



IN VITRO BIOLOGY



IN VITRO ASSAY DEVELOPMENT AND COMPOUND TESTING

- Biochemistry/Enzymology assays
 - Custom assay development
 - Percent inhibition, IC50, K_i
 - Mode of inhibition/activation, Reversibility
 - Enzyme kinetics (K_r, k_{inact}, k_{on}, k_{off})
 - Drug combination

CELL BASED ASSAYS

- Transient or stable expression
- Reporter assays

ESTABLISHED ASSAYS ON DIFFERENT TARGETS

- Enzymes
 - Kinases (200+)
 - Epigenetic enzymes (110+)
 - Other enzymes
- GPCRs (40+)
- Ion channels (10+)
- Transporters (6)
- Nuclear receptors (20+)
- Others (PARPs, KRAS, etc)

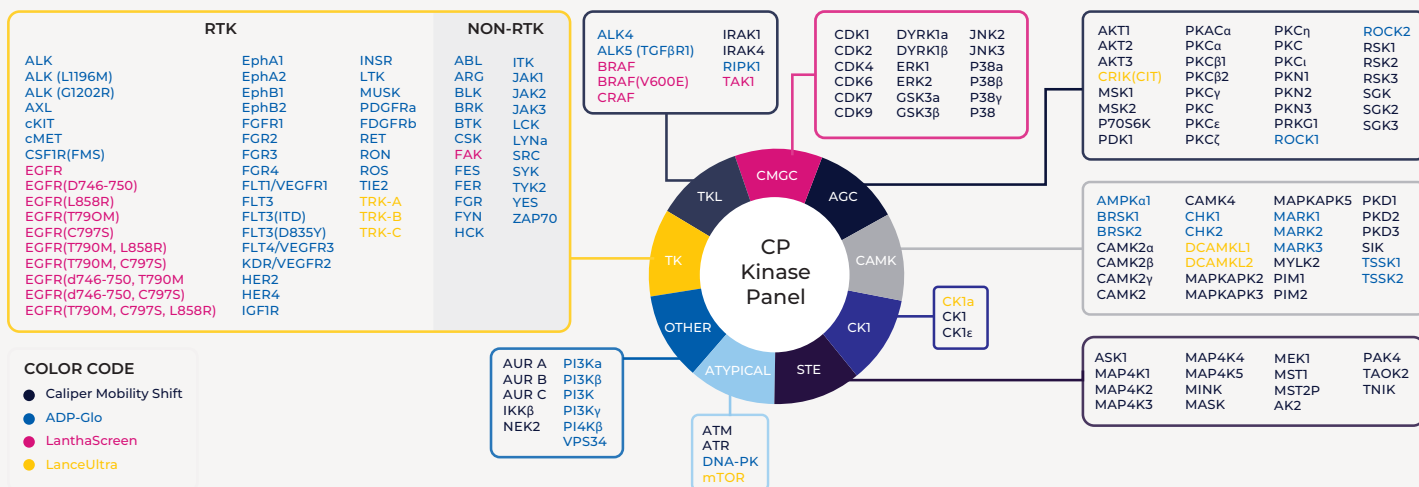
HIGH-THROUGHPUT SCREEN

- Liquid handling, compound formatting;
- Biochemical (binding/enzymatic) screen, FBS, cellular screen
- Campaign for >100K compounds
- Data analysis

SPECIALIZED ASSAY PLATFORMS

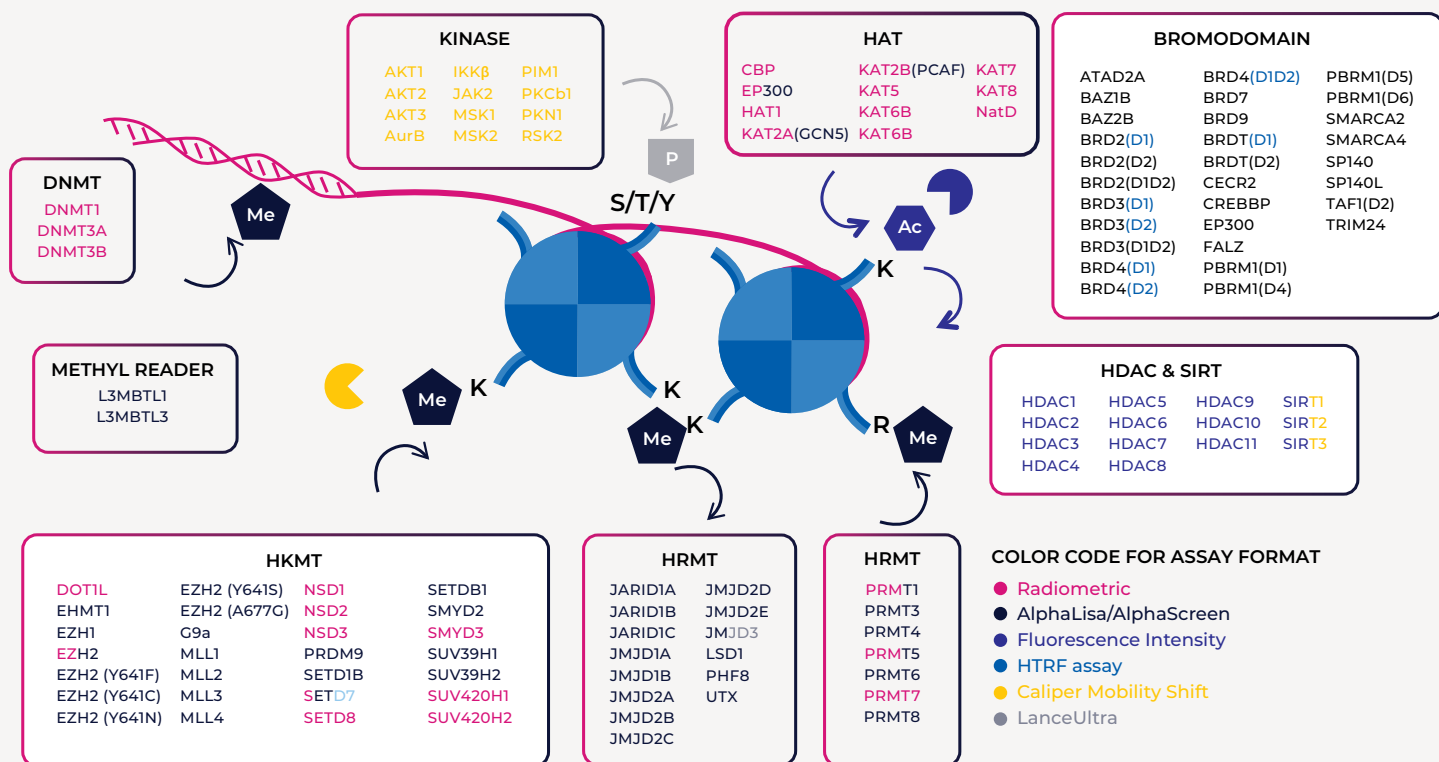
- Ubiquitin-Proteasome-System (UPS)
- PROTAC
- GTPase
- PARP

KINASE PANEL (210+)



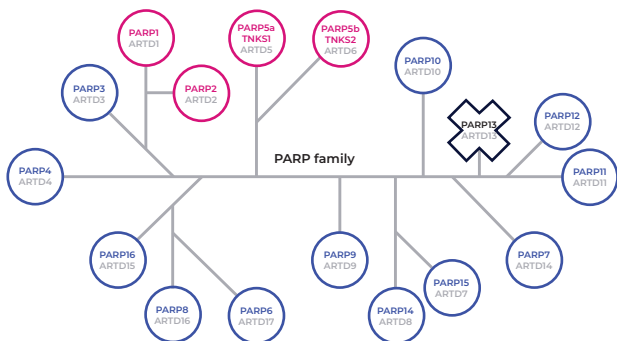
All assays listed are developed and validated at CP. For targets not listed, please inquire.

EPIGENETIC ENZYMES PANEL (210+)

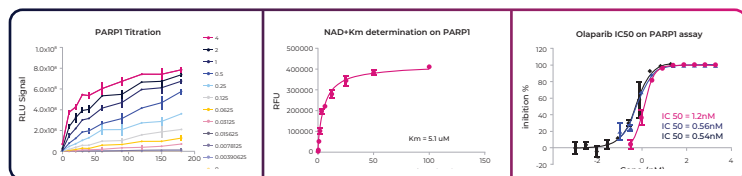


PARP PANEL

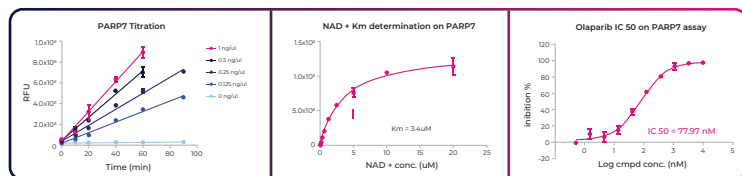
PARP		MONO/POLY	ASSAY STATUS
PARP1	ARTD1	polyPARP	Assay ready
PARP2	ARTD2	polyPARP	Assay ready
PARP3	ARTD3	monoPARP	Assay ready
PARP4	ARTD4	monoPARP	Coming Soon
PARP5a/TNKS1	ARTD5	polyPARP	Assay ready
PARP5b/TNKS1	ARTD6	polyPARP	Assay ready
PARP6	ARTD17	monoPARP	Assay ready
PARP7	ARTD14	monoPARP	Coming Soon
PARP8	ARTD16	monoPARP	Coming Soon
PARP9	ARTD9	monoPARP	Coming Soon
PARP10	ARTD10	monoPARP	Coming Soon
PARP11	ARTD11	monoPARP	Coming Soon
PARP12	ARTD12	monoPARP	Assay ready
PARP13	ARTD13	Inactive	N/A
PARP14	ARTD8	monoPARP	Assay ready
PARP15	ARTD7	monoPARP	Assay ready
PARP16	ARTD15	monoPARP	Coming Soon



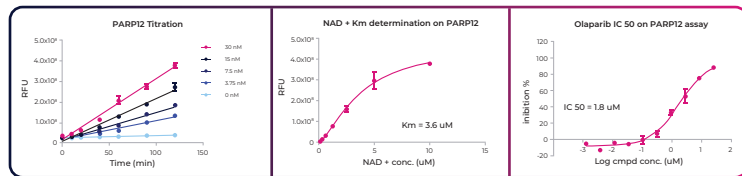
PARP



PARP7



PARP12



GPCR PANEL

GPCR CLASS	CELLULAR FUNCTIONAL ASSAY FLIPR assay / cAMP assay
Adenosine Receptor	A1, A2a, mA2a
Adrenergic Receptor	a1A, a1B, a2A, β1, β2
Apelin Receptor	APJR
Arginine Vasopressin Receptor	V1A
Cannabinoid Receptor	CB1, CB2, GPR119
Cholecystokinin Receptor	CCK1
Complement Component Receptor	C5AR1, (CD88)
Dopamine Receptor	D1, D2, D4
Endothelin Receptor Type A	ETA
Fatty Acid Receptor	GPR40
Gastric Inhibitory Polypeptide Receptor	GIP
Glucagon-Like Peptide Receptor	GLP-1
Histamine Receptor	H1, H2, H3, mH3, rH3
Muscarinic Acetylcholine Receptor	M1, M2, M3, M5
NPY Receptor	NPY2, NPY4
Opioid Receptor	OPRD1, OPRK1, OPRM1
Serotonin Receptor	5HT1A, 5HT1B, 5HT2A, 5HT2B, 5HT2C

NUCLEAR HORMONE RECEPTOR PANEL

NR CLASS		BIOCHEMICAL / REPORTER ASSAY
NR1A	Thyroid Hormone Receptor	TRa, TRb
NR1B	Retinoic Acid Receptor	RARa, RARb, RARg
NR1C	Peroxisome Proliferator-Activated Receptor	PPARa, PPARg, PPARd
NR1H	Liver X Receptor-Like Receptor	LXRa, LXRb, FXR
NR1L	Vitamin D Receptor-Like Receptor	VDR, PXR
NR2B	Retinoic X Receptor	RXRa, RXRb, RXRg
NR3A	Estrogen Receptor	ERa, ERb
NR3C	3-Ketosteroid Receptor	AR, GR, MR, PR

ASSAY FORMAT

- cAMP assay
 - Gs: [cAMP] ↑
 - Gi/o: [cAMP] ↓
- Calcium flux assay

ASSAY FORMAT

- Biochemical assays
 - TR-FRET binding assay
 - TR-FRET co-activator recruitment assay
- Cell-based reporter assays
 - Ca14 DBD-NHR LBD reporter assay
 - NHR full length-HRE reporter assay

HIGH THROUGHPUT SCREEN

- Assay development / assay validation
- Client provided library
- Compound reformatting
- 84 well plate format
- 20,000 compound / day
- Enzyme assays / cellular assays

COMPLETED MINI HTS CAMPAIGNS

4+ Million data points, average Z' = 0.78

ENZYME ASSAYS (0.8M PTS)

- Coupled enzyme assays
- Kinase assays
- Enzyme assays

CELL-BASED ASSAYS (2.5M PTS)

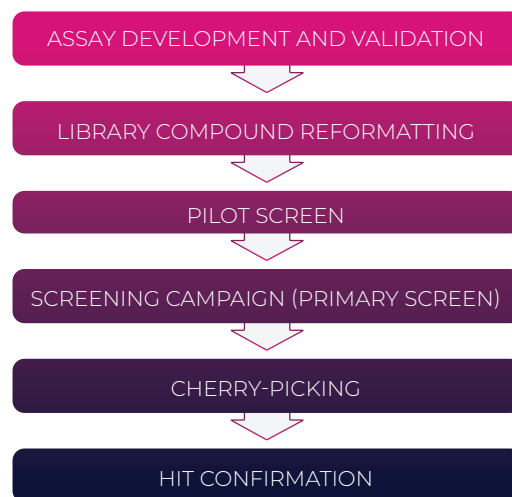
- GPCR assays (cAMP/ Ca2+ flux)
- IonChannel FLIPR assays
- NR reporter assay (agonist, antagonist)

PPI ASSAYS (1.5M PTS)

- Protein/Protein interaction
- Complex assembly assay



HTS PROCESS



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