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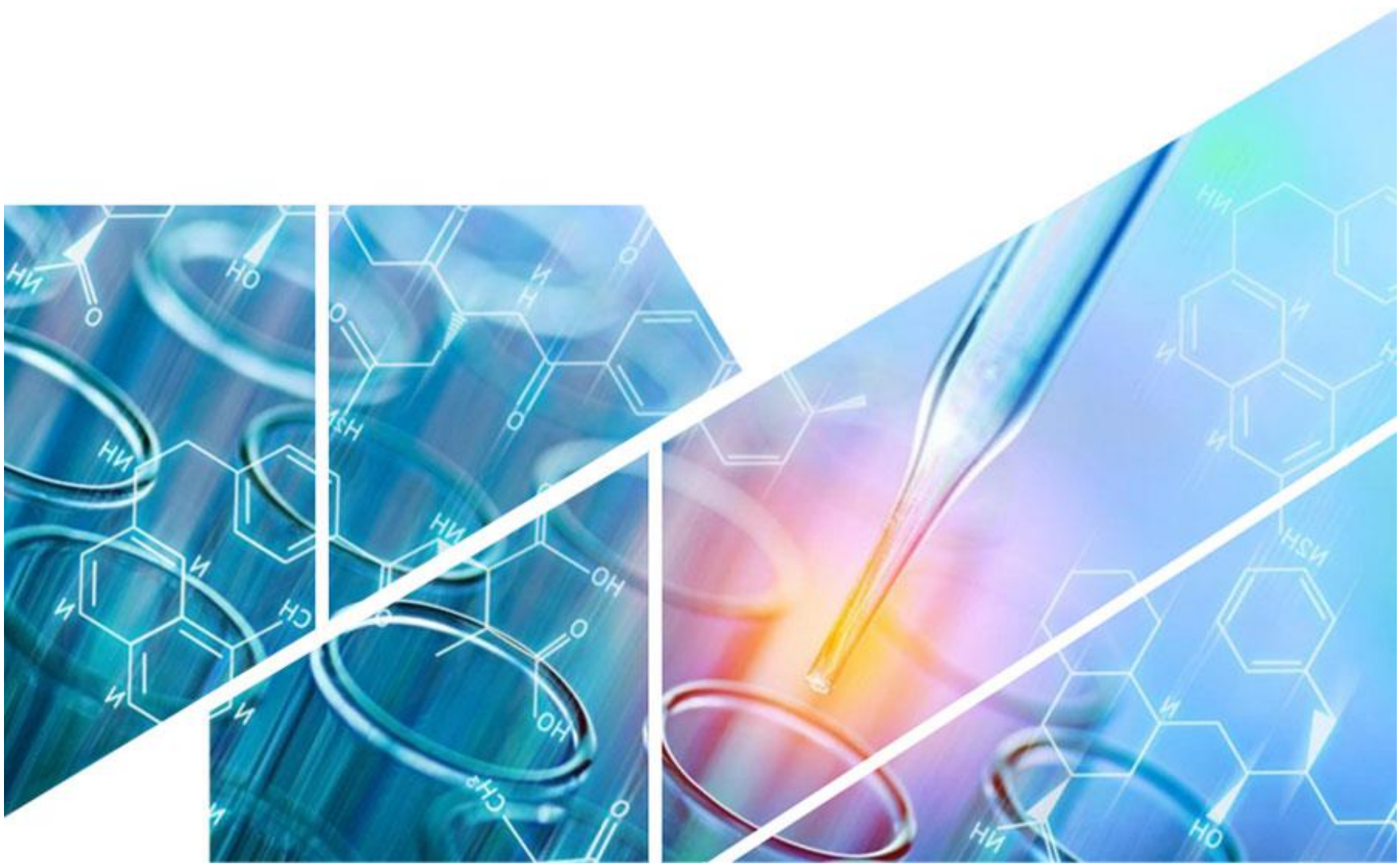
PARP Inhibitors

(inhibitors, agonists and modulators)



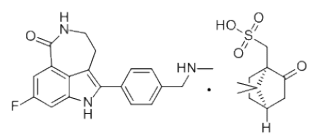
PARP inhibitors are a group of pharmacological inhibitors of the enzyme poly ADP ribose polymerase (PARP). They are developed for multiple indications; the most important is the treatment of cancer. Several forms of cancer are more dependent on PARP than regular cells, making PARP an attractive target for cancer therapy. PARP inhibitors appear to improve progression-free survival in women with recurrent platinum-sensitive ovarian cancer, as evidenced mainly by olaparib added to conventional treatment.

Rucaparib Camsylate - CAS 1859053-21-6

Catalog Number: B0084-007117 **Price:** $269/100 mg

Molecular Weight: 555.665 **Molecular Formula:** C29H34FN3O5S

Description: Rucaparib camsylate is an inhibitor of poly (ADP-ribose) polymerase (PARP) enzymes, which work in DNA repair process. It was approved for the treatment of ovarian cancer, and Rubraca is proved to be a monotherapy for the patients deleterious BRCA mutation associated advanced ovarian cancer who were treated with two or more chemotherapies.



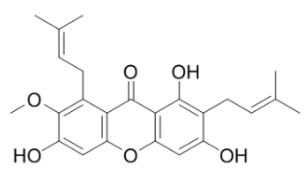
Rucaparib Camsylate
CAS No. : 1859053-21-6

XMD16-5 - CAS 1345098-78-3

Catalog Number: B0084-007715 **Price:** $298/50 mg

Molecular Weight: 416.48 **Molecular Formula:** C23H24N6O2

Description: XMD16-5is a novel non-receptor tyrosine kinase TNK2 inhibitor (IC50= 16 nM and 77 nM for D163E and R806Q mutant TNK2, respectively)

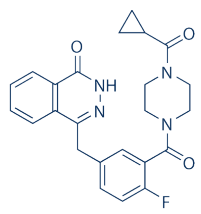


Olaparib - CAS 763113-22-0

Catalog Number: B0084-076683 **Price:** $169/1 g

Molecular Weight: 434.471 **Molecular Formula:** C24H23FN4O3

Description: Olaparib, also known as AZD-2281 or KU-59436, is a small-molecule inhibitor of the nuclear enzyme poly(ADP-ribose) polymerase (PARP) with potential chemosensitizing, radiosensitizing, and antineoplastic activities. Olaparib selectively binds to and inhibits PARP, inhibiting PARP-mediated repair of single strand DNA breaks; PARP inhibition may enhance the cytotoxicity of DNA-damaging agents and may reverse tumor cell chemoresistance and radioresistance. PARP catalyzes post-translational ADP-ribosylation of nuclear proteins and can be activated by single-stranded DNA breaks.

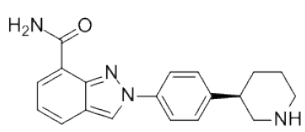


MK-4827 (R-enantiomer) - CAS 1038915-58-0

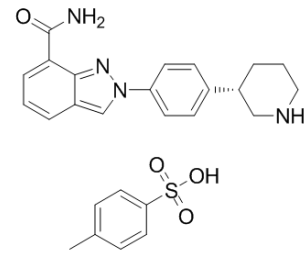
Catalog Number: B0084-138719 **Price:** $199/5 mg

Molecular Weight: 320.396 **Molecular Formula:** C19H20N4O

Description: MK-4827 (R-enantiomer) is the R form of MK-4827, which is a PARP inhibitor developed for the treatment of ovarian cancer.



MK-4827 tosylate - CAS 1038915-73-9

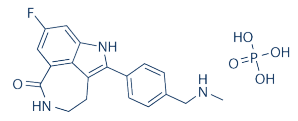


Catalog Number: B0084-463500 **Price:** $198/100 mg

Molecular Weight: 492.59 **Molecular Formula:** C26H28N4O4S

Description: MK-4827 tosylate is a selective inhibitor of PARP1/PARP2 with IC50 of 3.8 nM/2.1 nM. It has a great activity in cancer cells with mutant BRCA-1 and BRCA-2, >330-fold selective against PARP3, V-PARP and Tank1.

Rucaparib Phosphate - CAS 459868-92-9

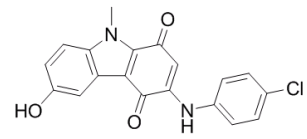


Catalog Number:

Molecular Weight: 421.365 **Molecular Formula:** C19H21FN3O5P

Description: Rucaparib Phosphate is a selective PARP inhibitor that suppresses the PARP1-mediated DNA repair via binding to PARP1 (Ki = <5 nM). It can be used to sensitize cancer cells to chemotherapy as an antineoplastic agent.

BRCA1-IN-1 - CAS 1622262-74-1

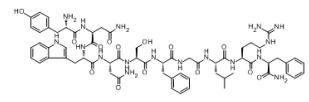


Catalog Number:

Molecular Weight: 578.54 **Molecular Formula:** C27H33F2N4O6P

Description: A novel small-molecule-like BRCA1 inhibitor (IC50= 0.53 μM) (Ki= 0.71 μM)

Protease-Activated Receptor-2, amide



Catalog Number:

Molecular Weight: 614.8 **Molecular Formula:** C28H54N8O7

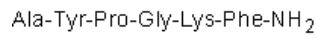
Description: Protease-Activated Receptor-2, amide, also known as SLIGKV-NH2, is a highly potent protease-activated receptor-2 (PAR2) activating peptide and can also be utlized to study the functions of receptors.

AY-NH - CAS 352017-71-1

Catalog Number:

Molecular Weight: 680.80 **Molecular Formula:** C34H48N8O7

Description: AY-NH is a selective proteinase-activated receptor 4 (PAR4) receptor agonist peptide. It stimulates aggregation of rat and human platelets in vitro. It reduces expression of glycoprotein (GP) Ib, and increases expression of GPIIb/IIIa on the surface of human platelets. It induces relaxation of isolated rat aortic rings and contraction of rat gastric longitudinal muscle strips. It also inhibits calcium mobilization evoked by capsaicin in rat sensory neurons. It increases paw thickness in a rat paw edema inflammation model in vivo.

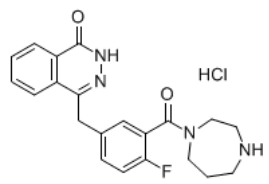


KU 0058948 hydrochloride

Catalog Number:

Molecular Weight: 416.88 **Molecular Formula:** C21H21FN4O2.HCl

Description: KU 0058948 hydrochloride is a potent poly ADP-ribose polymeras (PARP) inhibitor (IC50: 3.4 nM for PARP1). In vitro, KU-0058948 activates transfected extracellular signal-regulated kinase 8 (ERK8) in cells and induces cell cycle arrest and apoptosis of primary myeloid leukemic cells thus KU-0058948 can be potentially used to treat myeloid leukemia and myelodysplastic syndromes.
KEYWORDS: KU 0058948 hydrochloride | supplier | PARP1 inhibitor | KU0058948 HCl | KU58948 | KU-0058948 | KU058948 | CAS [763111-49-5] | DNA-RNA | PARP | ERK8 | extracellular signal-regulated kinase 8 | cell cycle arrest | apoptosis | repair | Poly(ADP-Ribose) Polymerase

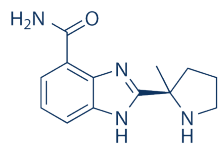


Veliparib - CAS 912444-00-9

Catalog Number: B0084-079466

Molecular Weight: 244.298 **Molecular Formula:** C13H16N4O

Description: Veliparib (ABT-888) is a potent inhibitor of PARP1 and PARP2 with Ki of 5.2 nM and 2.9 nM, respectively. In HCT-116 and HT-29 cell lines, the ability of ABT-888 to synergize the effect of the anti-cancer agents, SN38 or oxaliplatin, was determined using the SRB assay. PARP activity was significantly reduced in samples treated with SN38 in combination with ABT-888 (>4 fold at 24 h).

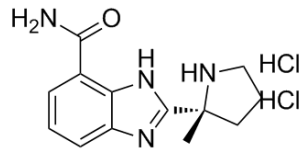


ABT-888 - CAS 912445-05-7

Catalog Number: 912445-05-7

Molecular Weight: 317.214 **Molecular Formula:** C13H18Cl2N4O

Description: Veliparib (dihydrochloride) is a potent inhibitor of PARP1 and PARP2 with Ki of 5.2 nM and 2.9 nM in cell-free assays, respectively.

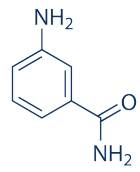


3-Aminobenzamide - CAS 3544-24-9

Catalog Number:3544-24-9

Molecular Weight:136.15 **Molecular Formula:** C7H8N2O

Description:3-Aminobenzamide is a competitive PARP inhibitor with Ki of 1.8 μM.

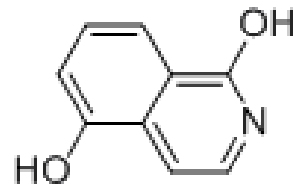


1,5-Isoquinolinediol - CAS 5154-02-9

Catalog Number:

Molecular Weight: 161.16 **Molecular Formula:** C9H7NO2

Description: 1,5-Isoquinolinediol is a PARP1 inhibitor (IC50 value 0.39 - 1.00 μM) and neuroprotective agent leading to an increase up to 8-fold in the absolute frequency of gene targeting in the correction of the mutation at the stable integrated HSV tk gene in mouse Ltk cells. 1,5-Isoquinolinediol is also an inducible nitric oxide synthase (NOS2) inhibitor. 1,5-Isoquinolinediol significantly inhibited mitochondrial membrane potential loss, AIF (apoptosis inducing factor) and cytochrome c release from the mitochondria.

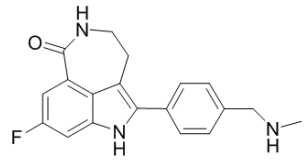


Rucaparib - CAS 283173-50-2

Catalog Number:

Molecular Weight: 323.36 **Molecular Formula:** C19H18FN3O

Description: Rucaparib, also named as AG-014699 or PF-01367338, is a poly (ADP ribose) polymerase (PARP) inhibitor. PARP is a DNA damage-activated nuclear enzyme that has a key signaling role in the base excision repair pathway. So, rucaparib has been also found to be most effective in cells deficient in DNA repair, where the cells deficient are caused by exposure to genotoxic agents, such as irradiation produces DNA damage and its toxicity is augmented when the DNA repair is impaired. Increased radiosensitivity in presence of rucaparib was associated with persistent DNA breaks as determined by gamma-H2AX and p53BP1 foci. Rucaparib radiosensitizes prostate cancer cells, most effectively those that are PTEN-deficient and are expressing ETS gene fusion proteins, which inhibits NHEJ DNA repair.

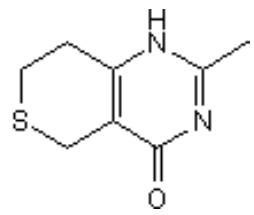


DR 2313 - CAS 284028-90-6

Catalog Number:

Molecular Weight: 182.24 **Molecular Formula:** C8H10N2OS

Description: DR 2313 has been found to be a PARP-1/PARP-2 inhibitor and could exhibit neuroprotective avtivities as well as reduce cortical infarct volume in focal ischemia.

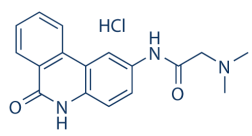


PJ34 - CAS 344458-15-7

Catalog Number: 344458-15-7

Molecular Weight: 331.8 **Molecular Formula:** C17H18ClN3O2

Description: PJ34 is a novel and potential PARP inhibitor with potential anticancer activity. PJ34 has a high affinity for PARP-1 (IC(50) = 20 nM) .

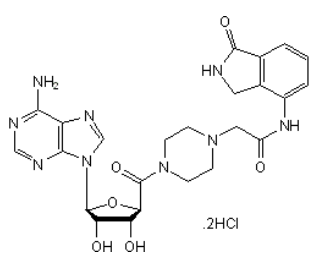


EB 47 dihydrochloride - CAS 1190332-25-2

Catalog Number:

Molecular Weight: 610.45 **Molecular Formula:** C24H27N9O6.2HCl

Description: EB 47 dihydrochloride is a PARP-1 inhibitor (IC50 = 45 nM) that reduces infarct volume in both a rat transient middle cerebral arterial occlusion model and a cardiac reperfusion model.

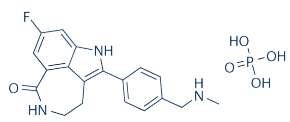


Rucaparib - CAS 459868-92-9

Catalog Number: 459868-92-9

Molecular Weight: 421.365 **Molecular Formula:** C19H21FN3O5P

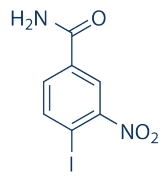
Description: Rucaparib, also known as (AG-14699 or PF-01367338, is a tricyclic indole poly(ADP-Ribose) polymerase (PARP1) inhibitor with potential chemosensitizing, radiosensitizing, and antineoplastic activities. Rucaparib selectively binds to PARP1 and inhibits PARP1-mediated DNA repair, thereby enhancing the accumulation of DNA strand breaks and promoting genomic instability and apoptosis. This may enhance the cytotoxicity of DNA-damaging agents and reverse tumor cell resistance to chemotherapy and radiation therapy. PARP1 catalyzes post-translational ADP-ribosylation of nuclear proteins and is activated by single-strand DNA (ssDNA) breaks.



Iniparib - CAS 160003-66-7

Catalog Number: 160003-66-7

Molecular Weight: 292.032 **Molecular Formula:** C7H5IN2O3

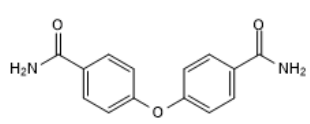


Description: BSI-201 is a small-molecule prodrug inhibitor of the nuclear enzyme poly(ADP-ribose) polymerase-1 (PARP-1) with potential chemosensitizing, radiosensitizing and antineoplastic activities. In vivo, PARP-1 inhibitor BSI-201 is converted to the active drug, which selectively binds to PARP-1 and inhibits PARP-1- mediated DNA repair. Consequently, this agent may enhance the cytotoxicity of DNA-damaging agents and reverse tumor cell resistance to chemotherapy and radiation therapy. In addition, PARP-1 inhibitor BSI-201 may exhibit direct antineoplastic activity against cancers defective in DNA repair. PARP-1 catalyzes post-translational ADP-ribosylation of nuclear proteins and is activated by single-strand DNA (ssDNA) breaks.

OUL 35 - CAS 6336-34-1

Catalog Number:

Molecular Weight: 256.26 **Molecular Formula:** C14H12N2O3

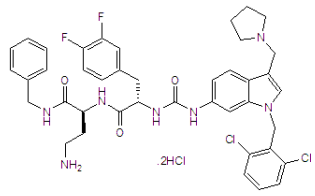


Description: OUL 35 is a PARP-10 inhibitor with IC50 value of 0.329 μM. It can rescue HeLa cells from ARTD10 induced apoptotic cell death.

RWJ 56110 - CAS 252889-88-6

Catalog Number:

Molecular Weight: 863.65 **Molecular Formula:** C41H43Cl2F2N7O3.2HCl



Description: RWJ 56110 is a selective Thrombin R protease-activated receptor (PAR)-1 antagonist which exhibits no activity at PAR2, PAR3, or PAR4 subtypes. It blocks thrombin-induced platelet aggregation and activation of MAPK in HUVECs.

Parstatin (human) - CAS 1065755-99-8

Catalog Number:

Molecular Weight: 4467.29 **Molecular Formula:** C191H330N64O53S3

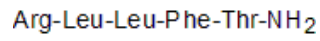
Met-Gly-Pro-Arg-Arg-Leu-Leu-Leu-Val-Ala-Ala-Cys-Phe-Ser-Leu-Cys-Gly-Pro-Leu-Leu-Ser-Ala-Arg-Thr-Arg-Ala-Arg-Arg-Pro-Glu-Ser-Lys-Ala-Thr-Asn-Ala-Thr-Leu-Asp-Pro-Arg

Description: Parstatin is a 41-amino acid peptide, formed by proteolytic cleavage on activation of the protease activated receptor-1, with antiangiogenic properties. Parstatin (human) attenuates endothelial cell migration and proliferation (IC50 ~ 3 μM), and induces cell cycle arrest. It promotes activation of caspase-3 and exhibits pro-apoptotic activity in vitro.

RLLFT-NH - CAS 447408-68-6

Catalog Number:

Molecular Weight: 647.82 **Molecular Formula:** C31H53N9O6

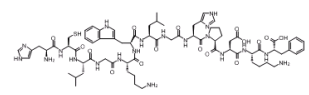


Description: RLLFT-NH is a reversed amino acid sequence control peptide for TFLLR-NH2. TFLLR-NH2 is a peptide derived from the protease-activated receptor-1 (PAR1) that acts as a PAR1 selective agonist (EC50 = 1.9 μM).

TFLLR-NH2 - CAS 197794-83-5

Catalog Number:

Molecular Weight: 647.81 **Molecular Formula:** C31H53N9O6

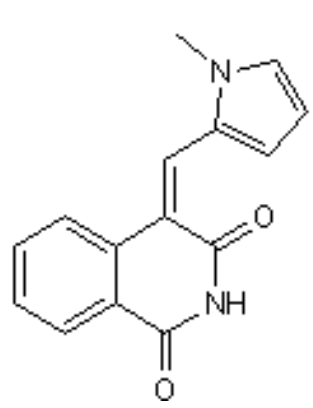


Description: TFLLR-NH2, derived from the protease-activated receptor-1 (PAR1), is a selective PAR1 agonist with an EC50 of 1.9 μM.

BYK 204165 - CAS 1104546-89-5

Catalog Number:

Molecular Weight: 252.27 **Molecular Formula:** C15H12N2O2

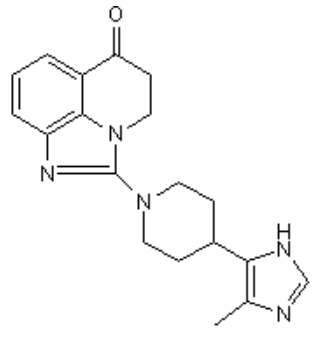


Description: BYK 204165 is a potent and selective poly(ADP-ribose) polymerase (PARP)-1 inhibitor (pIC50 = 5.38 and 7.35 for PARP-2 and PARP-1, respectively). BYK 204165 is 100-fold more potent for PARP-1 than PARP-2.

BYK 49187 - CAS 163120-31-8

Catalog Number:

Molecular Weight: 335.4 **Molecular Formula:** C19H21N5O



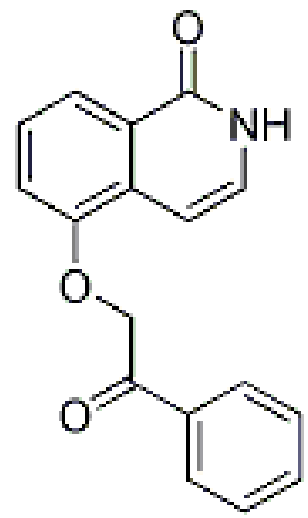
Description: BYK 49187 is a non-selective PARP inhibitor (pIC50 = 8.36 and 7.50 for cell-free recombinant PARP-1 and murine PARP-2, respectively).

UPF 1069 - CAS 1048371-03-4

Catalog Number: 1048371-03-4

Molecular Weight: 279.29 **Molecular Formula:** C17H13NO3

Description: UPF 1069 is a selective PARP2 inhibitor with IC50 of 0.3 μM. It is ~27-fold selective against PARP1.PARP activity is evaluated by utilizing commercially available recombinant bovine PARP-1 and mouse PARP-2. Briefly

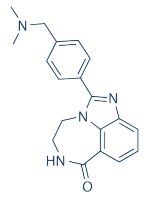


AG-14361 - CAS 328543-09-5

Catalog Number: 328543-09-5

Molecular Weight: 320.39 **Molecular Formula:** C19H20N4O

Description: AG14361 is a PARP-1 inhibitor with Ki < 5 nmol/L. AG14361 is at least 1000-fold more potent than the benzamides. The IC50 for AG14361 is 29 nM in permeabilized SW620 cells and 14 nM in intact SW620 cells.

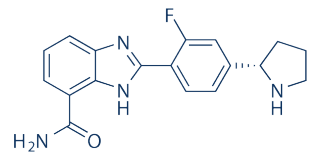


A-966492 - CAS 934162-61-5

Catalog Number: 934162-61-5

Molecular Weight: 324.35 **Molecular Formula:** C18H17FN4O

Description: A-966492 is a novel and potent inhibitor of PARP1 and PARP2 with Ki of 1 nM and 1.5 nM, respectively

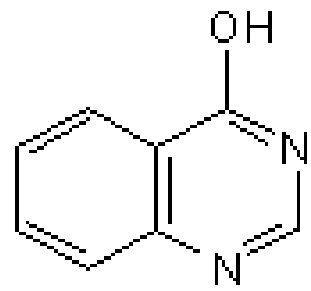


4-HQN - CAS 491-36-1

Catalog Number:

Molecular Weight: 146.15 **Molecular Formula:** C8H6N2O

Description: 4-HQN is a poly(ADP-ribose) polymerase (PARP) inhibitor (IC50 = 9.5 μM). 4-HQN exhibits antianti-ischemic activity and reduces ROS production, subsequent mitochondrial and cell damage in rat heart. It also blocks NF-κB and AP-1 activation.

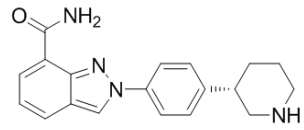


niraparib - CAS 1038915-60-4

Catalog Number:

Molecular Weight: 320.394 **Molecular Formula:** C19H20N4O

Description: Niraparib is an inhibitor of PARP, which was approved by FDA for the maintenance treatment of adult patients with recurrent epithelial ovarian, fallopian tube or primary peritoneal cancer who are in a complete or partial response to platinum-based chemotherapy.

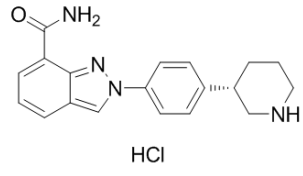


MK-4827 hydrochloride - CAS 1038915-64-8

Catalog Number: 1038915-64-8

Molecular Weight: 356.85 **Molecular Formula:** C19H21ClN4O

Description: MK-4827 HCl is a selective inhibitor of PARP1/PARP2 with IC50 of 3.8 nM/2.1 nM. It has a great activity in cancer cells with mutant BRCA-1 and BRCA-2, >330-fold selective against PARP3, V-PARP and Tank1.

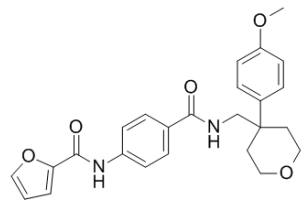


JW55 - CAS 664993-53-7

Catalog Number: 664993-53-7

Molecular Weight: 434.492 **Molecular Formula:** C25H26N2O5

Description: JW 55 is a potent and selective β-catenin signaling pathway inhibitor, which functions via inhibition of the PARP domain of tankyrase 1 and tankyrase 2 (TNKS1/2). JW 55 decreases auto-PARsylation of TNKS1/2 in vitro with IC50s of 1.9 μM and 830 nM respectively.

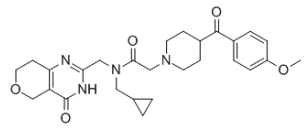


TNKS656 - CAS 1419949-20-4

Catalog Number: 1419949-20-4

Molecular Weight: 494.592 **Molecular Formula:** C27H34N4O5

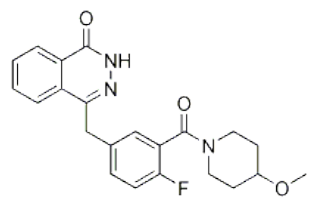
Description: NVP-TNKS656, also known as TNKS656, is a potent and orally active Tankyrase Inhibitor. With an enthalpy-driven thermodynamic signature of binding, highly favorable physicochemical properties, and high lipophilic efficiency, NVP-TNKS656 is well suited for further in vivo validation studies.



AZD-2461 - CAS 1174043-16-3

Catalog Number: 1174043-16-3

Molecular Weight: 395.434 **Molecular Formula:** C22H22FN3O3

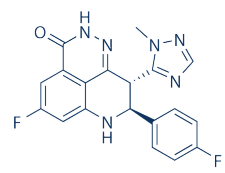


Description: AZD2461 is a novel and potent PARP inhibitor with lower affinity to P-glycoprotein. AZD2641 is currently in Phase I clinical study. The study is being conducted to see how it may work to treat solid tumors. The study will also assess the blood levels and action of AZD2461 in the body over a period of time and will indicate whether the drug has a therapeutic effect on solid tumors.

Talazoparib - CAS 1207456-01-6

Catalog Number: B0084-462689

Molecular Weight: 380.359 **Molecular Formula:** C19H14F2N6O

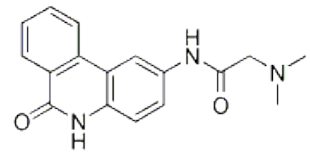


Description: Talazoparib, also known as BMN-673, is an orally bioavailable inhibitor of the nuclear enzyme poly(ADP-ribose) polymerase (PARP) with potential antineoplastic activity. BMN-673 selectively binds to PARP and prevents PARP-mediated DNA repair of single strand DNA breaks via the base-excision repair pathway. BMN-673 has been proven to be highly active in mouse models of human cancer and also appears to be more selectively cytotoxic with a longer half-life and better bioavailability as compared to other compounds in development.

PJ-34 HCL - CAS 344458-19-1

Catalog Number: 344458-19-1

Molecular Weight: 295.342 **Molecular Formula:** C17H17N3O2

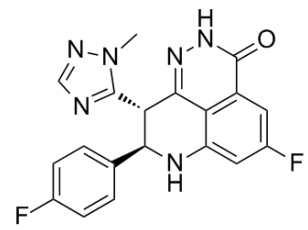


Description: PJ34 is a potent specific inhibitor of PARPl/2 with IC50 of 110 nM and 86 nM, respectively.

BMN-673 8R,9S - CAS 1207456-00-5

Catalog Number: 1207456-00-5

Molecular Weight: 380.35 **Molecular Formula:** C19H14F2N6O

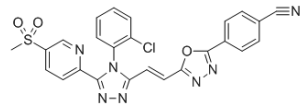


Description: BMN-673 is an orally bioavailable inhibitor of the nuclear enzyme poly(ADP-ribose) polymerase (PARP) with potential antineoplastic activity. PARP inhibitor BMN-673 selectively binds to PARP and prevents PARP-mediated DNA repair of single strand DNA breaks via the base-excision repair pathway. This enhances the accumulation of DNA strand breaks, promotes genomic instability and eventually leads to apoptosis. PARP catalyzes post-translational ADP-ribosylation of nuclear proteins that signal and recruit other proteins to repair damaged DNA and is activated by single-strand DNA breaks. BMN-673 has been proven to be highly active in mouse models of human cancer and also appears to be more selectively cytotoxic with a longer half-life and better bioavailability as compared to other compounds in development.

G007-LK - CAS 1380672-07-0

Catalog Number: 1380672-07-0

Molecular Weight: 529.96 **Molecular Formula:** C25H16ClN7O3S

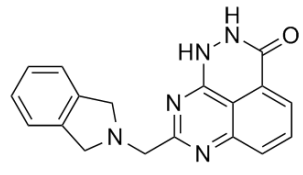


Description: G007-LK is a potent, "rule of 5" compliant and a metabolically stable TNKS1/2 inhibitor. G007-LK displayed high selectivity toward tankyrases 1 and 2 with biochemical IC50 values of 46 nM and 25 nM, respectively, and a cellular IC50 value of 50 nM combined with an excellent pharmacokinetic profile in mice. G007-LK was first discovered by Dr. Stefan Krauss's team.

E7449 - CAS 1140964-99-3

Catalog Number: 1140964-99-3

Molecular Weight: 317.34 **Molecular Formula:** C18H15N5O

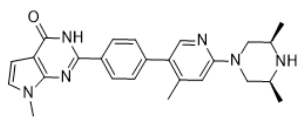


Description: E7449 is an orally bioavailable, potent, small molecule inhibitor of PARP1 and PARP2, which enhances the efficacy of radiotherapy and chemotherapy and has potent single agent anticancer activity in BRCA-deficient tumors.

AZ6102 - CAS 1645286-75-4

Catalog Number: 1645286-75-4

Molecular Weight: 428.53 **Molecular Formula:** C25H28N6O

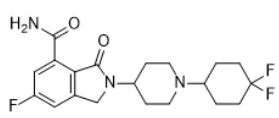


Description: AZ6102 is a moderate oral bioavailable dual inhibitor of TNKS1/2 with excellent selectivity against other PARP family enzymes including PARP-1, PARP-2 and PARP-6. It also inhibits Wnt signaling in DLD-1 cells. IC50: TNKS2= 1 nM; TNKS1= 3 nM; Wnt signaling

NMS-P118 - CAS 1262417-51-5

Catalog Number: 1262417-51-5

Molecular Weight: 395.42 **Molecular Formula:** C20H24F3N3O2

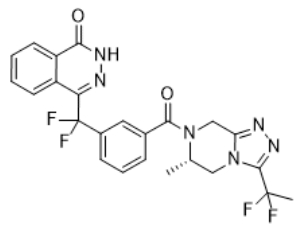


Description: NMS-P118 is a highly selective Poly(ADP-ribose) Polymerase 1 (PARP-1) inhibitor with excellent ADME, pharmacokinetic profiles and high efficacy in vivo originated by Nerviano Medical Sciences. It was found to be less myelotoxic in vitro than olaparib which is a dual PARP-1/-2 inhibitor. It was in preclinical trials for Breast cancer, but no development had been published yet.

AZ0108 - CAS 1825345-52-5

Catalog Number: 1825345-52-5

Molecular Weight: 500.45 **Molecular Formula:** C24H20F4N6O2

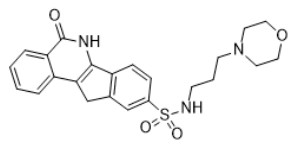


Description: AZ0108 is an orally bioavailable, PARP1,2,6 inhibitor that causes a multi-polar spindle phenotype at double digit nM concentrations. AZ0108 has been utilized as in vitro tools and in vivo probes to investigate the biological consequences of inhibiting centrosome clustering through PARP enzymes.

IN01001 - CAS 501364-82-5

Catalog Number: 501364-82-5

Molecular Weight: 439.53 **Molecular Formula:** C23H25N3O4S



Description: INO1001 is an isoindolinone derivative and potent inhibitor of the nuclear enzyme poly (ADP-ribose) polymerase (PARP) with chemosensitization and radiosensitization properties. It inhibits PARP and results in inhibition of tumor cell DNA repair mechanisms. It has tumor cell resistance and may be used to chemotherapy and radiation therapy.