HIF/HIF Prolyl-Hydroxylase

Hypoxia-inducible factors; HIFs; HIF-PH

HIFs (Hypoxia-inducible factors) are transcription factors that respond to changes in available oxygen in the cellular environment, to be specific, to decreases in oxygen, or hypoxia. The HIF signaling cascade mediates the effects of hypoxia, the state of low oxygen concentration, on the cell. Hypoxia often keeps cells from differentiating. However, hypoxia promotes the formation of blood vessels, and is important for the formation of a vascular system in embryos, and cancer tumors. The hypoxia in wounds also promotes the migration of keratinocytes and the restoration of the epithelium. In general, HIFs are vital to development. In mammals, deletion of the HIF-1 genes results in perinatal death. HIF-1 has been shown to be vital to chondrocyte survival, allowing the cells to adapt to low-oxygen conditions within the growth plates of bones. HIF plays a central role in the regulation of human metabolism. Recently, several drugs that act as selective HIF prolyl-hydroxylase inhibitors have been developed.
### HIF/HIF Prolyl-Hydroxylase Inhibitors, Agonists, Antagonists, Activators & Modulators

<table>
<thead>
<tr>
<th>Name</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>(Rac)-PT2399</td>
<td>HY-108697A</td>
<td>(Rac)-PT2399 (Compound 10e), the racemate of PT2399, acts as a potent and specific hypoxia-inducible factor 2a (HIF-2α) inhibitor with an IC₅₀ of 0.01 μM. Purity: 99.42% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Acetylenobufagin</td>
<td>HY-N6905</td>
<td>Acetylenobufagin is a steroidal hypoxia inducible factor-1 (HIF-1) modulator. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>Acriflavine</td>
<td>HY-100575</td>
<td>Acriflavine is a fluorescent dye for labeling high molecular weight RNA. It is also a topical antiseptic. Purity: 98.62% Clinical Data: No Development Reported Size: 100 mg</td>
</tr>
<tr>
<td>AFP464</td>
<td>HY-16031</td>
<td>AFP464, is an active inhibitor with an HIF-1α IC₅₀ of 0.25 μM, also is a potent aryl hydrocarbon receptor (AhR) activator. Purity: &gt;98% Clinical Data: No Development Reported Size: 100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>AKBA (Acetyl-11-keto-β-boswellic acid)</td>
<td>HY-N0892</td>
<td>AKBA (Acetyl-11-keto-β-boswellic acid) is an active triterpenoid compound from the extract of Boswellia serrate and a novel Nrf2 activator. Purity: 99.71% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</td>
</tr>
<tr>
<td>BAY 87-2243</td>
<td>HY-15836</td>
<td>BAY 87-2243 is a highly potent and selective hypoxia-inducible factor-1 (HIF-1) inhibitor. Purity: 99.41% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Chlorogenic acid (3-O-Caffeoylquinic acid; Heriguard; NSC-407296)</td>
<td>HY-N0055</td>
<td>Chlorogenic acid is a major phenolic compound in coffee and tea. Purity: 99.29% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 500 mg</td>
</tr>
<tr>
<td>Daprodustat (GSK1278863)</td>
<td>HY-17608</td>
<td>Daprodustat (GSK1278863) is an orally active hypoxia-inducible factor prolyl hydroxylase inhibitor being developed for the treatment of anemia associated with chronic kidney disease. Purity: 99.39% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Dencichine (Dencichin; ODAP)</td>
<td>HY-N1477</td>
<td>Dencichin is a non-protein amino acid originally extracted from Panax notoginseng, and can inhibit HIF-prolyl hydroxylase-2 (PHD-2) activity. Purity: &gt;98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Deoxyshikonin</td>
<td>HY-N2187</td>
<td>Deoxyshikonin is isolated from Lithospermum erythrorhizon Sieb with antitumor activity. Purity: 99.75% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
Desidustat
Cat. No.: HY-103227

Desidustat is an inhibitor of HIF hydroxylase extracted from patent WO 2014102818 A1, compound example 2.

Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

DMOG
(Dimethyloxallyl Glycine)
Cat. No.: HY-15893

DMOG (Dimethyloxallyl Glycine) is a cell-permeable and competitive inhibitor of HIF-1α prolyl hydroxylase (HIF-1 PH).

Purity: 99.15%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg

Echinomycin
(Quinomycin A; NSC-13502)
Cat. No.: HY-106101

Echinomycin (Quinomycin A) is a potent small-molecule and cell-permeable inhibitor of hypoxia-inducible factor-1 (HIF-1) DNA-binding activity. Echinomycin selectively inhibits the cancer stem cells (CSCs) with an IC_{50} of 29.4 pM.

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

Enarodustat
(JTZ-951)
Cat. No.: HY-109057

Enarodustat is a potent and orally active prolyl hydroxylase inhibitor, with an EC_{50} of 0.22 μM; Enarodustat has entered clinical trial for renal anemia.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

FG-2216
(IOX3; YM311)
Cat. No.: HY-15641

FG-2216 (IOX3; YM311) is a potent HIF-prolyl hydroxylase inhibitor with IC_{50} of 3.9 μM for PDH2 enzyme; orally bioavailable and induced significant and reversible Epo induction in vivo.

Purity: 99.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Glucosamine
(D-Glucosamine; Chitosamine)
Cat. No.: HY-81125

Glucosamine (D-Glucosamine) is an amino sugar and a prominent precursor in the biochemical synthesis of glycosylated proteins and lipids, is used as a dietary supplement.

Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg

Glucosamine hydrochloride
(D- (+)-Glucosamine hydrochloride; Chitosamine hydrochloride)
Cat. No.: HY-N0733

Glucosamine hydrochloride (D-Glucosamine hydrochloride) is an amino sugar and a prominent precursor in the biochemical synthesis of glycosylated proteins and lipids, is used as a dietary supplement.

Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg

EL-102
Cat. No.: HY-16187

EL-102 is an inhibitor of HIF1α, Which can inhibit tubulin polymerisation and decreased microtubule stability. Target: HIF1α IC_{50} 5020-40 nM.

Purity: 99.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

ENMD-119
(ENMD 1198; IRC 110160)
Cat. No.: HY-16196

ENMD-119 is a 2-methoxyestradiol analogue with antiproliferative and antiangiogenic activity, and is suitable for inhibiting HIF-1α and STAT3 in human HCC cells.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Fraxinellone
Cat. No.: HY-N0242

Fraxinellone is isolated from the root bark of the Rutaceae plant, Dictamus dasyculus. Fraxinellone is a PD-L1 inhibitor and inhibits HIF-1α protein synthesis without affecting HIF-1α protein degradation.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg

www.MedChemExpress.com
Glucosamine sulfate
(D-Glucosamine sulfate)  
Cat. No.: HY-N0487

Glucosamine sulfate (D-Glucosamine sulfate) is an amino sugar and a prominent precursor in the biochemical synthesis of glycosylated proteins and lipids, is used as a dietary supplement.

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

GN44028  
Cat. No.: HY-N10266

GN44028 is a hypoxia-inducible factor (HIF)-1 inhibitor, with an IC50 of 14 nM. GN44028 inhibits hypoxia-induced HIF-1α transcriptional activity without suppressing HIF-1α mRNA expression, HIF-1α protein accumulation, or HIF-1α/HIF-1β heterodimerization.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

HIF-1 inhibitor-1  
Cat. No.: HY-128779

HIF-1 inhibitor-1 is an aryl carboxamide compound and a potent hypoxia-inducible factor 1 (HIF-1) inhibitor with an IC50 of 0.32 μM for the cancer metastasis.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

HIF-2α-IN-1  
Cat. No.: HY-19949

HIF-2α-IN-1 is a HIF-2α inhibitor has an IC50 of less than 500 nM in HIF-2α scintillation proximity assay.

Purity: 99.92%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

Hydralazine hydrochloride  
Cat. No.: HY-B0464

Hydralazine hydrochloride is a direct-acting vasodilator that is used as an antihypertensive agent.

Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

IDF-11774  
Cat. No.: HY-111387

IDF-11774 is a novel hypoxia-inducible factor α (HIFα)-1 inhibitor with an IC50 of 3.65μM.

Purity: 98.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

IOX2  
Cat. No.: HY-15468

IOX2 is a specific prolyl hydroxylase-2 (PHD2) inhibitor with IC50 of 22 nM.

Purity: 98.41%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

JNJ-42041935  
Cat. No.: HY-12832

JNJ-42041935 is a potent, competitive and selective inhibitor of prolyl hydroxylase PHD; inhibits PHD1, PHD2, and PHD3 with pK_i values of 7.91±0.04, 7.29 ±0.05, and 7.65±0.09, respectively.

Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
**KC7F2**

KC7F2 is a potent hypoxia inducible factor-1 (HIF-1) pathway inhibitor with an IC₅₀ of 20 μM in LN229-HRE-AP cells, and with potential as a cancer therapy agent.

- **Purity:** 99.61%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**LW6**

(LIF-1α inhibitor; LW8)

LW6 (LIF-1α inhibitor) is a novel HIF-1 inhibitor with an IC₅₀ of 4.4 μM. LW6 decreases HIF-1α protein expression without affecting HIF-1β expression.

- **Purity:** >98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**M1001**

M1001 is a weak agonist of HIF-2α, directly binds to the HIF-2α PAS-B domain, with a Kᵣ of 667 nM. M1001 enhances the stabilities of HIF-2α-ARNT complex.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**MK-8617**

MK-8617 is an orally active pan-inhibitor of hypoxia-inducible factor prolyl hydroxylase 1-3 (HIF PHD1-3) with an IC₅₀ of 1 nM for PHD2.

- **Purity:** >98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

**ML228**

(CID-46742353)

ML228(CID-46742353) is an activator of the Hypoxia Inducible Factor (HIF) pathway, potently activate HIF in vitro as well as its downstream target VEGF.

- **Purity:** >98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**Molidustat**

(BAY 85-3934)

Molidustat (BAY 85-3934) is a novel inhibitor of hypoxia-inducible factor prolyl hydroxylase (HIF-PH) with mean IC₅₀ values of 480 nM for PHD1, 280 nM for PHD2, and 450 nM for PHD3.

- **Purity:** 98.33%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**Oltipraz**

(RP 35972; NSC 347901)

Oltipraz has an inhibitory effect on HIF-1α activation in a time-dependent manner, completely abrogating HIF-1α induction at ≥10 μM concentrations, the IC₅₀ of Oltipraz for HIF-1α inhibition is 10 μM. Oltipraz is a potent Nrf2 activator.

- **Purity:** 99.82%
- **Clinical Data:** Phase 3
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

**Oroxylin A**

(Baicalin 6-methyl ether; 6-Methoxybaicalein)

Oroxylin A is a natural active flavonoid with strong anticancer effects. IC₅₀ value: Target: In vitro: Oroxylin A suppressed the MDM2-mediated degradation of p53 via downregulating MDM2 transcription in wt-p53 cancer cells.

- **Purity:** 99.90%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg

**Paeoniflorin**

(PEoniflorin)

Paeoniflorin is a herbal constituent extracted from the root of Paeonia albiflora Pall.

- **Purity:** >98.0%
- **Clinical Data:** Phase 3
- **Size:** 10 mM × 1 mL, 100 mg, 200 mg

**Prolyl Hydroxylase inhibitor 1**

Prolyl Hydroxylase inhibitor 1 (Compound 15i) is an orally active hypoxia inducible factor (HIF)-prolyl hydroxylase (PHD) inhibitor with an IC₅₀ of 62.23 nM. Antianemia agent.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>PT-2385</td>
<td>HY-12867</td>
<td>PT-2385 is a selective HIF-2α inhibitor with a $K_i$ of less than 50 nM.</td>
<td>99.48%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>PT2399</td>
<td>HY-108697</td>
<td>PT2399 is a potent and selective HIF-2α antagonist, which directly binds to HIF-2α PAS B domain with an $IC_{50}$ of 6 nM. PT2399 displays potent antitumor activity in vivo.</td>
<td>99.88%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>PT2977 (MK-6482)</td>
<td>HY-125840</td>
<td>PT2977 (MK-6482) is an orally active and selective HIF-2α inhibitor with an $IC_{50}$ of 9 nM. PT2977, as a second-generation HIF-2α inhibitor, increases potency and improves pharmacokinetic profile. PT2977 is a potential treatment for clear cell renal cell carcinoma (ccRCC).</td>
<td>99.73%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>PX-478</td>
<td>HY-10231</td>
<td>PX-478 is an antitumor inhibitor of hypoxia-inducible factor-1α (HIF-1α).</td>
<td>&gt;98.0%</td>
<td>Phase 1</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Roxadustat (FG-4592)</td>
<td>HY-13426</td>
<td>Roxadustat is an oral hypoxia-inducible factor prolyl-hydroxylase inhibitor (HIF-PHI) that promotes erythropoiesis through increasing endogenous erythropoietin, improving iron regulation, and reducing hepcidin.</td>
<td>99.91%</td>
<td>Phase 3</td>
<td>10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</td>
</tr>
<tr>
<td>SYP-5</td>
<td>HY-100693</td>
<td>SYP-5 is a novel HIF-1 inhibitor, suppresses tumor HIF-1 cells invasion and angiogenesis.</td>
<td>99.68%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>THS-044</td>
<td>HY-19621</td>
<td>THS-044 binding stabilizes the HIF2α PAS-B folded state, for regulating HIF2 activity in endogenous and clinical settings.</td>
<td>98.48%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Tilorone dihydrochloride</td>
<td>HY-B1080</td>
<td>Tilorone dihydrochloride is the first recognized synthetic, small molecular weight compound that is an orally active interferon inducer, used as an antiviral drug.</td>
<td>99.94%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>TM6089</td>
<td>HY-118543</td>
<td>TM6089 is a unique Prolyl Hydroxylase (PHD) inhibitor which stimulates HIF activity without iron chelation and induces angiogenesis and exerts organ protection against ischemia.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>TP0463518</td>
<td>HY-112144</td>
<td>TP0463518 is a potent hypoxia-inducible factor prolyl hydroxylases (PHDs) inhibitor with a $K_i$ value of 5.3 nM for human PHD2. TP0463518 also inhibits human PHD1/PHD3 with $IC_{50}$ of 18 and 63 nM as well as monkey PHD2 with an $IC_{50}$ value of 22 nM.</td>
<td>98.02%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
### Vadadustat

*Cat. No.: HY-101277*

Vadadustat is a novel, titratable, oral hypoxia-inducible factor prolyl hydroxylase (HIF-PH) inhibitor in development for the treatment of anemia.

**Purity:** 99.63%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### VCE-004.8

*Cat. No.: HY-128872*

VCE-004.8, a semi-synthetic multitarget cannabinoid, is a specific PPARγ and CB2 receptor dual agonist with potent anti-inflammatory activity. VCE-004.8 inhibits prolyl-hydroxylases (PHDs) and activates the HIF pathway.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 100 mg, 250 mg, 500 mg

### ZINC13466751

*Cat. No.: HY-101028*

ZINC13466751 is a potent inhibitor of HIF-1α/von Hippel-Lindau interaction with an IC₅₀ of 2.0 µM.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 100 mg, 250 mg, 500 mg