PRODUCT INFORMATION

SGC Probe Set

Item No. 17748

The SGC (Structural Genomics Consortium), in conjunction with academic and industrial partners, has developed numerous small, drug-like molecules that inhibit or antagonize epigenetic readers, writers, and erasers. Cayman Chemical provides these probes as part of our expanding epigenetics product portfolio. The SGC Probe Set contains a collection of the compounds below, each packaged as a 1 mg sample size for ease of preclinical target validation during early stages of drug discovery. The composition of this chemical library will always vary somewhat as new probes are being added to this list in a timely manner following disclosure of the compounds by the SGC.

Contents of SGC Probe Set

Item No.	Item Name	Activity
18317	A-196	A selective inhibitor of SUV420H1 and SUV420H2 (IC_{50}s = 25 and 144 nM, respectively)
16081	A-366	A peptide-competitive inhibitor of G9a (IC_{50} = 3.3 nM)
19777	BAY-299	A potent and selective BRD1 inhibitor (IC_{50} = 6 nM)
18238	(S)-BAY-598	A selective inhibitor of SMYD2 with IC_{50} values of 27 nM and <1 µM, respectively
17448	BAZ2-ICR	An inhibitor of BAZ2A (K_d = 109 nM) and BAZ2B (K_d = 170 nM) bromodomains
17897	BI-9564	A selective inhibitor of BRD9 and BRD7 bromodomains (K_ds = 14.1 and 239 nM; IC_{50}s = 75 nM and 3.4 µM, respectively)
14120	GSK2801	An inhibitor of BAZ2A and BAZ2B bromodomains (IC_{50} = 0.26 and 0.14 µM, respectively)
14094	GSK343	A selective, cell-permeable EZH2 inhibitor (IC_{50} = 4 nM)
17488	GSK484 (hydrochloride)	A reversible inhibitor of PAD4 (IC_{50} = 50 nM)
18354	GSK591	A chemical probe for PRMT5 that potently inhibits the PRMT5/MEP50 complex from methylating histone H4 (IC_{50} = 11 nM)
18762	GSK864	An inhibitor of mutant IDH1 (IC_{50}s = 9, 15, and 17 nM for IDH1 mutants R132C, R132H, and R132G, respectively);
20985	GSK6853	A selective chemical probe for the bromodomain of BRPF1
12073	GSJ-J4 (hydrochloride)	An ethyl ester prodrug of the JMJD3 selective histone demethylase inhibitor GSK-J1
16439	GSK-LSD1 (hydrochloride)	An irreversible, mechanism-based inhibitor of LSD1 (IC_{50} = 16 nM)
17749	I-BRD9	A selective BRD9 bromodomain inhibitor (pIC_{50} = 7.3; pK_d = 8.7
14468	I-CBP112 (hydrochloride)	A selective inhibitor of CBP and EP300 bromodomains (K_ds= 0.142 and 0.625 µM, respectively)
11187	(+)-JQ1	Binds BRD4 bromodomains 1 and 2 (K_ds = ~50 and 90 nM, respectively)
18361	MS023 (hydrochloride)	A potent, selective inhibitor of type I PRMTs (IC_{50}s = 20, 119, 83, 8, and 8 nM for PRMT1, 3, 4, 6, and 8, respectively)
18348	MS049 (hydrochloride)	A potent and selective inhibitor of PRMT4 and PRMT6 that is active in cells
17662	NI-57	A selective, potent inhibitor of the bromodomains of BRPF proteins that binds to BRPF1B, BRPF2, and BRPF3 (K_ds = 31, 108, and 408 nM, respectively)
18316	NVS-CECR2-1	A potent and selective inhibitor of CECR2 (IC_{50} = 0.047 µM, K_d = 0.80 µM)
17124	OF-1	Binds BRPF1B, BRPF2, and BRPF3 bromodomains (K_ds = 0.1, 0.5, and 2.5 µM, respectively)
16095	OICR-9429	Selectively binds to WDR5 (K_d = 24-52 nM) and disrupts its interaction with MLL1 and RbBP5 in cells (IC_{50} = <1 µM)

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Item No.	Item Name	Activity
14678	(R)-PFI-2 (hydrochloride)	A potent, cell-permeable inhibitor of SET7/9 (IC_{50} = 2 nM)
18119	(S)-PFI-2 (hydrochloride)	The inactive enantiomer of the SET7/9 inhibitor (R)-PFI-2
15267	PFI-3	Binds to SMARCA4 and PB1(domain 5) bromodomains (K_ds = 89 and 48 nM, respectively)
13967	SGC0946	An inhibitor of DOT1L (IC_{50} = 0.3 nM)
17017	SGC707	A potent allosteric inhibitor of PRMT3 (IC_{50} = 50 nM)
14469	SGC-CBP30	A CREBBP and EP300 bromodomain inhibitor (IC_{50}s = 21-69 and 38 nM, respectively)
20256	TP-064	A potent inhibitor of PRMT4 (IC_{50} < 10 nM)
20030	TP-472	A BRD9 inhibitor (K_d = 33 nM; EC_{50} = 320 nM in a NanoBRET assay)
14604	UNC0642	A G9a and GLP inhibitor (K_i = 3.7 nM)
13968	UNC1215	A potent, selective chemical probe for L3MBTL3 (K_d = 120 nM; IC_{50} = 40 nM)
14621	UNC1999	A selective, cell-permeable EZH2 inhibitor (IC_{50} = 2 nM)

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