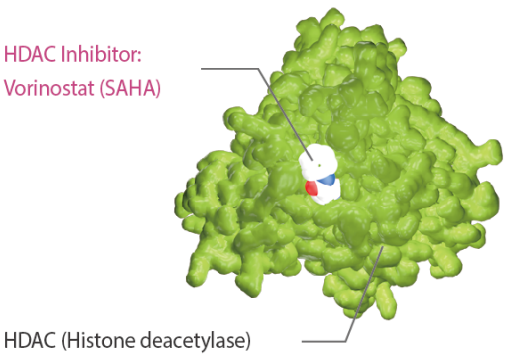
DNA-PK

DNA-dependent protein kinase



DNA-PKcs (DNA-dependent protein kinase, catalytic subunit) is an enzyme that in humans is encoded by the PRKDC gene. DNA-PKcs belongs to the phosphatidylinositol 3-kinase-related kinase protein family. DNA-PKcs is the catalytic subunit of a nuclear DNA-dependent serine/threonine protein kinase called DNA-PK. The second component is the autoimmune antigen Ku. On its own, DNA-PKcs is inactive and relies on Ku to direct it to DNA ends and trigger its kinase activity. DNA-PKcs is required for the non-homologous end joining (NHEJ) pathway of DNA repair. Many proteins have been identified as substrates for the kinase activity of DNA-PK. Autophosphorylation of DNA-PKcs appears to play a key role in NHEJ and is thought to induce a conformational change that allows end processing enzymes to access the ends of the double-strand break. DNA-PK also cooperates with ATR and ATM to phosphorylate proteins involved in the DNA damage checkpoint.

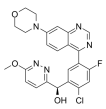
DNA-PK Inhibitors & Modulators

(R)-Nedisertib

((R)-M3814) Cat. No.: HY-101570A

Bioactivity: (R)-Nedisertib ((R)-M3814) is a less active R-enantiomer of Nedisertib, with an **IC_{50}** in the range of 7-30 nM for **DNA-PK** [1].

Purity: 92.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

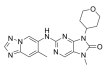


AZD-7648

Cat. No.: HY-111783

Bioactivity: AZD-7648 is a potent and selective **DNA-PK** inhibitor. Anti-tumor activity [1].

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

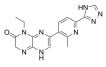


CC-115

Cat. No.: HY-16962

Bioactivity: CC-115 is a potent and dual **DNA-PK** and **mTOR** kinase inhibitor with **IC_{50}**s of 13 nM and 21 nM, respectively. CC-115 blocks both **mTORC1** and **mTORC2** signaling.

Purity: 96.64%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

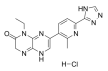


CC-115 hydrochloride

Cat. No.: HY-16962A

Bioactivity: CC-115 hydrochloride is a potent and dual **DNA-PK** and **mTOR** kinase inhibitor with **IC_{50}**s of 13 nM and 21 nM, respectively. CC-115 blocks both **mTORC1** and **mTORC2** signaling.

Purity: 97.22%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

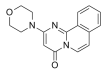


Compound 401

Cat. No.: HY-19341

Bioactivity: Compound 401 is a synthetic inhibitor of **DNA-PK** (**IC_{50}** = 0.28 μM) that also targets mTOR but not PI3K in vitro.

Purity: 99.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

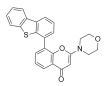


KU-57788

(NU7441) Cat. No.: HY-11006

Bioactivity: KU-57788 is a potent and selective inhibitor of **DNA-PK** with an **IC_{50}** of 13 nM, with selectivity over a range of kinases including mTOR, PI 3-K, ATM and ATR.

Purity: 99.35%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

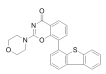


LTURM34

Cat. No.: HY-101667

Bioactivity: LTURM34 is a specific **DNA-PK** inhibitor with an **IC_{50}** of 0.034 μM.

Purity: 99.24%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

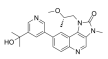


LY3023414

Cat. No.: HY-12513

Bioactivity: LY3023414 potently and selectively inhibits **class I PI3K** isoforms, **DNA-PK**, and **mTORC1/2** with **IC_{50}**s of 6.07 nM, 77.6 nM, 38 nM, 23.8 nM, 4.24 nM and 165 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Kγ, DNA-PK and mTOR, respectively. LY3023414 potently inhibits **mTORC1**/ **2** at low nanomolar...

Purity: 99.77%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

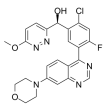


Nedisertib

(M3814) Cat. No.: HY-101570

Bioactivity: Nedisertib (M3814) is a potent and selective inhibitor of **DNA-dependent Protein Kinase** (**DNA-PK**), with an **IC_{50}** of <3 nM.

Purity: 99.43%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

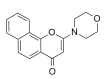


NU 7026

(DNA-PK Inhibitor II; LY293646) Cat. No.: HY-15719

Bioactivity: NU 7026 is a novel specific **DNA-PK** inhibitor with **IC_{50}** of 0.23±0.01 μM, also inhibits **PI3K** with **IC_{50}** of 13±3 μM.

Purity: 99.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

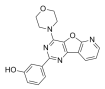


PI-103

Cat. No.: HY-10115

Bioactivity: PI-103 is a potent **PI3K** and **mTOR** inhibitor with **IC_{50}**s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for **p110α**, **p110β**, **p110δ**, **p110γ**, **mTORC1**, and **mTORC2**. PI-103 also inhibits **DNA-PK** with an **IC50** of 2 nM.

Purity: 99.86%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

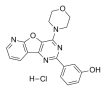


PI-103 Hydrochloride

Cat. No.: HY-10115A

Bioactivity: PI-103 Hydrochloride is a dual **PI3K** and **mTOR** inhibitor with **IC_{50}**s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for **p110α**, **p110β**, **p110δ**, **p110γ**, **mTORC1**, and **mTORC2**. PI-103 also inhibits **DNA-PK** with an **IC50** of 2 nM.

Purity: 99.78%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



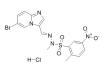
PIK-75

(PIK-75 Hydrochloride)

Cat. No.: HY-13281

Bioactivity: PIK-75 is a **DNA-PK** and **PI3K** inhibitor, which inhibits DNA-PK, **p110α** and p110γ with **IC_{50}**s of 2, 5.8 and 76 nM, respectively. PIK-75 inhibits p110α >200-fold more potently than p110β (IC_{50}=1.3 μM).

Purity: 99.91%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

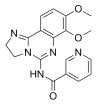


PIK-90

Cat. No.: HY-12030

Bioactivity: PIK-90 is a **DNA-PK** and **PI3K** inhibitor, which inhibits **p110α**, **p110γ** and **DNA-PK** with **IC_{50}**s of 11, 18 and 13 nM, respectively.

Purity: 99.06%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg



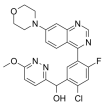
Rac-Nedisertib

(Rac-M3814)

Cat. No.: HY-101570B

Bioactivity: Rac-Nedisertib (Rac-M3814) is a racemate of Nedisertib, a potent **DNA-PK** inhibitor, with an **IC_{50}** of <3 nM [1].

Purity: 91.45%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

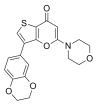


SF2523

Cat. No.: HY-101146

Bioactivity: SF2523 is a highly selective and potent inhibitor of **PI3K** with **IC_{50}**s of 34 nM, 158 nM, 9 nM, 241 nM and 280 nM for **PI3Kα**, **PI3Kγ**, **DNA-PK**, BRD4 and mTOR, respectively.

Purity: 99.37%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

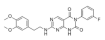


STL127705

Cat. No.: HY-122727

Bioactivity: STL127705 (Compound L) is a **Ku 70/80 heterodimer protein** inhibitor, inhibits Ku70/80-DNA interaction, with an **IC_{50}** of 3.5 μM. STL127705 also inhibits Ku-dependent activation of DNA-PKCS kinase (**IC_{50}**, 2.5 μM) [1].

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

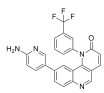


Torin 2

Cat. No.: HY-13002

Bioactivity: Torin 2 is an **mTOR** inhibitor with **EC_{50}** of 0.25 nM for inhibiting cellular mTOR activity, and exhibits 800-fold selectivity over PI3K (EC_{50}: 200 nM). Torin 2 also inhibits **DNA-PK** with an **IC_{50}** of 0.5 nM in the cell free assay. Tori...

Purity: 99.93%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



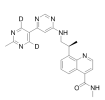
VX-984

(M9831)

Cat. No.: HY-19939S

Bioactivity: VX-984 is a potent **DNA-PK** inhibitor.

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



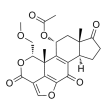
Wortmannin

(SL-2052; KY-12420)

Cat. No.: HY-10197

Bioactivity: Wortmannin is a multi-target inhibitor. Wortmannin inhibits **PI3K** [1], **MLCK** [1], **DNA-PK** [2], **ATM** [2], **ATR** [2], and **Polo-like kinase 3** (**Plk3** [3]) with **IC_{50}**s of 3 nM, 200 nM, 16 nM, 150 nM, 1.8 μM and 48 nM, respectively.

Purity: 99.85%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg



YU238259

Cat. No.: HY-19977

Bioactivity: YU238259 is an inhibitor of homology-dependent DNA repair (**HDR**), used for cancer research.

Purity: 98.01%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg