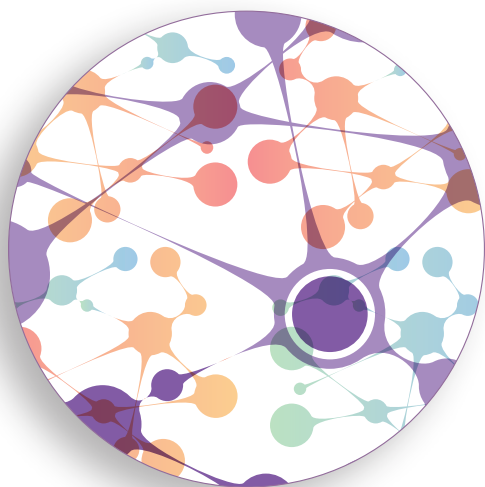
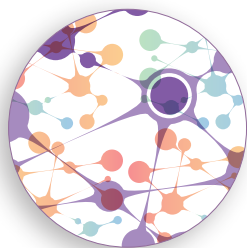


ACTIVE MOTIF®



# PROTEINS

FOR EPIGENETICS RESEARCH



# ACTIVE MOTIF – The Most Reliable Source for High Quality Epigenetic Proteins and Small Molecules

The key to robust enzyme screening assays for epigenetic drug discovery is using proteins that are highly active and most closely replicate native enzymatic conditions.

Active Motif is a leading developer of reagents, assays & services for epigenetic drug discovery. We offer a large collection of full-length high quality HMTs, HDMs, HATs, HDACs, reader domains and enzyme complexes for many relevant drug targets, such as NSD2, DOT1L, LSD1, KDM5A, KDM5B, BRD4, PRC2 and MLL. In addition, we provide a wide selection of histone substrates, including full-length modified histones and nucleosomes, as well as small molecules to for a complete solution to assay design for epigenetic drug discovery.

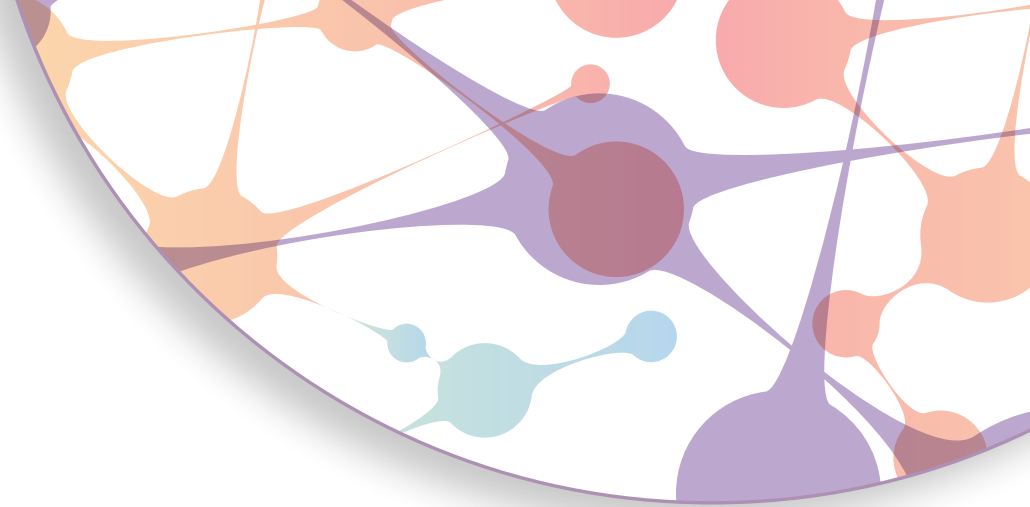
## HIGHLY VALIDATED PROTEINS FOR EPIGENETIC DRUG DISCOVERY

Active Motif proteins are manufactured to meet the highest standards of purity and activity required for conventional drug discovery assays, such as HTRF, mass spectrometry, AlphaLISA and Alphascreen. These assays are routinely incorporated in our quality control process to demonstrate the nM range activities needed for use in identification of potent inhibitors.

We have optimized our protein production and testing to facilitate seamless integration of our recombinant proteins into drug discovery pipelines. We instill confidence in our proteins by providing comprehensive application data that is relevant to drug discovery platforms and unparalleled customer support for our drug discovery partners.

### BULK & CUSTOM ORDERS AVAILABLE

Email us at [sales@activemotif.com](mailto:sales@activemotif.com) to inquire about bulk sizes or custom orders.



**Active Motif** is the industry leader in providing innovative tools to enable epigenetics and gene regulation research. We deliver superior products, services and support to serve our life science, clinical, and drug discovery partners.

Our comprehensive selection of proteins and small molecules supply all the reagents needed for epigenetic drug discovery research including:

1. PHYSIOLOGICALLY RELEVANT SUBSTRATES
2. EPIGENETIC ENZYMES & READERS
3. SMALL MOLECULES TO MODULATE ACTIVITY

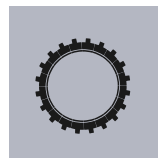


ACTIVE MOTIF, INC.  
1914 Palomar Oaks Way, Suite 150  
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# 1. CHOOSING THE RIGHT SUBSTRATE

A unique challenge in designing robust enzyme screening assays for epigenetic drug discovery is choosing the correct histone substrate. Often, peptides do not interact with epigenetic enzymes in a way that yields a productive reaction. For many epigenetic enzymes, results are vastly improved when the assay more closely simulates physiological conditions. Therefore, choosing biologically relevant substrates such as full-length histones, histone octamers, and nucleosomes will greatly enhance enzyme performance and, ultimately, your assay results.

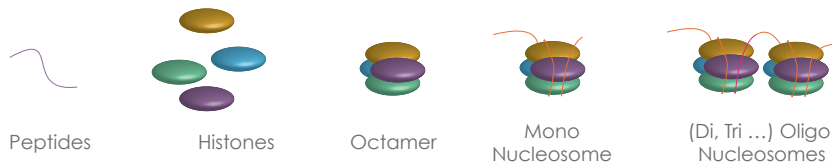
Active Motif offers a large collection of unmodified & modified histones, histone octamers and pre-assembled nucleosomes to provide you the best choice of substrate for use in your assay. For complete details, visit us at [www.activemotif.com/recombhis](http://www.activemotif.com/recombhis).

## THE LARGEST COLLECTION OF EPIGENETIC SUBSTRATES

- > Unmodified & Modified Histones
- > Histone Octamers
- > Nucleosomes
- > Biotinylated Substrates

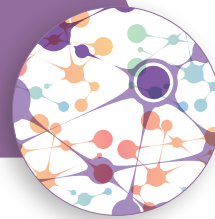
## WHICH SUBSTRATE IS RIGHT FOR YOU?

### Histone Substrates



Choosing the correct histone substrate for your assay is key to achieving the best results. Opinion leaders in epigenetics recognize the power of reconstituting recombinant chromatin for creating biologically relevant substrates.

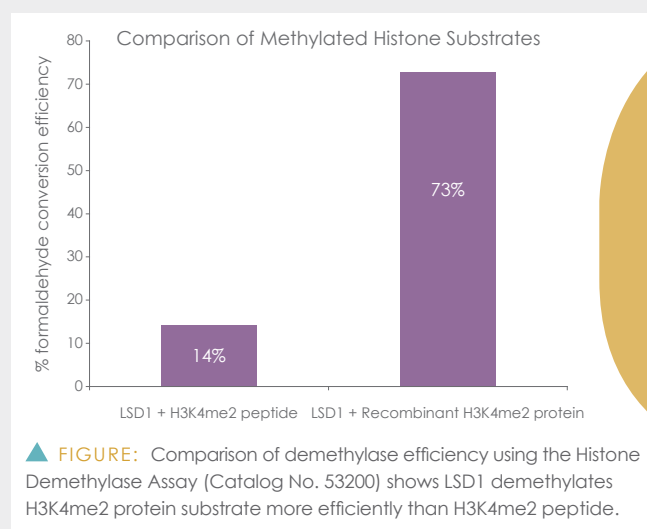
# RECOMBINANT HISTONES



## EXCLUSIVE COLLECTION OF RECOMBINANT HISTONES

Active Motif offers a wide variety of full-length recombinant histones that include site- and degree-specific modifications, such as methylation, acetylation and phosphorylation. Our modified histones are prepared using one of our two patented synthesis technologies, Expressed Protein Ligation (EPL) or Methylated Lysine Analog (MLA), making Active Motif the exclusive source for most of our full-length modified histones. We also offer biotinylated versions of our histone H3 proteins for use in FRET assays and other capture techniques.

Active Motif offers over 60 different recombinant unmodified and modified H1, H2A, H2B, H3 and H4 histones. Use as stand-alone substrates or assemble to generate nucleosomes and oligonucleosomes. Or save time and effort by choosing from our selection of pre-assembled human histone octamers and nucleosomes (see page 5).



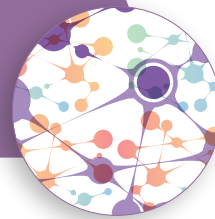
### ADVANTAGES:

- Largest collection of full-length histones
- Modified & variant versions available
- Site- and degree-specific modifications
- Exclusive source for most modified histones
- Patented synthesis technologies
- Biotinylated histones available for FRET

Below is a small sampling of our histones. For a complete list of our **over 70 available histones**, go to **Ordering Information** (page 6) or visit us at [www.activemotif.com/recombhis](http://www.activemotif.com/recombhis).

Product	Catalog No.	Product	Catalog No.	Product	Catalog No.
H2A	31251	H3K4me1	31208	H3K14ac	31254
H2A.Z	31293	H3K4me2	31277	H3K18ac	31273
H2B	31252	H3K4me3	31278	H3K27ac	31290
H3 biotinylated	31271	H3R8me2a (asymm.)	31276	H3K27me1	31214
H3 (C110A)	31207	H3K9ac	31253	H3K27me2	31215
H3 pan-acetyl	31289	H3K9me1	31281	H3K27me3	31216
H3.1	31294	H3K9me2	31280	H3K36me2	31218
H3.3	31295	H3K9me3	31279	H3K79me2	31221
H4	31223	H3S10ph	31272	H4K20me1	31224

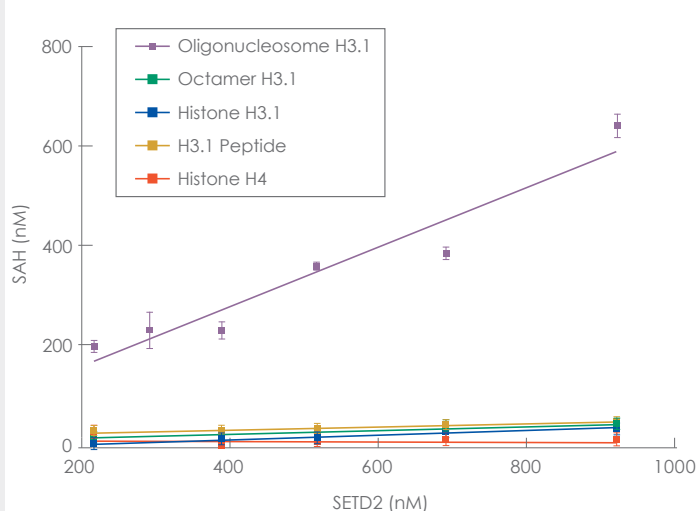
# HISTONE OCTAMERS & NUCLEOSOMES



## NUCLEOSOMES – THE MOST BIOLOGICALLY RELEVANT SUBSTRATE CHOICE

The structural complexity of chromatin presents a unique challenge for developing robust enzymatic screening assays for epigenetic drug discovery because of the difficulty in reproducing the chromatin structure *in vitro*. The biological targets of epigenetic enzymes *in vivo* are not free histones. Rather, histone targets are organized into nucleosomes that are further packaged into high order structures within chromatin. Performance of many epigenetic enzymes in biochemical assays is greatly enhanced when a more physiologically relevant substrate, such as an intact nucleosome, is selected as a substrate.

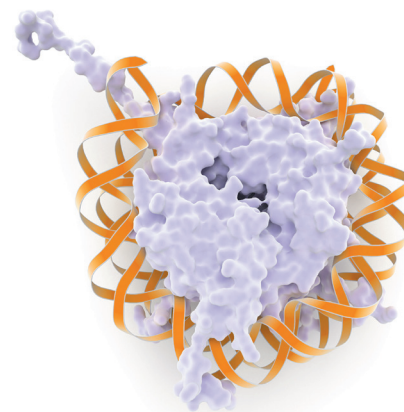
Active Motif saves valuable time and effort by providing pre-assembled Recombinant Octamers, Mononucleosomes and Oligonucleosomes for use in enzyme activity assays. Nucleosomes are available to study both histone H3.1 and H3.3 variants. Additionally, choose from unlabeled or biotin-labeled nucleosomes to give you flexibility in your experimental design.



▲ **FIGURE:** SETD2 has an absolute requirement for nucleosome substrates. Comparison of SETD2 activity towards a variety of substrates was measured using an HTRF assay detecting conversion of SAM to SAH. This assay format enables direct comparison of the various substrates but requires more enzyme.

### PRE-ASSEMBLED SUBSTRATES:

- > Histone Octamers
- > Mononucleosomes
- > Oligonucleosomes
- > *Biotinylated versions available*



Product	Catalog No.
Nucleosomes (H3.1)	31466
Nucleosomes (H3.3)	31468
Mononucleosomes (H3.1) - biotinylated	31467
Mononucleosomes (H3.3) - biotinylated	31469
Histone Octamer (H3.1)	31470
Histone Octamer (H3.1) - biotinylated	31471
Histone Octamer (H3.3)	31472
Histone Octamer (H3.3) - biotinylated	31473

TO REQUEST A QUOTE,  
GO TO:  
[activemotif.com/epiproteins](http://activemotif.com/epiproteins)

# ORDERING INFORMATION

Product	Expressed In	Cat. No.
<b>HISTONES &amp; MODIFIED HISTONES</b>		
H2A (Human)	<i>E. coli</i>	31490
H2A ( <i>Xenopus</i> )	<i>E. coli</i>	31251
H2A.Z	Synthetic	31293
H2B (Human)	<i>E. coli</i>	31492
H2B ( <i>Xenopus</i> )	<i>E. coli</i>	31252
H3 (C110A)	<i>E. coli</i>	31207
H3 pan-acetyl	Synthetic	31289
H3.1	<i>E. coli</i>	31294
H3.3	<i>E. coli</i>	31295
H3T3ph (EPL)	<i>E. coli</i>	31274
H3K4ac (EPL)	<i>E. coli</i>	31275
H3K4me1 (MLA)	<i>E. coli</i>	31208
H3K4me2 (EPL)	<i>E. coli</i>	31277
H3K4me2 (MLA)	<i>E. coli</i>	31209
H3K4me3 (EPL)	<i>E. coli</i>	31278
H3K4me3 (MLA)	<i>E. coli</i>	31210
H3R8me2a (asymmetric) (EPL)	<i>E. coli</i>	31276
H3K9ac (EPL)	<i>E. coli</i>	31253
H3K9me1 (EPL)	<i>E. coli</i>	31281
H3K9me1 (MLA)	<i>E. coli</i>	31211
H3K9me2 (EPL)	<i>E. coli</i>	31280
H3K9me2 (MLA)	<i>E. coli</i>	31212
H3K9me3 (EPL)	<i>E. coli</i>	31279
H3K9me3 (MLA)	<i>E. coli</i>	31213
H3S10ph (EPL)	<i>E. coli</i>	31272
H3K14ac (EPL)	<i>E. coli</i>	31254
H3K14me1 (MLA)	<i>E. coli</i>	31256
H3K14me2 (MLA)	<i>E. coli</i>	31257
H3K14me3 (MLA)	<i>E. coli</i>	31258
H3K18ac (EPL)	<i>E. coli</i>	31273
H3K18me1 (MLA)	<i>E. coli</i>	31259
H3K18me2 (MLA)	<i>E. coli</i>	31260
H3K18me3 (MLA)	<i>E. coli</i>	31261
H3K23ac (EPL)	<i>E. coli</i>	31255
H3K23me1 (MLA)	<i>E. coli</i>	31262
H3K23me2 (MLA)	<i>E. coli</i>	31263
H3K23me3 (MLA)	<i>E. coli</i>	31264
H3K27ac	Synthetic	31290
H3K27me1 (MLA)	<i>E. coli</i>	31214
H3K27me2 (MLA)	<i>E. coli</i>	31215
H3K27me3 (MLA)	<i>E. coli</i>	31216
H3K36me2 (MLA)	<i>E. coli</i>	31218
H3K36me3 (MLA)	<i>E. coli</i>	31219
H3K79me1 (MLA)	<i>E. coli</i>	31220
H3K79me2 (MLA)	<i>E. coli</i>	31221

Product	Expressed In	Cat. No.
H3K79me3 (MLA)	<i>E. coli</i>	31222
H4	<i>E. coli</i>	31223
H4R3me2a	Synthetic	31291
H4K5me1 (MLA)	<i>E. coli</i>	31265
H4K5me2 (MLA)	<i>E. coli</i>	31266
H4K5me3 (MLA)	<i>E. coli</i>	31267
H4K16ac	Synthetic	31292
H4K16me1 (MLA)	<i>E. coli</i>	31268
H4K16me2 (MLA)	<i>E. coli</i>	31269
H4K16me3 (MLA)	<i>E. coli</i>	31270
H4K20me1 (MLA)	<i>E. coli</i>	31224
H4K20me2 (MLA)	<i>E. coli</i>	31225
H4K20me3 (MLA)	<i>E. coli</i>	31226

Product	Expressed In	Cat. No.
<b>NUCLEOSOMES &amp; OCTAMERS</b>		
Nucleosomes (H3.1)	<i>E. coli</i>	31466
Nucleosomes (H3.3)	<i>E. coli</i>	31468
Histone Octamer (H3.1)	<i>E. coli</i>	31470
Histone Octamer (H3.3)	<i>E. coli</i>	31472

Product	Expressed In	Cat. No.
<b>BIOTINYLATED HISTONES</b>		
H3 biotinylated	<i>E. coli</i>	31271
H3.1 - biotinylated	<i>E. coli</i>	31296
H3.3 - biotinylated	<i>E. coli</i>	31297
H3K4me1 biotinylated (EPL)	<i>E. coli</i>	31284
H3K4me2 biotinylated (EPL)	<i>E. coli</i>	31283
H3K4me3 biotinylated (EPL)	<i>E. coli</i>	31282
H3K9me1 biotinylated (EPL)	<i>E. coli</i>	31286
H3K9me3 biotinylated (EPL)	<i>E. coli</i>	31285
Mononucleosomes (H3.1) - biotinylated	<i>E. coli</i>	31467
Mononucleosomes (H3.3) - biotinylated	<i>E. coli</i>	31469
Histone Octamer (H3.1) - biotinylated	<i>E. coli</i>	31471
Histone Octamer (H3.3) - biotinylated	<i>E. coli</i>	31473

FOR DETAILED PRODUCT INFO,  
VISIT OUR WEBSITE AT:  
[activemotif.com/proteins](http://activemotif.com/proteins)



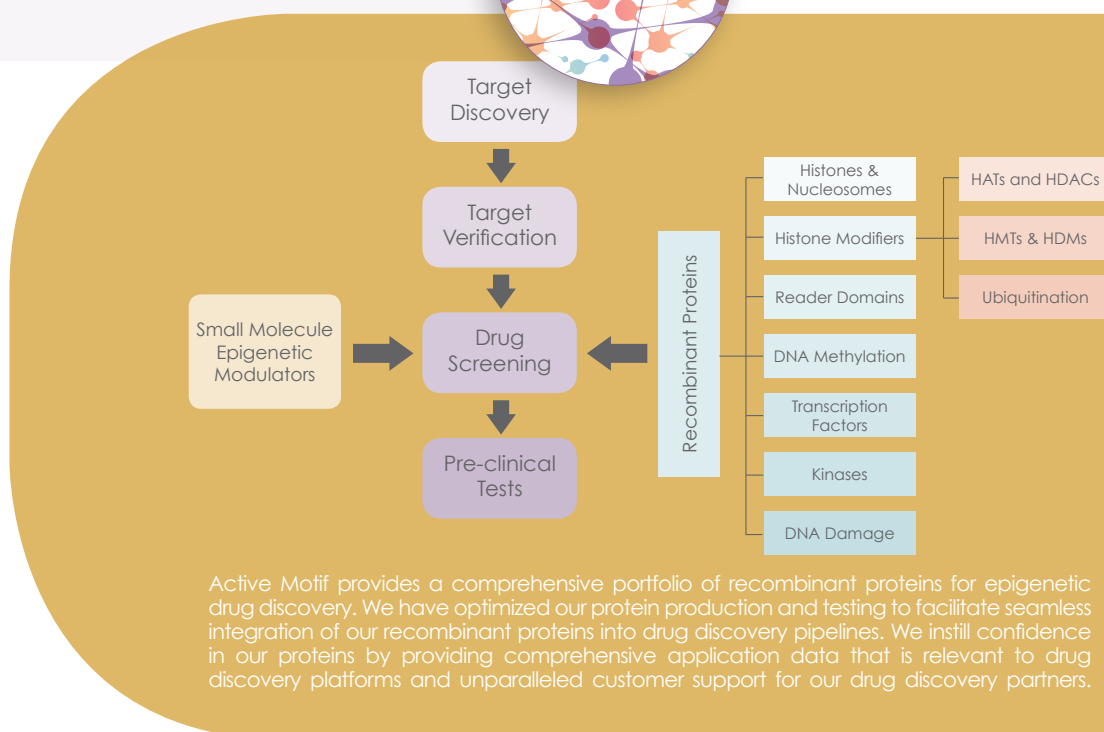
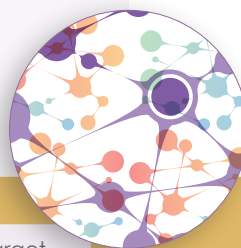
## 2. EPIGENETIC ENZYMES & READERS

Active Motif offers a comprehensive portfolio of over 300 ready-to-use purified recombinant epigenetic proteins, including histone and DNA modifying enzymes, as well as reader domains, for use in epigenetic drug discovery research. Our recombinant proteins are manufactured and validated in-house using conventional drug discovery techniques, such as HTRF, mass spectrometry, AlphaLISA and Alphascreen. Our strict quality control measures ensure our proteins meet the highest purity and activity requirements for these types of assays to guarantee peak performance in your research.

For a complete, up-to-date list of available recombinant proteins, please visit our website at [www.activemotif.com/proteins](http://www.activemotif.com/proteins). For custom & bulk orders, contact [sales@activemotif.com](mailto:sales@activemotif.com).

### PROTEINS FOR EPIGENETIC DRUG DISCOVERY RESEARCH

- > Methyltransferases & Demethylases
- > Acetylases & Deacetylases
- > Bromodomains
- > *and more...*

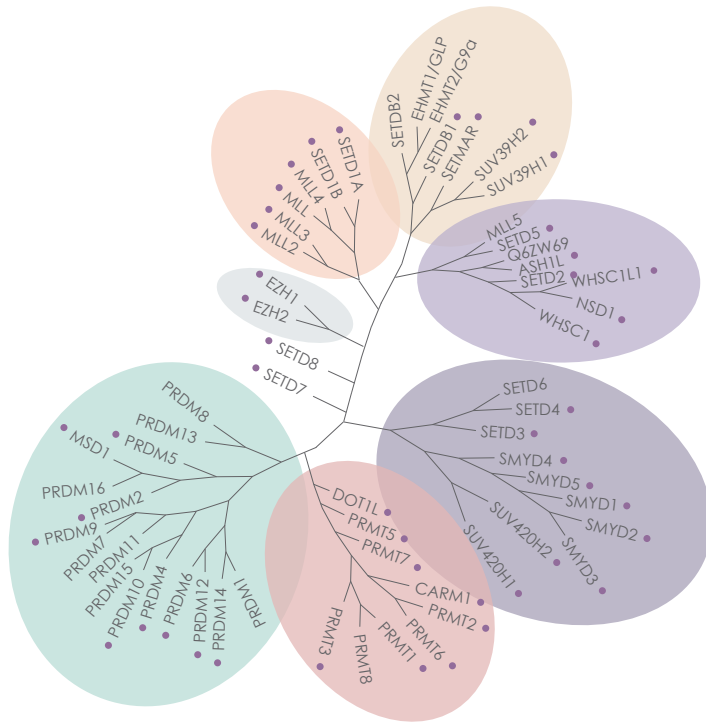




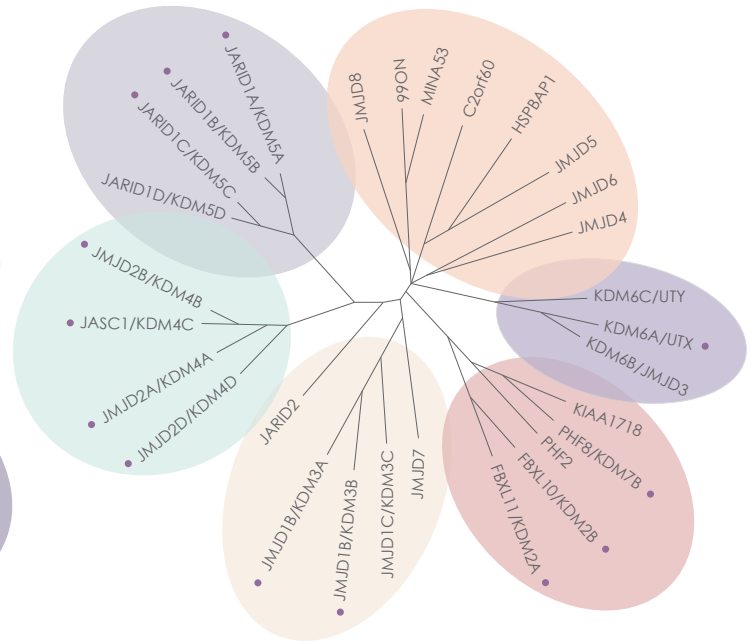
# PROTEINS FOR RELEVANT DRUG TARGETS IN EPIGENETICS RESEARCH

Active Motif provides a comprehensive offering of recombinant proteins for the most relevant therapeutic targets in epigenetics drug discovery research. A phylogenetic depiction of our broad offering of histone methyltransferase, histone demethylase & bromodomain targets is shown below.

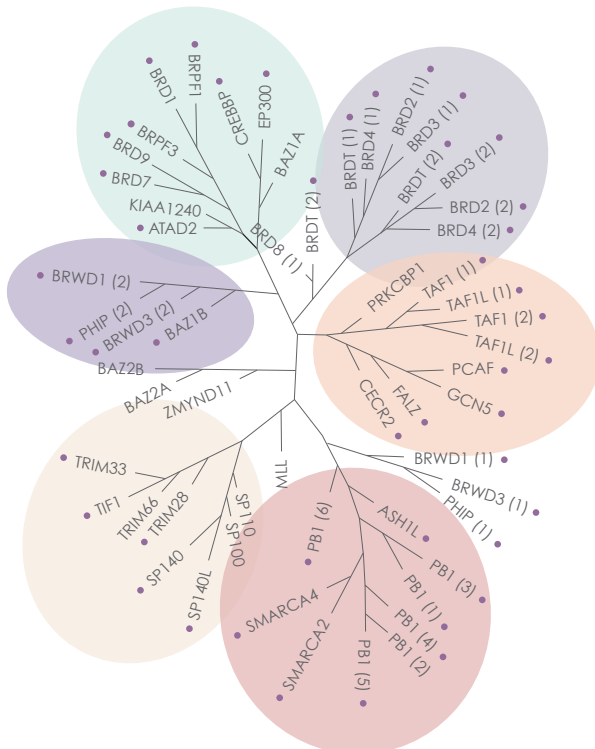
## WRITERS (HISTONE METHYLTRANSFERASES)



## ERASERS (HISTONE DEMETHYLASES)



## READERS (BROMODOMAINS)

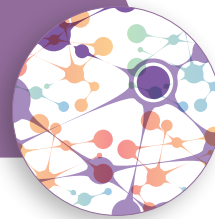


Purple dots next to the protein name denote proteins that are currently available for purchase.

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# METHYLTRANSFERASES & DEMETHYLASES



## > 80 METHYLTRANSFERASES – THE LARGEST LIBRARY AVAILABLE

Targeting epigenetic modifiers, in particular methyltransferases and demethylases, has become a primary focus for the development of anti-cancer therapies. In recent years, many novel compounds have been identified that modulate histone and DNA methylation, and several have been developed into therapeutic drugs or moved into clinical trials.

Active Motif offers the largest selection of full-length high quality, robust methyltransferases and demethylases for use in the development of activity assays for drug discovery research. Utilizing an insect cell expression system, we have produced N-terminal FLAG-tagged HMTs, HDMs, PRMTs, PRDMs and enzyme complexes for many relevant drug targets, such as NSD2, DOT1L, LSD1, KDM5A, KDM5B, PRC2 and more. DNA methyltransferases (DNMTs) and oxidation (TET) enzymes are also available for use in studies of DNA methylation.

### OFFERING:

- > KMTs & KDMs
- > PRMTs & PRDMs
- > DNMTs
- > TET Enzymes

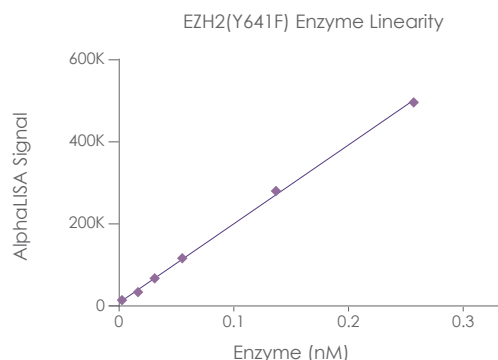
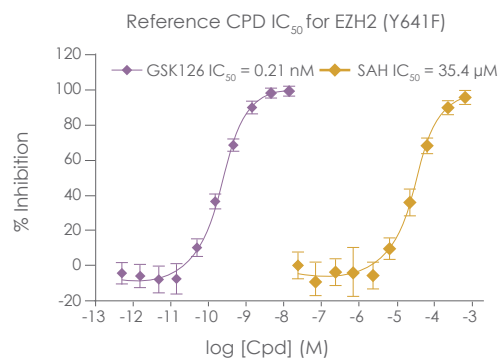
We provide proteins to the most relevant HMT & HDM drug targets.



### CAN'T FIND WHAT YOU NEED?

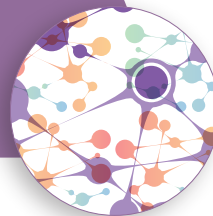
Call 877-222-9543 to inquire about CUSTOM ORDERS.

### HIGH ACTIVITY AT nM CONCENTRATIONS



▲ **FIGURE:** An  $IC_{50}$  dose response assessment (top) was performed for different reference compounds. Titration curves (bottom) were also generated to show signal response in the presence of modified peptide substrate at increasing protein concentrations. The data show that exceptional linearities were achieved using low nM concentration of EZH2 (Y641F) enzyme, and the  $IC_{50}$  values of the reference compounds were consistent with previously reported values. Data were generated in collaboration with ChemPartner.

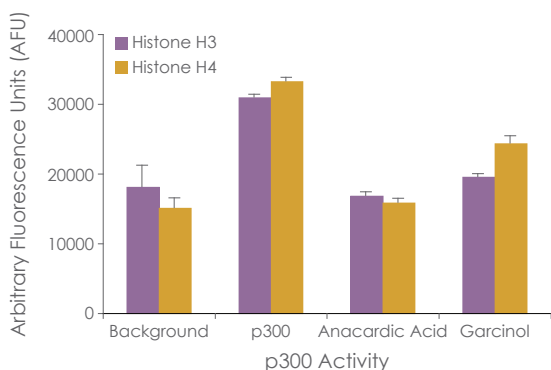
# ACETYLTRANSFERASES & DEACETYLASES



## HIGH QUALITY HAT & HDAC ENZYMES

With the FDA approval of HDAC inhibitors like Vorinostat, Belinostat and Romidepsin as cancer therapies, histone deacetylases (HDACs) and histone acetyltransferases (HATs) have become a focus for drug intervention studies. However, the mechanism of action and specificity of these enzymes are still not fully understood, and the pharmacological implications of targeting lysine acetylation as a novel therapeutic strategy is still relatively unknown.

Active Motif provides highly active, high quality HAT & HDAC enzymes to aid in your histone acetylation research. Our HDAC collection includes Class I & II HDACs as well as Class III Sirtuins.

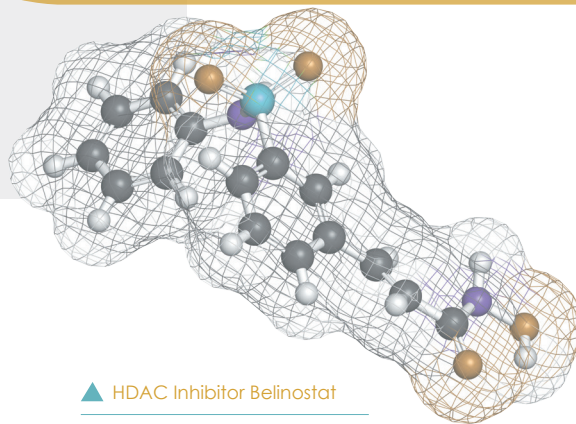


▲ **FIGURE:** Data showing p300 activity and inhibition generated using the fluorescent HAT Assay Kit (Catalog No. 56100).

HAT activity of 50 ng of p300 (Catalog No. 31205) was assayed with 50  $\mu$ M acetyl-CoA and either 50  $\mu$ M Histone H3 or Histone H4 peptide as the substrate. Activity was inhibited with 15  $\mu$ M anacardic acid or 25  $\mu$ M garcinol.

## HIGHLY ACTIVE HATs & HDACs INCLUDING:

- p300
- Class I HDACs
- Class II HDACs
- Sirtuins
- GCN5

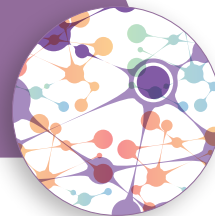


▲ HDAC Inhibitor Belinostat

**ASK FOR A QUOTE:**  
[activemotif.com/epiproteins](http://activemotif.com/epiproteins)

Product	Expressed In	Catalog No.	Product	Expressed In	Catalog No.
GCN5	<i>E. coli</i>	31204	HDAC7	Baculovirus	31352
HDAC1	Baculovirus	31342	HDAC8	Baculovirus	31353
HDAC3 Complex	Baculovirus	31349	HDAC9	Baculovirus	31354
HDAC4	<i>E. coli</i>	31364	p300	Baculovirus	31124
HDAC4	Baculovirus	31350	p300, catalytic domain	Baculovirus	31205
HDAC5, catalytic domain	Baculovirus	31351	SIRT1	<i>E. coli</i>	31340
HDAC6	<i>E. coli</i>	31346	SIRT6	<i>E. coli</i>	31336

# READER DOMAINS



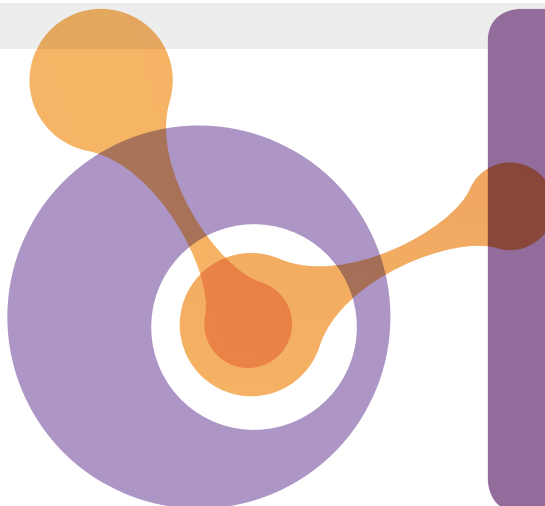
## BROMODOMAINS FOR EPIGENETIC DRUG DISCOVERY

Bromodomain (BRD) proteins play an integral role in the regulation of transcription and chromatin remodeling by acting as 'readers' of acetylated histone lysine residues. Because bromodomain proteins have been shown to also regulate transcription of certain oncogenes, they are promising therapeutic targets for cancer. As a result, there has been an increase in focus on bromodomain proteins and the identification of BRD inhibitors in drug discovery research.



▲ **FIGURE:** BRD4 (44-168) (top panel) and BRD4 (333-460) (bottom panel) bromodomains tested by HTRF.

Assay conditions for bromodomain activity were as follows: 3.3  $\mu$ M histone peptide H4K5/8/12/16(tetra-acetyl) was incubated with the protein indicated in reaction buffer containing 50 mM HEPES-NaOH pH 7.0, 0.1% BSA for 1 hour at room temperature. Anti-FLAG antibody was used to detect the reaction products.



### ACTIVE MOTIF ADVANTAGES:

- Over 40 reader domains available
- Specifically designed for epigenetic drug discovery
- Custom & bulk orders
- Lot specific data, including:
  - Activity by HTRF
  - Purity by SDS-PAGE

# ORDERING INFORMATION

Product	Expressed In	Cat. No.
<b>HISTONE METHYLTRANSFERASES &amp; DEMETHYLASES</b>		
CARM1 E267Q	Baculovirus	31348
CARM1	Baculovirus	31347
DOT1L (1-416)	<i>E. coli</i>	31474
EZH1 Complex	Baculovirus	31500
EZH2 Complex	Baculovirus	31337
FBXL10 / KDM2B	Baculovirus	31455
G9a H904K	<i>E. coli</i>	31328
G9a	Baculovirus	31410
G9a	<i>E. coli</i>	31327
G9a-SET	<i>E. coli</i>	31425
JARID1A / KDM5A	Baculovirus	31431
JARID1B / KDM5B	Baculovirus	31432
JARID1C / KDM5C	Baculovirus	31433
JHDM1D-I	<i>E. coli</i>	31464
JHDM1D-s	<i>E. coli</i>	31463
JMJD1A / KDM3A	Baculovirus	31456
JMJD1B / KDM3B	Baculovirus	31429
JMJD2A / KDM4A	Baculovirus	31457
JMJD2B / KDM4B	Baculovirus	31501
JMJD2C / KDM4C	Baculovirus	31458
JMJD2D / KDM4D	Baculovirus	31459
KDM1B / LSD2	Baculovirus	31479
KDM2A / FBXL11	Baculovirus	31485
LSD1 / KDM1A	Baculovirus	31426
LSD1 / KDM1A	<i>E. coli</i>	31507
MLL / HRX - SET	<i>E. coli</i>	31419
MLL1 Complex	Baculovirus	31423
MLL2 Complex	<i>E. coli</i>	31498
MLL2-SET	<i>E. coli</i>	31420
MLL3 Complex	<i>E. coli</i>	31478
MLL4 Complex	<i>E. coli</i>	31499
MLL4-SET	<i>E. coli</i>	31422
MMSET / WHSC1	Baculovirus	31453
MMSET / WHSC1 - SET	<i>E. coli</i>	31476
NSD1-SET	<i>E. coli</i>	31475
PHF8	Baculovirus	31435
PHF8-I	<i>E. coli</i>	31462
PHF8-s	<i>E. coli</i>	31461
PRC2 Complex	Baculovirus	31387
PRC2 EZH2(A677G) Complex	Baculovirus	31391
PRC2 EZH2(Y641C) Complex	Baculovirus	31389
PRC2 EZH2(Y641F) Complex	Baculovirus	31388
PRC2 EZH2(Y641N) Complex	Baculovirus	31390
PRDM6	Baculovirus	31495
PRDM9 (191-414)	<i>E. coli</i>	31510

Product	Expressed In	Cat. No.
PRDM10	Baculovirus	31396
PRDM14	Baculovirus	31397
PRMT1 E143Q	<i>E. coli</i>	31326
PRMT1	Baculovirus	31411
PRMT1	<i>E. coli</i>	31325
PRMT2	Baculovirus	31392
PRMT3	Baculovirus	31412
PRMT5 Complex	Baculovirus	31356
PRMT5	Baculovirus	31393
PRMT6	Baculovirus	31394
PRMT7	Baculovirus	31395
RIZ1	<i>E. coli</i>	31357
Set2	<i>E. coli</i>	31358
Set8 D338A	<i>E. coli</i>	31322
Set8	<i>E. coli</i>	31321
Set9 H297A	<i>E. coli</i>	31320
Set9	<i>E. coli</i>	31319
SETD2 (1392-2564)	Baculovirus	31399
SETD7	Baculovirus	31496
SETD8	Baculovirus	31427
SETDB1	Baculovirus	31452
SETMAR	Baculovirus	31454
Smyd1	Baculovirus	31405
SMYD2	Baculovirus	31497
SMYD2	<i>E. coli</i>	31323
SMYD2 Y240F	<i>E. coli</i>	31324
SMYD3	Baculovirus	31407
SMYD4	Baculovirus	31408
SMYD5	Baculovirus	31409
SUV39H1	<i>E. coli</i>	31339
SUV39H2	<i>E. coli</i>	31359
SUV420H1	<i>E. coli</i>	31360
SUV420H2	<i>E. coli</i>	31361
UTX / KDM6A	Baculovirus	31460
vSET	<i>E. coli</i>	31402
WHSC1L1 / NSD3 - SET	<i>E. coli</i>	31477

Product	Expressed In	Cat. No.
<b>DNA METHYLATION</b>		
DNMT1	Baculovirus	31335
DNMT1	Baculovirus	31404
DNMT3A	Baculovirus	31406
DNMT3B	Baculovirus	31413
DNMT3B / DNMT3L Complex	Baculovirus	31416
DNMT3L	Baculovirus	31414

Product	Expressed In	Cat. No.
<b>DNA METHYLATION, <i>continued</i></b>		
β-Glucosyltransferase enzyme	<i>E. coli</i>	55012
PvuRts1 I restriction enzyme	<i>E. coli</i>	55011
TET1	<i>E. coli</i>	31363
TET1 (1418-2136)	Baculovirus	31417
TET2 (1129-2002)	Baculovirus	31418
TET3 (824-1795)	Baculovirus	31421

Product	Expressed In	Cat. No.
<b>HISTONE ACETYLTRANSFERASES &amp; DEACETYLASES</b>		
GCN5	<i>E. coli</i>	31204
HDAC1	Baculovirus	31342
HDAC3 Complex	Baculovirus	31349
HDAC4	Baculovirus	31350
HDAC4	<i>E. coli</i>	31364
HDAC5, catalytic domain	Baculovirus	31351
HDAC6	<i>E. coli</i>	31346
HDAC7	Baculovirus	31352
HDAC8	Baculovirus	31353
HDAC9	Baculovirus	31354
p300	Baculovirus	31124
p300, catalytic domain	Baculovirus	31205
SIRT1	<i>E. coli</i>	31340
SIRT6	<i>E. coli</i>	31336
SMRT-DAD	<i>E. coli</i>	31366

Product	Expressed In	Cat. No.
<b>BROMODOMAINS</b>		
ASH1L (2407-2579)	<i>E. coli</i>	31445
ATAD2 (981-1108)	<i>E. coli</i>	31376
BAZ1B (1340-1457)	<i>E. coli</i>	31444
BPTF / FALZ (2791-2911)	<i>E. coli</i>	31447
BRD1 (556-688)	<i>E. coli</i>	31438
BRD2 (344-455)	<i>E. coli</i>	31378
BRD2 (71-194)	<i>E. coli</i>	31442
BRD3 (24-144)	<i>E. coli</i>	31379
BRD3 (306-416)	<i>E. coli</i>	31377
BRD4 (333-460)	<i>E. coli</i>	31446
BRD4 (44-168)	<i>E. coli</i>	31380
BRD7 (129-236)	<i>E. coli</i>	31381
BRD7 (129-236), GST-tag	<i>E. coli</i>	31480
BRD9 (130-259)	<i>E. coli</i>	31382
BRD9 (130-259), GST-tag	<i>E. coli</i>	31488
BRDT (21-137)	<i>E. coli</i>	31450
BRDT (257-382), GST-tag	<i>E. coli</i>	31483
BRPF1 (627-746)	<i>E. coli</i>	31375
BRPF3 (576-701)	<i>E. coli</i>	31487

Product	Expressed In	Cat. No.
BRWD1 (1310-1430)	<i>E. coli</i>	31440
BRWD3 (1295-1443)	<i>E. coli</i>	31443
CECR2 (425-538)	<i>E. coli</i>	31374
CREBBP (1081-1197)	<i>E. coli</i>	31373
GCN5 (726-837)	<i>E. coli</i>	31371
p300 (1041-1161)	<i>E. coli</i>	31372
p300	Baculovirus	31124
p300, catalytic domain	Baculovirus	31205
PBRM1 (23-156)	<i>E. coli</i>	31383
PBRM1 (464-605)	<i>E. coli</i>	31384
PBRM1 (613-734)	<i>E. coli</i>	31385
PBRM1 (741-885)	<i>E. coli</i>	31386
PCAF (715-829)	<i>E. coli</i>	31370
PHIP (1302-1434)	<i>E. coli</i>	31369
SMARCA2 / BRM (1367-1511)	<i>E. coli</i>	31449
SMARCA2 / BRM (1367-1511), GST-tag	<i>E. coli</i>	31481
SMARCA4 / BRG1 (1448-1569)	<i>E. coli</i>	31401
SMARCA4 / BRG1 (1448-1569), GST-tag	<i>E. coli</i>	31482
SP140 (688-862)	<i>E. coli</i>	31448
SP140L (401-580)	<i>E. coli</i>	31437
TAF1 (1398-1524)	<i>E. coli</i>	31403
TAF1 (1522-1656)	<i>E. coli</i>	31439
TAF1L (1392-1654)	<i>E. coli</i>	31436
TAF1L (1402-1521)	<i>E. coli</i>	31451
TRIM24 (862-980)	<i>E. coli</i>	31368
TRIM28 (624-811)	<i>E. coli</i>	31441
TRIM33 (959-1069)	<i>E. coli</i>	31367

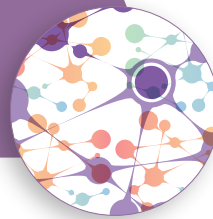
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# ADDITIONAL DRUG DISCOVERY PROTEINS

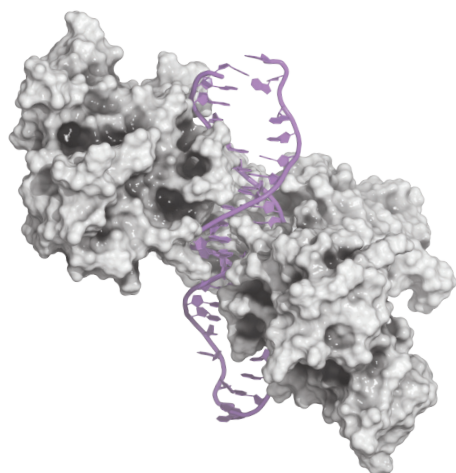


## PROTEINS FOR GENE REGULATION & NUCLEAR BIOLOGY RESEARCH

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### PROTEINS TO STUDY NUCLEAR FUNCTION:



▲ NfκB protein bound to DNA

- > Transcription Factors
- > Kinases
- > Nuclear Receptors
- > Growth Factors
- > DNA Damage
- > Ubiquitination
- > Neddylation



# ORDERING INFORMATION

Product	Expressed In	Cat. No.
<b>TRANSCRIPTION FACTORS</b>		
P-2 $\alpha$	<i>E. coli</i>	31331
APEX	<i>E. coli</i>	31245
CTF1 (NF-1)	Baculovirus	31118
DNA Topoisomerase I	Baculovirus	31239
c-Fos	Baculovirus	31115
HMGB1	<i>E. coli</i>	31247
IKK $\beta$	Baculovirus	31176
IKK $\epsilon$	Baculovirus	31177
c-Jun	Baculovirus	31116
MAD1	<i>E. coli</i>	31329
MAD4	<i>E. coli</i>	31248
MAX	<i>E. coli</i>	31244
c-Myc	<i>E. coli</i>	31117
NF $\kappa$ B p50	<i>E. coli</i>	31101
		31301
NF $\kappa$ B p65	<i>E. coli</i>	31102
		31302
p53	Baculovirus	31103
p53	<i>E. coli</i>	31465
PARP-1	Baculovirus	31238
PC4	<i>E. coli</i>	31317
RAP30	<i>E. coli</i>	31316
RAP74	<i>E. coli</i>	31315
pRb	Baculovirus	31128
Sp1	HeLa cells	31137
Sp1	Baculovirus	31136
Sp116 / FACT p140	Baculovirus	31344
SSRP1 / FACT p80	Baculovirus	31345
STAT3	<i>E. coli</i>	31140
TBP	<i>E. coli</i>	31246
TCEA1	<i>E. coli</i>	31242
TFIIA2	<i>E. coli</i>	31249
TFIIA $\alpha/\beta$	<i>E. coli</i>	31330
TFIIB	<i>E. coli</i>	31240
TFIIE $\alpha$	<i>E. coli</i>	31241
TFIIE $\beta$	<i>E. coli</i>	31341
TFCP2	<i>E. coli</i>	31250
USF1	<i>E. coli</i>	31333
YY1	<i>E. coli</i>	31332

Product	Expressed In	Cat. No.
<b>PROTEIN KINASES</b>		
ABL1	Baculovirus	31160
AKT1	Baculovirus	31511

Product	Expressed In	Cat. No.
AKT2	Baculovirus	31146
AKT3	Baculovirus	31147
B-RAF	Baculovirus	31161
BRK	Baculovirus	31162
CHK1	Baculovirus	31163
CHK2	<i>E. coli</i>	31148
CK2 $\alpha$ 1	<i>E. coli</i>	31149
CK2 $\alpha$ 2	<i>E. coli</i>	31150
CK2 $\beta$	<i>E. coli</i>	31151
CSK	Baculovirus	31164
EGFR	Baculovirus	31165
ErbB-2	Baculovirus	31166
ErbB-4	Baculovirus	31167
ERK1	<i>E. coli</i>	31152
ERK2	<i>E. coli</i>	31153
FAK	Baculovirus	31168
FGF-R1	Baculovirus	31169
FGF-R3	Baculovirus	31170
FGF-R4	Baculovirus	31171
FGR	Baculovirus	31172
GSK3 $\beta$	Baculovirus	31173
HCK	Baculovirus	31174
IGF1-R	Baculovirus	31175
IKK $\beta$	Baculovirus	31176
IKK $\epsilon$	Baculovirus	31177
INS-R	Baculovirus	31178
IRAK-4	Baculovirus	31179
ITK	Baculovirus	31180
JAK2	Baculovirus	31181
JAK3	Baculovirus	31182
JNK3	Baculovirus	31183
LCK	Baculovirus	31184
Lyn	Baculovirus	31185
MAPKAPK5	Baculovirus	31186
MST1	Baculovirus	31355
p38 $\alpha$	<i>E. coli</i>	31157
PAK2	Baculovirus	31188
PAK4	Baculovirus	31189
PDGFR $\alpha$	Baculovirus	31190
PDGFR $\beta$	Baculovirus	31191
PDK1	Baculovirus	31192
PKA	<i>E. coli</i>	31158
PKC $\alpha$	Baculovirus	31159
S6K	Baculovirus	31193
SNK	Baculovirus	31194
Src	Baculovirus	31195

Product	Expressed In	Cat. No.
<b>PROTEIN KINASES, continued</b>		
SYK	Baculovirus	31196
VEGF-R1	Baculovirus	31197
VEGF-R2	Baculovirus	31198
VEGF-R3	Baculovirus	31199
VRK1	Baculovirus	31200
VRK1	<i>E. coli</i>	31243
WEE1	Baculovirus	31201
Yes	Baculovirus	31202
Myelin Basic Protein, dephosphorylated	Bovine	31314

Product	Expressed In	Cat. No.
<b>NUCLEAR RECEPTORS</b>		
ER	Baculovirus	31119
FXR	<i>E. coli</i>	31120
GR	Baculovirus	31121
LXR $\alpha$	<i>E. coli</i>	31122
LXR $\beta$	<i>E. coli</i>	31123
PPAR $\alpha$	<i>E. coli</i>	31125
PPAR $\alpha$ -LBD	<i>E. coli</i>	31141
PPAR $\beta$ ( $\delta$ )	<i>E. coli</i>	31126
PPAR $\beta$ ( $\delta$ )-LBD	<i>E. coli</i>	31142
PPAR $\gamma$	<i>E. coli</i>	31127
PPAR $\gamma$ -LBD	<i>E. coli</i>	31143
PXR	Baculovirus	31144
RAR- $\alpha$	Baculovirus	31130
RAR- $\beta$	Baculovirus	31131
RAR- $\gamma$	Baculovirus	31132
RXR-LBD	<i>E. coli</i>	31135
RXR- $\alpha$	<i>E. coli</i>	31133
RXR- $\beta$	<i>E. coli</i>	31134
TR $\alpha$ 1	Baculovirus	31138
TR $\beta$ 1	<i>E. coli</i>	31139

Product	Expressed In	Cat. No.
<b>GROWTH FACTOR RECEPTORS</b>		
FGF-R1	Baculovirus	31169
FGF-R3	Baculovirus	31170
FGF-R4	Baculovirus	31171
IGF1-R	Baculovirus	31175
PDGFR $\alpha$	Baculovirus	31190
PDGFR $\beta$	Baculovirus	31191
VEGF-R1	Baculovirus	31197
VEGF-R2	Baculovirus	31198
VEGF-R3	Baculovirus	31199

Product	Expressed In	Cat. No.
<b>DNA DAMAGE</b>		
APEX	<i>E. coli</i>	31245
BRCA1	Baculovirus	31113
DNA Topoisomerase I	Baculovirus	31239
p53	Baculovirus	31103
p53	<i>E. coli</i>	31465
PARP-1	Baculovirus	31238
PXR	Baculovirus	31144
Rad51	<i>E. coli</i>	31129
pRb	Baculovirus	31128

Product	Expressed In	Cat. No.
<b>UBIQUITINATION</b>		
UBA1	<i>E. coli</i>	31227
UBC4	<i>E. coli</i>	31228
UBCH6	<i>E. coli</i>	31362
UBE2D2	<i>E. coli</i>	31229
UBE2D3	<i>E. coli</i>	31230
Ubiquitin	<i>E. coli</i>	31231
Ubiquitin G76A	<i>E. coli</i>	31232

Product	Expressed In	Cat. No.
<b>NEDDYLATION</b>		
NAE1	<i>E. coli</i>	31233
NEDD8	<i>E. coli</i>	31236
NEDD8 G76A	<i>E. coli</i>	31237
UBA3	<i>E. coli</i>	31234
UBE2M	<i>E. coli</i>	31235

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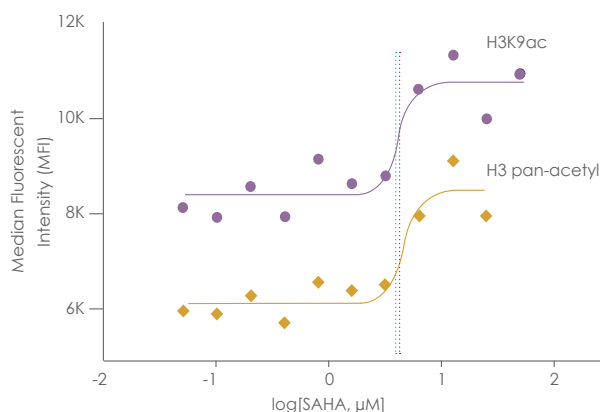
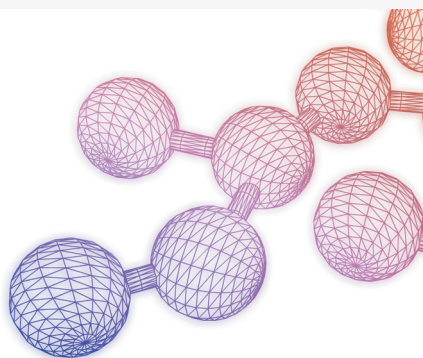
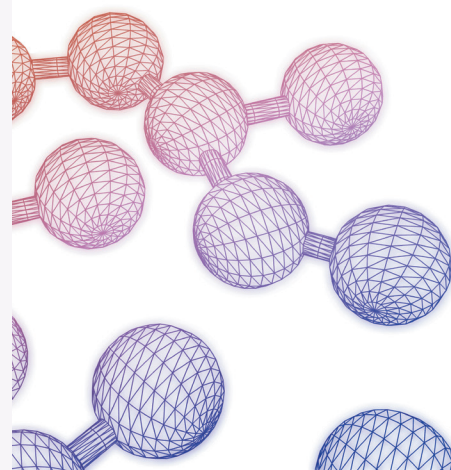
# 3. SMALL MOLECULES TO MODULATE ACTIVITY

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## ACTIVATORS & INHIBITORS OF:

- > Lysine Methyltransferases
- > Lysine Demethylases
- > Arginine Methyltransferases
- > Lysine Acetylases
- > Lysine Deacetylases
- > Bromodomains
- > DNA Methylation



▲ FIGURE: Increased histone acetylation in response to SAHA-mediated HDAC inhibition. HeLa cells were treated with the indicated concentrations of SAHA (Catalog No. 14026) and evaluated for changes in histone modification levels using Active Motif's Histone H3 PTM Multiplex Assay (Catalog No. 33115). Data show that H3 pan-acetyl and H3K9ac signals increase in response to higher SAHA doses with IC<sub>50</sub> values of 4.6  $\mu\text{M}$  and 4.0  $\mu\text{M}$ , respectively.

# ORDERING INFORMATION

Product	Target	Cat. No.
<b>LYSINE METHYLTRANSFERASE</b>		
Chaetocin	Su(VAR)3-9 inhibitor	14051
NSC-663284	SETD8 inhibitor	14122 14123
UNC-1999	EZH2 inhibitor	14114 14115

Product	Target	Cat. No.
<b>LYSINE DEMETHYLASE</b>		
AS-8351	KDM5B inhibitor	14112 14113
BIX-01294	G9a inhibitor	14072 14073
Daminozide	KDM2/KDM7 inhibitor	14058 14059
DMOG	PHD/JMJD2A inhibitor	14062 14063
GSK-J1 (cell impermeable)	JMJD3/UTX inhibitor	14068 14069
GSK-J4 (cell permeable)	JMJD3/UTX inhibitor	14070 14071
IOX1	JMJD family inhibitor	14056 14057
ML-324	JMJ2 inhibitor	14078 14079
PBIT	JARID1 inhibitor	14110 14111
Tranylcypromine hemisulfate	LSD1/BHC110 inhibitor	14046 14047

Product	Target	Cat. No.
<b>ARGININE METHYLTRANSFERASE</b>		
TC-E-5003	PRMT1 inhibitor	14099

Product	Target	Cat. No.
<b>HISTONE ACETYLTRANSFERASE</b>		
C646	p300/CBP inhibitor	14052 14053
CPTH2	GCN5P inhibitor	14094 14095
CTPB	p300 activator	14064 14065
Embelin	PCAF inhibitor	14118 14119
Garcinol	p300/PCAF inhibitor	14076 14077

Product	Target	Cat. No.
<b>HISTONE DEACETYLASE</b>		
Apicidin	HDAC inhibitor	14040 14041
BML-210	HDAC inhibitor	14048 14049
CI-994	HDAC inhibitor	14092 14093
CUDC-101	HDAC & receptor tyrosine kinase inhibitor	14060 14061
HPA (Hexyl-4-pentynoic acid)	HDAC inhibitor	14034 14035
MS-275	HDAC inhibitor	14042 14043
Panobinostat	Class I & II HDAC inhibitor	14044 14045
Phenylbutyrate Na	HDAC inhibitor	14033
Romidepsin	HDAC inhibitor	14083
TM-2-51	HDAC8 activator	14096 14097
Trichostatin A	HDAC inhibitor	14038 14039
Tubastatin A hydrochloride	HDAC6 inhibitor	14084 14085
Valproic acid, sodium salt	HDAC inhibitor	14021
Vorinostat (SAHA)	HDAC inhibitor	14026 14027

Product	Target	Cat. No.
<b>SIRTUIN</b>		
AK-7	SIRT2 inhibitor	14054 14055
BML-278	SIRT1 activator	14024 14025
EX-527	SIRT1 inhibitor	14028 14029
Piceatannol	SIRT1 activator	14036 14037
Resveratrol	SIRT1 activator	14022 14023
Salemide	SIRT1/SIRT2 inhibitor	14124 14125
SirtAct	SIRT1 activator	14080 14081
Sirtinol	Sirtuin inhibitor	14074 14075
Splitomicin	Sir2p (yeast Sirt1 homolog) inhibitor	14086 14087
Triacetyl-resveratrol	Sirtuin activator	14116 14117

# ORDERING INFORMATION, CONT'D

Product	Target	Cat. No.
<b>BROMODOMAIN</b>		
JQ1 (racemic)	BET bromodomain inhibitor	14066 14067
RVX-208	BET bromodomain antagonist	14090 14091

Product	Target	Cat. No.
<b>DNA METHYLATION</b>		
2'-Deoxy-5-fluorocytidine	DNMT inhibitor	14108 14109
5-Aza-2'-deoxycytidine (Decitabine)	DNMT inhibitor	14100 14101
5-Azacytidine	DNMT inhibitor	14102 14103
6-Thioguanine	Degradation of DNMT	14126 14127
Mithramycin A	DNMT1 inhibitor	14128 14129
RG108	Non-nucleoside DNMT inhibitor	14104 14105
Zebularine	DNMT inhibitor	14106 14107

Product	Target	Cat. No.
<b>OTHER</b>		
Sinefungin	Methyltransferase (DNA, RNA, protein) inhibitor	14088 14089
UNC-2170	Methyl-lysine binding protein inhibitor	14120 14121



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1914 Palomar Oaks Way, Suite 150  
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Toll Free: 1 877 222 9543  
Direct: 1 760 431 1263  
Fax: 1 760 431 1351  
sales@activemotif.com

Customer Service:  
orders@activemotif.com

## EUROPE

Office Park Nysdam  
Avenue Reine Astrid, 92  
B-1310 La Hulpe, Belgium  
Germany Free Phone: 0800/181 99 10  
France Free Phone: 0800/90 99 79  
UK Free Phone: 0800/169 31 47  
Other Countries, Direct: +32 (0)2 653 0001  
Fax: +32 (0)2 653 0050  
eurotech@activemotif.com

## JAPAN

Azuma Bldg. 7th Floor  
2-21 Ageba-Cho  
Shinjuku-Ku  
Tokyo, 162-0824, Japan  
Direct: +81 (0)3 5225 3638  
Fax: +81 (0)3 5261 8733  
japantech@activemotif.com

## CHINA

787 Kangqiao Rd.  
Bldg. 10, Suite 202  
Pudong District  
Shanghai, 201315, China  
Hotline: 400 018 8123  
Direct: +86 21 2092 6090  
techchina@activemotif.com