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* IC_{50} or Kd < 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.

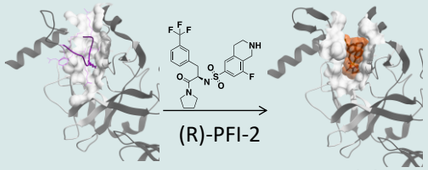
www.thesgc.org/chemcal-probes

Contact: suzanne.ackloo@utoronto.ca

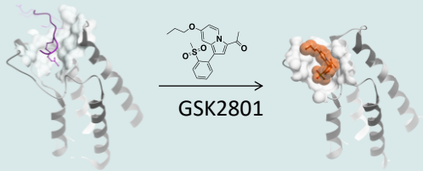
Target	Chemical probe
ATAD2	BAY-850, GSK8814
BET family	(+)-JQ1, PFI-1, GSK973, GSK778
BAZ2A/2B	GSK2801, BAZ2-ICR
BPTF	NVS-BPTF-1
BRD9/7	LP99; BI-9564; TP-472
BRD9	I-BRD9
BRPF1/2/3; BRPF1B	OF-1, NI-57; PFI-4, GSK6853
BRPF2/TAF1	BAY-299
CECR2	NVS-CECR2-1
CECR2/BPTF	TP-238
CREBBP, EP300	(BRD) SGC-CBP30, 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.



SETD7, H3K4me, SAH SETD7, (R)-PFI-2, SAM



BAZ2B, H3K14ac BAZ2B, GSK2801

#	Domain: Target	Probe	Cell line/Assay, Target/Biomarker: IC_{50}/EC_{50} (nM)	Usage (uM)	Control	PMID
1	BRD: ATAD2A/B	GSK8814	nanoBRET ATAD2 (BD): **2700**	1	GSK8815	27530368
2	BRD: ATAD2A	BAY-850	FRAP/ATAD2: **1000**	3	BAY-460	29043777
3	BRD: BET family	(+)-JQ1	NMC 797, BRD4: **500**	0.2	(-)-JQ1	20871596
4		GSK973 (pan-BD1)	↓MCP-1 in LPS-stimulated PBMCs: **1000**	<10	GSK943	32832027
5		GSK778 (pan-BD2)	↓MCP-1 in LPS-stimulated PBMCs: **1000**	<10	GSK791	32193360
6	BRD: BAZ2A/2B	BAZ2-ICR	FRAP, BAZ2A: **1000**	1	-	25719566
7		GSK2801	FRAP, BAZ2B: **1000**	3	GSK8573	25799074
8	BRD: BRD9/7	BI-9564	FRAP, BRD9/7: **100/1000**	1	-	26914985
9		TP-472	nanoBRET, BRD9: **323** (EC_{50})	1	TP-472N	
10	BRD: BRD9	I-BRD9	nanoBRET, BRD9: **159**	1	-	25856009
11	BRD: BRPF1/2/3	OF-1	nanoBRET, BRPF1B: **80**	1	-	
12		NI-57	FRAP, BRD1: **1000**	1	-	28714688
13	BRD: BRPF1B	GSK6853	nanoBRET BRPF1B (BD): **20**	1	GSK9311	27326325
14	BRD: BRPF2/TAF1	BAY-299	nanoBRET, BRPF2: **970**; TAF1 2nd BRD: **575**	1	BAY-364	28402630
15	BRD: CECR2/BPTF	TP-238	nanoBRET, CECR2 (BD)/BPTF (BD): **289/228**	1	TP-422	
16	BRD: BPTF	NVS-BPTF-1	nanoBRET, BPTF (BD): **16**	2	NVS-BPTF-C	34146702
17	BRD: CREBBP/EP300	SGC-CBP30	FRAP, CREBBP: **1000**	1	BDOIA513	24946055
18		I-CBP112	FRAP, CREBBP: **1000**	3	-	26552700
19	BRD: PCAF/GCN5	GSK4027	nanoBRET PCAF (full length)/H3.3: **60**	1	GSK4028	28002667
20		L-Moses	nanoBRET PCAF (BD)/H3.3: **260**	5	D-Moses	27966810
21	BRD: SMARCA2/4	PFI-3	FRAP, SMARCA2: **1000**	1		26139243
22		SGC-SMARCA-BRDVIII	↓PPARγ2, FABP4 in 3T3-L1 fibroblasts: **1000**	1.5	SGC-SMARCA-BRDVIII-NC	33216538
23	YEATS: MLLT1/3	NVS-MLLT-1	nanoBRET MLLT3/H3.3Kcr: **600**	1	NVS-MLLT-C	
24		PFI-6	nanoBRET MLLT3/H3.3Kcr: **800**	1	PFI-6N	
25	AT: CBP, p300	A-485	PC-3 cells, ↓ H3K27ac: **800**	0.8	A-486	28953875
26	AT: KAT6A/6B	WM-1119	MEF, cell cycle arrest: **1000**	1.5	WM-2474	32118427
27	DEHYDR: IDH1 mt	GSK864	HT-1080, IDH1 (R132C mutation): **320**	0.3	-	26436839
28	KDM: JMJD3/UTX	GSK-J4*/J1	(GSK-J4) PBMC, TNF-alpha: **9000**	5	GSK-J5	22842901
29	KDM: LSD1	GSK-LSD1	EC_{50} < **5**	1	-	26175415
30	Kme: L3MBTL3	UNC1215	Inhibit foci formation HEK293, L3MBTL3: **500**	1	UNC1079	23292653
31	Kme, Rme: SPIN	VinSpinIn	nanoBRET SPIN1/H3: **270**	0.3	VinSpinIC	31260300
32	MT: DOT1L	SGC0946	MCF10A, ↓ H3K79me: **10**	1	SGC0649	23250418
33	Kme: EED	A-395	RD rhabdoid cells, ⊥ H3K27me3: **90**	1	A-395N	28135237
34	MT: EZH2	GSK343	HCC1806, ↓ H3K27me3: **174**	3	-	24900432
35	MT: EZH2/H1	UNC1999	MCF10A, ↓ H3K27me3: **124 ± 11**	3	UNC2400	23614352
36	MT: G9a/GLP	A-366	PC3, ↓ H3K9me2: **300**	1	-	24900801
37		UNC0642	MDA-MB231, ↓ H3K9me2: **110** ; PC3: **130**	1	-	24102134
38	MT: PRDM9	MRK-740	HEK293T, ↓ H3K4me3: **800**	3	MRK-740-NC	31848333
39	MT: PRMT type 1	MS023	HEK293T PRMT1/6, ↓ H4R3/H3R2me2a: **9/56**	PRMT1: 0.1, PRMT6: 0.5	MS094	26598975
40	MT: PRMT3	SGC707	HEK293T flag-PRMT3, ↓ H4R3me2a: **91**	1	XY-1	25728001
41	MT: PRMT4	SKI-73*/SKI-72	(SKI-73) HEK293, ↓ med12-Rme2a: **540**	1 (reductase proficient cells)	SKI-73N	31657716
42		TP-064	HEK293T, ↓ med12-Rme2a: **43**	1	TP-064N	29719619
43	MT: PRMT5	GSK591	Z138, ↓ SmD3-Rme2s: **56** (EC_{50})	1	SGC2096	26985292
44		LLY-283	MCF7, ↓ SmBB'-Rme2s: **30**	0.5	LLY-284	30034588
45	MT: PRMT6	SGC6870	HEK293T, ↓ H4R3me2a: 800	3	SGC6870N	33591753
46	MT: PRMT7	SGC3027*/8158	C2C12, ↓ HSP70-Rme: **2400**	3	SGS3027N	32409666
47	MT: SETD7	(R)-PFI-2	MCF7, induces nuclear YAP: **100**	1	(S)-PFI-2	25136132
48	MT: SMYD2	BAY-598	KYSE-150, ↓ p53K370me: **58**	1	BAY-369	27075367
49		PFI-5	MCF7/HEK293T, ↓ p53K370me: **1000/3000**	3	PFI-5N	31415173
50	MT: SMYD3	BAY-6035	HeLa, ↓ MEKK2K260me ~ **70**	1	BAY-444	34154424
51	MT: SUV420H1/H2	A-196	U2OS, ↓ H4K20me2/3: **500**	1	SGC2043	28114273
52	PAD: PADI4	GSK484	NB4, ↓ H3 citrullination: **71**	10	GSK106	25622091
53	PWWP (1st): NSD2	UNC6934	nanoBRET NSD2-P1/H3.3 (in U2OS cells): **1090**	5	UNC7145	34782742
54	PWWP (1st): NSD3	BI-9321	nanoBRET NSD3-P1/H3.3 (in U2OS cells): **1200**	10	BI-9466	31285596
55	WD40: WDR5	OICR-9429	HEK293T Flag-WDR5, disrupt Wdr5-MLL: **223**	3	OICR-0547	26167872

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