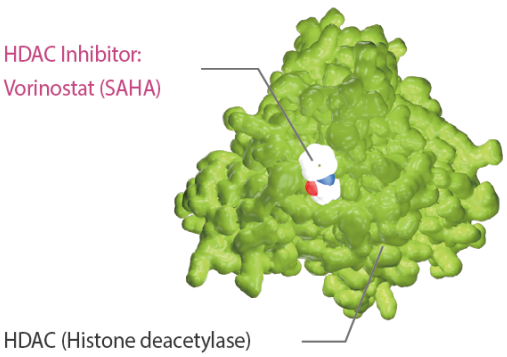
MDM-2/p53



The p53 tumor suppressor is a principal mediator of growth arrest, senescence, and apoptosis in response to a broad array of cellular damage. p53 is a short-lived protein that is maintained at low, often undetectable, levels in normal cells. Under stress conditions, the p53 protein accumulates in the cell, binds in its tetrameric form to p53-response elements and induces the transcription of various genes.

MDM-2 is transcriptionally activated by p53 and MDM-2, in turn, inhibits p53 activity in several ways. MDM-2 binds to the p53 transactivation domain and thereby inhibits p53-mediated transactivation. MDM-2 also contains a signal sequence that is similar to the nuclear export signal of various viral proteins and, after binding to p53, it induces its nuclear export. As p53 is a transcription factor, it needs to be in the nucleus to be able to access the DNA; its transport to the cytoplasm by MDM-2 prevents this. Finally, MDM-2 is a ubiquitin ligase, so is able to target p53 for degradation by the proteasome.

In many tumors p53 is inactivated by the overexpression of the negative regulators MDM2 and MDM4 or by the loss of activity of the MDM2 inhibitor ARF. The pathway can be reactivated in these tumors by small molecules that inhibit the interaction of MDM2 and/or MDM4 with p53. Such molecules are now in clinical trials.

MDM-2/p53 Inhibitors & Modulators

ALRN-6924

Cat. No.: HY-112283

Bioactivity: ALRN-6924 is a stapled peptide that blocks interactions between **p53** and both **MDM2** and **MDMX**. ALRN-6924 induces a complete remission in angioimmunoblastic T-cell lymphoma (AITL) [1].

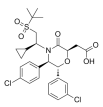
Purity: >98%
Clinical Data: No Development Reported
Size:

AM-8735

Cat. No.: HY-12734

Bioactivity: AM-8735 is a potent and selective **MDM2** inhibitor with an IC_{50} of 25 nM.

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

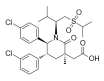


AMG 232

Cat. No.: HY-12296

Bioactivity: AMG 232 is a potent, selective and orally available inhibitor of **p53-MDM2** interaction, with an IC_{50} of 0.6 nM. AMG 232 binds to MDM2 with a K_d of 0.045 nM.

Purity: 99.73%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 50 mg, 100 mg



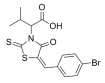
BH3I-1

(BHI1; BH 3I1)

Cat. No.: HY-100383

Bioactivity: BH3I-1 is a **Bcl-2 family** antagonist, which inhibits the binding of the **Bak** BH3 peptide to **Bcl-xL** with a K_i of 2.4±0.2 μM in FP assay. BH3I-1 has a K_d of 5.3 μM against the **p53**/**MDM2** pair.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg



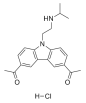
CBL0137 hydrochloride

(Curaxin-137 hydrochloride; CBL-C137 hydrochloride)

Cat. No.: HY-18935A

Bioactivity: CBL0137 hydrochloride is an inhibitor of the histone chaperone, **FACT**. CBL0137 hydrochloride can also activate **p53** and inhibits **NF-κB** with EC_{50}s of 0.37 and 0.47 μM, respectively.

Purity: 98.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

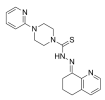


COTI-2

Cat. No.: HY-19896

Bioactivity: COTI-2 is a small molecule candidate anti-cancer drug which can convert mutant **p53** to wild-type conformation.

Purity: 99.40%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

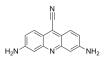


CTX1

Cat. No.: HY-U00442

Bioactivity: CTX1 is a novel small molecule **p53** activator.

Purity: 96.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

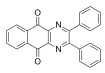


DPBQ

Cat. No.: HY-U00441

Bioactivity: DPBQ is a **p53** activator.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg



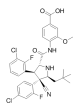
Idasanutlin

(RG7388)

Cat. No.: HY-15676

Bioactivity: Idasanutlin (RG7388) is a potent and selective **MDM2** antagonist, inhibiting p53-MDM2 binding, with an IC_{50} of 6 nM.

Purity: 99.97%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



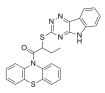
Inauhzin

(INZ)

Cat. No.: HY-15869

Bioactivity: Inauhzin is a dual **SirT1/IMPDH2** inhibitor, and acts as an activator **p53**, used in the research of cancer.

Purity: 98.91%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



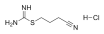
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Kevetrin hydrochloride (4-Isothioureidobutyronitrile hydrochloride; ...)

Cat. No.: HY-16271

Bioactivity: Kevetrin hydrochloride is a small molecule and activator of the tumor suppressor protein p53, with potential antineoplastic activity. Targetp53 in vitro: Kevetrin activates p53 which in turn induces the expressions of p21 and PUMA (p53 up-regulated modulator of apoptosis), thereby...

Purity: 98.0%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

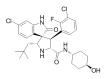


MI-773

Cat. No.: HY-17493

Bioactivity: MI-773 is a new small molecule inhibitor of the **MDM2-p53** interaction, binds to **MDM2** with high affinity (K_i=0.88 nM) and blocks the p53-MDM2 interaction.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

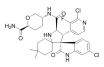


Miladametan (DS-3032)

Cat. No.: HY-101266

Bioactivity: Mliademetan is a specific **MDM2** inhibitor, a pharmaceutical composition for use in treating acute myeloid leukemia (AML).

Purity: 92.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

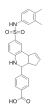


MX69

Cat. No.: HY-100892

Bioactivity: MX69 is an inhibitor of **MDM2/XIAP**, used for cancer treatment.

Purity: 98.59%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

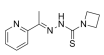


NSC319726 (ZMC1)

Cat. No.: HY-18634

Bioactivity: NSC319726 (ZMC1) is a mutant p53R175 reactivator; inhibits growth of fibroblasts expressing the p53R175 mutation (IC50 = 8 nM); shows no inhibition for p53 wild-type cells.

Purity: 99.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

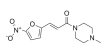


NSC59984

Cat. No.: HY-19726

Bioactivity: NSC59984 induces mutant p53 protein degradation via MDM2 and the ubiquitin-proteasome pathway. The EC50 of NSC59984 in most cancer cells is significantly lower than those of normal cells, with EC50 of 8.38 μM for p53-null HCT116 cells. IC50 value: 8.38 μM (EC50, for p53-null HCT116 cells) Target: p53...

Purity: 99.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

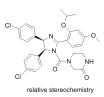


Nutlin 3

Cat. No.: HY-50696

Bioactivity: Nutlin 3 is a commercial available **p53-MDM2** inhibitor, with K_i of 90 nM.

Purity: 98.32%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

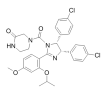


Nutlin 3a (Nutlin-3a chiral)

Cat. No.: HY-10029

Bioactivity: Nutlin 3a is an active enantiomer of Nutlin-3, acts as a murine double minute (**MDM2**) antagonist that inhibits **MDM2-p53** interactions and stabilizes the p53 protein, and thereby induces cell cycle arrest and apoptosis.

Purity: 98.11%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

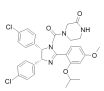


Nutlin 3b (Nutlin-3b)

Cat. No.: HY-15335

Bioactivity: Nutlin-3b is a **p53**/ **MDM2** inhibitor with an IC_{50} of 13.6 μM. Nutlin-3b is 150 times less potent in binding to MDM2 than Nutlin-3a [1].

Purity: 96.32%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

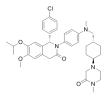


NVP-CGM097 (CGM097)

Cat. No.: HY-15954

Bioactivity: NVP-CGM097 is a potent and selective **MDM2** inhibitor with IC_{50} of 1.7±0.1 nM for **hMDM2**.

Purity: 98.32%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

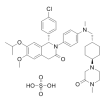


NVP-CGM097 sulfate

(CGM097 sulfate) Cat. No.: HY-15954B

Bioactivity: NVP-CGM097 sulfate is a potent and selective **MDM2** inhibitor with **IC_{50}** of 1.7±0.1 nM for **hMDM2**.

Purity: 98.83%
Clinical Data: Phase 1
Size: 10mM x 1mL in Water,
2 mg, 5 mg, 10 mg, 50 mg, 100 mg

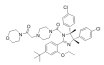


p53 and MDM2 proteins-interaction-inhibitor chiral

Cat. No.: HY-70027

Bioactivity: p53 and MDM2 proteins-interaction-inhibitor (chiral) (Compound 32) is an inhibitor of the interaction between p53 and MDM2 proteins.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 100 mg

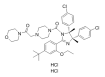


p53 and MDM2 proteins-interaction-inhibitor dihydrochloride

Cat. No.: HY-70027A

Bioactivity: p53 and MDM2 proteins-interaction-inhibitor (2Hcl) is an inhibitor of the interaction between p53 and MDM2 proteins.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 100 mg

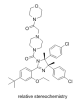


p53 and MDM2 proteins-interaction-inhibitor racemic

Cat. No.: HY-70028

Bioactivity: p53 and MDM2 proteins-interaction-inhibitor (racemic) (Compound 2j) is an inhibitor of the interaction between p53 and MDM2 proteins.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg, 100 mg

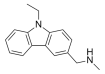


PhiKan 083

Cat. No.: HY-108637

Bioactivity: PhiKan 083 is a carbazole derivative, which binds to the surface cavity and stabilizes **Y220C** (a **p53** mutant), with a **K_d** of 167 μM [1], and a relative binding affinity (**K_d**) of 150 μM in Ln229 cells [3].

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg

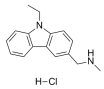


PhiKan 083 hydrochloride

Cat. No.: HY-108637A

Bioactivity: PhiKan 083 hydrochloride is a carbazole derivative, which binds to the surface cavity and stabilizes **Y220C** (a **p53** mutant), with a **K_d** of 167 μM [1], and a relative binding affinity (**K_d**) of 150 μM in Ln229 cells [3].

Purity: 99.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

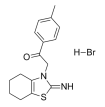


Pifithrin-α hydrobromide

(Pifithrin hydrobromide; PFTα hydrobromide) Cat. No.: HY-15484

Bioactivity: Pifithrin-α hydrobromide is a **p53** inhibitor which blocks its transcriptional activity and prevents cells from apoptosis.

Purity: 98.28%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg

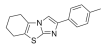


Pifithrin-β

(PFT β) Cat. No.: HY-16702

Bioactivity: Pifithrin-β is a potent **p53** inhibitor with an **IC_{50}** of 23 μM.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

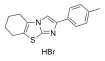


Pifithrin-β hydrobromide

(PFT β (hydrobromide)) Cat. No.: HY-16702A

Bioactivity: Pifithrin-β is a potent **p53** inhibitor with an **IC_{50}** of 23 μM.

Purity: 99.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg

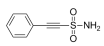


Pifithrin-μ

(PFTμ; 2-Phenylethynesulfonamide) Cat. No.: HY-10940

Bioactivity: Pifithrin-μ is an inhibitor of **p53** and **HSP70**, with antitumor and neuroprotective activity.

Purity: 98.31%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg

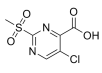


PK11007

Cat. No.: HY-U00447

Bioactivity: PK11007 is a **p53** targeting compound, has anti-tumor activities through activation of unstable **p53**.

Purity: 99.74%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg



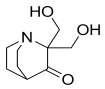
PRIMA-1

(NSC-281668)

Cat. No.: HY-19980A

Bioactivity: PRIMA-1 (NSC-281668) is a mutant **p53** reactivator, restores the sensitivity of TP53 mutant-type thyroid cancer cells to the histone methylation inhibitor 3-Deazaneplanocin A.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg



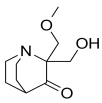
PRIMA-1Met

(APR-246)

Cat. No.: HY-19980

Bioactivity: PRIMA-1MET restores wild-type conformation and function to mutant **p53**, and triggers apoptosis in tumor cells. PRIMA-1MET also targets the selenoprotein thioredoxin reductase 1 (**TrxR1**), a key regulator of cellular redox balance.

Purity: 99.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



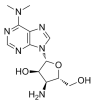
Puromycin aminonucleoside

(NSC 3056)

Cat. No.: HY-15695

Bioactivity: Puromycin aminonucleoside (NSC 3056) is the aminonucleoside portion of the antibiotic puromycin, and a puromycin analog which does not inhibit protein synthesis or induce apoptosis.

Purity: 98.31%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg, 500 mg, 1 g



ReACp53

Cat. No.: HY-P0121

Bioactivity: ReACp53 could inhibit p53 amyloid formation and rescue p53 function in cancer cell lines.

Purity: 99.65%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

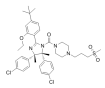
RG7112

(RO5045337)

Cat. No.: HY-10959

Bioactivity: RG7112 is the first clinical and orally available **MDM-2/p53** inhibitor designed to occupy the p53-binding pocket of MDM2, with the K_d value of 11 nM.

Purity: 99.91%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



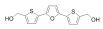
RITA

(NSC 652287)

Cat. No.: HY-13424

Bioactivity: RITA is an inhibitor of **p53-HDM-2 interaction**, binds to p53dN, with a K_d of 1.5 nM, and also induces **DNA-DNA cross-links**.

Purity: 97.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg

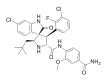


RO8994

Cat. No.: HY-16999

Bioactivity: RO8994 is a highly potent and selective series of spiroindolinone small-molecule MDM2 inhibitor, with IC50 of 5 nM (HTRF binding assays) and 20 nM (MTT proliferation assays). IC50 value: 5 nM (in HTRF binding assays), 20 nM (in MTT proliferation assays) Target: MDM2 in vitro: RO8994 represents...

Purity: 99.38%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



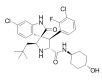
SAR405838

(MI-77301)

Cat. No.: HY-18986

Bioactivity: SAR405838 is a highly potent and selective MDM2 inhibitor, binds to MDM2 with Ki= 0.88 nM and has high specificity over other proteins. IC50 value: 0.88 nM (Ki) [1] Target: MDM2 in vitro: SAR405838 potently inhibits cell growth in cancer cell lines, including SJSA-1 (IC50, 0.092 μM), RS4;11 (IC50, 0.089...

Purity: 95.14%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



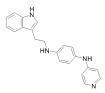
Serdemetan

(JNJ-26854165)

Cat. No.: HY-12025

Bioactivity: Serdemetan(JNJ-26854165) acts as a HDM2 ubiquitin ligase antagonist and also induces early apoptosis in p53 wild-type cells, inhibits cellular proliferation followed by delayed apoptosis in the absence of functional p53. IC50 value: HDM2 ubiquitin ligase Target: in vitro: JNJ 26854165 is a novel...

Purity: 98.32%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg

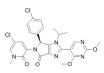


Siremadlin

(NVP-HDM201; HDM201) Cat. No.: HY-18658

Bioactivity: Siremadlin (NVP-HDM201) is a potent and highly specific **MDM-2/p53** inhibitor currently under phase I clinical trial.

Purity: 99.19%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 50 mg, 100 mg

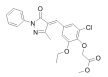


SJ-172550

Cat. No.: HY-16664

Bioactivity: SJ-172550 is a small molecule inhibitor of **MDMX**; competes for the wild type p53 peptide binding to MDMX with an $\mathbf{EC_{50}}$ of 5 μM.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg

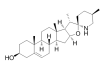


Solasodine

(Purapuridine; Solancarpidine; Solasodin) Cat. No.: HY-N0068

Bioactivity: Solasodine(Purapuridine) is a poisonous alkaloid chemical compound that occurs in plants of the Solanaceae family. Solasodine showed selective cytotoxicity against cervical cancer cell line (HeLa) and human myeloid leukemia cell line (U937). IC50 Value: 12.17 ± 3.3 uM (Hela cell line)[1] Target:...

Purity: 98.0%
Clinical Data: No Development Reported
Size: 100 mg

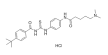


Tenovin 6 Hydrochloride

(Tenovin 2) Cat. No.: HY-15510B

Bioactivity: Tenovin-6 Hydrochloride is a water soluble inhibitor of **SIRT1** and **SIRT2**, slightly inhibits **HDAC8**, and is also a potent activator of **p53**, with $\mathbf{IC_{50}}$s of 21 μM, 10 μM, 67 μM for SirT1, SirT2, and SirT3, respectively.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg, 100 mg

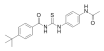


Tenovin-1

Cat. No.: HY-13423

Bioactivity: Tenovin-1 is an inhibitor of **sirtuin 1** and **sirtuin 2**, an activator of **p53** and may have potential in the management of cancer.

Purity: 99.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg

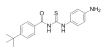


Tenovin-3

Cat. No.: HY-19339

Bioactivity: Tenovin-3 is able to increase p53 levels, determined in MCF-7 cells treated for 6 hr at 10 μM. Target: p53 in vitro: Tenovins inhibit the activities of human SirT1 and SirT2, two members of the NAD+-dependent class III histone deacetylases that also belong to the sirtuin family.[1]

Purity: 99.72%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 25 mg, 50 mg

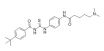


Tenovin-6

Cat. No.: HY-15510

Bioactivity: Tenovin-6 is a water soluble inhibitor of **SIRT1** and **SIRT2**, slightly inhibits **HDAC8**, and is also a potent activator of **p53**, with $\mathbf{IC_{50}}$s of 21 μM, 10 μM, and 67 μM for SirT1, SirT2, and SirT3, respectively.

Purity: 98.24%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg, 100 mg

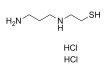


WR-1065 dihydrochloride

Cat. No.: HY-103640

Bioactivity: WR-1065 dihydrochloride can protect normal tissues from the toxic effects of certain cancer drugs and activate **p53** through a JNK-dependent signaling pathway.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg



YH239-EE

Cat. No.: HY-12287

Bioactivity: YH239-EE, ethyl ester of the free carboxylic acid compound YH239, is a potent p53-MDM2 antagonizing and apoptosis-inducing agent IC50 value: Target: MDM2/p53 YH239-EE inhibits the growth of OCI-AML-3 cells with wild type p53 by inhibiting the p53-MDM2 interaction. YH239-EE induces cell...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg