DNA-PK

DNA-dependent protein kinase

DNA-PK (DNA-dependent protein kinase) is a nuclear serine/threonine protein kinase composed of a large catalytic subunit (DNA-PKcs) and a heterodimeric DNA-targeting subunit Ku. DNA-PK is a major component of the nonhomologous end-joining (NHEJ) pathway of DNA double-strand breaks repair. DNA-PK specifically requires association with DNA for its kinase activity, plays important roles in the regulation of different DNA transactions, including transcription, replication and DNA repair, as well as in the maintenance of telomeres.

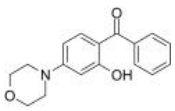
The assembly of DNA-PK at DSB ends serves as a platform to recruit Artemis, DNA ligase IV and other NHEJ factors that are involved in end-processing and ligation. Within the DNA-PK complex, Ku proteins confer high affinity to DSB ends, and function as early sensors. The subsequent recruitment of DNA-PKcs to DSBs via the Ku proteins triggers the activation of DNA-PKcs, a member of the phosphatidylinositol 3-kinase-related kinase (PIKK) family. Upon activation, DNA-PKcs phosphorylates a number of substrates, including H2AX, XRCC4, Artemis and most importantly, DNA-PKcs itself. Autophosphorylation of DNA-PKcs occurs at numerous Ser/Thr residues throughout the kinase, and has been shown to mediate NHEJ.

DNA-PK Inhibitors

AMA-37

Cat. No.: HY-100706

AMA-37, an Arylmorpholine analog, is ATP-competitive **DNA-PK** inhibitor, with IC_{50} values of 0.27 μM (DNA-PK), 32 μM (p110α), 3.7 μM (p110β), and 22 μM (p110γ), respectively.

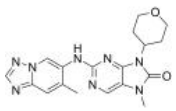


Purity: 99.15%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AZD-7648

Cat. No.: HY-111783

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

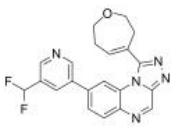


Purity: 99.89%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 250 mg

BAY-8400

Cat. No.: HY-132293

BAY-8400 is an orally active, potent and selective DNA-dependent protein kinase (**DNA-PK**) inhibitor (IC_{50}=81 nM). BAY-8400 can be used for the research of cancer.

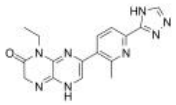


Purity: 99.50%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CC-115

Cat. No.: HY-16962

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.

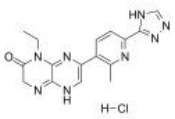


Purity: 98.04%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CC-115 hydrochloride

Cat. No.: HY-16962A

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.

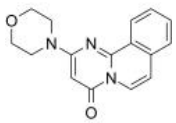


Purity: 98.23%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Compound 401

Cat. No.: HY-19341

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

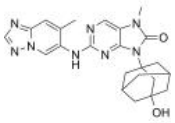


Purity: 99.97%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DNA-PK-IN-1

Cat. No.: HY-142943

DNA-PK-IN-1 is a potent inhibitor of **DNA-PK**. DNA-dependent protein kinase (DNA-PK) is a DNA-PK enzyme complex composed of Ku70/Ku80 heterodimer and DNA-dependent protein kinase catalytic subunit (DNA-PKcs).

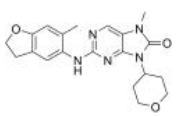


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-2

Cat. No.: HY-142944

DNA-PK-IN-2 is a potent inhibitor of **DNA-PK**. DNA-dependent protein kinase (DNA-PK) is a DNA-PK enzyme complex composed of Ku70/Ku80 heterodimer and DNA-dependent protein kinase catalytic subunit (DNA-PKcs).

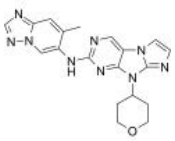


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-3

Cat. No.: HY-144036

DNA-PK-IN-3 is a potent inhibitor of **DNA-PK**. DNA-PK-IN-3 synergistically enhances the effect of radiotherapy and chemotherapy and effectively inhibits tumor growth. DNA-PK-IN-3 also effectively reduces the damage to normal cells and reducing side effects.

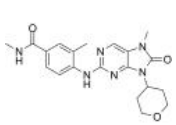


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-4

Cat. No.: HY-144037

DNA-PK-IN-4 is a potent inhibitor of **DNA-PK**. DNA-PK-IN-4 is a imidazolinone derivative compound. DNA-PK-IN-4 inhibits DNA-PKcs activity, thus greatly reducing tumor DNA repair and inducing cells to enter the apoptotic program.

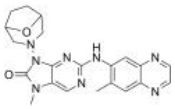


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-5

Cat. No.: HY-144038

DNA-PK-IN-5 is a potent inhibitor of **DNA-PK**. DNA-PK-IN-5 inhibits DNA-PKcs activity, thus greatly reducing tumor DNA repair and inducing cells to enter the apoptotic program.

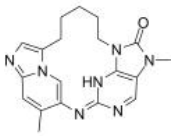


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-6

Cat. No.: HY-144039

DNA-PK-IN-6 is a potent inhibitor of **DNA-PK**. DNA-PK-IN-6 inhibits DNA-PKcs activity, thus greatly reducing tumor DNA repair and inducing cells to enter the apoptotic program.

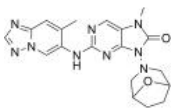


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNA-PK-IN-7

Cat. No.: HY-142471

DNA-PK-IN-7 is a potent **DNA-PK** inhibitor with an IC_{50} of 1 nM (WO2021104277A1, compound 5).

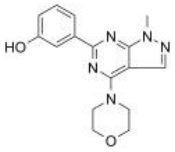


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ETP-45658

Cat. No.: HY-110109

ETP-45658 is a potent **PI3K** inhibitor, with IC_{50}s of 22.0 nM, 39.8 nM, 129.0 nM and 717.3 nM for **PI3Kα**, **PI3Kδ**, **PI3Kβ** and **PI3Kγ**, respectively. ETP-45658 also can inhibit **DNA-PK** (IC_{50}=70.6 nM) and **mTOR** (IC_{50}=152.0 nM). ETP-45658 can be used for the research of cancer.

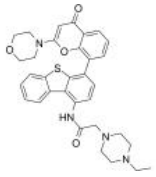


Purity: 98.05%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

KU-0060648

Cat. No.: HY-13431

KU-0060648 is a dual inhibitor of **PI3K** and **DNA-PK** with IC_{50}s of 4 nM, 0.5 nM, 0.1 nM, 0.594 nM and 8.6 nM for PI3Kα, PI3Kβ, PI3Kγ, PI3Kδ and DNA-PK, respectively.

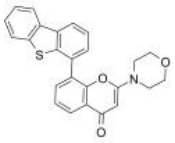


Purity: 99.39%
Clinical Data: No Development Reported
Size: 5 mg

KU-57788
(NU7441)

Cat. No.: HY-11006

KU-57788 (NU7441) is a highly potent and selective **DNA-PK** inhibitor with an IC_{50} of 14 nM. KU-57788 is an NHEJ pathway inhibitor. KU-57788 also inhibits PI3K and mTOR with IC_{50}s of 5.0 and 1.7 μM, respectively.

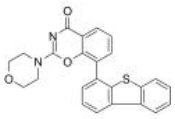


Purity: 99.35%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LTURM34

Cat. No.: HY-101667

LTURM34 is a specific **DNA-PK** inhibitor (IC_{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.

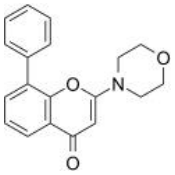


Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LY294002

Cat. No.: HY-10108

LY294002 is a broad-spectrum inhibitor of **PI3K** with IC_{50}s of 0.5, 0.57, and 0.97 μM for **PI3Kα**, **PI3Kδ** and **PI3Kβ**, respectively. LY294002 also inhibits **CK2** with an IC_{50} of 98 nM.

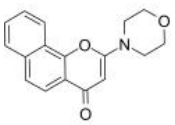


Purity: 99.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

NU 7026
(LY293646)

Cat. No.: HY-15719

NU 7026 (LY293646) is a novel specific **DNA-PK** inhibitor with IC_{50} of 0.23 μM, also inhibits **PI3K** with IC_{50} of 13 μM.

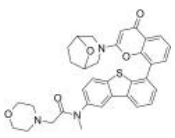


Purity: 99.92%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

NU5455

Cat. No.: HY-145427

NU5455 is a potent, selective, and orally active inhibitor of **DNA-PKcs**. NU5455 administration increases both the efficacy and the toxicity of a parenterally administered topoisomerase inhibitor.

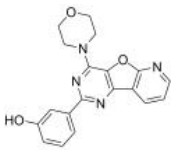


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PI-103

Cat. No.: HY-10115

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. PI-103 induces **autophagy**.

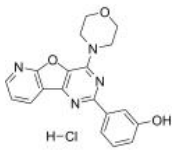


Purity: 98.93%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PI-103 Hydrochloride

Cat. No.: HY-10115A

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 Hydrochloride also inhibits **DNA-PK** with an **IC50** of 2 nM. PI-103 Hydrochloride induces **autophagy**.

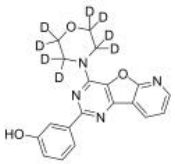


Purity: 98.06%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PI-103-d8

Cat. No.: HY-10115S

PI-103-d8 is the deuterium labeled PI-103. 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. PI-103 induces **autophagy**.

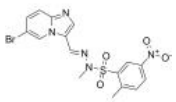


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PIK-75

Cat. No.: HY-107834

PIK-75 is a reversible **DNA-PK** and **p110α**-selective 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). PIK-75 induces **apoptosis**.

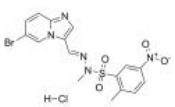


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PIK-75 hydrochloride

Cat. No.: HY-13281

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

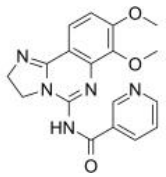


Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

PIK-90

Cat. No.: HY-12030

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.



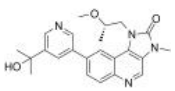
Purity: 99.70%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Samotolisib

(LY3023414)

Cat. No.: HY-12513

Samotolisib (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.

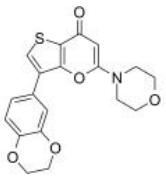


Purity: 99.42%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SF2523

Cat. No.: HY-101146

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.

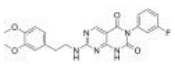


Purity: 97.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

STL127705

Cat. No.: HY-122727

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).

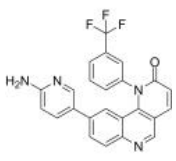


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Torin 2

Cat. No.: HY-13002

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. Torin 2 can suppress both **mTORC1** and **mTORC2**.



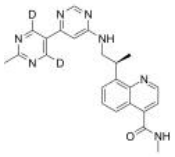
Purity: 99.98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

VX-984

(M9831)

Cat. No.: HY-19939S

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

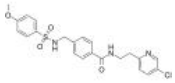


Purity: 99.20%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 50 mg

YU238259

Cat. No.: HY-19977

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



Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg