

FLEXYTE™

...illuminating drug discovery

FLEXYTE™ assays harness the power and potential of fluorescence lifetime technology for screening and profiling applications.

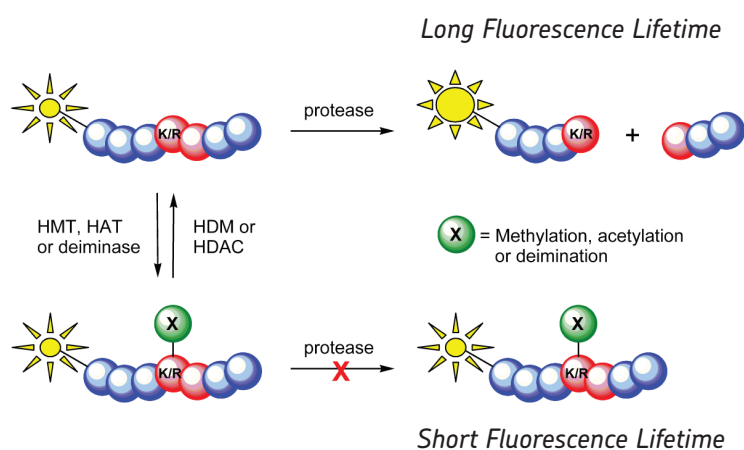
- Assays available for a range of drug target classes
- Robust and reliable performance
- Homogeneous and antibody free
- Minimises interference from problem compounds
- Applicable to fragment based screening

FLEXYTE™ assays brought to you by: **ALMAC**



FLEXYTE™ Epigenetics Toolbox

FLEXYTE™ Epigenetic enzyme assays



- Peptide substrate labelled with 9-aminoacridine (9AA) fluorophore whose lifetime is quenched until peptide is cleaved by protease
- Degree of proteolytic cleavage is monitored by a change in FLT of 9AA
- Epigenetic enzyme modifies a specific lysine or arginine residue on the peptide substrate
- Peptide is protected from cleavage when lysine or arginine is modified
- Hence, action of epigenetic enzyme is monitored by a change in FLT of 9AA

Enzyme Class	Histone Mark	Example
Histone lysine methyltransferase (HMT)	H3K4	SET7/9
	H3K9	G9a
	H3K27	EZH2
Histone arginine methyltransferase (HMT)	H4R3	PRMT5
Histone demethylase (HDM)	H3K36	JHDM1A
Histone deacetylase (HDAC)	H3K27	HDAC1
Deiminase	H3R2, H3R8, H3R17, H3R26, H4R3	PAD4
	H4R3	PAD2

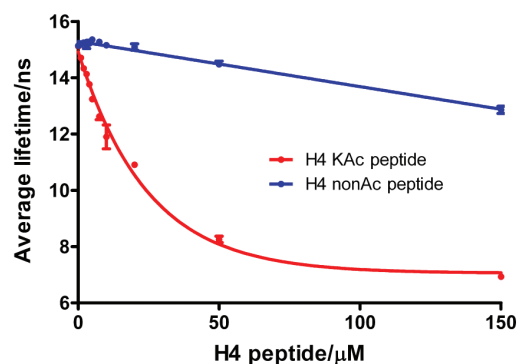
Histone acetyltransferase (HAT) assays – coming soon

Generic platform technology applicable to a wide variety of histone modifying enzymes

FLEXYTE™ Epi-reader assays

- FLEXYTE™ assay technology developed for reporting protein-protein / protein-ligand interactions through a change in FLT
- FLT assays developed for monitoring the interaction of bromodomain proteins with modified histones
- Figure shows binding of an acetylated histone 4 peptide to the BRD4(1) bromodomain being monitored through dose-dependent changes in the measured FLT

Interaction of BRD4 (1) with H4KAc peptide



For more information on how to transform your drug screening and profiling efforts
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