



# EPIGENETIC SCREENING

ChemPartner's *in vitro* biology team has exclusively developed over 110 epigenetic enzymatic assays, which fully cover histone methylation and demethylation, histone acetylation and deacetylation, DNA methylation, and methylation/acetylation reader proteins. The established epigenetic enzyme panel provides comprehensive assay support for early drug discovery.

## ESTABLISHED EPIGENETIC ENZYME ASSAYS

- DNA methyltransferases
- Histone methyltransferases
- Histone demethylases
- Histone acetyltransferases
- Histone deacetylases
- Bromodomains
- Chromodomains

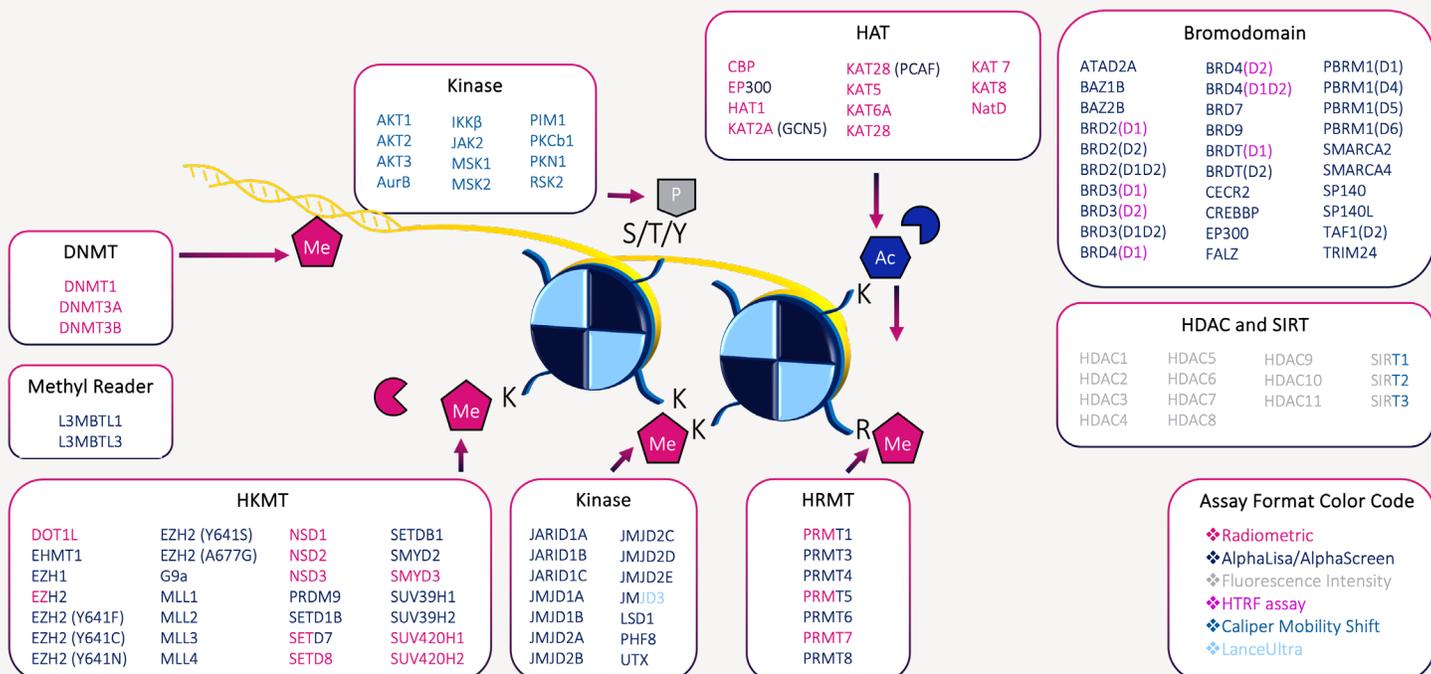
## ASSAY PLATFORMS

- Radiometric assays
- Fluorescence intensity
- FRET
- AlphaLISA/AlphaScreen

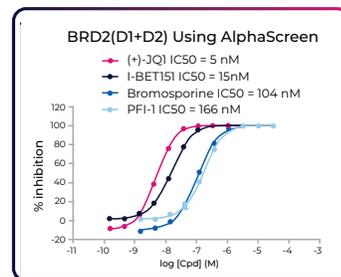
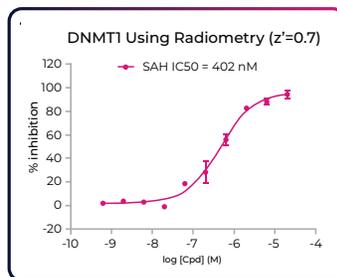
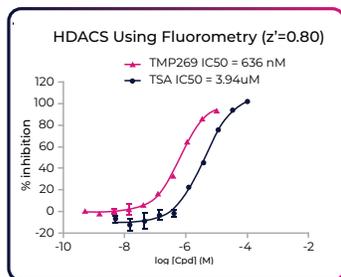
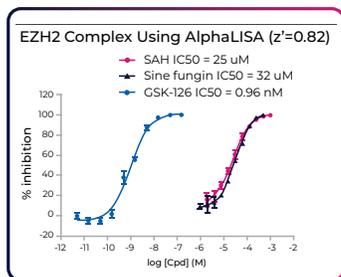
## BROAD SERVICE SCOPE

- Assay development (including custom)
- High-throughput screening
- Compound screening for SAR
- Selectivity panel profiling
- Enzyme kinetics
  - Mode of inhibition and KI
  - Compound reversibility
  - $K_{on}$  and  $K_{off}$
  - $K_i$  and  $K_{inact}$

## EPIGENETIC ENZYME ASSAY PANEL SCREEN

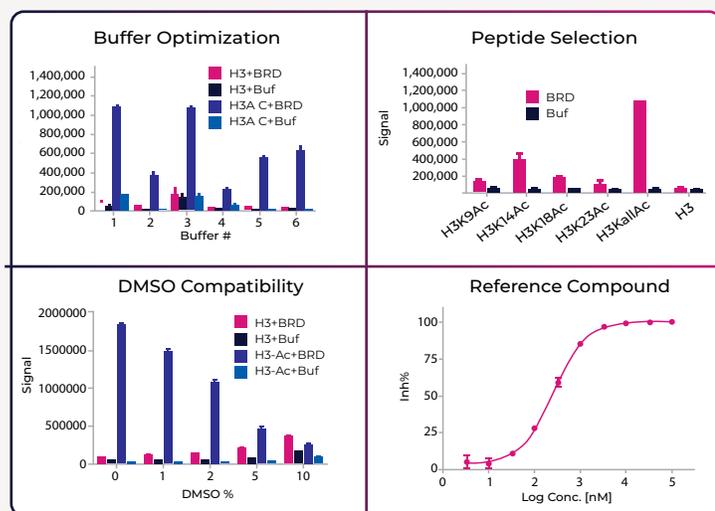


# HIGH QUALITY DATA AND FAST TURNAROUND TIMES

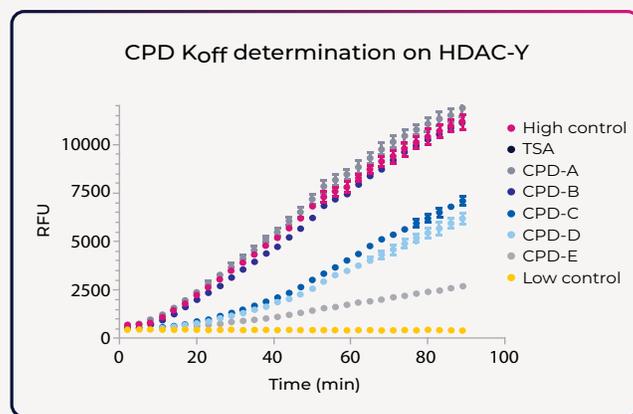


## CASE STUDY

### HTS ASSAY DEVELOPMENT ON BROMODOMAIN-X



### OFF-RATE DETERMINATION OF HDAC-Y



CPD	TSA	A	B	C	D	E
$K_{off}$ ( $\text{min}^{-1}$ )	0.062	0.38	0.13	0.022	0.017	0.006
$T_{1/2}$ (min)	11	1.8	5.3	31.1	40	126

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