GSK-3
Glycogen synthase kinase-3; Glycogen synthase kinase 3

Glycogen synthase kinase 3 (GSK-3) is a multifunctional serine/threonine kinase found in all eukaryotes. GSK-3 is one of the few signaling mediators that play central roles in a diverse range of signaling pathways, including those activated by Wnts, hedgehog, growth factors, cytokines, and G protein-coupled ligands. GSK-3 targets transcription factors, regulates the activity of metabolic and signaling enzymes, and controls the half-life of proteins by earmarking them for degradation. GSK-3 exists as two isoforms, GSK-3a (51 kDa) and GSK3b (47 kDa), which are encoded by distinct genes. These isoforms often have overlapping functions, but they do not always compensate for each other.
## GSK-3 Inhibitors

### (Rac)-BRD0705
Cat. No.: HY-116830A

(Rac)-BRD0705 is a less active racemate of BRD0705. BRD0705 is a potent, paralog selective and orally active GSK3α inhibitor with an IC<sub>50</sub> of 66 nM and a K<sub>i</sub> of 4.8 μM. BRD0705 displays increased selectivity for GSK3α (8-fold) versus GSK3β (IC<sub>50</sub> of 515 nM).

**Purity:** >98%

**Clinical Data:** No Development Reported

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

### 1-Azakenpaullone (1-Akp)
Cat. No.: HY-59090

1-Azakenpaullone (1-Akp) is a highly selective and ATP-competitive inhibitor of glycogen synthase kinase-3 β (GSK-3β), with an IC<sub>50</sub> value of 18 nM.

**Purity:** 98.20%

**Clinical Data:** No Development Reported

**Size:** 2 mg, 5 mg, 10 mg

### 5-Iodo-indirubin-3'-monoxime
Cat. No.: HY-111930

5-Iodo-indirubin-3'-monoxime is a potent GSK3β and inhibitor, competing with ATP for binding to the catalytic site of the kinase, with IC<sub>50</sub> of 9, 20 and 25 nM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

### 9-ING-41
Cat. No.: HY-113914

9-ING-41 is a potent glycogen synthase kinase-3 (GSK-3) inhibitor. 9-ING-41 induces apoptosis and cell cycle arrest at prophase by targeting centrosomes and microtubule-bound GSK-3β. 9-ING-41 has anticancer activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

### Alsterpaullone (9-Nitropaullone; NSC 705701)
Cat. No.: HY-108359

Alsterpaullone (9-Nitropaullone;NSC 705701) is a potent inhibitor, with IC<sub>50</sub> of 35 nM, 15 nM, 200 nM and 40 nM for CDK1/cyclin B, CDK2/cyclin A, CDK2/cyclin E and CDK5/p35, respectively.

**Purity:** 97.30%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg

### AZD1080
Cat. No.: HY-13862

AZD1080 is a potent and selective GSK3 inhibitor. AZD1080 inhibits recombinant human GSK3α and GSK3β with pK<sub>i</sub> of 8.2 (6.9 nM) and 7.5 (31 nM), respectively.

**Purity:** 99.10%

**Clinical Data:** No Development Reported

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

### Bikinin (Abrasin)
Cat. No.: HY-12524

Bikinin is a non-steroidal, ATP-competitive inhibitor of plant GSK-3/Shaggy-like kinases and activates BR (brassinosteroids) signaling.

**Purity:** 99.82%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
**BIO-acetoxime**  
(BIA)  
Cat. No.: HY-15356  
BIO-acetoxime (BIA) is a potent and selective GSK-3 inhibitor, with IC_{50} of both 10 nM for GSK-3α and GSK-3β. BIO-acetoxime has anticonvulsant and anti-infection activity.  
Purity: >98.0%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**BRD0705**  
Cat. No.: HY-116830  
BRD0705 is a potent, paralog selective and orally active GSK3α inhibitor with an IC_{50} of 66 nM and a K_{i} of 4.8 μM. BRD0705 displays increased selectivity for GSK3α (8-fold) versus GSK3β (IC_{50} of 515 nM). BRD0705 can be used to treat acute myeloid leukemia (AML).  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**CHIR-98014**  
Cat. No.: HY-13076  
CHIR-98014 is a potent, cell-permeable GSK-3 inhibitor with an IC_{50} of 0.65 and 0.58 nM for GSK-3α and GSK-3β, respectively. It shows less potent activities against cdc2 and erk2.  
Purity: >98.0%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

**CHIR-99021 monohydrochloride**  
(CT99021 monohydrochloride)  
Cat. No.: HY-10182A  
CHIR-99021 monohydrochloride (CT99021 monohydrochloride) is a GSK-3α/β inhibitor with IC_{50} of 10 nM/6.7 nM; >500-fold selectivity for GSK-3 versus its closest homologs CDC2 and ERK2, as well as other protein kinases.  
Purity: 99.93%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**CP21R7**  
(CP21)  
Cat. No.: HY-100207  
CP21R7 is potent GSK-3β inhibitor, with an IC_{50} of 1.8 nM. CP21R7 also shows inhibitory activity against PKCo, with an IC_{50} of 1900 nM.  
Purity: 99.77%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Cromolyn sodium**  
(Disodium Cromoglycate; FPL-670)  
Cat. No.: HY-80320A  
Cromolyn sodium is an antiallergic drug. Cromolyn sodium is a GSK-3 inhibitor with an IC_{50} of 2.0 μM.  
Purity: 99.97%  
Clinical Data: Launched  
Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

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### EHT 5372

Cat. No.: HY-111380

EHT 5372 is a strong inhibitor of DYRK's family kinases, with IC\textsubscript{50}s of 0.22, 0.28 nM for DYRK1A and DYRK1B, respectively.

- **Purity:** 98.07%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg

### GNF4877

Cat. No.: HY-129492

GNF4877 is a potent DYRK1A and GSK3\(\beta\) inhibitor with IC\textsubscript{50}s of 6 nM and 16 nM, respectively, which leads to blockade of nuclear factor of activated T-cells (NFATc) nuclear export and increased \(\beta\)-cell proliferation (EC\textsubscript{50} of 0.66 μM for mouse \(\beta\) (R7T1) cells).

- **Purity:** 98.85%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### GSK 3 Inhibitor IX

(6-Bromoindirubin-3'-oxime; BIO; MLS 2052)

Cat. No.: HY-10580

GSK 3 Inhibitor IX (6-Bromoindirubin-3'-oxime; BIO) is a potent, selective, reversible and ATP-competitive inhibitor of GSK-3\(\alpha/\beta\) and CDK1-cyclinB complex with IC\textsubscript{50}s of 5 nM/320 nM/80 nM for (GSK-3\(\alpha/\beta\))/CDK1/CDK5, respectively.

- **Purity:** 99.66%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### GSK-3\(\beta\) inhibitor 1

Cat. No.: HY-126144

GSK-3\(\beta\) inhibitor 1 (compound 3a) is a glycogen synthase kinase 3\(\beta\) (GSK-3\(\beta\) inhibitor and demonstrates high antidiabetic efficacy, with an IC\textsubscript{50} of 4.9 nM.

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

### IM-12

Cat. No.: HY-12292

IM-12 is an inhibitor of GSK-3\(\beta\), with an IC\textsubscript{50} of 53 nM, and also enhances Wnt signalling.

- **Purity:** 96.45%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### Indirubin-3'-monoxime

(Indirubin-3'-oxime)

Cat. No.: HY-19807

Indirubin-3'-monoxime is a potent GSK-3\(\beta\) inhibitor, and weakly inhibits 5-Lipoxygenase, with IC\textsubscript{50}s of 22 nM and 7.8-10 μM, respectively; Indirubin-3'-monoxime also shows inhibitory activities against CDK5/p25 and CDK1/cyclin B, with IC\textsubscript{50}s of 100 and 180 nM.

- **Purity:** 99.95%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Indirubin-3'-monoxime-5-sulphonic acid

Cat. No.: HY-111931

Indirubin-3'-monoxime-5-sulphonic acid is a potent and selective inhibitor of CDK1, CDK5, and GSK-3\(\beta\) with IC\textsubscript{50}s of 5 nM, 7 nM, and 80 nM, respectively.

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

### Indirubin-5-sulfonate

Cat. No.: HY-111932

Indirubin-5-sulfonate is a cyclin-dependent kinase (CDK) inhibitor, with IC\textsubscript{50} values of 55 nM, 35 nM, 150 nM, 300 nM and 65 nM for CDK1/cyclin B, CDK2/cyclin A, CDK2/cyclin E, CDK4/cyclin D1, and CDK5/p35, respectively. Indirubin-5-sulfonate also shows inhibitory activity against GSK-3\(\beta\).

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

### Kenpaullone

(9-Bromopaullone; NSC-664704)

Cat. No.: HY-12302

Kenpaullone is a potent inhibitor of CDK1/cyclin B and GSK-3\(\beta\), with IC\textsubscript{50} of 0.4 μM and 23 nM, and also inhibits CDK2/cyclin A, CDK2/cyclin E, and CDK5/p25 with IC\textsubscript{50} of 0.68 μM, 7.5 μM, 0.85 μM, respectively.

- **Purity:** 98.07%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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LY2090314

LY2090314 is a potent inhibitor of glycogen synthase kinase-3 (GSK-3) with IC_{50} values of 1.5 nM and 0.9 nM for GSK-3α and GSK-3β, respectively.

Purity: 99.75%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RGB-286638

RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC_{50} of 1, 2, 3, 4, 5 and 5 nM, respectively, also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC_{50} of 3, 5, 50, and 54 nM.

Purity: 98.72%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

SB 216763

SB 216763 is potent, selective and ATP-competitive GSK-3 inhibitor with IC_{50} of 34.3 nM for both GSK-3α and GSK-3β.

Purity: 98.01%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

SB 415286

SB 415286 is a potent and selective cell permeable inhibitor of GSK-3α, with an IC_{50} of 77.5 nM, and a K_{d} of 30.75 nM; SB 415286 is equally effective at inhibiting human GSK-3α and GSK-3β.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

TCS 21311

TCS 21311 (NIBR3049) is a potent, highly selective JAK3 inhibitor with an IC_{50} of 8 nM, it displays >100-fold selectivity over JAK1, JAK2 and TYK2.

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

TDZD-8

TDZD-8 is an inhibitor of GSK-3β, with an IC_{50} of 2 μM, TDZD-8 shows less potent activities against Cdk-1/cyclinB, CK-II, PKA, and PKC, with all IC_{50} of >100 μM.

Purity: 98.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tideglusib

Tideglusib (NP031112) is an irreversible GSK-3 inhibitor with IC_{50} of 5 nM and 60 nM for GSK-3β (1 h preincubation) and GSK-3β (1 h preincubation), respectively.

Purity: 99.66%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

TWS119

TWS119 is a specific inhibitor of GSK-3β, with an IC_{50} of 30 nM, and activates the wnt/β-catenin pathway.

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

VP3.15

VP3.15 is a potent, orally bioavailable and CNS-penetrant dual phosphodiesterase (PDE)7-glycogen synthase kinase (GSK)3 inhibitor, with IC_{50} of 1.59 μM and 0.88 μM for PDE7 and GSK-3, respectively.

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

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VP3.15 dihydrobromide

Cat. No.: HY-128879A

VP3.15 dihydrobromide is a potent, orally bioavailable and CNS-penetrant dual phosphodiesterase (PDE)7- glycogen synthase kinase (GSK)3 inhibitor, with IC$_{50}$s of 1.59 μM and 0.88 μM for PDE7 and GSK-3, respectively.

Purity: 98.22%
Clinical Data:
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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