

Supplementary Material

Chemical Modulators for Epigenome Reader Domains as Emerging Epigenetic Therapies for Cancer and Inflammation

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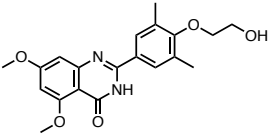
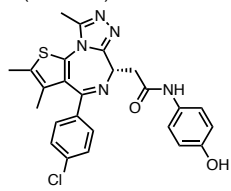
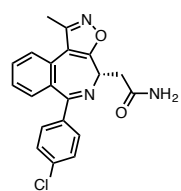
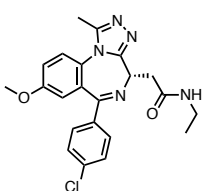
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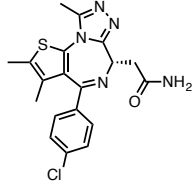
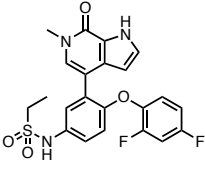

Supplementary Table 1 (Part 1 of 2).^a Bromodomain Inhibitors in Human Clinical trials

Compound	Sponsor	Indication	Phase/Status	NCT identifier
RVX208 (RVX00022) 	Resverlogix Corp.	Diabetes	2/Completed	NCT01728467
		Diabetes, CAD	2/Terminated	NCT01863225
		Atherosclerosis, CAD	2/Completed	NCT01058018
		Dyslipidemia, Atherosclerosis, ACS, CVD	1,2/Completed	NCT00768274
		T2DM, CAD, CVD	3/Recruiting	NCT02586155
		CAD, Dyslipidemia	2/Completed	NCT01423188
		CAD	2/Completed	NCT01067820
OTX015 (MK-8628) 	Oncoethix GmbH	Glioblastoma Multiforme	1,2/Terminated	NCT02296476
		AML, DLBCL, ALL, MM	1/Completed	NCT01713582
		NMC, TNBC, NSCLC, CRPC, PDAC	1/Completed	NCT02259114
		NMC, TNBC, NSCLC, CRPC	1/Ongoing	NCT02698176
		AML	1/Ongoing	NCT02698189
CPI-0610 	University of Texas SMC	PNT	2/Not yet recruiting	NCT02986919
	Constellation Pharmaceuticals	Lymphoma	1/Not yet recruiting	NCT01949883
		MM	1/Recruiting	NCT02157636
		AMcL, MDS, MDS/MPN U, myelofibrosis	1/Recruiting	NCT02158858
I-BET762 (GSK525762) 	GlaxoSmithKline	RRHMs	1/Recruiting	NCT01943851
		ER+ breast cancer	2/Recruiting	NCT02964507
		NMC and other cancers	1/Recruiting	NCT01587703
		Drug Interactions	1/Completed	NCT02706535

^a Data from www.clinicaltrials.com on April 7, 2017. Abbreviations: ACS, acute coronary syndrome; ALL, acute lymphoblastic leukemia; AML, acute myeloid leukemia; AMcL, acute myelocytic leukemia; CAD, coronary artery disease; CRPC, castration-resistant prostate cancer; CVD, cardiovascular disease; DLBCL, diffuse large B-cell lymphoma; ER+ breast cancer, estrogen receptor-positive breast cancer; MDS, myelodysplastic syndrome; MDS/MPN U, myelodysplastic/myeloproliferative neoplasm, unclassifiable; MM, multiple myeloma; NMC, NUT midline carcinoma; NSCLC, non-small cell lung cancer; PDAC, pancreatic ductal adenocarcinoma; PNT, peripheral nerve tumor; RRHMs, relapsed refractory hematologic malignancies; SMC, Southwestern Medical Center; T2DM, type 2 diabetes mellitus; TNBC, triple negative breast cancer.

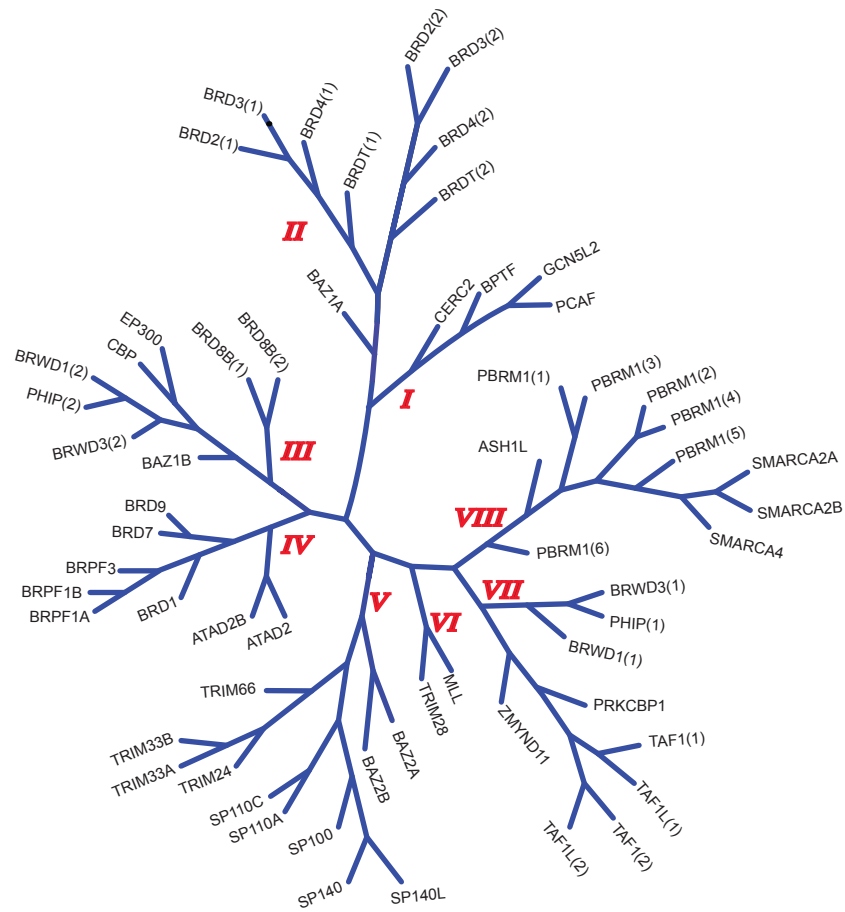
^b Structure not disclosed.

Supplementary Table 1 (Part 2 of 2).^a Bromodomain Inhibitors in Human Clinical trials

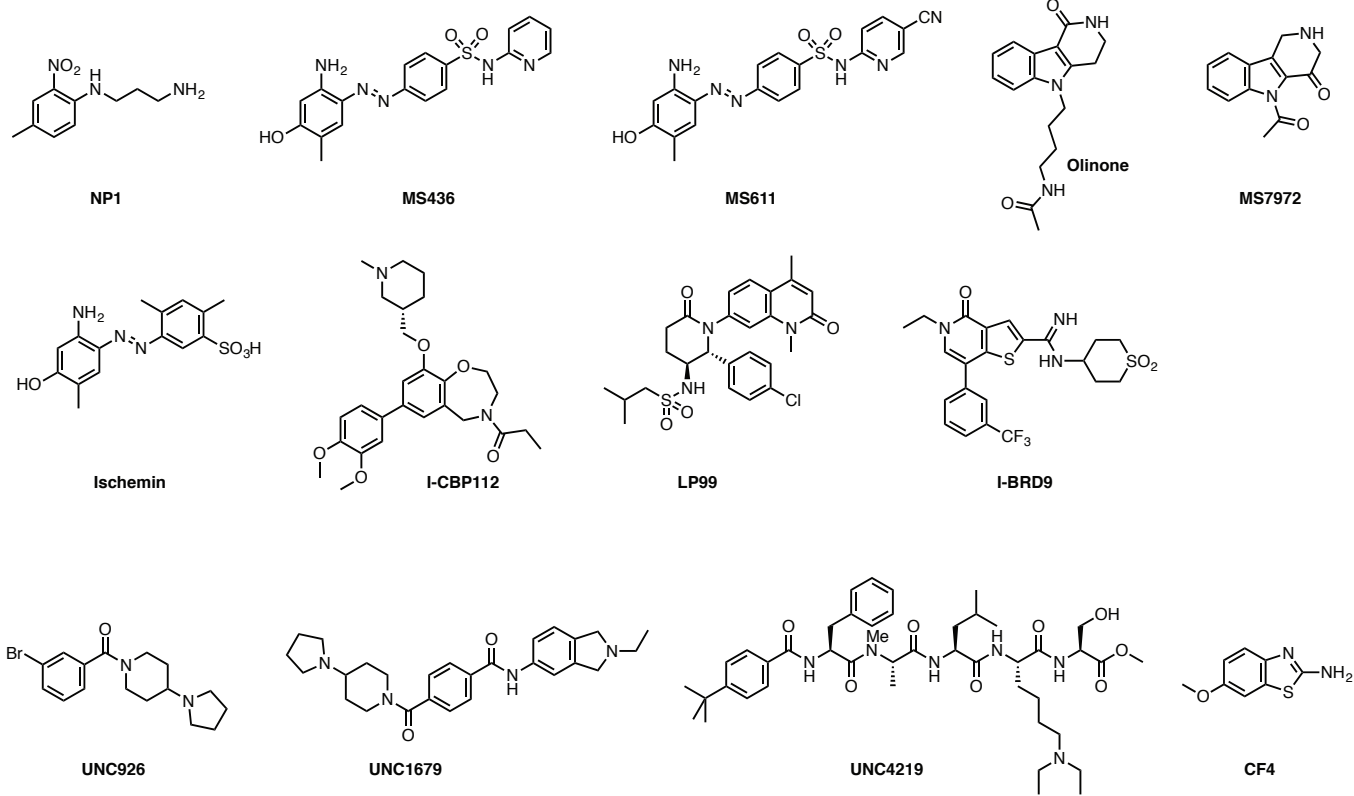
Compound	Sponsor	Indication	Phase/Status	NCT identifier
ZEN003694 ^b	Zenith Epigenetics	Metastatic CRPC	1/Recruiting	NCT02711956
TEN-010 (RO6870810)	Hoffmann-La Roche	MDS, AML	1/Recruiting	NCT02308761
		Solid tumors, AST	1/Ongoing	NCT01987362
GS-5829 ^b	Gilead Sciences	Solid tumors, Lymphomas	1/Recruiting	NCT02392611
		Metastatic CRPC	1,2/Recruiting	NCT02607228
		AEHBC	1,2/Recruiting	NCT02983604
BAY 1238097 ^b	Bayer	Neoplasms	1/Terminated	NCT02369029
INCB054329 ^b	Incyte Corporation	Advanced Cancer	1,2/Recruiting	NCT02431260
BMS-986158 ^b	Bristol-Myers Squibb	Multiple Indications Cancer	1,2/Recruiting	NCT02419417
FT-1101 ^b	Forma Therapeutics, Inc.	AML, AMgL, MDS	1/Recruiting	NCT02543879
GSK2820151 ^b	GlaxoSmithKline	AST, RST	1/Recruiting	NCT02630251
PLX51107 ^b	Plexxikon	Solid tumors, AML, MDS	1/Recruiting	NCT02683395
ABBV-075	AbbVie	Advanced Cancer, Breast Cancer	1/Recruiting	NCT02391480
		NSCLC, AML, MM, SCLC, PC, NL		
INCB057643 ^b	Incyte Corporation	Advanced Cancer	1,2/Recruiting	NCT02711137
N-methyl-pyrrolidone	Peter MacCallum Cancer Centre	RRMM	1/Recruiting	NCT02468687
				
BI 894999 ^b	Boehringer Ingelheim	Neoplasms	1/Ongoing	NCT02516553

^aData from www.clinicaltrials.com on April 7, 2017. Abbreviations: AEHBC, Advanced Estrogen Receptor Positive HER2-Breast Cancer; AML, acute myeloid leukemia; AMgL, Acute Myelogenous Leukemia; AST, advanced solid tumors; CRPC, castration-resistant prostate cancer; MDS, myelodysplastic syndrome; MM, multiple myeloma; NL, Non-Hodgkins Lymphoma; NMP, N-methyl-2-pyrrolidone; NSCLC, nonsmall cell lung cancer; PC, prostate cancer; RRMM, relapsed refractory multiple myeloma; RST, recurrent solid tumors; SCLC, small cell lung cancer;

^bStructure not disclosed.



Supplementary Figure 1. Phylogenetic tree of the human bromodomain family with sub-families named by roman numerals I-VIII. Subfamilies are classified based on sequence and structure. Figure adapted from *Cell* 2012 149, 214–231.



Supplimentary Figure 2. Chemical modulators of acetyl- and methyl-lysine binding domains