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Inhibitors, Screening Libraries, Proteins

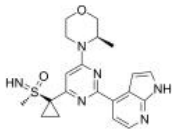
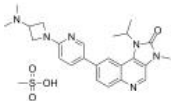
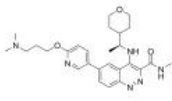
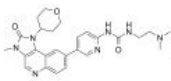
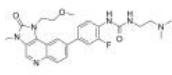
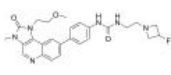
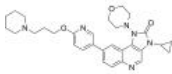
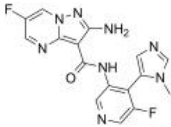
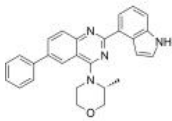
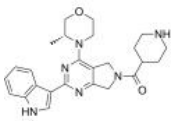
ATM/ATR

Ataxia telangiectasia mutated; ATM and RAD3 related

ATM/ATR, members of the phosphatidylinositol 3-kinase-like family of serine/threonine protein kinases (PIKKs), are widely known as being central players in the mitotic DNA damage response (DDR), mounting responses to DNA double-strand breaks (DSBs) and single-stranded DNA (ssDNA) respectively. Activation of ATM by ionizing radiation results in the activation of signal transduction pathways that induce cell cycle arrest at G1/S, S and G2/M. ATR is required for cell cycle arrest in response to DNA-damaging agents such as ultraviolet radiation that cause bulky lesions.

Upon activation, ATM/ATR phosphorylate numerous targets to stabilize stalled replication forks, repair damaged DNA, and inhibit cell cycle progression to ensure survival of the cell and safeguard integrity of the genome. ATM and ATR are central players in activating cell cycle checkpoints and function as an active barrier against genome instability and tumorigenesis in replicating cells.

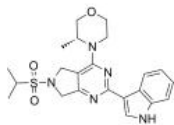
ATM/ATR Inhibitors & Activators

<p>(S)-Ceralasertib (S)-AZD6738</p> <p>Cat. No.: HY-19323A</p> <p>(S)-Ceralasertib ((S)-AZD6738) is extracted from patent WO2011154737A1, Compound II, exhibits an IC_{50} of 2.578 nM.</p>  <p>Purity: 95.66% Clinical Data: Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Antitumor agent-28</p> <p>Cat. No.: HY-141478</p> <p>Antitumor agent-28 selectively inhibits ataxia telangiectasia mutated (ATM) kinase. Antitumor agent-28 prevents ATM mediated disease and has potent anti-cancer activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>ATM Inhibitor-1</p> <p>Cat. No.: HY-112614</p> <p>ATM Inhibitor-1 is a highly potent, selective and orally active ATM inhibitor, with an IC_{50} of 0.7 nM, shows weak activity against mTOR (IC_{50} 21 μM), DNAPK (IC_{50} 2.8 μM), PI3Kα (IC_{50} 3.8 μM), PI3Kβ (IC_{50} 10.3 μM), PI3Kγ (IC_{50} 3 μM) and PI3Kδ (IC_{50} 0.73 μM).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ATM Inhibitor-2</p> <p>Cat. No.: HY-144685</p> <p>ATM Inhibitor-2 (compound 7) is a potent and selective ATM inhibitor, with an IC_{50} of <1 nM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>ATM Inhibitor-3</p> <p>Cat. No.: HY-144686</p> <p>ATM Inhibitor-3 (compound 34) is a potent and selective ATM inhibitor, with an IC_{50} of 0.71 nM. ATM Inhibitor-3 shows inhibition of PI3K kinases family. ATM Inhibitor-3 exhibits favorable metabolic stability.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ATM Inhibitor-4</p> <p>Cat. No.: HY-144687</p> <p>ATM Inhibitor-4 (compound 39) is a potent and selective ATM inhibitor, with an IC_{50} of 0.32 nM. ATM Inhibitor-4 shows stronger inhibition of PI3K kinases family. ATM Inhibitor-4 shows a full inhibition of mTOR at 1 μM. ATM Inhibitor-4 exhibits favorable metabolic stability.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>ATM-IN-1</p> <p>Cat. No.: HY-142931</p> <p>ATM-IN-1 is a potent inhibitor of ATM. ATM is located mainly in the nucleus and microsomes and is involved in cell cycle progression and in the cell cycle checkpoint response to DNA damage.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ATR inhibitor 1</p> <p>Cat. No.: HY-111451</p> <p>ATR inhibitor 1 is a ATR inhibitor extracted from patent WO2015187451A1, compound I-I, has a K_i value below 1 μM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>ATR-IN-10</p> <p>Cat. No.: HY-144214</p> <p>ATR-IN-10 is a potent and highly selective inhibitor of ataxia telangiectasia mutated and Rad3-Related (ATR) kinase with an IC_{50} value of 2.978 μM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ATR-IN-11</p> <p>Cat. No.: HY-144435</p> <p>ATR-IN-11 (Compound Hit01) is a potent inhibitor of ataxia telangiectasia and Rad3-related (ATR) kinase. ATR kinase is a key regulating protein within the DNA damage response (DDR), responsible for sensing replication stress (RS).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

ATR-IN-12

Cat. No.: HY-144436

ATR-IN-12 (Compound 5g) is a potent inhibitor of ataxia telangiectasia and Rad3-related (ATR) kinase with an IC_{50} value of 0.007 μ M.

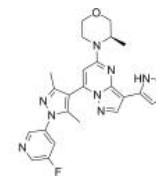


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-13

Cat. No.: HY-147565

ATR-IN-13 (compound A9) is a potent ATR kinase inhibitor, with an IC_{50} of 2 nM. ATR-IN-13 can be used for ATR kinase mediated diseases research, such as proliferative diseases and cancer.

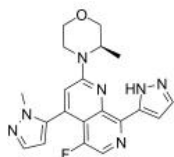


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-14

Cat. No.: HY-147566

ATR-IN-14 (compound 1) is a potent ATR kinase inhibitor. ATR-IN-14 inhibits ATR signaling pathways downstream CHK1 protein phosphorylation, with inhibition of 98.03% at 25 nM. ATR-IN-14 shows good anticancer activity in LoVo cells, with an IC_{50} of 64 nM.

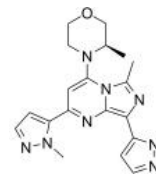


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-15

Cat. No.: HY-147567

ATR-IN-15 (compound 1) is an orally active and potent ATR kinase inhibitor, with an IC_{50} of 8 nM. ATR-IN-15 also inhibits human colon tumor cells LoVo, DNA-PK and PI3K, with IC_{50} values of 47, 663 and 5131 nM, respectively.

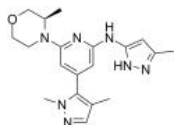


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-16

Cat. No.: HY-147568

ATR-IN-16 (compound 46) is a potent ATR kinase inhibitor. ATR-IN-16 shows good anticancer activity in LoVo cells, with an IC_{50} of 410 nM.

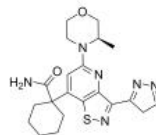


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-17

Cat. No.: HY-147569

ATR-IN-17 (compound 88) is a potent ATR kinase inhibitor. ATR-IN-17 shows good anticancer activity in LoVo cells, with an IC_{50} of 1 nM.

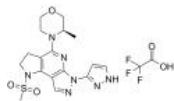


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-18

Cat. No.: HY-147570

ATR-IN-18 (compound 2) is an orally active and potent ATR kinase inhibitor, with an IC_{50} of 0.69 nM. ATR-IN-18 shows antiproliferative activity in LoVo cells, with an IC_{50} of 37.34 nM. ATR-IN-18 has anti-tumor activity.

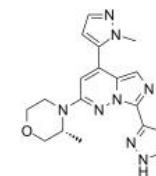


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-4

Cat. No.: HY-145312

ATR-IN-4 is a potent ATR (Ataxia telangiectasia mutated gene Rad 3-associated kinase) inhibitor. ATR-IN-4 inhibits growth of human prostate cancer cells DU145 and human lung cancer cells NCI-H460 with IC_{50} s of 130.9 nM and 41.33 nM, respectively. (Patent CN112142744A, compound 13).

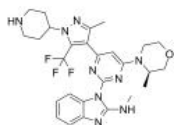


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ATR-IN-5

Cat. No.: HY-142671

ATR-IN-5 is a potent inhibitor of ATR. ATR is a class of protein kinases involved in genome stability and DNA damage repair, and is a member of the PIKK family.

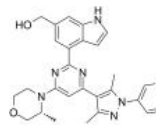


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

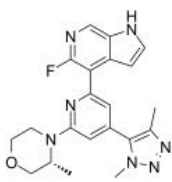
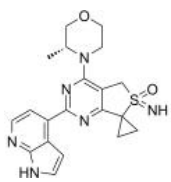
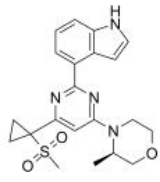
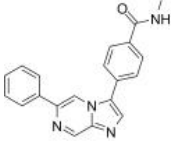
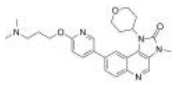
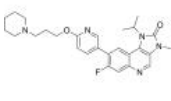
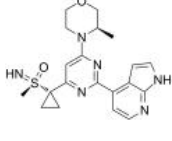
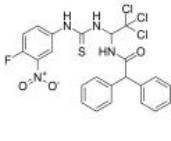
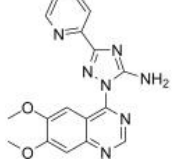
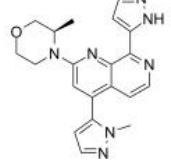
ATR-IN-6

Cat. No.: HY-142672

ATR-IN-6 is a potent inhibitor of ATR. ATR is a class of protein kinases involved in genome stability and DNA damage repair, and is a member of the PIKK family.



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

<p>ATR-IN-7</p> <p>Cat. No.: HY-142673</p> <p>ATR-IN-7 is a potent inhibitor of ATR. ATR is a class of protein kinases involved in genome stability and DNA damage repair, and is a member of the PIKK family.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 	<p>ATR-IN-8</p> <p>Cat. No.: HY-142924</p> <p>ATR-IN-8 is a potent inhibitor of ATR. ATR is a key enzyme in the homologous recombination repair pathway and belongs to the PIKK family. ATR-IN-8 has the potential for the research of cancer diseases (extracted from patent WO2021143821A1, compound 3).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>AZ20</p> <p>Cat. No.: HY-15557</p> <p>AZ20 is a potent and selective inhibitor of ATR with an IC_{50} of 5 nM, and has 8-fold selectivity against mTOR (IC_{50}=38 nM).</p> <p>Purity: 99.86% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>AZ32</p> <p>Cat. No.: HY-112305</p> <p>AZ32 is an orally bioavailable and blood-brain barrier-penetrating ATM inhibitor with an IC_{50} of <6.2 nM for ATM enzyme, and an IC_{50} of 0.31 μM for ATM in cell.</p> <p>Purity: 99.62% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>AZD0156</p> <p>Cat. No.: HY-100016</p> <p>AZD0156 is a potent, selective and orally active ATM inhibitor with an IC_{50} of 0.58 nM. AZD0156 inhibits the ATM-mediated signaling, prevents DNA damage checkpoint activation, disrupts DNA damage repair, and induces tumor cell apoptosis.</p> <p>Purity: 99.82% Clinical Data: Phase 1 Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>AZD1390</p> <p>Cat. No.: HY-109566</p> <p>AZD1390 is a potent, highly selective, orally bioavailable, brain-penetrant ATM inhibitor with an IC_{50} of 0.78 nM in cell.</p> <p>Purity: 99.97% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p> 
<p>Ceralasertib (AZD6738)</p> <p>Cat. No.: HY-19323</p> <p>Ceralasertib (AZD6738) is an orally active and bioavailable inhibitor of ATR kinase with an IC_{50} of 1 nM.</p> <p>Purity: 99.76% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>CGK733</p> <p>Cat. No.: HY-15520</p> <p>CGK733 is a potent ATM/ATR inhibitor, used for the research of cancer.</p> <p>Purity: 99.83% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</p> 
<p>CP-466722</p> <p>Cat. No.: HY-11002</p> <p>CP-466722 is a rapidly reversible inhibitor of ATM, with an IC_{50} of 4.1 μM, and has no effects on PI3K or closely related PI3K-like protein kinase (PIKK) family members.</p> <p>Purity: 99.40% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Elimusertib (BAY 1895344)</p> <p>Cat. No.: HY-101566</p> <p>Elimusertib (BAY-1895344) is a potent, orally active and selective ATR inhibitor with an IC_{50} of 7 nM. Elimusertib has anti-tumor activity. Elimusertib can be used for the research of solid tumors and lymphomas.</p> <p>Purity: 99.99% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 

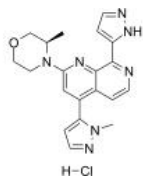
Elimusertib hydrochloride

(BAY 1895344 hydrochloride)

Cat. No.: HY-101566A

Elimusertib (BAY 1895344) hydrochloride is a potent, orally active and selective ATR inhibitor with an IC_{50} of 7 nM. Elimusertib hydrochloride has anti-tumor activity. Elimusertib hydrochloride can be used for the research of solid tumors and lymphomas.

Purity: 99.84%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

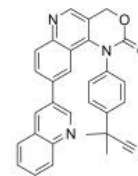


ETP-46464

Cat. No.: HY-15521

ETP-46464 is an effective mTOR and ATR inhibitor with IC_{50} s of 0.6 and 14 nM, respectively.

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

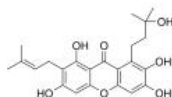


Garcinone C

Cat. No.: HY-N6954

Garcinone C, a xanthone derivative, is a natural compound extracted from *Garcinia oblongifolia* Champ that is used as an anti-inflammatory, astringency and granulation-promoting medicine, and has potential cytotoxic effects on certain cancers.

Purity: 99.66%
Clinical Data: No Development Reported
Size: 1 mg



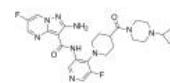
Gartisertib

(VX-803; M4344; ATR inhibitor 2)

Cat. No.: HY-136270

Gartisertib (VX-803) is an ATP-competitive, orally active, and selective ATR inhibitor, with a K_i of <150 pM. Gartisertib potently inhibits ATR-driven phosphorylated checkpoint kinase-1 (Chk1) phosphorylation with an IC_{50} of 8 nM. Antitumor activity.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

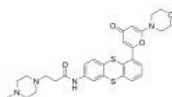


KU 59403

Cat. No.: HY-18650

KU 59403 is a potent ATM inhibitor, with IC_{50} values of 3 nM, 9.1 μ M and 10 μ M for ATM, DNA-PK and PI3K, respectively.

Purity: 99.23%
Clinical Data: No Development Reported
Size: 1 mg

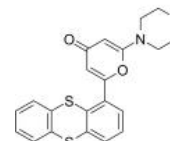


KU-55933

Cat. No.: HY-12016

KU-55933 is a potent ATM inhibitor with an IC_{50} and K_i of 12.9 and 2.2 nM, respectively, and is highly selective for ATM as compared to DNA-PK, PI3K/PI4K, ATR and mTOR.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

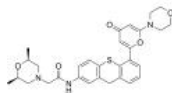


KU-60019

Cat. No.: HY-12061

KU-60019 is an improved ATM kinase-specific inhibitor with IC_{50} of 6.3 nM.

Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

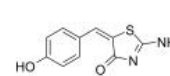


Mirin

Cat. No.: HY-117693

Mirin is a potent Mre11-Rad50-Nbs1 (MRN) complex inhibitor. Mirin prevents MRN-dependent activation of ATM (IC_{50} =12 μ M) without affecting ATM protein kinase activity, and it inhibits Mre11-associated exonuclease activity.

Purity: 98.02%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

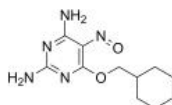


NU6027

Cat. No.: HY-13816

NU6027 is a potent and ATP-competitive inhibitor of both CDK1 and CDK2, with K_i s of 2.5 μ M and 1.3 μ M, respectively. NU6027 is also a potent inhibitor of ATR and enhances hydroxyurea and cisplatin cytotoxicity in an ATR-dependent manner.

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

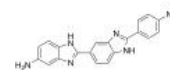


Ro 90-7501

Cat. No.: HY-103241

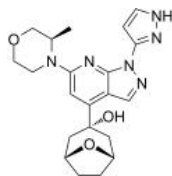
Ro 90-7501 is an amyloid β_{42} ($A\beta_{42}$) fibril assembly inhibitor that reduces $A\beta_{42}$ -induced cytotoxicity (EC_{50} of 2 μ M). Ro 90-7501 inhibits ATM phosphorylation and DNA repair.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

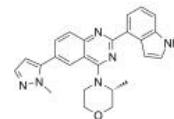


RP-3500**(ATR inhibitor 4)****Cat. No.:** HY-139609

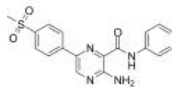
RP-3500 (ATR inhibitor 4) is an orally active, selective ATR kinase inhibitor (ATRi) with an IC_{50} of 1.00 nM in biochemical assays. RP-3500 shows 30-fold selectivity for ATR over mTOR (IC_{50} =120 nM) and >2,000-fold selectivity over ATM, DNA-PK, and PI3K α kinases.

Purity: >98%**Clinical Data:** No Development Reported**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg**SKLB-197****Cat. No.:** HY-144217

SKLB-197 showed an IC_{50} value of 0.013 μ M against ATR but very weak or no activity against other 402 protein kinases. It displayed potent antitumor activity against ATM-deficient tumors both in vitro and in vivo.

Purity: 99.86%**Clinical Data:** No Development Reported**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg**VE-821****Cat. No.:** HY-14731

VE-821 is a potent ATP-competitive inhibitor of ATR with K_i/IC_{50} of 13 nM/26 nM.

**Purity:** 98.94%**Clinical Data:** No Development Reported**Size:** 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg