Checkpoint Kinase (Chk)

Checkpoint Kinases (Chk) are protein kinases that are involved in cell cycle control. Two checkpoint kinase subtypes have been identified, Chk1 and Chk2. Chk1 is a central component of genome surveillance pathways and is a key regulator of the cell cycle and cell survival. Chk1 is required for the initiation of DNA damage checkpoints and has recently been shown to play a role in the normal (unperturbed) cell cycle. Chk1 impacts various stages of the cell cycle including the S phase, G2/M transition and M phase. In addition to mediating cell cycle checkpoints, Chk1 also contributes to DNA repair processes, gene transcription, embryo development, cellular responses to HIV infection and somatic cell viability. Chk2 is a protein kinase that is activated in response to DNA damage and is involved in cell cycle arrest. In response to DNA damage and replication blocks, cell cycle progression is halted through the control of cell cycle regulators. The protein encoded by this gene is a cell cycle checkpoint regulator and putative tumor suppressor.
Checkpoint Kinase (Chk) Inhibitors & Activators

**2OH-BNPP1**
Cat. No.: HY-102081

2OH-BNPP1 is an inhibitor of BUB1 kinase, a Ser/Thr kinase, used for the treatment of cancer.

Purity: 99.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**ANI-7**
Cat. No.: HY-117102

ANI-7 is an activator of aryl hydrocarbon receptor (AhR) pathway. ANI-7 inhibits the growth of multiple cancer cells, and potently and selectively inhibits the growth of MCF-7 breast cancer cells with a GI₅₀ of 0.56 μM.

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**AZD-7762**
Cat. No.: HY-10992

AZD-7762 is a potent ATP-competitive checkpoint kinase (Chk) inhibitor in with an IC₅₀ of 5 nM for Chk1.

Purity: 99.95%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**BML-277**
Cat. No.: HY-13946

BML-277 is a selective checkpoint kinase 2 (Chk2) inhibitor with an IC₅₀ of 15 nM.

Purity: 98.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

**CCT241533**
Cat. No.: HY-14715

CCT241533 is a potent and selective ATP competitive inhibitor of CHK2 with an IC₅₀ of 3 nM and a Kᵢ of 1.16 nM.

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

**CCT241533 dihydrochloride**
Cat. No.: HY-110331

CCT241533 dihydrochloride is a potent and selective ATP competitive inhibitor of CHK2 with an IC₅₀ of 3 nM and a Kᵢ of 1.16 nM.

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

**CCT241533 hydrochloride**
Cat. No.: HY-14715B

CCT241533 hydrochloride is a potent and selective CHK2 inhibitor with an IC₅₀ of 3 nM and a Kᵢ of 1.16 nM.

Purity: 99.98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**CCT244747**
Cat. No.: HY-18175

CCT244747 is a potent, orally bioavailable and highly selective CHK1 inhibitor, with an IC₅₀ of 7.7 nM; CCT244747 also abrogates G2 checkpoint with an IC₅₀ of 29 nM.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

**CCT245737**
Cat. No.: HY-18958

CCT245737 is an orally active and selective Chk1 inhibitor, with an IC₅₀ of 1.3 nM.

Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**CHIR-124**
Cat. No.: HY-13263

CHIR-124 is a potent and selective Chk1 inhibitor with IC₅₀ of 0.1 nM, and also potently targets PDGFR and FLT3 with IC₅₀ of 6.6 nM and 5.8 nM.

Purity: 98.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
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<thead>
<tr>
<th><strong>CHK-IN-1</strong></th>
<th><strong>Cat. No.: HY-U00345</strong></th>
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<tbody>
<tr>
<td>CHK-IN-1 is an inhibitor of CHK1 and CHK2, with anti-proliferative activities.</td>
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<tr>
<td>Purity: &gt;98%</td>
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<td>Clinical Data: No Development Reported</td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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| **CHK1 inhibitor**  
(GDC-0575 analog) | **Cat. No.: HY-100422** |
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<tr>
<td>CHK1 inhibitor (GDC-0575 analog) is an inhibitor of CHK1.</td>
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<tr>
<td>Purity: &gt;98%</td>
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<td>Clinical Data: No Development Reported</td>
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<td>Size: 1 mg, 5 mg</td>
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<thead>
<tr>
<th><strong>CHK1-IN-2</strong></th>
<th><strong>Cat. No.: HY-111369</strong></th>
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<tbody>
<tr>
<td>CHK1-IN-2 is a checkpoint kinase 1 (CHK1) inhibitor, with an IC₅₀ of 6 nM.</td>
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<td>Purity: &gt;98%</td>
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<td>Clinical Data: No Development Reported</td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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<tr>
<th><strong>CHK1-IN-3</strong></th>
<th><strong>Cat. No.: HY-128601</strong></th>
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<tr>
<td>CHK1-IN-3 is a Checkpoint Kinase 1 (CHK1) inhibitor with an IC₅₀ of 0.4 nM.</td>
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<tr>
<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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<tr>
<th><strong>CHK1-IN-4</strong></th>
<th><strong>Cat. No.: HY-128766</strong></th>
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<tbody>
<tr>
<td>CHK1-IN-4 (Compound 3) is a potent checkpoint kinase 1 (chk1) inhibitor, and potently inhibits chk1 phosphorylation in the tumor cells. CHK1-IN-4 has anti-tumor activity.</td>
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<tr>
<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
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<td>Size: 1 mg, 5 mg</td>
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| **GDC-0575**  
(ARRY-575, RG7741) | **Cat. No.: HY-112167** |
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<tr>
<td>GDC-0575 (ARRY-575, RG7741) is a highly-selective oral small-molecule Chk1 inhibitor with an IC₅₀ of 1.2 nM.</td>
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<td>Purity: &gt;98.0%</td>
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<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<th><strong>PD 407824</strong></th>
<th><strong>Cat. No.: HY-18961</strong></th>
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<tr>
<td>PD 407824 is a checkpoint kinase Chk1 and WEE1 inhibitor with IC₅₀ of 47 and 97 nM, respectively. PD 407824 is a chemical BMP sensitizer and increases the sensitivity of cells to sub-threshold amounts of BMP4.</td>
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<tr>
<td>Purity: &gt;98.0%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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| **PF 477736**  
(PF 00477736) | **Cat. No.: HY-10032** |
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<tr>
<td>PF 477736 is a potent, selective ATP-competitive inhibitor of Chk1, with a Kᵢ of 0.49 nM, 100-fold selectivity versus Chk2 (Kᵢ, 47 nM).</td>
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<tr>
<td>Purity: 98.07%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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Prexasertib (LY2606368)
Cat. No.: HY-18174
Prexasertib (LY2606368) is a selective, ATP-competitive second-generation checkpoint kinase 1 (CHK1) inhibitor with a $K_i$ of 0.9 nM and an $IC_{50}$ of <1 nM. Prexasertib inhibits CHK2 ($IC_{50}$=8 nM) and RSK1 ($IC_{50}$=9 nM).

Purity: 98.22%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Prexasertib dihydrochloride (LY2606368 dihydrochloride)
Cat. No.: HY-18174A
Prexasertib dihydrochloride (LY2606368 dihydrochloride) is a selective, ATP-competitive second-generation checkpoint kinase 1 (CHK1) inhibitor with a $K_i$ of <1 nM. Prexasertib dihydrochloride inhibits CHK2 ($IC_{50}$=8 nM) and RSK1 ($IC_{50}$=9 nM).

Purity: 99.41%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Prexasertib dimesylate (LY2606368 dimesylate)
Cat. No.: HY-18174E
Prexasertib dimesylate (LY2606368 dimesylate) is a selective, ATP-competitive second-generation inhibitor with a $K_i$ of 0.9 nM and an $IC_{50}$ of <1 nM. Prexasertib dimesylate inhibits CHK2 ($IC_{50}$=8 nM) and RSK1 ($IC_{50}$=9 nM).

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

Prexasertib Mesylate Hydrate (LY2606368 Mesylate Hydrate)
Cat. No.: HY-18174B
Prexasertib Mesylate Hydrate (LY2606368 Mesylate Hydrate) is a selective, ATP-competitive second-generation inhibitor with a $K_i$ of 0.9 nM and an $IC_{50}$ of <1 nM. Prexasertib Mesylate Hydrate inhibits CHK2 ($IC_{50}$=8 nM) and RSK1 ($IC_{50}$=9 nM).

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

Rabusertib (LY2603618; IC-83)
Cat. No.: HY-14720
Rabusertib (LY2603618) is a potent and selective inhibitor of Chk1 with an $IC_{50}$ of 7 nM.

Purity: 99.69%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SB-218078
Cat. No.: HY-107407
SB-218078 is a potent, selective, ATP-competitive and cell-permeable checkpoint kinase 1 (Chk1) inhibitor that inhibits Chk1 phosphorylation of cdc25C with an $IC_{50}$ of 15 nM. SB-218078 is less potently inhibits Cdc2 ($IC_{50}$ of 250 nM) and PKC (IC$_{50}$ of 1000 nM).

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

SCH900776 (MK-8776)
Cat. No.: HY-15532
SCH900776 is a potent, selective and orally bioavailable inhibitor of checkpoint kinase1 (Chk1) with an $IC_{50}$ of 3 nM. SCH900776 shows 50- and 500-fold selectivity over CDK2 and Chk2, respectively.

Purity: 99.97%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

VER-00158411
Cat. No.: HY-18942
VER-00158411 is a checkpoint kinase 1 (CHK1) and CHK2 inhibitor with $IC_{50}$ values of 4.4 nM and 4.5 nM, respectively.

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