

Table S6. EZH2 inhibitors in clinical development

Compound	Other Names	Mechanism	Selectivity	Status	Ref(s).
DZNep	deazaneplanocin	inhibitor of methyltransferases	Non-specific	Preclinical	[1-5]
EPZ005687		SAM-competitive inhibitor of EZH2	>500-fold over other HMTs, ~50-fold over EZH1	Preclinical	[6]
EPZ-6438	E7438, Tazemetostat	SAM-competitive inhibitor of EZH2	>4,500-fold over 14 other HMTs, 35-fold over EZH1	Phase 1/2	[7, 8]
GSK343		SAM-competitive inhibitor of EZH2	1,000-fold over other HMTs, 60-fold over EZH1	Preclinical	[9]
GSK503		selective EZH2 inhibitor	Unknown	Preclinical	[10]
GSK926		SAM-competitive inhibitor of EZH2	Unknown	Preclinical	[9]
GSK126	GSK2816126	SAM-competitive inhibitor of EZH2	>1,000-fold over 20 other HMTs, 150-fold over EZH1	Phase 1	[11]
EI1		SAM-competitive inhibitor of EZH2	>10,000-fold over other HMTs, ~90-fold over EZH1	Preclinical	[12]
CPI-169		selective EZH2 inhibitor	Unknown	Preclinical	[13]
CPI-360		SAM-competitive inhibitor of EZH2	>10,000-fold over 30 different enzymes, ~100-fold over EZH1	Preclinical	[13]
CPI-1205		selective EZH2 inhibitor	Unknown	Phase 1	[14, 15]
UNC1999		SAM-competitive inhibitor of PRC2	10,000-fold over other HMTs, tenfold over EZH1	Preclinical	[16]