



The SGC conducts pre-competitive, open access research to facilitate new drug discovery programs. The consortium generates knowledge and reagents that can be used to validate therapeutic targets.

Since 2008, the SGC led the development of chemical probes which inhibit or antagonize proteins involved in epigenetic signaling. These chemical probes are made available to the research community with no restriction on use: innovation for everyone.

SGC Chemical Probes are small, drug-like molecules which meet these criteria:

- *in vitro* IC₅₀ or K_d < 100 nM
- > 30-fold selectivity over proteins in the same family
- significant on-target cellular activity at 1 μM
- negative control

This initiative is sustained by a team of cross-disciplinary scientists from industry and academia specializing in Research Informatics, Biotechnology, Biophysics, and Structural and Chemical Biology.

www.thesgc.org/chemical-probes

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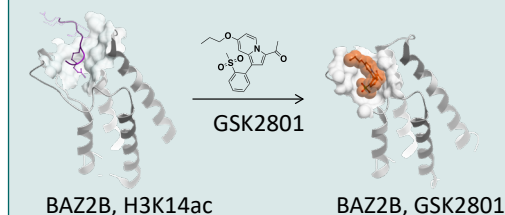
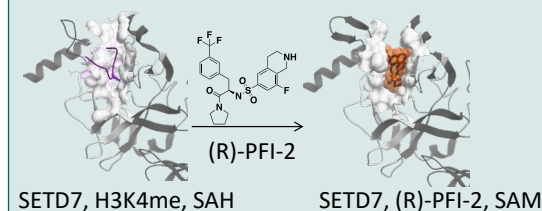
Epigenetic protein	Chemical probe
ATAD2	BAY-850, GSK8814
BET family	(+)-JQ1, PFI-1, GSK973, GSK778
BAZ2A/2B	GSK2801, BAZ2-ICR
BPTF	NVS-BPTF-1
BRD9/7	LP99; BI-9564; TP-472
BRD9	I-BRD9
BRPF1/2/3; BRPF1B	OF-1, NI-57; PFI-4, GSK6853
BRPF2/TAF1	BAY-299
CECR2	NVS-CECR2-1
CECR2/BPTF	TP-238
CREBBP, EP300	(BRD) SGC-CBP30, I-CBP112
SMARCA2/4, PB1	PFI-3, SGC-SMARCA-BRDVIII
PCAF/GCN5	L-Moses, GSK4027
MLLT1/3	NVS-MLLT-1, PFI-6
IDH1 mutant	GSK864
JMJD3/UTX	GSK-J4*
LSD1	GSK-LSD1
L3MBTL3	UNC1215
DOT1L	SGC0946
EED	A-395
EZH2/H1	GSK343, UNC1999
G9a/GLP	UNC0638, A-366, UNC0642
PRDM9	MRK-740
PRMT Type I	MS023
PRMT3	SGC707
PRMT4/6	MS049
PRMT4	TP-064, SKI-73*
PRMT5	GSK591, LLY-283
PRMT6	SGC6870
PRMT7	SGC3027*
SETD7	(R)-PFI-2
SPIN1	VinSpinIn
SMYD2	BAY-598; PFI-5; LLY-507
SMYD3	BAY-6035
SUV420H1/H2	A-196
PAD4	GSK484
NSD2 (PWWP1)	UNC6934
NSD3 (PWWP1)	BI-9321
WDR5	OICR-9429
CBP, p300	(AT) A-485
KAT6A/6B (MYST3)	(AT) WM-1119



*pro-drug



Structural Genomics Consortium is a public-private partnership focusing on pre-competitive protein-based research in emerging and under-studied diseases.



SGC's scientific contributions include chemical probe development, novel crystal structures of human proteins, and target-enabling packages.

Epigenetic Chemical Probes

#	Domain: Target	Probe	Cell line/Assay, Target/Biomarker: IC ₅₀ /EC ₅₀ (nM)	Control
1	BRD: ATAD2A/B	GSK8814	nanoBRET ATAD2 (BD): 2700	GSK8815
2	BRD: ATAD2A	BAY-850	FRAP/ATAD2: 1000	BAY-460
3		(+)-JQ1	NMC 797, BRD4: 500	(-)-JQ1
4	BRD: BET family	GSK973 (pan-BD1)	↓MCP-1 in LPS-stimulated PBMCs: 1000	GSK943
5		GSK778 (pan-BD2)	↓MCP-1 in LPS-stimulated PBMCs: 1000	GSK791
6	BRD: BAZ2A/2B	BAZ2-ICR	FRAP, BAZ2A: 1000	-
7		GSK2801	FRAP, BAZ2B: 1000	GSK8573
8	BRD: BRD9/7	BI-9564	FRAP, BRD9/7: 100/1000	-
9		TP-472	nanoBRET, BRD9: 323 (EC ₅₀)	TP-472N
10	BRD: BRD9	I-BRD9	nanoBRET, BRD9: 159	-
11	BRD: BRPF1/2/3	OF-1	nanoBRET, BRPF1B: 80	-
12		NI-57	FRAP, BRD1: 1000	-
13	BRD: BRPF1B	GSK6853	nanoBRET BRPF1B (BD): 20	GSK9311
14	BRD: BRPF2/TAFF1	BAY-299	nanoBRET, BRPF2: 970 ; TAFF1 2 nd BRD: 575	BAY-364
15	BRD: CECR2/BPTF	TP-238	nanoBRET, CECR2 (BD)/BPTF (BD): 289/228	TP-422
16	BRD: BPTF	NVS-BPTF-1	nanoBRET, BPTF (BD): 16	NVS-BPTF-C
17	BRD: CREBBP/EP300	SGC-CBP30	FRAP, CREBBP: 1000	BDOIA513
18		I-CBP112	FRAP, CREBBP: 1000	-
19	BRD: PCAF/GCN5	GSK4027	nanoBRET PCAF (full length)/H3.3: 60	GSK4028
20		L-Moses	nanoBRET PCAF (BD)/H3.3: 260	D-Moses
21	BRD: SMARCA2/4	PFI-3	FRAP, SMARCA2: 1000	-
22		SGC-SMARCA-BRDVIII	↓PPARγ2, FABP4 in 3T3-L1 fibroblasts: 1000	SGC-SMARCA-BRDVIII-NC
23	YEATS: MLLT1/3	NVS-MLLT-1	nanoBRET MLLT3/H3.3Kcr: 600	NVS-MLLT-C
24		PFI-6	nanoBRET MLLT3/H3.3Kcr: 800	PFI-6N
25	AT: CBP, p300	A-485	PC-3 cells, ↓ H3K27ac: 800	A-486
26	AT: KAT6A/6B	WM-1119	MEF, cell cycle arrest: 1000	WM-2474
27	DEHYDR: IDH1 mt	GSK864	HT-1080, IDH1 (R132C mutation): 320	-
28	KDM: JMJD3/UTX	GSK-J4*/J1	(GSK-J4) PBMC, TNF-alpha: 9000	GSK-J5
29	KDM: LSD1	GSK-LSD1	EC ₅₀ < 5	-

#	Domain: Target	Probe	Cell line/Assay, Target/Biomarker: IC ₅₀ /EC ₅₀ (nM)	Control
30	Kme: L3MBTL3	UNC1215	Inhibit foci formation HEK293, L3MBTL3: 500	UNC1079
31	Kme, Rme: SPIN	VinSpinIn	nanoBRET SPIN1/H3: 270	VinSpinC
32	MT: DOT1L	SGC0946	MCF10A, ↓ H3K79me3: 10	SGC0649
33	Kme: EED	A-395	RD rhabdoid cells, ⊥ H3K27me3: 90	A-395N
34	MT: EZH2	GSK343	HCC1806, ↓ H3K27me3: 174	-
35	MT: EZH2/H1	UNC1999	MCF10A, ↓ H3K27me3: 124 ± 11	UNC2400
36	MT: G9a/GLP	A-366	PC3, ↓ H3K9me2: 300	-
37		UNC0642	MDA-MB231, ↓ H3K9me2: 110 ; PC3: 130	-
38	MT: PRDM9	MRK-740	HEK293T, ↓ H3K4me3: 800	MRK-740-NC
39	MT: PRMT type 1	MS023	HEK293T PRMT1/6, ↓ H4R3/H3R2me2a: 9/56	MS094
40	MT: PRMT3	SGC707	HEK293T flag-PRMT3, ↓ H4R3me2a: 91	XY-1
41	MT: PRMT4	SKI-73*/SKI-72	(SKI-73) HEK293, ↓ med12-Rme2a: 540	SKI-73N
42		TP-064	HEK293T, ↓ med12-Rme2a: 43	TP-064N
43	MT: PRMT5	GSK591	Z138, ↓ SmD3-Rme2s: 56 (EC ₅₀)	SGC2096
44		LLY-283	MCF7, ↓ SmBB'-Rme2s: 30	LLY-284
45	MT: PRMT6	SGC6870	HEK293T, ↓ H4R3me2a: 800	SGC6870N
46	MT: PRMT7	SGC3027*/8158	C2C12, ↓ HSP70-Rme: 2400	SGS3027N
47	MT: SETD7	(R)-PFI-2	MCF7, induces nuclear YAP: 100	(S)-PFI-2
48	MT: SMYD2	BAY-598	KYSE-150, ↓ p53K370me: 58	BAY-369
49		PFI-5	MCF7/HEK293T, ↓ p53K370me: 1000/3000	PFI-5N
50	MT: SMYD3	BAY-6035	HeLa, ↓ MEK2K260me ~ 70	BAY-444
51	MT: SUV420H1/H2	A-196	U2OS, ↓ H4K20me2/3: 500	SGC2043
52	PAD: PADI4	GSK484	NB4, ↓ H3 citrullination: 71	GSK106
53	PWWP (1 st): NSD2	UNC6934	nanoBRET NSD2-P1/H3.3 (in U2OS cells): 1090	UNC7145
54	PWWP (1 st): NSD3	BI-9321	nanoBRET NSD3-P1/H3.3 (in U2OS cells): 1200	BI-9466
55	WD40: WDR5	OICR-9429	HEK293T Flag-WDR5, disrupt Wdr5-MLL: 223	OICR-0547

*pro-drug ; †alphascreen; ‡microscale thermophoresis, #ITC, †TR-FRET