

## EPIGENETICS DRUG DISCOVERY SOLUTIONS

Optimized for simplicity. Validated for your mark.

### Drug Discovery Solutions for Epigenetic and Other Post-Translational Modifications

- Writers & Erasers of the Histone Code
- p53 Acetylation & Deacetylation

Epigenetics, the study of changes in gene expression, is a rapidly growing field which presents a significant opportunity for drug discovery. As the understanding of the role of epigenetic changes in various disease states advances, the need for high quality reagents that can rapidly identify and optimize therapeutic molecules that target these enzymes is increasing.

To address this need, PerkinElmer has developed first-in-class research tools built upon our homogeneous assay platforms, LANCE® *Ultra* and AlphaLISA® technologies. These platforms offer a combination of specificity, sensitivity, and throughput that other assay methods lack, all completely validated specifically for drug discovery research applications.

### Choose the platform that fits your workflow:

#### CELLULAR DETECTION KITS

**AlphaLISA & Cell-Histone Buffers** - rapid and direct detection of endogenous histone modulation in a one-well, no-wash assay format

#### IN VITRO TOOL BOX

**LANCE *Ultra*** – robust, ultra high-throughput TR-FRET technology

**AlphaLISA** – high sensitivity, high throughput and ultimate flexibility - assay short peptides to full-length proteins

PerkinElmer's suite of epigenetic research reagents offers a faster, more efficient path in the race to identify promising epigenetic drug candidates:

- **Flexibility:** Work with peptide or full-length protein substrates, or endogenous histones in cell-based format
- **Sensitivity:** Robust and reproducible detection, even at low enzyme concentrations
- **Specificity:** Reagents highly specific for the mark of interest
- **Simplicity:** One-well, no-wash assay platforms amenable to automation and HTS
- **Validated:** Detailed, ready-to-use protocols for over 30 epigenetic enzyme assays

## Cellular Detection Kits

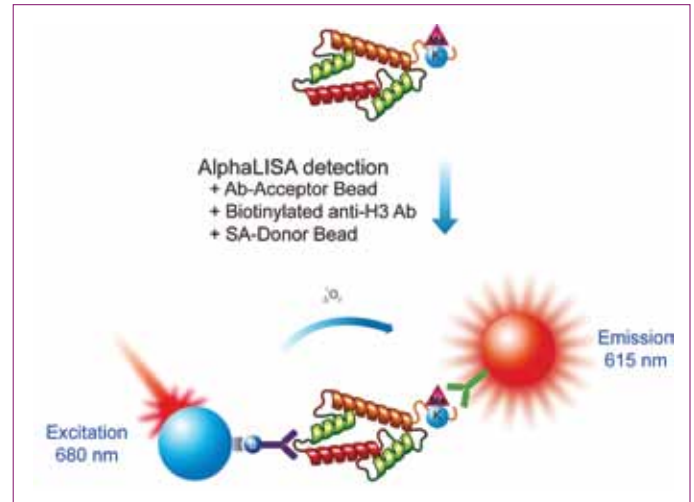
The AlphaLISA Epigenetic Cellular Detection Kits enable rapid and direct detection of endogenous modification of epigenetic marks on histone H3. These no-wash, all-in-one well assays are optimized for simplicity and throughput.

- Simple assay protocol amenable to automation, eliminating tedious ELISAs and Westerns
- Suitable for endogenous and recombinant cell lines, providing the flexibility to work with relevant cell models

### Assay Overview

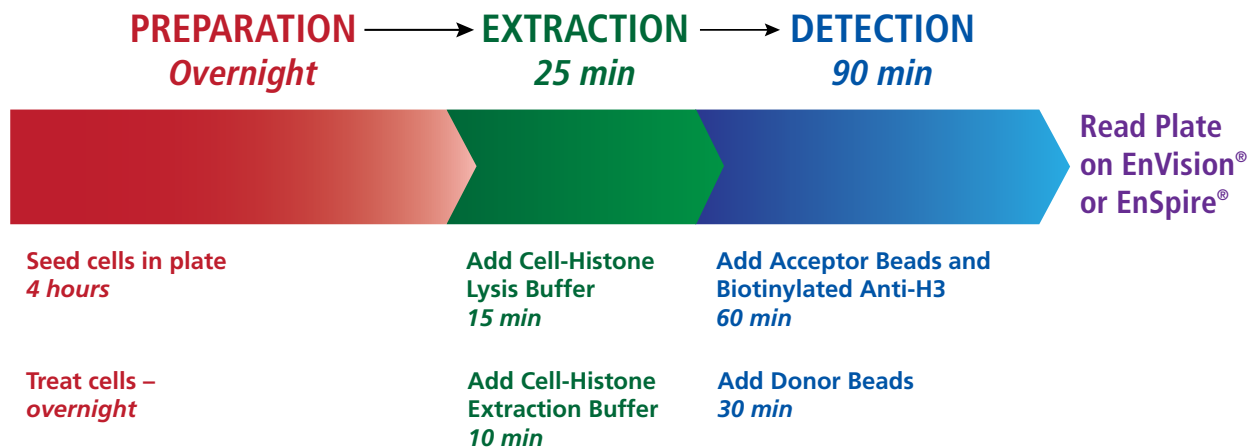
The AlphaLISA assay is based on a 3-step assay: cell preparation, extraction and detection\*

\*Product format, protocol and detection principle for phospho marks is slightly different – see [www.perkinelmer.com/surefire](http://www.perkinelmer.com/surefire)



The detection is based on the immunocapture of the modified histones on the AlphaLISA beads

### ALL-IN-ONE WELL PROTOCOL



### Cellular Detection Ordering and Assay Information

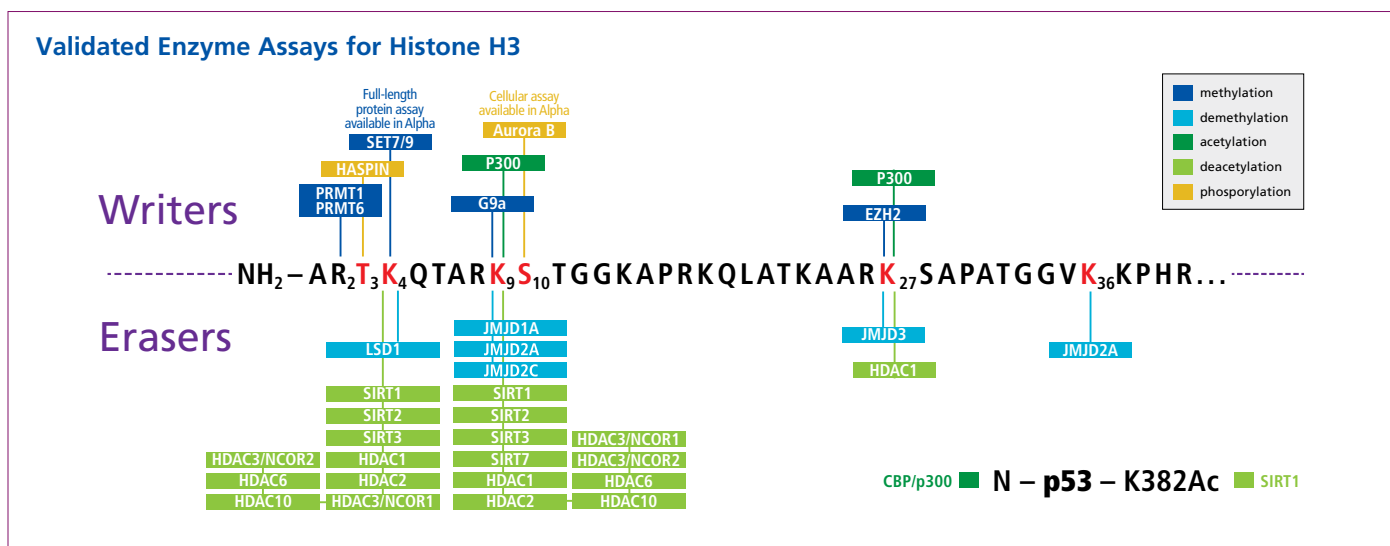
Mark Detected	Part Number	Assay Points
<b>Methyl Modifications</b>		
H3K4me2	AL716C	500
	AL716F	5,000
H3K27me3	AL722C	500
	AL722F	5,000
<b>Acetyl Modifications</b>		
H3K9ac	AL714C	500
	AL714F	5,000
H3K27ac	AL720C	500
	AL720F	5,000
<b>Phospho Modifications</b>		
H3Ser10p*	TGRH3S500	500
	TGRH3S10K	10,000
	TGRH3S50K	50,000

Mark Detected	Part Number	Assay Points
<b>Normalization Assay</b>		
AlphaScreen® SureFire® GAPDH Assay Kit*	TGRGD500	500
	TGRGD10K	10,000
	TGRGD550K	50,000
<b>Additional Items</b>		
AlphaScreen® General IgG (Protein A) Detection Kit	6760617C	500
	6760617M	10,000
	6760617R	50,000

\* Requires AlphaScreen General IgG (Protein A) Detection Kit

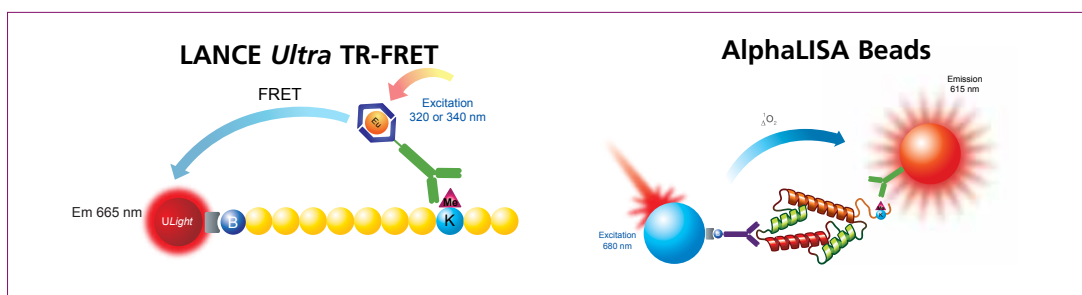
## In Vitro Assay Toolbox

The *in vitro* toolbox is comprised of validated off-the-shelf reagents for the detection of post-translational modifications of histone H3 and p53.



## AlphaLISA and LANCE Ultra reagents to measure modifications on histone H3 and p53

All detection reagents have been well characterized for their specificity at detecting the modification of interest, and validated for use with the specific enzymes depicted in the figure above.



With PerkinElmer, you can count on consistent performance that works every time. Plus we are continuing to expand our offering of epigenetic tools. If the product you need is not listed please inquire.

## In Vitro Ordering and Assay Information

Mark Detected	Validated Assays		Acetyl Modifications					
	Acetyltransferase	Deacetylase	Alpha Technology			LANCE Ultra (TR-FRET)		
			AlphaLISA anti-mark Acceptor Beads (requires Alpha Streptavidin Donor beads)			LANCE Ultra Europium-labeled anti-mark Antibody (requires LANCE Ultra ULight- Streptavidin)		
Part Number	Quantity	Assay Points	Part Number	Quantity	Assay Points			
H3K4 unmodified		SIRT1	AL119C	250 µg	500	TRF0404-D	10 µg	1,500
			AL119M	5 mg	10,000	TRF0404-M	100 µg	15,625
			AL119R	25 mg	50,000			
H3K9/K27 unmodified		See Note 1	AL138C	250 µg	500	TRF0411-D	10 µg	1,500
			AL138M	5 mg	10,000	TRF0411-M	100 µg	15,625
			AL138R	25 mg	50,000			
H3K9ac	p300		AL114C	250 µg	500	TRF0400-D	10 µg	1,500
			AL114M	5 mg	10,000	TRF0400-M	100 µg	15,625
			AL114R	25 mg	50,000			
H3K27ac	p300	HDAC1	AL120C	250 µg	500	TRF0405-D	10 µg	1,500
			AL120M	5 mg	10,000	TRF0405-M	100 µg	15,625
			AL120R	25 mg	50,000			
<b>Non-Histone Target</b>								
p53 K382ac	p300	SIRT1	AL124C	250 µg	500	TRF0409-D	10 µg	1,500
			AL124M	5 mg	10,000	TRF0409-M	100 µg	15,625
			AL124R	25 mg	50,000			

Note 1: For a detailed list of Validated Deacetylase Assays see the "Validated Enzyme Assays for Histone H3" figure above.

Methyl Modifications								
Mark Detected	Validated Assays		Alpha Technology			LANCE Ultra (TR-FRET)		
	Methyltransferase	Demethylase	AlphaLISA anti-mark Acceptor Beads (requires Alpha Streptavidin Donor beads)			LANCE Ultra Europium-labeled anti-mark Antibody (requires LANCE Ultra ULight™-Streptavidin)		
			Part Number	Quantity	Assay Points	Part Number	Quantity	Assay Points
H3R2me2	PRMT6 PRMT1		AL139C	250 µg	500			
			AL139M	5 mg	10,000			
			AL139R	25 mg	50,000			
H3K4 unmodified		LSD1	AL119C	250 µg	500	TRF0404-D	10 µg	1,500
			AL119M	5 mg	10,000	TRF0404-M	100 µg	15,625
			AL119R	25 mg	50,000			
H3K4me1-2	SET7/9		AL116C	250 µg	500	TRF0402-D	10 µg	1,500
			AL116M	5 mg	10,000	TRF0402-M	100 µg	15,625
			AL116R	25 mg	50,000			
H3K9/K27 unmodified		JMJD1A	AL138C	250 µg	500	TRF0411-D	10 µg	1,500
			AL138M	5 mg	10,000	TRF0411-M	100 µg	15,625
			AL138R	25 mg	50,000			
H3K9me2	G9a	JMJD2A JMJD2C	AL117C	250 µg	500	TRF0403-D	10 µg	1,500
			AL117M	5 mg	10,000	TRF0403-M	100 µg	15,625
			AL117R	25 mg	50,000			
H3K27me2-1	EZH2	JMJD3	AL121C	250 µg	500	TRF0406-D	10 µg	1,500
			AL121M	5 mg	10,000	TRF0406-M	100 µg	15,625
			AL121R	25 mg	50,000			
H3K27me3	G9a		AL122C	250 µg	500	TRF0407-D	10 µg	1,500
			AL122M	5 mg	10,000	TRF0407-M	100 µg	15,625
			AL122R	25 mg	50,000			
H3K36me2		JMJD2A	AL123C	250 µg	500	TRF0408-D	10 µg	1,500
			AL123M	5 mg	10,000	TRF0408-M	100 µg	15,625
			AL123R	25 mg	50,000			

Phospho Modifications**				
Mark Detected	Product Description	Quantity/ Part Number	Assay Points	Note
H3Thr3p	LANCE Ultra Europium-labeled anti-phospho-Histone H3 (Thr3) Antibody	TRF0211-D TRF0211-M	10 µg / 1,500 100 µg / 15,000	Go to www.perkinelmer.com/kinase selector to view our kinase selector tool
H3Ser10p	LANCE Ultra Europium-labeled anti-phospho-Histone H3 (Ser10) Antibody	TRF0210-D TRF0210-M	10 µg / 1,500 100 µg / 15,000	
	LANCE Ultra ULight-Histone H3 (Thr3/Ser10) Peptide	TRF0125-D TRF0125-M	0.5 nmole / 1,000 5 nmoles / 15,000	

Additional items that need to be ordered with AlphaLISA and LANCE Ultra Methyl / Acetyl assays:				
	Description	Part Number	Quantity	Assay Points
Required for running AlphaLISA Assays	AlphaScreen Streptavidin Donor beads	6760002S 6760002 6760002B	1 mg 5 mg 50 mg	2,000 10,000 100,000
	AlphaLISA Biotin-anti-Histone H3 (C-ter) Antibody (required for full-length histone substrates)	AL118C AL118M AL118R	2 µg 40 µg 200 µg	500 10,000 50,000
	AlphaLISA 5X Epigenetics Buffer 1 Kit (required for histone H3-derived peptidic substrates)	AL008C AL008F	10 mL 100 mL	
Required for running LANCE Ultra Assays	LANCE Ultra ULight – Streptavidin	TRF0102-D TRF0102-M TRF0102-R	1 nmole 10 nmoles 100 nmoles	1,000 10,000 100,000
	LANCE Detection Buffer, 10 X	CR97-100	250 mL	

\*Source of enzyme and substrate provided in detailed protocols available online at: [www.perkinelmer.com/epigenetics](http://www.perkinelmer.com/epigenetics)

\*\*PerkinElmer also offers a wide selection of assays for non-histone phosphorylation events. For more information, visit [www.perkinelmer.com/kinase](http://www.perkinelmer.com/kinase)

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For a complete listing of our global offices, visit [www.perkinelmer.com/ContactUs](http://www.perkinelmer.com/ContactUs)

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