

Histone Demethylase

There are two classes of enzymes involved in histone methylation: methyltransferases and demethylases. While methyltransferases are responsible for establishing methylation patterns, demethylases are capable of removing methyl groups not only from histones but other proteins as well. Histone demethylases not only target methylated sites on histone tails but also interact with methylated sites on non-histone proteins, such as p53.

Histone lysine demethylases (KDMs) are of interest as drug targets due to their regulatory roles in chromatin organization and their tight associations with diseases including cancer and mental disorders.

JMJD1A (also named KDM3A) is a demethylasethat removes methyl from histone lysine H3K9. It plays important roles in various cellular processes, including spermatogenesis, energy metabolism, regulation of stem cell and gender display.

Jumonji domain-containing 3 (Jmjd3) has been identified as a histone demethylase, which specifically catalyzes the removal of methylation from H3K27me3.

Histone Demethylase Inhibitors, Antagonists & Activators



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CBB1007 trihydrochloride		Corin	
	Cat. No.: HY-15313C		Cat. No.: HY-111048
CBB1007 trihydrochloride is a cell-permeable amidino-guanidinium compound that acts as a potent, reversible and substrate competitive LSD1 selective inhibitor (IC50 = 5.27μ M for hLSD1).		Corin is a dual inhibitor of histone lysine specific demethylase (LSD1) and histone deacetylase (HDAC), with a K_i (inact) of 110 nM for LSD1 and an IC ₅₀ of 147 nM for HDAC1.	HAR CO BLOCK
Purity:96.58%Clinical Data:No Development ReportedSize:10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg		Purity: 98.75% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg	
CPI-455	Cat. No.: HY-100421	Daminozide	Cat. No.: HY-13643
CPI-455 is a specific, pan-KDM5 inhibitor with an $IC_{\rm 50}$ of 10 nM for KDM5A.		Daminozide, a plant growth regulator, is a selective inhibitor of the human KDM2/7 histone demethylases, with IC ₅₀ s of 0.55, 1.5 and 2.1 μ M for PHF8, KDM2A, and KIAA1718, respectively.	HO HO HO HO HO HO HO HO HO HO HO HO HO H
Purity: 98.95% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 10	00 mg	Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g	
DDP-38003 dihydrochloride	Cat. No.: HY-19612A	DDP-38003 trihydrochloride	Cat. No.: HY-19612B
DDP-38003 dihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an IC _{so} of 84 nM.		DDP-38003 trihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an IC _{so} of 84 nM.	
Purity:>98%Clinical Data:No Development ReportedSize:1 mg, 5 mg		Purity: 96.77% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 10	н-сі 20 mg
Eicosapentaenoic Acid		Eicosapentaenoic Acid sodium	
(EPA; Timnodonic acid)	Cat. No.: HY-B0660	(EPA sodium; Timnodonic acid sodium)	Cat. No.: HY-W011269
Eicosapentaenoic Acid (EPA) is an orally active Omega-3 long-chain polyunsaturated fatty acid (ω -3 LC-PUFA).		Eicosapentaenoic Acid (EPA)sodium is an orally active Omega-3 long-chain polyunsaturated fatty acid (ω-3 LC-PUFA).	
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Purity:   ≥95.0%     Clinical Data:   Launched     Size:   10 mM × 1 mL, 50 mg, 100 mg, 500 mg		Purity:>98%Clinical Data:LaunchedSize:1 mg, 5 mg	
Eicosapentaenoic Acid-d5 (EPA-d5; Timnodonic acid-d5)	Cat. No.: HY-B0660S	GSK 690 Hydrochloride	<b>Cat. No.:</b> HY-117226A
Eicosapentaenoic Acid-d5 (EPA-d5) is the deuterium labeled Eicosapentaenoic Acid. Eicosapentaenoic Acid (EPA; Timnodonic acid) is an omega-3 fatty acid.	D C C C C C C C C C C C C C C C C C C C	GSK 690 (Hydrochloride) is a reversible inhibitor of lysine specific demethylase 1 (LSD1), with a $K_d$ value of 9 nM and a biochemical IC ₅₀ of 37 nM.	
Purity:>98%Clinical Data:No Development ReportedSize:1 mg, 5 mg		Purity:   99.16%     Clinical Data:   No Development Reported     Size:   10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 30 mg,	100 mg





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NSC636819	<b>Cat. No.</b> : HY-110154	OG-L002	<b>Cat. No.:</b> HY-19333
NSC636819 is a competitive and selective inhibitor of KDM4A/KDM4B. KDM4A/KDM4B are potential progression factors for prostate cancer. NSC636819 has the potential for the research of cancer diseases, especially prostate cancer. Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg		OG-L002 is a potent and highly selective LSD1 inhibitor with an $IC_{50}$ of 0.02 $\mu$ M. OG-L002 is a potent monoamine oxidases (MAO) inhibitor with $IC_{50}$ s of 1.38 $\mu$ M and 0.72 $\mu$ M for MAO-A and MAO-B, respectively. OG-L002 potently inhibits the expression of HSV IE genes.Purity:99.71% Clinical Data: No Development Reported Size:10 mM × 1 mL, 5 mg, 10 mg, 50 mg	HO NH2
PBIT	<b>Cat. No.:</b> HY-101451	PFI-90	<b>Cat. No.</b> : HY-139348
PBIT is a specific inhibitor of the Jumonji   AT-rich Interactive Domain 1 (JARID1) enzymes. PBIT   inhibits JARID1B (KDMSB or PLU1) histone   demethylase with an IC ₅₀ of about 3 $\mu$ M . PBIT also   inhibits JARID1A and JARID1C with IC ₅₀ s of 6 $\mu$ M and   4.9 $\mu$ M, respectively.   Purity: 99.57%   Clinical Data: No Development Reported   Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 1	00 mg	PFI-90 is a selective inhibitor of histone demethylase (KDM3B) that inhibits PAX3-FOXO1 action. PFI-90 induces apoptosis and myogenic differentiation, resulting in the cell death increased. PFI-90 has the potential for the antitumor activity. (patent WO2021101929A1).Purity:95.54%Clinical Data:No Development ReportedSize:5 mg, 10 mg, 25 mg, 50 mg, 100 mg	
Procaine	<b>Cat. No.</b> : HY-B0546	Procaine hydrochloride	<b>Cat. No.:</b> HY-B0546A
Procaine is a <b>DNA-demethylating</b> agent. Procaine acts through multiple targets and has a slow onset and a short duration of action.	H ₂ N N N	Procaine hydrochloride is a <b>DNA-demethylating</b> agent. Procaine hydrochloride acts through multiple targets and has a slow onset and a short duration of action.	H ₂ N H _{Cl}
Purity:   99.07%     Clinical Data:   Launched     Size:   500 mg, 1 g, 5 g		Purity:   99.94%     Clinical Data:   Launched     Size:   500 mg, 1 g, 5 g	
Procaine-d4 hydrochloride	Cat. No.: HY-B0546AS	Pulrodemstat (CC-90011; LSD1-IN-7)	<b>Cat. No.:</b> HY-129388A
Procaine-d4 hydrochloride is the deuterium labeled Procaine hydrochloride. Procaine hydrochloride is a <b>DNA-demethylating</b> agent. Procaine hydrochloride acts through multiple targets and has a slow onset and a short duration of action.		Pulrodemstat (CC-90011) is a potent, selective, reversible and orally active inhibitor of <b>lysine specific demethylase-1 (LSD1)</b> with an IC _{so} of 0.25 nM. Pulrodemstat is less enzymatic inhibition against LSD2, MOA-A, and MAO-B.	
Clinical Data: No Development Reported Size: 1 mg, 5 mg		Clinical Data: No Development Reported Size: 1 mg, 5 mg	
Pulrodemstat benzenesulfonate (CC-90011 benzenesulfonate; LSD1-IN-7 benzenesulfonate) Cat. No.: HY-129388B		Pulrodemstat Methylbenzenesulfonate (CC-90011 Methylbenzenesulfonate; LSD1-IN-7 Methylbenzenesulfonate)Cat. No.: HY-129388	
CC-90011 benzenesulfonate is a potent, selective, reversible and orally active inhibitor of <b>lysine</b> <b>specific demethylase-1 (LSD1)</b> with an IC ₅₀ of 0.25 nM. CC-90011 benzenesulfonate is less enzymatic inhibition against LSD2, MOA-A, and MAO-B. <b>Purity:</b> 99.39% <b>Clinical Data:</b> Phase 2		CC-90011 Methylbenzenesulfonate is a potent, selective, reversible and orally active inhibitor of lysine specific demethylase-1 (LSD1) with an $IC_{s0}$ of 0.25 nM. CC-90011 Methylbenzenesulfonate is less enzymatic inhibition against LSD2, MOA-A, and MAO-B.Purity:>98% Clinical Data:Phase 2	
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg		Size: 1 mg, 5 mg	

QC6352		RN-1 dihydrochloride	
	Cat. No.: HY-104048		Cat. No.: HY-110130
QC6352 is an orally available, selective and   potent KDM4C inhibitor with an $IC_{50}$ of 35 nM.   Purity: $\geq$ 98.0%   Clinical Data: No Development Reported   Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50	HO O NH NH NH	RN-1 dihydrochloride is a potent, brain-penetrant, irreversible and selective lysine-specific demethylase 1 (LSD1) inhibitor with an IC ₅₀ of 70 nM. RN-1 dihydrochloride exhibits selectivity for LSD1 over MAO-A and MAO-B with IC ₅₀ values of 0.51 $\mu$ M and 2.785 $\mu$ M respectively.Purity:99.75% Clinical Data:No Development Reported Size:5 mg, 10 mg, 50 mg, 100 mg	
\$2101	Cat. No.: HY-110277	S2116	Cat. No.: HY-136522
S2101 is a lysine-specific demethylase 1 (LSD1) inhibitor with an IC ₅₀ of 0.99 $\mu$ M, K _i of 0.61 $\mu$ M and K _{inact} /K _i of 4560 M/s.	H ₂ N [*] F	S2116, a N-alkylated tranylcypromine (TCP) derivative, is a potent lysine-specific demethylase 1 (LSD1) inhibitor. S2116 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions.	
Purity:>98%Clinical Data:No Development ReportedSize:5 mg, 10 mg	HCI Relative stereochemistry	Purity:>98%Clinical Data:No Development ReportedSize:5 mg, 10 mg, 25 mg, 50 mg, 100 mg	
\$2157		Seclidemstat	
	Cat. No.: HY-136523	(SP-2577)	Cat. No.: HY-103713
S2157, a N-alkylated tranylcypromine (TCP) derivative, is a potent <b>lysine-specific demethylase</b> <b>1 (LSD1)</b> inhibitor. S2157 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions.		Seclidemstat is a potent noncompetitive and reversible KDM1A (LSD1) inhibitor ( $K_i$ =31 nM, IC ₅₀ =13 nM).	CI-C-C-N-L-S-SO
Purity:>98%Clinical Data:No Development ReportedSize:1 mg, 5 mg	relative stereourierinsuly	Purity:   99.62%     Clinical Data:   Phase 2     Size:   10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 1	00 mg
Saclidamstat masulata		SKI 8225	
(SP-2577 mesylate)	Cat. No.: HY-103713A	SKEDSZS	Cat. No.: HY-139782
Seclidemstat (SP-2577) mesylate is a potent noncompetitive and reversible <b>KDM1A (LSD1)</b> inhibitor (K _i =31 nM, IC ₅₀ =13 nM).	CI - OH OF OF OF OF	SKLB325 is a Jumonji domain-containing 6 (JMJD6) inhibitor with a binding affinity ( $K_b$ ) value of 0.755 $\mu$ M, and the IC ₅₀ value of 0.7797 $\mu$ M. SKLB325 exhibits antitumor effects on ovarian cancer in vivo and in vitro. SKLB325 induces <b>apoptosis</b> . <b>Purity:</b> 99.79%	N.N.N.OH
Clinical Data:   No Development Reported     Size:   5 mg, 10 mg, 25 mg, 50 mg, 100 mg		Clinical Data:No Development ReportedSize:5 mg, 10 mg, 25 mg, 50 mg, 100 mg	
SP2509	<b>Cat. No.:</b> HY-12635	T-3775440 hydrochloride	<b>Cat. No.:</b> HY-103085
SP2509 is a potent and selective antagonist of lysine specific demethylase 1 (LSD1) with an $IC_{50}$ of 13 nM.		T-3775440 (hydrochloride) is an irreversible lysine-specific histone demethylase (LSD1) inhibitor with an $IC_{50}$ value of 2.1 nM.	-N - HCI
Purity:99.90%Clinical Data:No Development ReportedSize:10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg		Purity:99.13%Clinical Data:No Development ReportedSize:10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 1	00 mg



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≥98.0%

Clinical Data: No Development Reported

10 mg (67.5 mM * 1 mL in Ethanol),

Purity:

Size: