Checkpoint Kinase (Chk)

DNA damage checkpoint and the spindle checkpoint are two cell cycle surveillance systems, which guard against genomic instability. The DNA damage checkpoint kinases CHK1 and CHK2 are central to the induction of cell cycle arrest, DNA repair, and apoptosis as elements in the DNA-damage checkpoint. The components of the spindle checkpoint include Mad1, Mad2, Mad3(BubR1), Bub3 and the kinases Bub1, Mph1(Mps1) and Aurora B.

Cells that suffer DNA damage activate the checkpoint kinases CHK1 and CHK2, which signal to initiate repair processes, limit cell-cycle progression and prevent cell replication, until the damaged DNA is repaired.

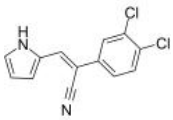
The spindle checkpoint causes metaphase arrest when kinetochore-microtubules are unattached during mitosis. The SAC consists of 'sensor' proteins, such as Mad1, Bub1 and Mps1; a 'signal transducer', consisting of the mitotic checkpoint complex, composed of Mad2, Bub3, BubR1 and Cdc20; and an 'effector' known as the anaphase promoting complex/cyclosome (APC/C).

Checkpoint Kinase (Chk) Inhibitors & Activators

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 GI_{50} of 0.56 μM.

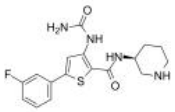


Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZD-7762

Cat. No.: HY-10992

AZD-7762 is a potent ATP-competitive checkpoint kinase (**Chk**) inhibitor in with an IC_{50} of 5 nM for Chk1.



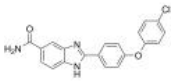
Purity: 99.95%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BML-277

(Chk2 Inhibitor II)

Cat. No.: HY-13946

BML-277 is a selective checkpoint kinase 2 (**Chk2**) inhibitor with an IC_{50} of 15 nM.

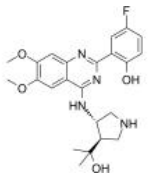


Purity: 98.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

CCT241533

Cat. No.: HY-14715

CCT241533 is a potent and selective ATP competitive inhibitor of **CHK2** with an IC_{50} of 3 nM and K_i of 1.16 nM.

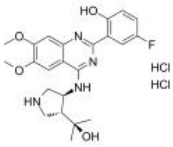


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CCT241533 dihydrochloride

Cat. No.: HY-110331

CCT241533 dihydrochloride is a potent and selective ATP competitive inhibitor of **CHK2** with an IC_{50} of 3 nM and K_i of 1.16 nM.

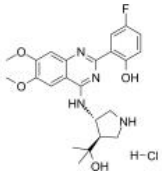


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CCT241533 hydrochloride

Cat. No.: HY-14715B

CCT241533 hydrochloride is a potent and selective **CHK2** inhibitor with an IC_{50} of 3 nM and a K_i of 1.16 nM.

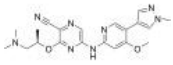


Purity: 99.87%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CCT244747

Cat. No.: HY-18175

CCT244747 is a potent, orally bioavailable and highly selective **CHK1** inhibitor, with an IC_{50} of 7.7 nM; CCT244747 also abrogates G2 checkpoint with an IC_{50} of 29 nM.

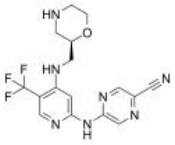


Purity: 98.28%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

CCT245737

Cat. No.: HY-18958

CCT245737 is an orally active and seletive **Chk1** inhibitor, with an IC_{50} of 1.3 nM.

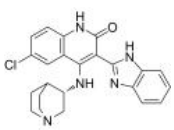


Purity: 99.35%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CHIR-124

Cat. No.: HY-13263

CHIR-124 is a potent and selective **Chk1** inhibitor with IC_{50} of 0.3 nM, and also potently targets **PDGFR** and **FLT3** with IC_{50}s of 6.6 nM and 5.8 nM.

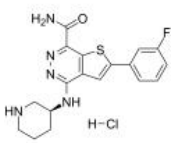


Purity: 96.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CHK-IN-1

Cat. No.: HY-U00345

CHK-IN-1 is an inhibitor of **CHK1** and **CHK2**, with anti-proliferative activities.



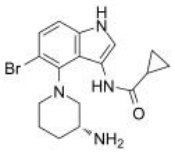
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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

CHK1 inhibitor

(GDC-0575 analog) Cat. No.: HY-104022

CHK1 inhibitor (GDC-0575 analog) is an inhibitor of **CHK1**.

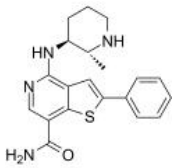


Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

CHK1-IN-2

Cat. No.: HY-111369

CHK1-IN-2 is a checkpoint kinase 1 **(CHK1)** inhibitor, with an IC_{50} of 6 nM.

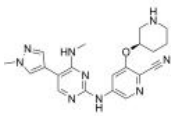


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CHK1-IN-3

Cat. No.: HY-128601

CHK1-IN-3 is a **Checkpoint Kinase 1 (CHK1)** inhibitor with an IC_{50} of 0.4 nM.

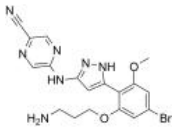


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CHK1-IN-4

Cat. No.: HY-128766

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.

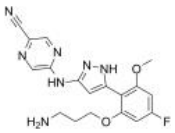


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Chk1-IN-5

Cat. No.: HY-131446

Chk1-IN-5 is a potent **checkpoint kinase 1 (Chk1)** inhibitor. Chk1-IN-5 inhibits Chk1 phosphorylation and inhibits tumor growth in colon cancer xenograft model.

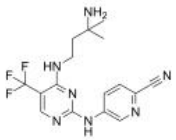


Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg

Chk1-IN-6

Cat. No.: HY-139901

Chk1-IN-6 is a potent, selective, and orally bioavailable **CHK1** inhibitor candidate.

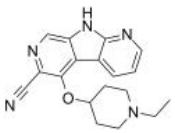


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GDC-0425

(RG-7602) Cat. No.: HY-19926

GDC-0425 (RG-7602) is an orally available, highly selective small molecule **ChK1** inhibitor. GDC-0425 can be used for the research of various malignancies.

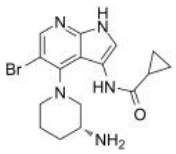


Purity: 99.48%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GDC-0575

(ARRY-575; RG7741) Cat. No.: HY-112167

GDC-0575 (ARRY-575, RG7741) is a highly-selective oral small-molecule **Chk1** inhibitor with an IC_{50} of 1.2nM.

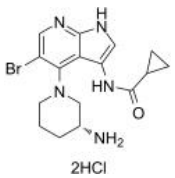


Purity: 99.65%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GDC-0575 dihydrochloride

(ARRY-575 dihydrochloride; RG7741 dihydrochloride) Cat. No.: HY-112167A

GDC-0575 dihydrochloride (ARRY-575 dihydrochloride) is an orally bioavailable **CHK1** inhibitor, with an IC_{50} of 1.2 nM, and has antitumor activity.



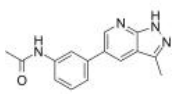
2HCl

Purity: 99.49%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MRT00033659

Cat. No.: HY-117857

MRT00033659 is a potent broad-spectrum kinase inhibitor of **CK1** (IC_{50}=0.9 μM for CK1δ) and **CHK1** (IC_{50}=0.23 μM). MRT00033659, a pyrazolo-pyridine analogue, induces **p53** pathway activation and E2F-1 destabilisation.

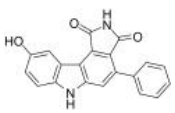


Purity: 99.18%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

PD 407824

Cat. No.: HY-18961

PD 407824 is a checkpoint kinase **Chk1** and **WEE1** inhibitor with **IC_{50}**s 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.



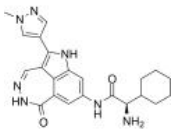
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PF 477736

(PF 00477736)

Cat. No.: HY-10032

PF 477736 (PF 00477736) is a potent, selective and ATP-competitive inhibitor of **Chk1**, with a **K_i** of 0.49 nM, it is also a **Chk2** inhibitor, with a **K_i** of 47 nM.



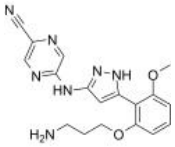
Purity: 99.21%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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).



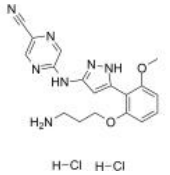
Purity: 98.03%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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 0.9 nM and an **IC_{50}** of <1 nM. Prexasertib dihydrochloride inhibits CHK2 (IC_{50}=8 nM) and RSK1 (IC_{50}=9 nM).



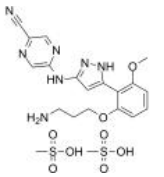
Purity: 99.41%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Prexasertib dimesylate

(LY2606368 dimesylate)

Cat. No.: HY-18174E

Prexasertib dimesylate (LY2606368 dimesylate) is a selective, ATP-competitive second-generation **checkpoint kinase 1 (CHK1)** 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).



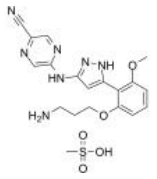
Purity: 98.28%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Prexasertib mesylate

(LY2606368 mesylate)

Cat. No.: HY-18174C

Prexasertib mesylate (LY2606368 mesylate) 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 mesylate inhibits CHK2 (IC_{50}=8 nM) and RSK1 (IC_{50}=9 nM).



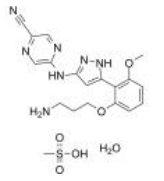
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Prexasertib Mesylate Hydrate

(LY2606368 Mesylate Hydrate; LY2940930)

Cat. No.: HY-18174B

Prexasertib Mesylate Hydrate (LY2606368 Mesylate Hydrate) 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 Mesylate Hydrate inhibits CHK2 (IC_{50}=8 nM) and RSK1 (IC_{50}=9 nM).



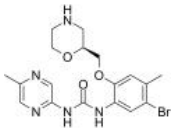
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

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.

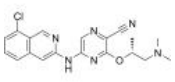


Purity: 99.73%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SAR-020106

Cat. No.: HY-100195

SAR-020106 is an ATP-competitive, potent, and selective **CHK1** inhibitor with an **IC_{50}** of 13.3 nM for human CHK1. SAR-020106 shows excellent selectivity over CHK2.

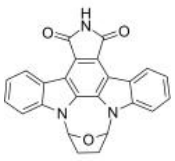


Purity: 98.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

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).



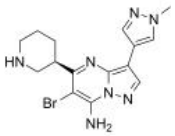
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SCH900776

(MK-8776)

Cat. No.: HY-15532

SCH900776 (MK-8776) 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.

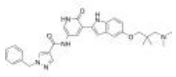


Purity: 99.97%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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