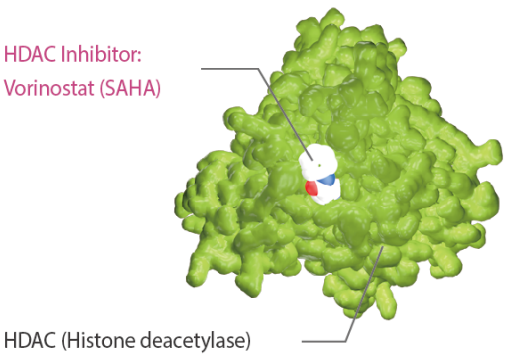
Deubiquitinase

DUBs



Deubiquitinases (DUBs) are a large group of proteases that cleave ubiquitin from proteins and other molecules. Ubiquitin is attached to proteins in order to regulate the degradation of proteins via the proteasome and lysosome; coordinate thecellular localisation of proteins; activate and inactivate proteins; and modulate protein-protein interactions. DUBs can reverse these effects by cleaving the peptide or isopeptide bond between ubiquitin and its substrate protein. In humans there are nearly 100 DUB genes, which can be classified into two main classes: cysteine proteases and metalloproteases. The cysteine proteases comprise ubiquitin-specific proteases (USPs), ubiquitin C-terminal hydrolases (UCHs), Machado-Josephin domain proteases (MJDs) and ovarian tumour proteases (OTU). The metalloprotease group contains only the Jab1/Mov34/Mpr1 Pad1 N-terminal+ (MPN+) (JAMM) domain proteases. DUBs play several roles in the ubiquitin pathway. One of the best characterised functions of DUBs is the removal of monoubiqutin and polyubiquitin chainsfrom proteins.

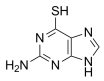
Deubiquitinase Inhibitors & Modulators

6-Thioguanine

(Thioguanine2-Amino-6-purinethiol) Cat. No.: HY-13765

Bioactivity: 6-Thioguanine (Thioguanine) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (**PLpros**) and also potently inhibits **USP2** activity, with **IC_{50}**s of 25 μM and 40 μM for Plpros and recombinant human USP2, respectively.

Purity: 98.0%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg

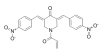


b-AP15

(NSC 687852) Cat. No.: HY-13989

Bioactivity: b-AP15 is a specific inhibitor of the **deubiquitinating** enzymes **UCHL5** and **Usp14**.

Purity: 98.93%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg, 500 mg

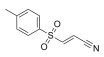


BAY 11-7082

(BAY 11-7821) Cat. No.: HY-13453

Bioactivity: BAY 11-7082 is a **NF-κB** inhibitor which decreases NF-κB by inhibiting TNF-α-induced phosphorylation of IκB-α. BAY 11-7082 inhibits ubiquitin-specific protease **USP7** and **USP21** with **IC_{50}**s of 0.19 μM and 0.96 μM, respectively.

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

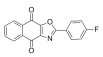


C527

Cat. No.: HY-12988

Bioactivity: C527 is a is a pan **DUB enzyme** inhibitor, with a high potency for the **USP1/UAF1** complex (**IC_{50}**=0.88 μM).

Purity: 98.92%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

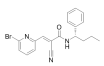


Degrasyn

(WP1130) Cat. No.: HY-13264

Bioactivity: Degrasyn (WP1130) is a cell-permeable **deubiquitinase (DUB)** inhibitor, directly inhibiting DUB activity of USP9x, USP5, USP14, and UCH37. Degrasyn has been shown to downregulate the antiapoptotic proteins **Bcr-Abl** and **JAK2**.

Purity: 99.70%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

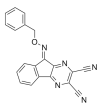


DUBs-IN-1

Cat. No.: HY-50736

Bioactivity: DUBs-IN-1 is an active inhibitor of **ubiquitin-specific proteases (USPs)**, with an **IC_{50}** of 0.24 μM for USP8.

Purity: 99.46%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

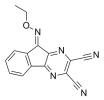


DUBs-IN-2

Cat. No.: HY-50737A

Bioactivity: DUBs-IN-2 is a potent **deubiquitinase** inhibitor with an **IC_{50}** of 0.28 μM for USP8.

Purity: 99.08%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

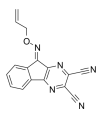


DUBs-IN-3

Cat. No.: HY-50737

Bioactivity: DUBs-IN-3 is a potent **deubiquitinase (USP)** enzyme inhibitor extracted from reference compound 22c with an **IC_{50}** of 0.56 μM for USP8.

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

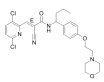


EOAI3402143

Cat. No.: HY-111408

Bioactivity: EOAI3402143 is a **deubiquitinase** (**DUB**) inhibitor, which inhibits dose-dependently inhibits **Usp9x**/ **Usp24** and **Usp5**.

Purity: 99.12%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

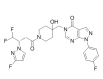


FT671

Cat. No.: HY-107985

Bioactivity: FT671 is a potent, non-covalent and selective **USP7** inhibitor with an **IC_{50}** of 52 nM and binds to the USP7 catalytic domain with a **K_d** of 65 nM.

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



FT827

Cat. No.: HY-111350

Bioactivity: FT827 is a selective and covalent ubiquitin-specific protease 7 (**USP7**) inhibitor with an **IC_{50}** of 52 nM.

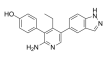
Purity: 98.48%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNE-6640

Cat. No.: HY-112937

Bioactivity: GNE-6640 is a selective and non-covalent inhibitor of ubiquitin epecific peptidase 7 **(USP7)**, with **IC_{50}** values of 0.75 μM, 0.43 μM, 20.3 μM and 0.23 μM for full length USP7, USP7 catalytic domain, full length USP43 and Ub-MDM2, respectively.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

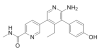


GNE-6776

Cat. No.: HY-107986

Bioactivity: GNE-6776 is a selective **USP7** inhibitor.

Purity: 98.03%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

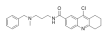


HBX 19818

Cat. No.: HY-17540

Bioactivity: HBX 19818 is a specific inhibitor of **ubiquitin-specific protease 7 (USP7)**, with an **IC_{50}** of 28.1 μM.

Purity: 96.84%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

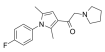


IU1

Cat. No.: HY-13817

Bioactivity: IU1 is a special **Usp14** inhibitor with **IC_{50}** of 4-5 μM.

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

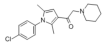


IU1-47

Cat. No.: HY-122243

Bioactivity: IU1-47 is a potent **USP14** inhibitor with an **IC_{50}** of 0.6 μM.
IU1-47 induces tau elimination in cultured neurons [1].

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

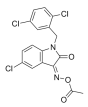


LDN-57444

Cat. No.: HY-18637

Bioactivity: LDN-57444 is a reversible, competitive and site-directed inhibitor of **ubiquitin C-terminal hydrolase L1 (UCH-L1)**, with an **IC_{50}** of 0.88 μM and a **K_i** of 0.40 μM; LDN-57444 also suppresses UCH-L3 activity, with an **IC_{50}** of 25 μM.

Purity: 97.73%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg

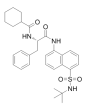


MF-094

Cat. No.: HY-112438

Bioactivity: MF-094 is a potent and selective **USP30** inhibitor with an **IC_{50}** of 120 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

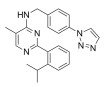


ML-323

Cat. No.: HY-17543

Bioactivity: ML-323 is a reversible, potent **USP1-UAF1** inhibitor with **IC_{50}** of 76 nM in a Ub-Rho assay. The measured inhibition constants of ML-323 for the free enzyme (**K_i**) is 68 nM.

Purity: 99.61%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

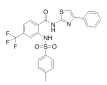


ML364

Cat. No.: HY-100900

Bioactivity: ML364 is an inhibitor of **ubiquitin specific peptidase 2 (USP2)**, and can be used for the research of breast cancer, extracted from patent WO 2016134026 A1, compound Figure 10G.

Purity: 99.81%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

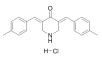


NSC632839

Cat. No.: HY-100708

Bioactivity: NSC632839 is a nonselective isopeptidase inhibitor, which inhibits **USP2**, **USP7**, and **SENP2** with EC_{50}s of 45±4 μM, 37±1 μM, and 9.8±1.8 μM, respectively.

Purity: 98.43%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

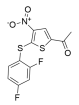


P 22077

Cat. No.: HY-13865

Bioactivity: P 22077 is a cell-permeable **ubiquitin-specific protease 7 (USP7)** inhibitor with an EC_{50} of 8.01 μM. It also inhibits USP47 with an EC_{50} of 8.74 μM.

Purity: 99.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg



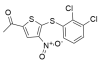
P005091

(P5091)

Cat. No.: HY-15667

Bioactivity: P005091 is a selective and potent inhibitor of **ubiquitin-specific protease 7 (USP7)** with an EC_{50} of 4.2 μM.

Purity: 99.94%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

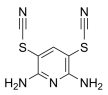


PR-619

Cat. No.: HY-13814

Bioactivity: PR-619 is a broad-range **DUB** inhibitor with EC_{50} of 3.93, 4.9, 6.86, 7.2, and 8.61 μM for **USP4**, **USP8**, **USP7**, **USP2**, and **USP5**, respectively.

Purity: 98.81%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

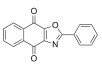


SJB2-043

Cat. No.: HY-15757

Bioactivity: SJB2-043 is an inhibitor of the native **USP1/UAF1** complex with IC_{50} of 544 nM.

Purity: 97.37%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

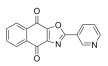


SJB3-019A

Cat. No.: HY-80012

Bioactivity: SJB3-019A is a potent and novel **USP1** inhibitor, 5 times more potent than SJB2-043 in promoting ID1 degradation and cytoxicity in K562 cells with IC_{50} of 0.0781 μM.

Purity: 99.00%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

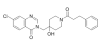


USP7-IN-1

Cat. No.: HY-16709

Bioactivity: USP7-IN-1 is a selective and reversible inhibitor of **ubiquitin-specific protease 7 (USP7)**, with an IC_{50} of 77 μM, and can be used for the research of cancer.

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

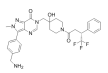


USP7-IN-3

Cat. No.: HY-112128

Bioactivity: USP7-IN-3 (Compound 5) is a potent and selective allosteric ubiquitin-specific protease 7 (**USP7**) inhibitor [1].

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

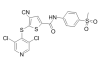


USP7/USP47 inhibitor

Cat. No.: HY-13487

Bioactivity: USP7/USP47 inhibitor is a selective **ubiquitin-specific protease 7/47 (USP7/USP47)** inhibitor, with EC_{50}s of 0.42 μM and 1.0 μM, respectively.

Purity: 98.17%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



VLX1570

Cat. No.: HY-12471

Bioactivity: VLX1570 is a competitive inhibitor of proteasome deubiquitinases (**DUBs**) with an IC_{50} of approximate 10 μM.

Purity: 98.00%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg