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Inhibitors, Screening Libraries, Proteins

Deubiquitinase

DUBs

Deubiquitinases (DUBs) are a family of proteases whose function is to cleave ubiquitin (Ub) or ubiquitin-like proteins from proproteins or ubiquitin(s) conjugated with target substrate. DUBs are divided into two main classes according to their enzymatic cleavage mechanism: cysteine proteases and zinc metalloproteases. These include ubiquitin-specific proteases (USPs), ubiquitin C-terminal hydrolases (UCHs), ovarian tumor proteases (OTUs), Machado-Joseph disease proteases (MJDs), Jab1/Mov34/Mpr1 (JAMM) metalloproteases, and MIU-containing novel DUB family, (MINDY) proteases.

Ubiquitination is an important post-translational modification that plays a key role in many vital cellular events. In this process, ubiquitin is attached to a substrate protein by the concerted action of an enzyme cascade involving E1, E2 and E3 enzymes and it is removed by DUBs. DUBs are therefore important regulators of the Ub system and regulate a plethora of cellular processes, including protein turnover, protein sorting, and trafficking. Altered DUB activity is associated with a multitude of pathologies including cancer. DUBs represent novel candidates for target-directed drug development.

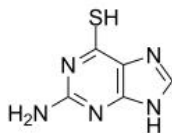
Deubiquitinase Inhibitors

6-Thioguanine

(Thioguanine; 2-Amino-6-purinethiol)

Cat. No.: HY-13765

6-Thioguanine (Thioguanine; 2-Amino-6-purinethiol) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (PLpros) and also potentially inhibits USP2 activity, with IC_{50} s of 25 μ M and 40 μ M for PLpros and recombinant human...

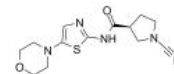


Purity: \geq 99.0%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 100 mg, 500 mg

6RK73

Cat. No.: HY-133118

6RK73 is a covalent irreversible and specific UCHL1 inhibitor with an IC_{50} of 0.23 μ M. 6RK73 shows almost no inhibition of UCHL3 (IC_{50} =236 μ M). 6RK73 specifically inhibit UCHL1 activity in breast cancer.



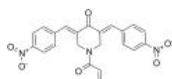
Purity: 99.41%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

b-AP15

(NSC 687852)

Cat. No.: HY-13989

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



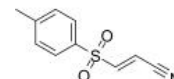
Purity: 98.75%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg, 100 mg, 200 mg, 500 mg

BAY 11-7082

(BAY 11-7821)

Cat. No.: HY-13453

BAY 11-7082 is an $I\kappa$ B α phosphorylation and NF- κ B inhibitor. BAY 11-7082 selectively and irreversibly inhibits the TNF- α -induced phosphorylation of $I\kappa$ B- α , and decreases NF- κ B and expression of adhesion molecules.

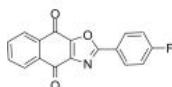


Purity: 99.98%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

C527

Cat. No.: HY-12988

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



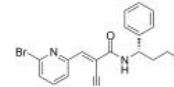
Purity: 99.88%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Degrasyn

(WP1130)

Cat. No.: HY-13264

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.

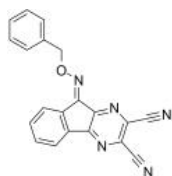


Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DUB-IN-1

Cat. No.: HY-50736

DUB-IN-1 is an active inhibitor of ubiquitin-specific proteases (USPs), with an IC_{50} of 0.85 μ M for USP8.

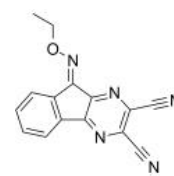


Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DUB-IN-2

Cat. No.: HY-50737A

DUB-IN-2 is a potent deubiquitinase inhibitor with an IC_{50} of 0.28 μ M for USP8.

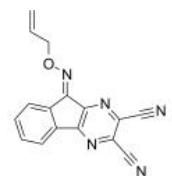


Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DUB-IN-3

Cat. No.: HY-50737

DUB-IN-3 is a potent deubiquitinase (USP) enzyme inhibitor extracted from reference compound 22c with an IC_{50} of 0.56 μ M for USP8.

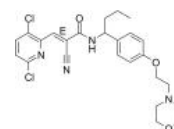


Purity: 99.0%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

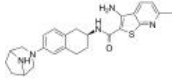
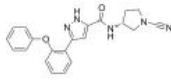
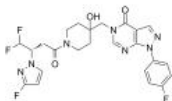
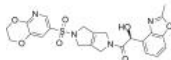
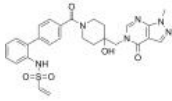
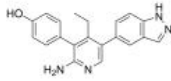
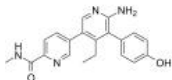
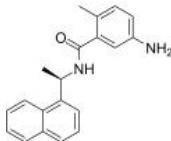
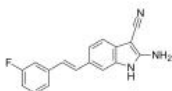
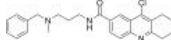
EOAI3402143

Cat. No.: HY-111408

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



Purity: 99.52%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

<p>FT206</p> <p>Cat. No.: HY-138698</p>	<p>FT3967385 (FT385)</p> <p>Cat. No.: HY-145337</p>
<p>FT206 is an inhibitor of carboxamides as ubiquitin-specific protease extracted from patent WO 2020033707 A1, example 11-1.</p>  <p>Purity: 98.03% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>FT3967385 is a novel USP30 inhibitor that recapitulates genetic loss of USP30 and sets the trigger for PINK1-PARKIN amplification of mitochondrial ubiquitylation.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>FT671</p> <p>Cat. No.: HY-107985</p>	<p>FT709</p> <p>Cat. No.: HY-145967</p>
<p>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.</p>  <p>Purity: 99.79% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>FT709 is a potent and selective USP9X inhibitor, an IC_{50} of 82 nM. USP9X has been linked with centrosome function, chromosome alignment during mitosis, EGF receptor degradation, chemo-sensitization, and circadian rhythms.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>FT827</p> <p>Cat. No.: HY-111350</p>	<p>GENE-6640</p> <p>Cat. No.: HY-112937</p>
<p>FT827 is a selective and covalent ubiquitin-specific protease 7 (USP7) inhibitor ($K_i=4.2 \mu\text{M}$). FT827 binds to the USP7 catalytic domain (USP7_{CD}; residues 208-560) with an apparent K_d value of 7.8 μM.</p>  <p>Purity: 98.59% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>GENE-6640 is a selective and non-covalent inhibitor of ubiquitin specific 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.</p>  <p>Purity: 99.81% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>GENE-6776</p> <p>Cat. No.: HY-107986</p>	<p>GRL0617</p> <p>Cat. No.: HY-117043</p>
<p>GENE-6776 is a selective and orally bioavailable USP7 inhibitor.</p>  <p>Purity: 98.29% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>GRL0617 is a potent, selective and competitive noncovalent inhibitor of severe acute respiratory syndrome (SARS-CoV) papain-like protease (PLpro)/deubiquitinase, with an IC_{50} of 0.6 μM, and with a K_i of 0.49 μM.</p>  <p>Purity: 99.78% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>GSK2643943A</p> <p>Cat. No.: HY-111458</p>	<p>HBX 19818</p> <p>Cat. No.: HY-17540</p>
<p>GSK2643943A is a deubiquitylating enzyme (DUB) inhibitor, with an IC_{50} of 160 nM for USP20/Ub-Rho.</p>  <p>Purity: 98.28% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>HBX 19818 is a specific inhibitor of ubiquitin-specific protease 7 (USP7), with an IC_{50} of 28.1 μM.</p>  <p>Purity: 99.35% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

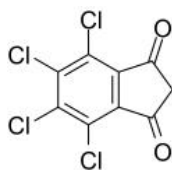
<p>N-Ethylmaleimide (NEM)</p> <p>N-Ethylmaleimide (NEM), a reagent that alkylates free sulfhydryl groups, is a cysteine protease inhibitor. N-ethylmaleimide specific inhibits phosphate transport in mitochondria. N-Ethylmaleimide is also a deubiquitinating enzyme inhibitor.</p> <p>Purity: 99.67% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 10 mg</p>	<p>N-Ethylmaleimide-d5 (NEM-d5)</p> <p>N-Ethylmaleimide-d5 (NEM-d5) is the deuterium labeled N-Ethylmaleimide. N-Ethylmaleimide (NEM), a reagent that alkylates free sulfhydryl groups, is a cysteine protease inhibitor. N-ethylmaleimide specific inhibits phosphate transport in mitochondria.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>NSC632839</p> <p>NSC632839 is a nonselective isopeptidase inhibitor, which inhibits USP2, USP7, and SEN2 with EC_{50}s of $45 \pm 4 \mu\text{M}$, $37 \pm 1 \mu\text{M}$, and $9.8 \pm 1.8 \mu\text{M}$, respectively.</p> <p>Purity: 98.67% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>P 22077</p> <p>P 22077 is a cell-permeable ubiquitin-specific protease 7 (USP7) inhibitor with an EC_{50} of $8.01 \mu\text{M}$. P 22077 also inhibits USP47 with an EC_{50} of $8.74 \mu\text{M}$.</p> <p>Purity: 98.44% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>P005091 (P5091)</p> <p>P005091 is a selective and potent inhibitor of ubiquitin-specific protease 7 (USP7) with an EC_{50} of $4.2 \mu\text{M}$.</p> <p>Purity: 99.92% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>PR-619</p> <p>PR-619 is a broad-range and reversible DUB inhibitor with EC_{50}s of 3.93, 4.9, 6.86, 7.2, and $8.61 \mu\text{M}$ for USP4, USP8, USP7, USP2, and USP5, respectively. PR-619 induces ER Stress and ER-Stress related apoptosis.</p> <p>Purity: 98.89% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>RA-9</p> <p>RA-9 is a potent and selective proteasome-associated deubiquitinating enzymes (DUBs) inhibitor with favorable toxicity profile and anticancer activity.</p> <p>Purity: 98.12% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>SJB2-043</p> <p>SJB2-043 is an inhibitor of the native USP1/UAF1 complex with IC_{50} of 544 nM.</p> <p>Purity: 99.25% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>SJB3-019A</p> <p>SJB3-019A is a potent and novel USP1 inhibitor, 5 times more potent than SJB2-043 in promoting ID1 degradation and cytotoxicity in K562 cells with IC_{50} of $0.0781 \mu\text{M}$.</p> <p>Purity: $\geq 98.0\%$ Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>STD1T</p> <p>STD1T is a deubiquitinase USP2a inhibitor with an IC_{50} of $3.3 \mu\text{M}$ in Ub-AMC Assay.</p> <p>Purity: 98.77% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

TCID

(4,5,6,7-Tetrachloroindan-1,3-dione)

Cat. No.: HY-18638

TCID (4,5,6,7-Tetrachloroindan-1,3-dione) is a potent and selective **neuronal ubiquitin C-terminal hydrolase (UCH-L3)** inhibitor with an IC_{50} of 0.6 μ M. TCID diminishes glycine transporter GlyT2 ubiquitination in brainstem and spinal cord primary neurons.



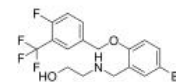
Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg

USP25/28 inhibitor AZ1

(AZ1)

Cat. No.: HY-117370

USP25/28 inhibitor AZ1 (AZ1) is an orally active, selective, noncompetitive, dual **ubiquitin specific protease (USP) 25/28** inhibitor with IC_{50} s of 0.7 μ M and 0.6 μ M, respectively. USP25/28 inhibitor AZ1 attenuates colitis and tumorigenesis in the mice model.

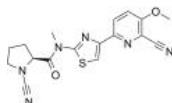


Purity: 98.10%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

USP30 inhibitor 11

Cat. No.: HY-111623

USP30 inhibitor 11 is a selective and potent **ubiquitin specific peptidase 30 (USP30)** inhibitor with an IC_{50} of 0.01 μ M, the example 83 extracted from patent WO2017009650A1. USP30 inhibitor 11 is used for the study of cancer and conditions involving mitochondrial dysfunction.

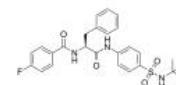


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

USP30 inhibitor 18

Cat. No.: HY-141659

USP30 inhibitor 18 is a selective **USP30** inhibitor with an IC_{50} of 0.02 μ M. USP30 inhibitor 18 increases protein ubiquitination and accelerates mitophagy.

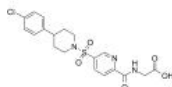


Purity: 99.82%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

USP5-IN-1

Cat. No.: HY-139979

USP5-IN-1 (compound 64), a potent deubiquitinase **USP5** inhibitor, binds to the USP5 ZnF-UBD with a K_D of 2.8 μ M. USP5-IN-1 is selective over nine proteins containing structurally similar ZnF-UBD domains. USP5-IN-1 inhibits the USP5 catalytic cleavage of a di-ubiquitin substrate.

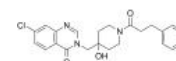


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

USP7-IN-1

Cat. No.: HY-16709

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

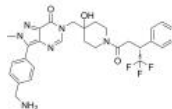


Purity: 98.92%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

USP7-IN-3

Cat. No.: HY-112128

USP7-IN-3 (Compound 5) is a potent and selective allosteric ubiquitin-specific protease 7 (USP7) inhibitor.

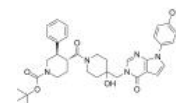


Purity: 99.86%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

USP7-IN-5

Cat. No.: HY-129168

USP7-IN-5 is a potent **ubiquitin specific protease 7 (USP7)** inhibitor extracted from patent WO2017212012A1, example 40, has an IC_{50} of 49.9 nM.

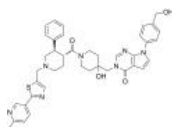


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

USP7-IN-6

Cat. No.: HY-129169

USP7-IN-6 is a potent **ubiquitin specific protease 7 (USP7)** inhibitor, extracted from patent WO2017212010A1, example 25, has an IC_{50} of 6.8 nM.

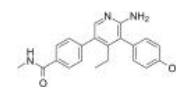


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

USP7-IN-8

Cat. No.: HY-134817

USP7-IN-8 (example 81) is a selective **ubiquitin-specific protease 7 (USP7)** inhibitor with an IC_{50} of 1.4 μ M in an Ub-Rho110 assay. USP7-IN-8 shows no activity against USP47 and USP5. USP7-IN-8 has anticancer effects.

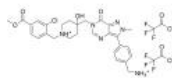


Purity: 98.80%
Clinical Data: No Development Reported
Size: 5 mg

USP7-IN-9

Cat. No.: HY-146887

USP7-IN-9 is a highly potent **ubiquitin-specific protease 7 (USP7)** inhibitor with an IC_{50} value of 40.8 nM. USP7-IN-9 can induce **apoptosis** and arrest cell progression at G0/G1 and S phases in RS4; 11 cells.

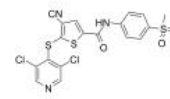


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

USP7/USP47 inhibitor

Cat. No.: HY-13487

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

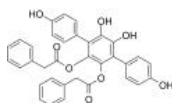


Purity: \geq 98.0%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vialinin A (Terrestrin A)

Cat. No.: HY-103435

Vialinin A (Terrestrin A) is a p-terphenyl compound with **antioxidant** properties. Vialinin A is a potent inhibitor of TNF- α , USP4, USP5, and sentrin/SUMO-specific protease 1 (SEN1). Vialinin A (Terrestrin A) can be used for autoimmune diseases and cancer research.

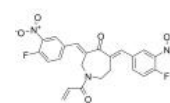


Purity: \geq 95.0%
Clinical Data: No Development Reported
Size: 1 mg

VLX1570

Cat. No.: HY-12471

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

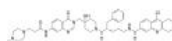


Purity: 98.06%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

XL177A

Cat. No.: HY-138794

XL177A is a highly potent and selective irreversible **USP7** inhibitor with an IC_{50} of 0.34nM. XL177A elicits cancer cell killing through a p53-dependent mechanism.



Purity: 98.63%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg