associated protein tyrosine kinase that is activated at the sites of cell-matrix adhesions and integrin clustering by auto-phosphorylation (at Tyr397), Src, and other tyrosine kinases. FAK mediates integrin-based cell signaling by transferring signals regulating cell migration, adhesion, and survival from the extracellular matrix to the cytoplasm.

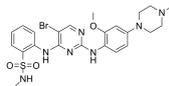
FAK is overexpressed in many tumors, including those derived from the head and neck, colon, breast, prostate, liver, and thyroid. Furthermore, FAK overexpression is highly correlated with an invasive phenotype in these tumors. Inhibition of FAK signaling by overexpression of dominant-negative fragments of FAK reduces invasion of glioblastomas and ovarian cancer cells. FAK therefore represents an important target for the development of anti-neoplastic and anti-metastatic drugs.

FAK Inhibitors

ALK inhibitor 1

Cat. No.: HY-15357

ALK inhibitor 1 (compound 17) is a potent pyrimidin ALK inhibitor. ALK inhibitor 1 is a potent inhibitor of **testis-specific serine/threonine kinase 2 (TSSK2; IC₅₀=31 nM)** and **focal adhesion kinase (FAK; IC₅₀=2 nM)**.

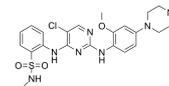


Purity: 99.71%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

ALK inhibitor 2

Cat. No.: HY-15358

ALK inhibitor 2 (compound 18) is a potent pyrimidin ALK inhibitor. ALK inhibitor 2 is a potent inhibitor of **testis-specific serine/threonine kinase 2 (TSSK2; IC₅₀=37 nM)** and **focal adhesion kinase (FAK; IC₅₀=5 nM)**.

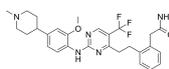


Purity: 99.77%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

AMP-945

Cat. No.: HY-145652

AMP-945 is an inhibitor of the enzyme focal adhesion kinase (FAK).

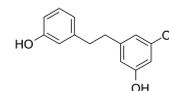


Purity: 98.96%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Batatasin III

Cat. No.: HY-122965

Batatasin III, a stilbenoid, inhibits cancer migration and invasion by suppressing epithelial to **mesenchymal transition (EMT)** and **FAK-AKT** signals. Batatasin III has anti-cancer activities.

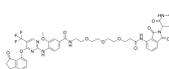


Purity: 99.70%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

BI-3663

Cat. No.: HY-111546

BI-3663 is a highly selective **PTK2/FAK PROTAC** (DC₅₀=30 nM), with **Cereblon** ligands to hijack E3 ligases for PTK2 degradation. BI-3663 inhibits PTK2 with an IC₅₀ of 18 nM. BI-3663 is a PROTAC that composes of BI-4464 (HY-124625) linked to Pomalidomide (HY-10984) with a linker.

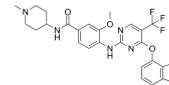


Purity: 98.14%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

BI-4464

Cat. No.: HY-124625

BI-4464 is a highly selective ATP competitive inhibitor of **PTK2/FAK**, with an IC₅₀ of 17 nM. A PTK2 ligand for PROTAC.

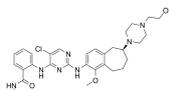


Purity: 99.27%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

CEP-37440

Cat. No.: HY-15841

CEP-37440 is a novel potent and selective Dual FAK/ALK inhibitor with IC₅₀ s of 2.3 nM (FAK) and 120 nM (ALK cellular IC₅₀ in 75% human plasma).

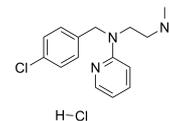


Purity: 99.97%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Chloropyramine hydrochloride

Cat. No.: HY-B1305

Chloropyramine hydrochloride is a **histamine receptor H1** antagonist which can also inhibit the biochemical function of **VEGFR-3** and **FAK**.



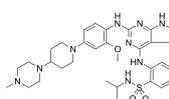
Purity: 99.73%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg

Conteltinib

(CT-707)

Cat. No.: HY-109084

Conteltinib (CT-707) is a multi-kinase inhibitor targeting FAK, ALK, and Pyk2. Conteltinib exerts significant inhibitory effect on FAK with an IC₅₀ of 1.6 nM.



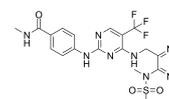
Purity: 99.47%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Defactinib

(VS-6063; PF-04554878)

Cat. No.: HY-12289

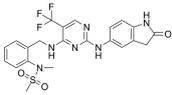
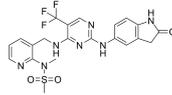
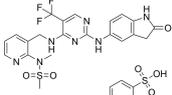
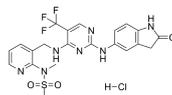
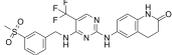
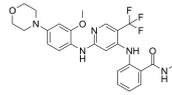
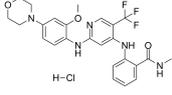
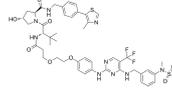
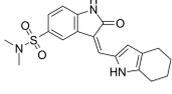
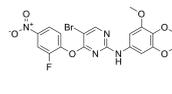
Defactinib (VS-6063; PF-04554878) is a novel FAK inhibitor with potential antiangiogenic and antineoplastic activities.



Purity: 99.87%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

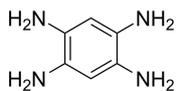
<p>Defactinib hydrochloride (VS-6063 hydrochloride; PF 04554878 hydrochloride) Cat. No.: HY-12289A</p>	<p>EGFR-IN-46 Cat. No.: HY-144794</p>
<p>Defactinib hydrochloride (VS-6063 hydrochloride; PF 04554878 hydrochloride) is a novel FAK inhibitor, which inhibits FAK phosphorylation at the Tyr397 site in a time- and dose-dependent manner.</p> <p>Purity: 98.95% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>EGFR-IN-46 is a potent EGFR and FAK dual inhibitor with IC_{50}s of 20.17 nM, 14.25 nM, respectively. EGFR-IN-46 significantly inhibits the growth of cancer cells. EGFR-IN-46 induces cell apoptosis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>FAK inhibitor 2 Cat. No.: HY-128580</p>	<p>FAK inhibitor 5 Cat. No.: HY-18928</p>
<p>FAK inhibitor 2 is a potent focal adhesion kinase (FAK) inhibitor with an IC_{50} of 0.07 nM, with antitumor and anti-angiogenesis activities.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FAK inhibitor 5 (compound 2) is a novel allosteric FAK inhibitor, with IC_{50} values in the low micromolar range.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>FAK PROTAC B5 Cat. No.: HY-143458</p>	<p>FAK-IN-1 Cat. No.: HY-145108</p>
<p>FAK PROTAC B5 (Compound B5) is a FAK PROTAC degrader with an IC_{50} value of 14.9 nM. FAK PROTAC B5 presents strong FAK degradation activity, antiproliferative activity, outstanding plasma stability and moderate membrane permeability. FAK PROTAC B5 inhibits cell migration and invasion.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FAK-IN-1 is a FAK inhibitor with anticancer activities (WO2020231726 (Example 27)).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>FAK-IN-2 Cat. No.: HY-144448</p>	<p>FAK-IN-3 Cat. No.: HY-143407</p>
<p>FAK-IN-2 is a potent and orally active focal adhesion kinase (FAK) inhibitor, with anticancer activity (FAK IC_{50} = 35 nM).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FAK-IN-3 (Compound 36) is a potent inhibitor of focal adhesion kinase (FAK). FAK-IN-3 not only decreases migration and invasion of PA-1 cells, but also reduces expression of MMP-2 and MMP-9. FAK-IN-3 inhibits tumor growth and metastasis, and no obvious adverse effects.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>FAK-IN-4 Cat. No.: HY-146065</p>	<p>FAK-IN-5 Cat. No.: HY-147520</p>
<p>FAK-IN-4 (Compound 7d) is potential FAK inhibitor with anticancer activities. FAK-IN-4 induces cell apoptosis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FAK-IN-5 (Compound 8l) is a FAK signaling inhibitor. FAK-IN-5 induces cell apoptosis and autophagy.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Fangchinoline</p> <p style="text-align: right;">Cat. No.: HY-N1372A</p>	<p>GSK215</p> <p style="text-align: right;">Cat. No.: HY-132296</p>
<p>Fangchinoline is isolated from <i>Stephania tetrandra</i> with extensive biological activities, such as enhancing immunity, anti-inflammatory sterilization and anti-atherosclerosis.</p> <p>Purity: 99.92%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg</p>	<p>GSK215 is a potent and selective PROTAC focal adhesion kinase (FAK) degrader. GSK215 is designed by a binder for the VHL E3 ligase and the FAK inhibitor VS-4718.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>GSK2256098</p> <p style="text-align: right;">Cat. No.: HY-100498</p>	<p>Harringtonolide</p> <p style="text-align: right;">Cat. No.: HY-N10335</p>
<p>GSK2256098 is a selective FAK kinase inhibitor, which inhibits growth and survival of pancreatic ductal adenocarcinoma cells.</p> <p>Purity: 99.74%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Harringtonolide is a potent RACK1 inhibitor (IC₅₀=39.66 μM in A375 cells). Harringtonolide inhibits the epithelial-mesenchymal transition (EMT) process and cell proliferation by affecting the interaction between FAK and RACK1.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Masitinib (AB1010)</p> <p style="text-align: right;">Cat. No.: HY-10209</p>	<p>NAMI-A</p> <p style="text-align: right;">Cat. No.: HY-19376</p>
<p>Masitinib (AB1010) is a potent, orally bioavailable, and selective inhibitor of c-Kit (IC₅₀=200 nM for human recombinant c-Kit). It also inhibits PDGFRα/β (IC₅₀s=540/800 nM), Lyn (IC₅₀=510 nM for LynB), Lck, and, to a lesser extent, FGFR3 and FAK.</p> <p>Purity: 99.98%</p> <p>Clinical Data: Phase 3</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>NAMI-A is a ruthenium-based drug characterised by the selective activity against tumour metastases, inhibits the adhesion and migration. In vitro: NAMI-A can significantly affect tumor cells with metastatic ability.</p> <p>Purity: ≥98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Nitidine chloride</p> <p style="text-align: right;">Cat. No.: HY-N0498</p>	<p>NVP-TAE 226 (TAE226)</p> <p style="text-align: right;">Cat. No.: HY-13203</p>
<p>Nitidine chloride, a potential anti-malarial lead compound derived from <i>Zanthoxylum nitidum</i> (Roxb) DC, exerts potent anticancer activity through diverse pathways, including inducing apoptosis, inhibiting STAT3 signaling cascade, DNA topoisomerase 1 and 2A, ERK and...</p> <p>Purity: 99.61%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 20 mg</p>	<p>NVP-TAE 226 (TAE226) is a potent and ATP-competitive dual FAK and IGF-1R inhibitor with IC₅₀s of 5.5 nM and 140 nM, respectively. NVP-TAE 226 (TAE226) also effectively inhibits Pyk2 and insulin receptor (InsR) with IC₅₀s of 3.5 nM and 44 nM, respectively.</p> <p>Purity: 99.92%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>PDZ1i (113B7)</p> <p style="text-align: right;">Cat. No.: HY-124813</p>	<p>Petunidin chloride</p> <p style="text-align: right;">Cat. No.: HY-126410</p>
<p>PDZ1i is a potent, BBB-penetrated and specific MDA-9/Syntenin inhibitor. PDZ1i inhibits crucial GBM (glioblastoma multiforme) signaling involving FAK and EGFRvIII. PDZ1i reduces MMP secretion. PDZ1i can improve survival of brain tumor-bearing mice and reduce tumor invasion.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Petunidin chloride is an O-methylated anthocyanidin derived from delphinidin.</p> <p>Purity: ≥98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg</p>

<p>PF-431396</p> <p>Cat. No.: HY-10460</p>	<p>PF-562271 (VS-6062)</p> <p>Cat. No.: HY-10459</p>
<p>PF-431396 is an orally active dual focal adhesion kinase (FAK) and proline-rich tyrosine kinase 2 (PYK2) inhibitor, with IC_{50} values of 2 nM and 11 nM, respectively.</p>  <p>Purity: 98.86% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>PF-562271 (VS-6062) is a potent, ATP-competitive and reversible FAK and Pyk2 kinase inhibitor with IC_{50}s of 1.5 nM and 13 nM, respectively.</p>  <p>Purity: 99.68% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>PF-562271 besylate (VS-6062 besylate)</p> <p>Cat. No.: HY-10458</p> <p>PF-562271 (VS-6062) besylate is a potent ATP-competitive, reversible inhibitor of FAK and Pyk2 kinase, with an IC_{50} of 1.5 nM and 13 nM, respectively.</p>  <p>Purity: 99.17% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>PF-562271 hydrochloride (VS-6062(hydrochloride))</p> <p>Cat. No.: HY-20403</p> <p>PF-562271 (VS-6062) hydrochloride is a potent, ATP-competitive and reversible FAK and Pyk2 kinase inhibitor with IC_{50}s of 1.5 nM and 13 nM, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>PF-573228</p> <p>Cat. No.: HY-10461</p> <p>PF-573228 is a potent and selective FAK inhibitor with IC_{50} of 4 nM for purified recombinant catalytic fragment of FAK.</p>  <p>Purity: 99.66% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</p>	<p>PND-1186 (VS-4718; SR-2516)</p> <p>Cat. No.: HY-13917</p> <p>PND-1186 (VS-4718) is a potent, highly-specific and reversible inhibitor of FAK with an IC_{50} of 1.5 nM. PND-1186 selectively promotes tumor cell apoptosis.</p>  <p>Purity: 99.80% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>PND-1186 hydrochloride (VS-4718 hydrochloride; SR-2516 hydrochloride)</p> <p>Cat. No.: HY-13917A</p> <p>PND-1186 hydrochloride (VS-4718 hydrochloride) is a potent, highly-specific and reversible inhibitor of FAK with an IC_{50} of 1.5 nM. PND-1186 hydrochloride selectively promotes tumor cell apoptosis.</p>  <p>Purity: 98.78% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>PROTAC FAK degrader 1</p> <p>Cat. No.: HY-119932</p> <p>PROTAC FAK degrader 1 is a selective and potent von Hippel-Lindau-based focal adhesion kinase (FAK) degrader with an IC_{50} of 6.5 nM, DC_{50} of 3 nM.</p>  <p>Purity: 99.87% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>SU6656</p> <p>Cat. No.: HY-B0789</p> <p>SU6656 is a Src family kinases inhibitor with IC_{50}s of 280, 20, 130, 170 nM for Src, Yes, Lyn, and Fyn, respectively. SU6656 inhibits FAK phosphorylation at Y576/577, Y925, Y861 sites. SU6656 also inhibits p-AKT.</p>  <p>Purity: 96.87% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>ULK1-IN-2</p> <p>Cat. No.: HY-143466</p> <p>ULK1-IN-2 (compound 3s) is a potent ULK1 inhibitor. ULK1-IN-2 shows highest cytotoxic effect against cancer cell lines, with IC_{50} of 1.94 μM in A549. ULK1-IN-2 can induce apoptosis and simultaneously block autophagy, and can be used to study NSCLC (Non-small cell lung cancer).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

Y15**(FAK Inhibitor 14)****Cat. No.: HY-12444**

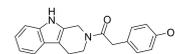
Y15 is a potent and specific inhibitor of focal adhesion kinase (FAK) that inhibits its autophosphorylation activity, decreases the viability of cancer cells, and blocks tumor growth.



H-Cl H-Cl
H-Cl H-Cl

Purity: 98.22%**Clinical Data:** No Development Reported**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg**YH-306****Cat. No.: HY-120213**

YH-306 is an antitumor agent. YH-306 suppresses colorectal tumour growth and metastasis via FAK pathway. YH-306 significantly inhibits the migration and invasion of colorectal cancer cells. YH-306 potently suppresses uninhibited proliferation and induces cell **apoptosis**.

**Purity:** >98%**Clinical Data:** No Development Reported**Size:** 1 mg, 5 mg