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

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

(R)-Nedisertib ((R)-M3814) is a less active R-enantiomer of Nedisertib, with an IC\textsubscript{50} in the range of 7-30 nM for DNA-PK.

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

(Rac)-Nedisertib
((Rac)-M3814) Cat. No.: HY-101570B

(Rac)-Nedisertib ((Rac)-M3814) is a racemate of Nedisertib, a potent inhibitor, with an IC\textsubscript{50} of <3 nM.

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

AZD-7648 Cat. No.: HY-111783

AZD-7648 is a potent and selective DNA-PK inhibitor. Anti-tumor activity.

Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

CC-115 hydrochloride Cat. No.: HY-16962A

CC-115 hydrochloride is a potent and dual DNA-PK and mTOR kinase inhibitor with IC\textsubscript{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: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

KU-0060648 Cat. No.: HY-13431

KU-0060648 is a dual inhibitor of PI3K and DNA-PK with IC\textsubscript{50}s of 4 nM, 0.5 nM, 0.1 nM, 0.594 nM and 8.6 nM for PI3K\textalpha, PI3K\textbeta, PI3K\textgamma, PI3K\textdelta and DNA-PK, respectively.

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

LTURM34 Cat. No.: HY-101667

LTURM34 is a specific DNA-PK inhibitor (IC\textsubscript{50}=34 nM). LTURM34 exhibits 170-fold selectivity for DNA-PK over PI3K. LTURM34 shows potent antiproliferative activity in a wide range of tumor cell lines.

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

KU-57788 (NU7441) Cat. No.: HY-11006

KU-57788 is a potent and selective inhibitor of DNA-PK with an IC\textsubscript{50} of 13 nM, with selectivity over a range of kinases including mTOR, PI3-K, ATM and ATR.

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

LY294002 (NSC 697286; SF 1101) Cat. No.: HY-10108

LY294002 is a broad-spectrum inhibitor of PI3K with IC\textsubscript{50}s of 0.5, 0.57, and 0.97 μM for PI3K\textalpha, PI3K\textbeta and PI3K\textgamma, respectively. LY294002 also inhibits CK2 with an IC\textsubscript{50} of 98 nM. LY294002 is a competitive DNA-PK inhibitor that binds reversibly to the kinase domain of DNA-PK with an IC\textsubscript{50} of 1.4 μM.

Purity: 99.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

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LY3023414

LY3023414 potently and selectively inhibits class I PI3K isoforms, DNA-PK, and mTORC1/2 with IC₅₀s 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 concentrations.

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

NU 7026

NU 7026 (LY293646) is a novel specific DNA-PK inhibitor with IC₅₀ of 0.23 μM, also inhibits PI3K with IC₅₀ of 13 μM.

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

PI-103 Hydrochloride

PI-103 Hydrochloride is a dual PI3K and mTOR inhibitor with IC₅₀s 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: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PIK-90

PIK-90 is a DNA-PK and PI3K inhibitor, which inhibits p110α, p110γ and DNA-PK with IC₅₀s of 11, 18 and 13 nM, respectively.

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

STL127705

STL127705 (Compound L) is a Ku 70/80 heterodimer protein inhibitor, inhibits Ku70/80-DNA interaction, with an IC₅₀ of 3.5 μM. STL127705 also inhibits Ku-dependent activation of DNA-PKCS kinase (IC₅₀ 2.5 μM).

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

Torin 2

Torin 2 is an mTOR inhibitor with EC₅₀ of 0.25 nM for inhibiting cellular mTOR activity, and exhibits 800-fold selectivity over PI3K (EC₅₀: 200 nM). Torin 2 also inhibits DNA-PK with an IC₅₀ of 0.5 nM in the cell free assay. Torin 2 can suppress both mTORC1 and mTORC2.

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

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VX-984
(M9831)  
Cat. No.: HY-19939S

VX-984 is a potent DNA-PK inhibitor.

Purity: 99.36%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

YU238259
Cat. No.: HY-19977

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

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

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