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.

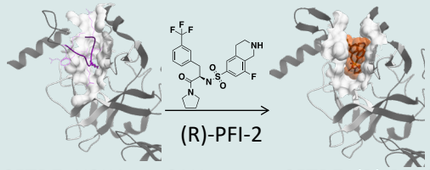
www.thesgc.org/chemcal-probes

Contact: suzanne.ackloo@utoronto.ca

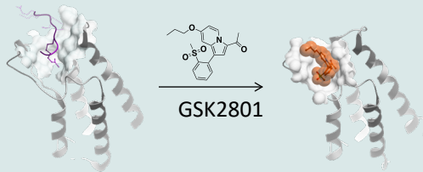
Target	Chemical probe
ATAD2	BAY-850, GSK8814
BET family	(+)-JQ1, PFI-1, GSK973, GSK778, GSK789, GSK046, GSK620
BAZ2A/2B	GSK2801, BAZ2-ICR
BPTF	NVS-BPTF-1
BRD9/7	LP99; BI-9564; TP-472
BRD9	I-BRD9
BRPF1/2/3; BRPF1B	OF-1, NI-57; PFI-4, GSK6853
BRPF2/TAF1	BAY-299
CECR2	NVS-CECR2-1
CECR2/BPTF	TP-238
CREBBP, EP300	(BRD) SGC-CBP30, I-CBP112
SMARCA2/4, PB1	PFI-3, SGC-SMARCA-BRDVIII, BI-7190, FHT-2344
PCAF/GCN5	L-Moses, GSK4027
MLLT1/3	NVS-MLLT-1, PFI-6
NUDT1	BAY-707
NUDT5	MRK-952
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*
PRMT9/5	MRK-990
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, Homer (degrader)
HDAC6 (UBD)	SGC-UBD253
USP21	BAY-805
KAT6A/6B (MYST3)	(AT) WM-1119
CBP, p300	(AT) A-485
UCHL1	8RK64

*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	(+)-JQ1	NMC 797, BRD4: **500**	0.2	(-)-JQ1	20871596
4		GSK973 (BD2)	↓MCP-1 in LPS-stimulated PBMCs: **1000**	<10	GSK943	32832027
5		GSK778 (BD1)	↓MCP-1 in LPS-stimulated PBMCs: **1000**	<1		32193360
6		GSK789 (BD1)	IC50= 125 nM (MV-4–11 cells)	<10	GSK791	
7		GSK046 (BD2)	pIC50 = 7.5 (LPS-PBMC assay)	<10		
8		GSK620 (BD2)	pIC50 = 7.2 (LPS-PBMC assay)	<10		
9	BRD: BAZ2A/2B	BAZ2-ICR	FRAP, BAZ2A: **1000**	1	-	25719566
10		GSK2801	FRAP, BAZ2B: **1000**	3	GSK8573	25799074
11	BRD: BRD9/7	BI-9564	FRAP, BRD9/7: **100/1000**	1	-	26914985
12		TP-472	nanoBRET, BRD9: **323** (EC_{50})	1	TP-472N	
13	BRD: BRD9	I-BRD9	nanoBRET, BRD9: **159**	1	-	25856009
14	BRD: BRPF1/2/3	OF-1	nanoBRET, BRPF1B: **80**	1	-	
15		NI-57	FRAP, BRD1: **1000**	1	-	28714688
16	BRD: BRPF1B	GSK6853	nanoBRET BRPF1B (BD): **20**	1	GSK9311	27326325
17	BRD: BRPF2/TAF1	BAY-299	nanoBRET, BRPF2: **970**; TAF1 2nd BRD: **575**	1	BAY-364	28402630
18	BRD: CECR2/BPTF	TP-238	nanoBRET, CECR2 (BD)/BPTF (BD): **289/228**	1	TP-422	
19	BRD: BPTF	NVS-BPTF-1	nanoBRET, BPTF (BD): **16**	2	NVS-BPTF-C	34146702
20	BRD: CREBBP/EP300	SGC-CBP30	FRAP, CREBBP: **1000**	1	BDOIA513	24946055
21		I-CBP112	FRAP, CREBBP: **1000**	3	-	26552700
22	BRD: PCAF/GCN5	GSK4027	nanoBRET PCAF (full length)/H3.3: **60**	1	GSK4028	28002667
23		L-Moses	nanoBRET PCAF (BD)/H3.3: **260**	5	D-Moses	27966810
24	BRD: SMARCA2/4	PFI-3	FRAP, SMARCA2: **1000**	1		26139243
25		SGC-SMARCA-BRDVIII	↓PPARγ2, FABP4 in 3T3-L1 fibroblasts: **1000**	1.5	SGC-SMARCA-BRDVIII-NC	33216538
26		BI-7190 (EUb)	nanoBRET BPTF (BRD)/tracer 5961: **58**	1	BI-4827	36649575
27		FHT-2344	Luciferase reporter assay: **30**	<1	FHT-5908	
28	NUDT1	BAY-707	**EC_{50}= 7.6**	<1	BAY-604	29485874
29	NUDT5	MRK-952 (EUb)	**EC_{50}= 24**	0.1	MRK-952NC	
30	YEATS: MLLT1/3	NVS-MLLT-1	nanoBRET MLLT3/H3.3Kcr: **600**	1	NVS-MLLT-C	
31		PFI-6 (EUb)	nanoBRET MLLT3/H3.3Kcr: **800**	1	PFI-6N	
32	AT: CBP, p300	A-485	PC-3 cells, ↓ H3K27ac: **800**	0.8	A-486	28953875
33	AT: KAT6A/6B	WM-1119	MEF, cell cycle arrest: **1000**	1.5	WM-2474	32118427
34	DEHYDR: IDH1 mt	GSK864	HT-1080, IDH1 (R132C mutation): **320**	0.3	-	26436839
35	KDM: JMJD3/UTX	GSK-J4*/J1	(GSK-J4) PBMC, TNF-alpha: **9000**	5	GSK-J5	22842901
36	KDM: LSD1	GSK-LSD1	EC_{50} < **5**	1	-	26175415
37	Kme: L3MBTL3	UNC1215	Inhibit foci formation HEK293, L3MBTL3: **500**	1	UNC1079	23292653
38	Kme, Rme: SPIN	VinSpinIn	nanoBRET SPIN1/H3: **270**	0.3	VinSpinIC	31260300
39	MT: DOT1L	SGC0946	MCF10A, ↓ H3K79me: **10**	1	SGC0649	23250418
40	Kme: EED	A-395	RD rhabdoid cells, ⊥ H3K27me3: **90**	1	A-395N	28135237
41	MT: EZH2	GSK343	HCC1806, ↓ H3K27me3: **174**	3	-	24900432
42	MT: EZH2/H1	UNC1999	MCF10A, ↓ H3K27me3: **124 ± 11**	3	UNC2400	23614352
43	MT: G9a/GLP	A-366	PC3, ↓ H3K9me2: **300**	1	-	24900801
44		UNC0642	MDA-MB231, ↓ H3K9me2: **110** ; PC3: **130**	1	-	24102134

#	Domain: Target	Probe	Cell line/Assay, Target/Biomarker: IC_{50}/EC_{50} (nM)	Usage (uM)	Control	PMID
45	MT: PRDM9	MRK-740	HEK293T, ↓ H3K4me3: **800**	3	MRK-740-NC	31848333
46	MT: PRMT type 1	MS023	HEK293T PRMT1/6, ↓ H4R3/H3R2me2a: **9/56**	P1: 0.1, P6: 0.5	MS094	26598975
47	MT: PRMT3	SGC707	HEK293T flag-PRMT3, ↓ H4R3me2a: **91**	1	XY-1	25728001
48	MT: PRMT4	SKI-73*/SKI-72	(SKI-73) HEK293, ↓ med12-Rme2a: **540**	1 (reductase proficient cells)	SKI-73N	31657716
49		TP-064	HEK293T, ↓ med12-Rme2a: **43**	1	TP-064N	29719619
50	MT: PRMT5	GSK591	Z138, ↓ SmD3-Rme2s: **56** (EC_{50})	1	SGC2096	26985292
51		LLY-283	MCF7, ↓ SmBB'-Rme2s: **30**	0.5	LLY-284	30034588
52	MT: PRMT6	SGC6870	HEK293T, ↓ H4R3me2a: 800	3	SGC6870N	33591753
53	MT: PRMT7	SGC3027*/8158	C2C12, ↓ HSP70-Rme: **2400**	3	SGC3027N	32409666
54	MT: PRMT9/5	MRK-990	HEK293T, ↓ SAP145-Rme2s: **145**	0.3	MRK-990NC	
55	MT: SETD7	(R)-PFI-2	MCF7, induces nuclear YAP: **100**	1	(S)-PFI-2	25136132
56	MT: SMYD2	BAY-598	KYSE-150, ↓ p53K370me: **58**	1	BAY-369	27075367
57		PFI-5	MCF7/HEK293T, ↓ p53K370me: **1000/3000**	3	PFI-5N	31415173
58	MT: SMYD3	BAY-6035	HeLa, ↓ MEKK2K260me ~ **70**	1	BAY-444	34154424
59	MT: SUV420H1/H2	A-196	U2OS, ↓ H4K20me2/3: **500**	1	SGC2043	28114273
60	PAD: PADI4	GSK484	NB4, ↓ H3 citrullination: **71**	10	GSK106	25622091
61	PWWP (1st): NSD2	UNC6934	nanoBRET NSD2-P1/H3.3 (U2OS): **1090**	5	UNC7145	34782742
62	PWWP (1st): NSD3	BI-9321	nanoBRET NSD3-P1/H3.3 (U2OS): **1200**	10	BI-9466	31285596
63	Kme: WDR5	OICR-9429	HEK293T Flag-WDR5, disrupt Wdr5-MLL: **223**	3	OICR-0547	26167872
64		Homer	**DC_{50}: 53 (D_{max} = 58%)**	1	NC_WDR5, NC-VHL	33980013
	UBIQUITIN	**SIGNALING**				
65	E3: GID4	PFI-7	nanoBRET GID4 (FL)-degron: **600**	2	PFI-7N	
66	UBD: HDAC6	SGC-UBD253	nanoBRET HDAC6 (FL)-ISG15 (HEK293): **1900**	5	SGC-UBD253N	
67	USP: USP21	BAY-805	HiBiT CETSA: **95**	0.1	BAY-728	36802665
68	UCHL1	8RK64	**EC_{100}: 3**	<3	JYQ88	32886496
	CHEMICAL	**HANDLE**	**Cell-free data**			
1	GID4	PFI-E3H1	K_D = 650 nM (SPR)			