**Supplemental Information**

**Table S1. Functions of histone modifiers in BC**

|  |  |  |  |  |  |
| --- | --- | --- | --- | --- | --- |
| Modifiers | Cooperators | Targets | Substrates | Functions | Refs. |
| HATs | | | | | |
| CBP | AGAP2-AS1 | ↑MyD88 | H3K27ac | ↑Proliferation  ↑Trastuzumab resistance  ↓Apoptosis | (Dong et al., 2018) |
| CBP | MTDH | ↑TWIST1 | H3pan-ac | ↑CSCs | (Liang et al., 2015) |
| CBP | EYA1 | ↑Cyclin D1 | H3K9ac | ↑Proliferation | (Wu et al., 2013) |
| CBP | Unknown | ↑TINCR | H3K27ac | ↑Trastuzumab resistance  ↑EMT | (Dong et al., 2019) |
| P300 | CGI-99 | ↑IL-6 | H3K9ac H3K14ac H3K27ac  H4K5ac  H4K8ac  H4K12ac | ↑CSCs  ↑Metastasis | (Lin et al., 2017) |
| P300 | MRTF-A | ↑MYH9  ↑MYL9  ↑CYR61 | H3K9ac | ↑Migration | (He et al., 2015) |
| P300 | HBXIP | ↑MDM2 | H3pan-ac | ↑Proliferation | (Li et al., 2015) |
| P300 | DOT1L  c-Myc | ↑SNAIL  ↑ZEB1  ↑ZEB2 | H3pan-ac  H3K79me2 | ↑CSCs | (Cho et al., 2015) |
| P300 | CBX7 | ↑DKK-1 | H3pan-ac | ↑CSCs | (Kim et al., 2015) |
| P300 | ER  MLL1  MLL3 | ↑HOTAIR | Hpan-ac | ↓Apoptosis | (Bhan et al., 2013) |
| P300/CBP | CapG | ↑PIK3R1/P50 | H3K27ac | ↑Paclitaxel resistance | (Chi et al., 2019) |
| GCN5 | SND1 | ↑SMAD2/3/4 | H3K9ac | ↑Metastasis | (Yu et al., 2017) |
| PCAF  P300 | MyoD  c-myb | ↑BRCA1 | H3pan-ac  H4pan-ac | ↑Cell viability | (Jin et al., 2011) |
| PCAF  GCN5 | Unknown | ↑MDR1 | H3K9ac | ↑Drug resistance | (Toth et al., 2012) |
| HBO1 | SIX1 | ↑HK2  ↑ALDOA  ↑PGK1  ↑ENO1  ↑LDHA | H4K5ac | ↑Proliferation | (Li et al., 2018) |
| MYST3 | Unknown | ↑ER | H3K9ac | ↑Proliferation | (Yu et al., 2017) |
| HDACs | | | | | |
| HDAC1 | CHD4 | ↓P21 | H3K9ac  H3K14ac | ↑Proliferation  ↑Colony formation | (Hou et al., 2017) |
| HDAC1 | ZNF750  KDM1A | ↓LAMB3  ↓CTNNAL1 | H3pan-ac  H3K4me1/2/3 | ↓Invasion  ↓Migration | (Cassandri et al., 2020) |
| HDAC1 | KLF9 | ↓MMP9 | H3K27ac | ↓Metastasis | (Bai et al., 2018) |
| HDAC1 | BRMS1L | ↓FZD10 | H3K9ac | ↓Metastasis | (Gong et al., 2014) |
| HDAC1 | TIEG1 | ↓EGFR | H3pan-ac | ↓Invasion | (Jin et al., 2012) |
| HDAC1 | UHRF1 | ↓MDR1 | H3pan-ac H4pan-ac | ↓Doxorubicin  resistance  ↓Vinblastine resistance | (Jin et al., 2010) |
| HDAC1 | SMAR1 | ↓Cyclin D1 | H3K9ac  H4K8ac | ↓Proliferation | (Rampalli et al., 2005) |
| HDAC2 | TRPS1 | ↓AES  ↓Casp7  ↓PERP | H4K16ac | ↑Proliferation | (Wang et al., 2018) |
| HDAC2 | PELP1 | ↓miR-200a  ↓miR-141 | H3K9ac | ↑Metastasis | (Roy et al., 2014) |
| HDAC2 | KLF-4 HDAC3 | ↓VEGF | Unknown | ↓Migration | (Ray et al., 2013) |
| HDAC2 | FOXO3a | ↓VEGF | H3pan-ac  H4pan-ac | ↓Angiogenesis | (Karadedou et al., 2012) |
| HDAC3 | Unknown | ↓CDKN1A | H3K9ac  H4K16ac | ↑Proliferation | (Yu et al., 2020) |
| HDAC3 | FOXF2 | ↓FOXQ1 | H3K9ac H3K27ac | ↑Migration  ↑Invasion  ↑Multidrug resistance | (Kang et al., 2019) |
| HDAC3 | Unknown | ↓miR-31 | H3K9ac | ↑Proliferation  ↑Aerobic glycolysis | (Zhao et al., 2018) |
| HDAC3 | Unknown | ↓ANCR | H3pan-ac  H4pan-ac | ↑Migration | (Li et al., 2017) |
| HDAC4 | Unknown | ↓SMAD4 | H3pan-ac | ↑5-FU resistance | (Yu et al., 2013) |
| HDAC7 | Unknown | ↓IL-24 | H3K27ac | ↑Proliferation  ↑Invasion  ↑CSCs | (Cutano et al., 2019) |
| HDAC8 | SMAD3/4 | ↓SIRT7 | H4pan-ac | ↑Metastasis  ↑Paclitaxel resistance | (Tang et al., 2020) |
| SIRT1 | Unknown | ↓SFRP1  ↓E-cadherin ↓GATA-5 | H3K9ac  H4K16ac | ↑Viability | (Pruitt et al., 2006) |
| SIRT1 | Unknown | ↓Survivin | H3K9ac | ↓Tumor growth | (Wang et al., 2008) |
| SIRT2 | Unknown | ↓ARRDC3 | H4K16ac | ↑Migration | (Soung et al., 2014) |
| HMTs | | | | | |
| MLL2 | ERα | ↑IL-20 | H3K4me1/2 | ↑Proliferation | (Su et al., 2016) |
| MLL2 | GCN5  FOXOs | ↑c-Myc | H3K4me3  H3pan-ac | ↑Lapatinib resistance | (Matkar et al., 2015) |
| MLL2 | LSD1  UTX  ASXL2 | ↑NCOA3  ↑BMP7  ↑CA4  ↑RSP6KB1 | H3K4me3  H3K9me2  H3K27me3 | ↑Proliferation | (Park et al., 2016) |
| MLL3 | Unknown | ↑AGR3  ↑PGR  ↑CA2 | H3K4me1 | ↑Proliferation | (Gala et al., 2018) |
| MLL3 | SET1A | ↑ESR1 | H3K4me1  H3K4me3 | ↑Proliferation | (Kim et al., 2020) |
| MLL3 | FOXA1  ER | ↑TFF1  ↑PGR  ↑MYC | H3K4me1 | ↑Proliferation | (Jozwik et al., 2016) |
| MLL3 | ER  P300/CBP | ↑HOXB9 | H3K4me3  Hpan-ac | ↑Proliferation | (Deb et al., 2016) |
| SETD1A | Unknown | ↑SKP2 | H3K4me3 | ↑Proliferation  ↓Senescence | (Tajima et al., 2019) |
| SETD1A | Unknown | ↑MMPs | H3K4me3 | ↑Invasion  ↑Migration | (Salz et al., 2015) |
| SET7 | GATA1 | ↑VEGF | H3K4me1 | ↑Vascular endothelial cell proliferation  ↑Migration  ↑Tube formation | (Zhang et al., 2016) |
| SMYD3 | SMAD3 | ↑SNAIL1 | H3K4me3 | ↑EMT | (Fenizia et al., 2019) |
| SMYD3 | MRTF-A | ↑MYL9 | H3K4me2/3 | ↑Migration | (Luo et al., 2014) |
| NSD2 | Unknown | ↑TIGAR  ↑HK2  ↑G6PD | H3K36me2 | ↑Tamoxifen resistance | (Wang et al., 2016) |
| NSD2 | Unknown | ↑ADAM9  ↑EGFR | H3K36me2/3 | ↑Proliferation  ↑Invasion  ↑Gefitinib resistance | (Wang et al., 2019) |
| DOT1L | Unknown | ↑BCAT1 | H3K79me2 | ↑Migration  ↑Sphere formation | (Oktyabri et al., 2016) |
| G9a | EZH2  CDYL2 | ↓miR124 | H3K9me2  H3K27me3 | ↑Invasion  ↑Migration  ↑EMT | (Siouda et al., 2020) |
| G9a | Unknown | ↓CDH10 | H3K9me2 | ↑EMT | (Casciello et al., 2020) |
| G9a | TBX2  HP1  EGR1 | ↓NDRG1 | H3K9me2/3 | ↑Proliferation | (Crawford et al., 2019) |
| G9a | MYC | ↓CDKN1A  ↓GADD45A  ↓HMOX1  ↓VAMP4 | H3K9me2 | ↑Proliferation | (Tu et al., 2018) |
| G9a | E4BP  SUV39H1 | ↓RASSF8 | H3K9me2/3 | ↑Proliferation  ↓Apoptosis | (Karthik et al., 2018) |
| G9a | HDAC1  YY1 | ↓Hephaestin | H3K9me2 | ↑Proliferation | (Wang et al., 2017) |
| G9a | Unknown | ↓ARNTL ↓CEACAM7  ↓GATA2  ↓HHEX  ↓KLRG1  ↓OGN | H3K9me2 | ↑Proliferation  ↑Migration | (Casciello et al., 2017) |
| G9a | STAT3 | ↓miR-200c | H3K9me2 | ↑EMT  ↑CSCs | (Chang et al., 2015) |
| G9a | Unknown | ↓LC3-II  ↓GFP-LC3-II  ↓GFP | H3K9me2 | ↓Autophagy | (Kim et al., 2013) |
| G9a | SNAIL  DNMT1 | ↓FBP1 | H3K9me2 | ↑CSCs | (Dong et al., 2013) |
| G9a | SNAIL  DNMT | ↓E-cadherin | H3K9me2 | ↑Invasion  ↑Migration  ↑EMT | (Dong et al., 2012) |
| G9a | Unknown | ↓Beclin-1 | H3K9me2 | ↓Autophagy | (Park et al., 2016) |
| SETDB1 | SMAD3 | ↓SNAIL1 | H3K9me3 | ↓Invasion  ↓Camptothecin resistance ↓Doxorubicin resistance  ↓EMT | (Du et al., 2018) |
| SUV39H1 | SNAIL | ↓E-cadherin | H3K9me3 | ↑Invasion  ↑Migration  ↑EMT | (Dong et al., 2013) |
| SET8 | TWIST | ↓E-cadherin  ↑N-cadherin | H4K20me1 | ↑EMT  ↑Invasion | (Yang et al., 2012) |
| SUV39H2 | Unknown | ↓Tensin-3 | H4K20me3 | ↓Invasion  ↓Migration | (Shinchi et al., 2015) |
| SUV39H2 | Unknown | ↓EGR1  ↓CTGF | H4K20me3 | ↓Invasion  ↓Migration  ↓EMT | (Wu et al., 2019) |
| EZH2 | Unknown | ↓RAD51 | H3K27me3 | ↓HR repair  ↑Breast tumor initiating cells expansion | (Zeidler et al., 2005; Chang et al., 2011) |
| EZH2 | Unknown | ↓FOXO3 | H3K27me3 | ↑Proliferation | (Gong et al., 2016) |
| EZH2 | Unknown | ↓ERα | H3K27me3 | ↑Tamoxifen resistance | (Nie et al., 2019) |
| EZH2 | Unknown | ↓GATA3 | H3K27me3 | ↑Fulvestrant resistance  ↑Proliferation  ↑Invasion  ↑Migration | (Yomtoubian et al., 2020) |
| EZH2 | LncRNA UCA1 | ↓P21 | H3K27me3 | ↑Cell cycle progression ↑Tamoxifen resistance | (Li et al., 2019) |
| EZH2 | Unknown | ↓FOXC1 | H3K27me3 | ↑Invasion  ↑Migration | (Du et al., 2012; Hirukawa et al., 2018) |
| EZH2 | Unknown | ↓E-cadherin | H3K27me3 | ↑Invasion | (Cao et al., 2008) |
| EZH2 | SUZ12 | ↓RKIP | H3K27me3  H3K9me3 | ↑Invasion | (Ren et al., 2012) |
| EZH2 | Unknown | ↓miR-129-5p | H3K27me3 | ↑EMT  ↑Adriamycin resistance  ↑Vincristine resistance  ↑Paclitaxel resistance | (Luan et al., 2016) |
| EZH2 | Unknown | ↓RUNX3 | H3K27me3 | ↑Proliferation | (Fujii et al., 2008) |
| EZH2 | Unknown | ↓CIITA | H3K27me3 | ↓Tumor immunogenicity | (Truax et al., 2012) |
| EZH2 | Unknown | ↓KLF2 | H3K27me3 | ↑Proliferation | (Taniguchi et al., 2012) |
| EZH2 | Unknown | ↓BIK | H3K27me3 | ↓Apoptosis  ↑Paclitaxel resistance | (Si et al., 2016) |
| EZH2 | YAP | ↓GDF15 | H3K27me3 | ↑Migration | (Wang et al., 2018) |
| EZH2 | Unknown | ↓TIMP | H3K27me3 | ↑Invasion  ↑Migration | (Chien et al., 2018) |
| EZH2 | Unknown | ↓WWC1 | H3K27me3 | ↑Proliferation  ↑Migration | (Liu et al., 2018) |
| EZH2 | Unknown | ↓Period2 | H3K27me3 | ↑Invasion  ↑Colony formation  ↑Mammosphere formation | (Yu et al., 2018) |
| EZH2 | Unknown | ↓TET1 | H3K27me3 | ↑Proliferation  ↓Senescence | (Yu et al., 2019) |
| EZH2 | LINC00511 | ↓CDKN1B | H3K27me3 | ↑Proliferation | (Zhang et al., 2019) |
| EZH2 | DANCR | ↓SOCS3 | H3K27me3 | ↑Viability  ↑Invasion  ↑Migration | (Zhang et al., 2020) |
| EZH2 | LOXL1-AS1 | ↓miR-708-5p | H3K27me3 | ↑Invasion  ↑Migration | (Dong et al., 2020) |
| EZH2 | Unknown | ↓miR-381 | H3K27me3 | ↑Proliferation  ↑Cisplatin resistance | (Dou et al., 2019) |
| EZH2 | Unknown | ↓FOSB | H3K27me3 | ↑Proliferation | (Zhang et al., 2020) |
| EZH2 | YY1 | ↓PHACRT2-AS1 | H3K27me3 | ↑Proliferation  ↑Metastasis | (Chu et al., 2020) |
| EZH2 | SMYD2 | ↓SIAH1  ↓RASSF1  ↓AXIN2 | H3K27me3 | ↑Proliferation  ↑Invasion  ↑EMT | (Zeng et al., 2019) |
| EZH2 | DDX21 | ↓SNAIL | H3K27me3 | ↓EMT  ↓Invasion | (Zhang et al., 2018) |
| EZH2 | LINC01133 | ↓SOX4 | H3K27me3 | ↓Invasion  ↓Migration | (Song et al., 2019) |
| EZH2 | macroH2A1.2 | ↓LOX | H3K27me3 | ↓Bone metastasis | (Kim et al., 2018) |
| EZH2 | Unknown | ↓P21 | H3K27me3 | ↓Taxol sensitivity | (Mu et al., 2019) |
| PRMT1 | Unknown | ↑ZEB1 | H4R3me2as | ↑EMT  ↓Senescence | (Gao et al., 2016) |
| PRMT4 | Unknown | ↑E2F1 | H3R17me2 | ↑Proliferation | (El Messaoudi et al., 2006; Frietze et al., 2008) |
| PRMT5 | SET1 | ↑FOXP1 | H3R2me2s  H3K4me3 | ↑Proliferation  ↑CSCs | (Chiang et al., 2017) |
| PRMT5 | Unknown | ↑OCT4/A ↑KLF4  ↑c-Myc | H3R2me2s | ↑Doxorubicin resistance  ↑CSCs | (Wang et al., 2018) |
| PRMT5 | Unknown | ↓STC-1 | H4R3me2 | ↓Invasion  ↓Migration | (Huang et al., 2018) |
| PRMT6 | Unknown | ↓P21 | H3R2me2a | ↑Proliferation | (Phalke et al., 2012) |
| PRMT7 | HDAC3 | ↓E-cadherin | H4R3me2s  H3K4me3  H3pan-ac  H4pan-ac | ↑EMT  ↑Invasion  ↑Migration | (Yao et al., 2014) |
| KDMs | | | | | |
| LSD1 | Slug | ↓ESR1 | H3K4me2 | ↑Proliferation  ↑Invasion  ↑Migration | (Bai et al., 2017) |
| LSD1 | AR | ↓E-cadherin  ↑Vimentin | H3K4me2  H3K9me2 | ↑Proliferation  ↑Invasion  ↑Migration  ↑EMT | (Feng et al., 2017) |
| LSD1 | Unknown | ↓p21Cif1/Waf  ↓HNF4 ↓HoxA10  ↓FoxA2 | H3K4me2 | ↑CSCs | (Wu et al., 2013) |
| LSD1 | CARM1  USP7 | ↓E-cadherin  ↑Vimentin | H3K4me2  H3K9me2 | ↑Invasion | (Liu et al., 2020) |
| LSD1 | RORα | ↑CTNND1 | H3K9me2 | ↑Migration | (Kim et al., 2017) |
| LSD1 | Unknown | ↓TRIM37  ↑GATA3 | H3K4me2  H3K9me2 | ↓Invasion  ↓Migration | (Hu et al., 2019) |
| LSD1 | BRSM1 HDAC1/2  Co-REST | ↓Vimentin | H3K4me1/2  H3pan-ac | ↓Invasion  ↓Migration  ↓EMT | (Qiu et al., 2018) |
| LSD1 | Unknown | ↓PD-L1  ↓CCL5  ↓CXCL9 ↓CXCL10 | H3K4me2 | ↓Tumor immunogenicity | (Qin et al., 2019) |
| LSD1 | LSD1/NuRD  (MTA3) complex  SIX3 | ↓FOXC2  ↓WNT1 | H3K4me1/2  H3pan-ac | ↓Invasion  ↓EMT | (Zheng et al., 2018) |
| LSD1 | CtBP/LSD1  /CoREST complex  ZNF516 | ↓EGFR | H3K4me1/2  H3pan-ac | ↓Proliferation  ↓Invasion  ↓EMT | (Li et al., 2017) |
| KDM5A | Unknown | ↓P21  ↓BAK1 | H3K4me3 | ↑Proliferation  ↓Erlotinib sensitivity  ↓Apoptosis | (Hou et al., 2012) |
| KDM5B | Unknown | ↓HEXIM1 | H3K4me2/3 | ↑Proliferation  ↑Doxorubicin resistance  ↓Differentiation | (Montano et al., 2019) |
| KDM5B | Unknown | ↓SOX2  ↓NANOG | H3K4me3 | ↓CSCs  ↓Mammosphere formation | (Yeh et al., 2019) |
| KDM5B | EMSY | ↓miR-31 | H3K4me3 | ↑Invasion  ↑Migration  ↑Colony formation | (Viré et al., 2014) |
| KDM5B | TFAP2C  Myc | ↓CDKN1A | H3K4me3 | ↑Cell cycle | (Wong et al., 2012) |
| KDM5B | Unknown | ↓Let-7e | H3K4me3 | ↑Proliferation | (Mitra et al., 2011) |
| KDM5B | Unknown | ↓CAV1  ↓HOXA5  ↓BRCA1 | H3K4me3 | ↑Proliferation | (Yamane et al., 2007) |
| KDM5B/C | Unknown | ↓STING | H3K4me3 | ↓Immunogenicity | (Wu et al., 2018) |
| KDM5C | Unknown | ↓BRMS1 | H3K4me3 | ↑Invasion  ↑Migration | (Wang et al., 2015) |
| KDM2A | Unknown | ↓TET2 | H3K36me2/3 | ↑Invasion  ↑Migration | (Chen et al., 2017) |
| KDM2B | Unknown | ↓p15INK4B ↓p16INK4A ↓p57KIP2 | H3K4me3  H3K36me2 | ↑Proliferation | (Zheng et al., 2018) |
| KDM3A | ACK1 | ↑HOXA1 | H3K9me2 | ↑Proliferation  ↑Tamoxifen resistance | (Mahajan et al., 2014) |
| KDM3A | ER | ↑Ps2  ↑GREB1  ↑CCND1  ↑MYC  ↑XBP1 | H3K9me1/2 | ↑Proliferation  ↑Tamoxifen resistance | (Wade et al., 2015) |
| KDM3A | Unknown | ↑Cyclin D1 | H3K9me1/2 | ↑Proliferation | (Qin et al., 2017) |
| KDM3A | Unknown | ↑MYC  ↑PAX3 | H3K9me2 | ↑Migration  ↑Colony formation | (Zhao et al., 2016) |
| KDM3A | Unknown | ↑MMP9  ↑S100A  ↑JUN  ↓P53 | H3K9me2,  p53-K372me1 | ↑Invasion  ↓Apoptosis  ↑Cisplatin resistance  ↑Paclitaxel resistance | (Ramadoss et al., 2017) |
| KDM3A | Unknown | ↑BNIP3  ↑BNIP3L | H3K9me1/2 | ↑Anoikis | (Pedanou et al., 2016) |
| KDM3A | BRG1 | ↑MUC1 | H3K9me2 | ↑Invasion  ↑Migration | (Sun et al., 2019) |
| KDM4B | Unknown | ↑MYB  ↑MYC  ↑CCND1 | H3K9me3 | ↑Proliferation | (Kawazu et al., 2011) |
| KDM4B | Unknown | ↑LINE-1 | H3K9me3 | ↑DNA  damage | (Xiang et al., 2019) |
| KDM4B | ERα  HIF-1α | ↑CCNA1  ↑CCND1  ↑WEE1 | H3K9me3 | ↑Proliferation | (Yang et al., 2010) |
| KDM4C | HIF-1α | ↑BNIP3  ↑LDHA  ↑PDK1 ↑SLC2A1 ↑LOXL2 ↑L1CAM | H3K9me3 | ↑Tumor growth  ↑Metastasis | (Luo et al., 2012) |
| PHF8 | Unknown | ↑Cyclin A2 | H3K9me1 | ↑Proliferation | (Wang et al., 2016) |
| PHF8 | Unknown | ↑SNAI1 | H3K9me1/2 | ↑Proliferation  ↑EMT | (Shao et al., 2017) |
| UTX | MLL4 | ↑MMP9  ↑MMP11  ↑SIX1 | H3K27me3  H3K4me3 | ↑Proliferation  ↑Invasion | (Kim et al., 2014) |
| UTX | Unknown | ↑DICER | H3K27me3 | ↓EMT | (van den Beucken et al., 2014) |
| UTX | GATA3 | ↑DICER | H3K27me3 | ↓EMT | (Yu et al., 2019) |
| UTX | Unknown | ↑NANOG ↑SOX2  ↑KLF4 | H3K27me3 | ↑CSCs | (Lu et al., 2020) |
| UTX | MLL4  LSD1  HDAC1  DNMTs | ↓SNAIL  ↓ZEB1  ↓ZEB2 | H3K4me2  H3pan-ac | ↓EMT | (Choi et al., 2015) |
| UTX | JHDM1D  CBP | ↑CXCR4 | H3K27me3  H3K27ac | ↑Proliferation  ↑Migration | (Xie et al., 2017) |
| KDM6B | Unknown | ↑SNAI1 | H3K27me3 | ↑EMT  ↑Invasion | (Ramadoss et al., 2012) |
| KDM6B | Unknown | ↑BCL2 | H3K27me3 | ↓Apoptosis | (Svotelis et al., 2011) |
| KDM6B | Unknown | ↑IGFBP5 | H3K27me3 | ↓Proliferation | (Wang et al., 2018) |

Note: ↑, promote; ↓, inhibit

**Table S2. Functions of histone acetylation and methylation readers in BC**

|  |  |  |  |  |  |
| --- | --- | --- | --- | --- | --- |
| Proteins | Readers | Targets | Target proteins | Function | Refs. |
| BRD4 | Bromodomain | H4K5ac  H4K8ac | ↑WNT5A | ↑Invasion  ↑Tumorigenicity  ↑CSCs | (Shi et al., 2014; Zhang et al., 2020) |
| BRD4 | Bromodomain | H3K9ac | ↑LIFR | ↓Apoptosis  ↓HDACi sensitivity | (Zeng et al., 2016) |
| BRD4 | Bromodomain | H4K12ac | Unknown | ↑Estrogen-dependent gene transcription | (Nagarajan et al., 2015) |
| BRD4 | Bromodomain | Acetyl-lysine | ↑BRCA1  ↑RAD51 | ↑DNA damage repair | (Mio et al., 2019) |
| TRIM24 | Tandem Plant Homeodomain and bromodomain | H3K4me0  H3K23ac | Unknown | ↑Adhesion | (Tsai et al., 2010; Appikonda et al., 2018) |
| HP1 | Chromodomain | H3K9me3 H3K27me3 | ↓ZIM2 | ↑Proliferation | (Hsu et al., 2016) |
| PHF20L1 | Tudor domain | H3K27me2 | ↓HIC1  ↓KISS1  ↓BRCA1 | ↑Proliferation  ↑Metastasis  ↑Glycolysis | (Hou et al., 2020) |
| BAP18 | PHD finger | H3K4me3 | ↑MYC | ↑Proliferation  ↑Tumor growth  ↓Antiestrogen sensitivity | (Sun et al., 2020) |
| ASXL2 | PHD finger | H3K4me2 | ↑TFF1 | ↑Proliferation  ↑Tumor growth | (Park et al., 2016) |
| KDM5B | PHD finger | H3K4me0 | Unknown | ↓Migration | (Klein et al., 2014) |

Note: ↑, promote; ↓, inhibit

T**able S3. Clinical trials of epi-drugs in BC**

|  |  |  |  |  |  |
| --- | --- | --- | --- | --- | --- |
| Targets | Drug | Therapeutic Strategy | Conditions | Phases | Refs./NCT no. |
| HDAC | Vorinostat (SAHA) | Polytherapy  (Vorinostat,  Olaparib) | Relapsed/Refractory  and/or metastatic BC | I  (Recruiting) | NCT03742245 |
|  |  | Monotherapy | BC | I, II  (Active, not recruiting) | NCT00416130 |
|  |  | Polytherapy  (Vorinostat, Paclitaxel, Trastuzumab, Doxorubicin, Cyclophosphamide) | Locally advanced BC | I, II  (Completed) | NCT00574587 |
|  |  | Monotherapy | BC | II  (Completed) | NCT00262834 |
|  |  | Polytherapy  (Vorinostat,  Carboplatin,  Nab-Paclitaxel) | Newly diagnosed  operable BC | II  (Active, not recruiting) | NCT00616967  (Connolly et al., 2015; Connolly et al., 2018) |
|  |  | Polytherapy  (Vorinostat,  Paclitaxel,  Bevacizumab) | Metastatic BC | I, II  (Completed) | NCT00368875  (Ramaswamy et al., 2012) |
|  |  | Polytherapy  (Vorinostat,  Anastrozole,  Letrozole, Exemestane) | Stage Ⅳ BC | Completed | NCT01720602 |
|  |  | Polytherapy  (Vorinostat, Trastuzumab) | Metastatic or locally recurrent BC | I, II  (Completed) | NCT00258349 |
|  |  | Polytherapy  (Vorinostat,  Anastrozole,  Letrozole, Exemestane) | Stage Ⅳ BC | Completed | NCT01153672 |
|  |  | Polytherapy  (Vorinostat,  Radiation) | BC patients with brain metastasis | I  (Completed) | NCT00838929 |
|  |  | Monotherapy | Relapsed or refractory BC | II  (Completed) | (Vansteenkiste et al., 2008) |
|  |  | Polytherapy  (Vorinostat,  Paclitaxel,  Doxorubicin-Cyclophosphamide) | Locally advanced BC | I, II  (Completed) | (Tu et al., 2014) |
|  |  | Polytherapy  (Vorinostat,  Tamoxifen,  Pembrolizumab) | BC | II  (Terminated) | NCT02395627  (Terranova-Barberio et al., 2020) |
|  |  | Polytherapy  (Vorinostat,  Pembrolizumab,  Tamoxifen) | ER-positive BC | II  (Active, not recruiting) | NCT04190056 |
|  |  | Polytherapy  (Vorinostat,  Tamoxifen) | Hormone therapy-resistant BC | II  (Completed) | NCT00365599  (Munster et al., 2011) |
|  |  | Polytherapy  (Vorinostat,  Doxorubicin) | BC | I  (Completed) | NCT00331955  (Munster et al., 2009) |
|  |  | Polytherapy  (Vorinostat,  Ixabepilone) | Metastatic BC | I  (Completed) | NCT01084057 |
|  |  | Monotherapy | BC | I  (Completed) | NCT00788112 |
|  | Belinostat (PXD101) | Polytherapy  (Belinostat,  Ribociclib) | Metastatic BC | I  (Recruiting) | NCT04315233 |
|  |  | Polytherapy  (Belinostat,  Talazoparib) | Metastatic BC | I  (Recruiting) | NCT04703920 |
|  |  | Polytherapy  (Belinostat,  Trastuzumab) | BC | I  (Suspended) | NCT03432741 |
|  | Panobinostat (LBH- 589) | Polytherapy  (Panobinostat,  Letrozole) | Metastatic BC | I, II  (Completed) | NCT01105312  (Tan et al., 2016) |
|  |  | Monotherapy | HER2 -negative locally recurrent or metastatic BC | II  (Completed) | NCT00777049 |
|  |  | Polytherapy  (Panobinostat,  Paclitaxel,  Trastuzumab) | HER2-positive or metastatic BC | I  (Completed) | NCT00788931 |
|  |  | Polytherapy  (Panobinostat,  Capecitabine,  Lapatinib) | BC | I  (Completed) | NCT00632489 |
|  |  | Polytherapy  (Panobinostat,  Everolimus,  LCL161, QBM076,  HDM201) | TNBC | I  (Active, not recruiting) | NCT02890069 |
|  | Romidepsin | Monotherapy | BC | I  (Active, not  recruiting) | NCT01638533 |
|  |  | Polytherapy  (Romidepsin,  Cisplatin,  Nivolumab) | Metastatic TNBC, BRCA mutation-associated locally recurrent or metastatic BC | I, II  (Suspended) | NCT02393794 |
|  |  | Polytherapy  (Romidepsin,  Abraxane) | Metastatic inflammatory BC | I, II  (Terminated) | NCT01938833 |
|  |  | Monotherapy | Metastatic BC | II  (Completed) | NCT00098397 |
|  | Valproic acid (VPA) | Polytherapy  (Magnesium valproate,  Hydralazine, Doxorubicin, Cyclophosphamide) | BC | II  (Terminated) | NCT00395655 |
|  |  | Polytherapy  (Valproic acid  Epirubicin,  5-fluorouracil,  Cyclophosphamide) | BC | I  (Completed) | NCT00246103  (Munster et al., 2009) |
|  |  | Polytherapy  (Valproic acid Temsirolimus,  Cetuximab， Bevacizuma) | Recurrent BC | I  (Recruiting) | NCT01552434 |
|  | Ricolinostat  (ACY-1215) | Polytherapy  (ACY-1215,  Nab-paclitaxel) | Metastatic BC | I  (Completed) | NCT02632071 |
|  | Mocetinostat  (MGCD0103) | Polytherapy (MGCD0103,  Docetaxel) | BC | I  (Terminated) | NCT00511576 |
|  | CUDC-101 | Monotherapy | BC | I  (Completed) | NCT01171924  (Lai et al., 2010) |
|  | Entinostat (SNDX-275) | Polytherapy  (Entinostat, Exemestane) | Postmenopausal  woman with advanced BC | II  (Completed) | NCT00676663  (Yardley et al., 2013) |
|  |  | Polytherapy  (Entinostat,  Exemestane) | Postmenopausal  woman with ER-positive BC | I  (Active, not  recruiting) | NCT02820961 |
|  |  | Polytherapy  (Entinostat,  Atezolizuma,Placebo) | TNBC | I  (Active, not  recruiting) | NCT02708680 |
|  |  | Polytherapy  (Entinostat, BN-Brachyury, Adotrastuzumab,  M7824) | Advanced stage BC | I  (Recruiting) | NCT04296942 |
|  |  | Polytherapy  (Entinostat,  Exemestane,  Goserelin) | Recurrent hormone receptor-positive BC | III  (Active, not  recruiting) | NCT02115282 |
|  |  | Polytherapy  (Entinostat,  Nivolumab,  Lpilimumab) | Metastatic or locally advanced BC | I  (Active, not  recruiting) | NCT02453620 |
|  |  | Monotherapy | TNBC | I  (Terminated) | NCT03361800 |
|  |  | Polytherapy  (Entinostat,  Capecitabine) | Metastatic BC, high risk BC after neo-adjuvant therapy | I  (Recruiting) | NCT03473639 |
|  |  | Polytherapy  (Entinostat,  Exemestane) | Advanced or recurrent BC | I  (Active, not  recruiting) | NCT02623751 |
|  |  | Polytherapy  (Entinostat,  Exemestane,  Placebo) | Hormone receptor-positive, locally advanced or metastatic BC | III  (Active, not  recruiting) | NCT03538171 |
|  |  | Polytherapy  (Entinostat,  Exemestane,  Placebo) | Advanced or recurrent BC | II  (Active, not  Recruiting) | NCT03291886 |
|  |  | Polytherapy  (Entinostat,  Exemestane,  Atezolizumab,etc) | Hormone receptor-positive and HER2-negative BC | I, II  (Recruiting) | NCT03280563 |
|  |  | Polytherapy  (Entinostat,  Exemestane,  Erlotinib) | BC | I  (Completed) | NCT01594398  (Witta et al., 2012) |
|  |  | Polytherapy  (Entinostat,  Lapatinib,  Trastuzumab) | Locally recurrent or distant relapsed metastatic BC | I  (Completed) | NCT01434303 |
|  |  | Polytherapy  (Entinostat,  Azactidine) | Advanced BC | II  (Active, not recruiting) | NCT01349959 |
|  |  | Monotherapy | ER-positive BC | II  (Completed) | NCT00828854 |
| SIRT | Suramin | Polytherapy  (Suramin,  Paclitaxel) | BC | I, II  (Completed) | NCT00054028 |
| BET | GSK525762 | Polytherapy  (GSK525762,  Fulvestrant) | Hormone receptor-positive/HER2-negative advanced or metastatic BC | II  (Active, not recruiting) | NCT02964507 |
|  | ABBV-075 | Polytherapy (ABBV-075,  Venetoclax) | BC | I  (Completed) | NCT02391480  (Piha-Paul et al., 2019) |
|  | ZEN003694 | Polytherapy (ZEN003694,  Talazoparib) | TNBC | II  (Active, not recruiting) | NCT03901469 |
|  | GS-5829 | Polytherapy  (GS-5829,  Exemestane,  Fulvestrant) | ER-positive BC | I  (Completed) | NCT02392611 |
|  | MK-8628 | Monotherapy | TNBC | I  (Terminated) | NCT02698176 |
| EZH2 | SHR2554 | Polytherapy  (SHR2554,  SHR3162,  SHR3680) | Luminal advanced  BC | II  (Not yet recruiting) | NCT04355858 |
| G9a | Phenelzine | Polytherapy  (Phenelzine sulfate,  Abraxane) | Metastatic or advanced BC | I  (Completed) | NCT03505528 |
| PRMT5 | GSK3326595 | Monotherapy | BC | II  (Not net recruiting) | NCT04676516 |

Note: ↑, promote; ↓, inhibit

**Table S4. Epi-drugs and functions in BC**

|  |  |  |  |  |
| --- | --- | --- | --- | --- |
| Agents | Targets | Functions | Structure | Refs. |
| KATis | | | | |
| L002 | P300 | ↓Proliferation  ↓Tumor growth  ↑Apoptosis |  | (Yang et al., 2013) |
| Quercetin | P300 | ↓Angiogenesis |  | (Xiao et al., 2011) |
| TH1834 | TIP60  MOF | ↑Radiosensitivity  ↑Apoptosis |  | (Gao et al., 2014) |
| Garcinol | TIP60 | ↓Proliferation  ↑Apoptosis |  | (Balasubramanyam et al., 2004; Ye et al., 2014) |
| HDACis | | | | |
| Vorinostat (SAHA) | HDAC1,2,3,7,11 | ↓Proliferation ↓Invasion  ↓Migration  ↓EMT  ↓Tamoxifen resistance  ↑Cell cycle arrest  ↑Apoptosis ↑Autophagy ↑Differentiation  ↑Anoikis  ↑Drug sensitivity |  | (Shi et al., 2010; Bellarosa et al., 2012; Lauricella et al., 2012; Lee et al., 2012; Carlisi et al., 2015; Min et al., 2015; Tang et al., 2015; Lee et al., 2016; Wu et al., 2016; Zhou et al., 2016; Wawruszak et al., 2019; Steed et al., 2020) |
| Belinostat (PXD101) | HDAC6 | ↓Proliferation  ↓Invasion |  | (Zuo et al., 2020) |
| Panobinostat (LBH-589) | Pan-HDACi | ↓Proliferation  ↓Invasion  ↓Migration  ↓EMT |  | (Zhou et al., 2007; Rhodes et al., 2014) |
| Romidepsin (FK2280) | HDAC1,2,4,6 | ↓Proliferation ↑Apoptosis |  | (Cooper et al., 2012; Robertson et al., 2013; Pattarawat et al., 2020) |
| Valproic acid (VPA) | HDAC1 | ↑Cell cycle arrest  ↓Migration  ↑EMT  ↑Apoptosis  ↑Drug sensitivity  ↓Tamoxifen resistance |  | (Marchion et al., 2005; Hodges-Gallagher et al., 2007; Fortunati et al., 2008; Arakawa et al., 2009; Travaglini et al., 2009; Fortunati et al., 2010; Zhang et al., 2012; Reddy et al., 2015; Wawruszak et al., 2015; Terranova-Barberio et al., 2016; Tian et al., 2017; Zhang et al., 2019; Laengle et al., 2020) |
| Entinostat (MS-275) | HDAC1,2,3 | ↑Immunomodulatory  ↓Drug resistance  ↓ EMT |  | (Lee et al., 2014; Shah et al., 2014; Schech et al., 2015; Schech et al., 2015; Merino et al., 2016; Christmas et al., 2018; Li et al., 2018; Liu et al., 2018; Lim et al., 2019; McCaw et al., 2019) |
| LW479 | HDAC1 | ↓Proliferation ↓Metastasis |  | (Li et al., 2015) |
| MHY218 | HDAC1,4,6 | ↑Apoptosis  ↑Autophagy |  | (Park et al., 2012) |
| Liposomal trichostatin A | HDAC1 | ↑Apoptosis  ↓Cell cycle progression ↓Tumor growth |  | (Urbinati et al., 2011) |
| KBH-A145 | HDAC1 | ↓Proliferation ↑Apoptosis |  | (Kwon et al., 2009) |
| Troglitazone (TRG) | HDAC1 | ↓Viability |  | (Davies et al., 2010) |
| C02S | HDAC1  DNMT1  DNMT3A  DNMT3B | ↓Proliferation  ↓Invasion  ↓Migration  ↓Angiogenesis |  | (Yuan et al., 2019) |
| Crocetin (β-d-glucosyl) ester | HDAC2 | ↓Proliferation |  | (Mir et al., 2020) |
| Resveratrol (RVT) | HDAC2 | ↓Viability  ↑Apoptosis |  | (Izquierdo-Torres et al., 2019) |
| Oleuropein | HDAC2,3,4 | ↓Proliferation  ↓Invasion ↓Migration ↑Apoptosis |  | (Bayat et al., 2019; Mansouri et al., 2019) |
| TMU-35435 | HDAC6 | ↑Radiosensitivity  ↑Autophagy |  | (Chiu et al., 2019) |
| MPT0G211 | HDAC6 | ↓Migration  ↓Metastasis |  | (Hsieh et al., 2019) |
| 4-Hydroxybenzoic acid (4-HBA) | HDAC6 | ↑Adriamycin sensitivity |  | (Wang et al., 2018) |
| Ricolinostat (ACY1215) | HDAC6 | ↓Proliferation |  | (Putcha et al., 2015) |
| CG0006 | HDAC6 | ↓Proliferation |  | (Kim et al., 2011) |
| LYP-2 | HDAC6 | ↓Proliferation  ↑Bortezomib sensitivity |  | (Zhao et al., 2019) |
| LYP-6 |  |  |  |  |
| Chrysin | HDAC8 | ↓Proliferation  ↑Differentiation |  | (Sun et al., 2012) |
| MHY2256 | SIRT1 | ↑Apoptosis  ↑Autophagy  ↓Tumor growth |  | (Park et al., 2016) |
| Sulforaphane | SIRT1 | ↓Viability  ↓Stemness  ↓Metastasis |  | (Sinha et al., 2019; Sinha et al., 2021) |
| Amurensin G | SIRT1 | ↓Doxorubicin resistance |  | (Oh et al., 2010) |
| Psammaplin A | SIRT1 | ↓Proliferation  ↓Doxorubicin resistance |  | (Kim et al., 2015) |
| Oleuropein | SIRT1 | ↓Migration  ↓EMT  ↑Doxorubicin sensitivity |  | (Choupani et al., 2019) |
| ILS-JGB-1741(JGB1741) | SIRT1 | ↓Proliferation  ↑Apoptosis |  | (Kalle et al., 2010) |
| Splitomicin | SIRT2 | ↓Motility  ↑Paclitaxel sensitivity |  | (Bonezzi et al., 2012) |
| ICL-SIRT078 | SIRT2 | ↓Proliferation |  | (Di Fruscia et al., 2015) |
| Thioamide 53 | SIRT2 | ↓Proliferation |  | (Mellini et al., 2019) |
| RK-9123016 | SIRT2 | ↓Viability |  | (Shah et al., 2016) |
| γ-mangostin | SIRT2 | ↓Proliferation |  | (Yeong et al., 2020) |
| Cambinol | SIRT1  SIRT2 | ↓Invasion |  | (Holloway et al., 2013) |
| Sirtinol | SIRT1  SIRT2 | ↓Proliferation  ↑Apoptosis  ↑Autophagy  ↑Senescence |  | (Ota et al., 2006; Peck et al., 2010; Wang et al., 2012) |
| Salermide | SIRT1  SIRT2 | ↓Proliferation |  | (Peck, Chen et al., 2010) |
| Selisistat  (EX-527) | SIRT1  SIRT2 | ↑Cell cycle arrest |  | (Peck, Chen et al., 2010) |
| BETis | | | | |
| JQ1 | BRD2  BRD4 | ↓Proliferation  ↓Invasion ↓Migration ↓Mitotic  ↓CSCs  ↓Angiogenesis ↓Inflammatory response ↑Immunogenicity  ↑Drug sensitivity  ↓Drug resistance |  | (Belkina et al., 2013; Feng et al., 2014; Shi et al., 2014; Bihani et al., 2015; Borbely et al., 2015; Stratikopoulos et al., 2015; Andrieu et al., 2016; Marcotte et al., 2016; Pérez-Peña et al., 2016; Shu et al., 2016; da Motta et al., 2017; Sahni et al., 2017; Yang et al., 2017; Arfaoui et al., 2019; Maggisano et al., 2019; Tian et al., 2019; Walsh et al., 2019; Jing et al., 2020; Lai et al., 2020; Qiao et al., 2020; Qiao et al., 2020; Serrano-Oviedo et al., 2020) |
| I-BET151  (GSK2820151) | BRD2  BRD3  BRD4 | ↓Viability  ↑Apoptosis  ↑Ferroptosis |  | (Qiao, Chen et al., 2020; Qiao, Chen et al., 2020) |
| I-BET762  (GSK525762) | BRD2  BRD 3  BRD 4  BRDT | ↓Cell growth  ↓Lapatinib resistance |  | (Stuhlmiller et al., 2015) |
| Birabresib  (OTX015，  MK-8628) | BRD2  BRD 3  BRD 4 | ↓Proliferation  ↑Everolimus sensitivity |  | (Vázquez et al., 2017) |
| HMTis | | | | |
| GSK2816126 | EZH2 | ↓Proliferation  ↓Invasion  ↑Platinum sensitivity |  | (Gong et al., 2015; Gong et al., 2016; Hirukawa et al., 2018; Puppe et al., 2019) |
| GSK343 | EZH2 | ↓Proliferation  ↑Apoptosis  ↑Senescence  ↑Adriamycin sensitivity |  | (Yu et al., 2019; Zhang et al., 2020) |
| ZLD1039 | EZH2 | ↓Proliferation  ↓Metastasis  ↑Apoptosis |  | (Song et al., 2016) |
| MS1943 | EZH2 | ↓Proliferation  ↑EZH2 degradation |  | (Ma et al., 2020) |
| Curcumin | EZH2 | ↓Proliferation |  | (Hua et al., 2010) |
| Green tea polyphenols (GTP), epigallocatechin3-gallate (EGCG) | EZH2 | ↓Invasion |  | (Deb et al., 2015) |
| Resveratrol (RVT) | EZH2 | ↓Proliferation |  | (Chatterjee et al., 2019; Hu et al., 2019) |
| Protoberberine alkaloid pseudodehydrocorydaline (CT13) | G9a | ↓Proliferation |  | (Chen et al., 2018) |
| UNC0638 | G9a | ↓Migration  ↓Invasion  ↓EMT |  | (Liu et al., 2018) |
| GA001 | G9a | ↑Autophagy  ↑Apoptosis |  | (Zhang et al., 2017) |
| BIX-01249 | G9a | ↓Invasion  ↓Tumor growth  ↑Apoptosis  ↑Autophagy |  | (Kim et al., 2013; Kim et al., 2018; Kim et al., 2018) |
| UNC0646 | G9a | ↓Viability |  | (Liu et al., 2011) |
| UNC0631 |  |  |  |  |
| HKMT-1-005 | EZH2  G9a | ↓Viability |  | (Curry et al., 2015) |
| HKMT-1-011 |  |  |  |  |
| HKMT-1-022 |  |  |  |  |
| LLY-507 | SMYD2 | ↓Proliferation |  | (Nguyen et al., 2015) |
| AZ505 | SMYD2 | ↓Proliferation  ↓Migration  ↑Apoptosis |  | (Li et al., 2018) |
| OTS186935 | SUV39H2 | ↓Tumor growth  ↑Doxorubicin sensitivity |  | (Vougiouklakis et al., 2018) |
| DC21 | SET7 | ↓Proliferation |  | (Hou et al., 2020) |
| DC-S285 | SET7 | ↓Proliferation |  | (Ding et al., 2018) |
| Cyproheptadine | SET7 | ↓Viability |  | (Takemoto et al., 2016) |
| EPZ4777 | DOT1L | ↓Proliferation  ↓Self-renewal  ↓Metastasis  ↑Differentiation |  | (Zhang et al., 2014) |
| PsA-3091 | DOT1L | ↓Proliferation  ↓Invasion  ↓Migration |  | (Byun et al., 2019) |
| Fluoro-neplanocin A (F-NepA) | DOT1L | ↓Proliferation  ↓Invasion  ↓Migration |  | (Byun et al., 2020) |
| MS023 | PRMT1  PRMT3  PRMT4  PRMT6  PRMT8 | ↓Proliferation |  | (Eram et al., 2016) |
| DCLX069 | PRMT1 | ↓Proliferation |  | (Xie et al., 2014) |
| DCLX078 |  |  |  |  |
| Naproxen | PRMT1 | ↓Proliferation |  | (T et al., 2016) |
| Salvianolic acid A |  |  |  |  |
| SKI-73 | PRMT4 | ↓Invasion |  | (Cai et al., 2019) |
| LLY-283 | PRMT5 | ↓Proliferation |  | (Bonday et al., 2018) |
| Curcumin | PRMT5 | ↓Viability |  | (Chatterjee et al., 2019) |
| Licochalcone A | PRMT6 | ↓Proliferation  ↑Apoptosis |  | (Gong et al., 2020) |
| KDMis | | | | |
| Tranylcypromine | LSD1 | ↓Migration  ↓Invasion  ↓Tumor growth  ↓Metastasis |  | (Ferrari-Amorotti et al., 2014) |
| Pargyline | LSD1 | ↓Proliferation  ↓Tumor growth  ↑Apoptosis |  | (Cortez et al., 2012; Vasilatos et al., 2013) |
| Phenelzine | LSD1 | ↑Immunogenicity |  | (Tan et al., 2019) |
| Iadademstat (ORY-1001) | LSD1 | ↓Mammosphere formation |  | (Cuyàs et al., 2020) |
| Isoquercitrin | LSD1 | ↑Apoptosis |  | (Xu et al., 2019) |
| 3-chloro-N0 -(2-hydroxybenzylidene) benzohydrazide (CHBH) | LSD1 | ↓Proliferation |  | (Sarno et al., 2018) |
| OBHS-LSD1i conjugate 11g | LSD1  ER | ↓Proliferation  ↑Apoptosis |  | (He et al., 2020) |
| MC3324 | LSD1  UTX | ↓Proliferation  ↓Tamoxifen resistance  ↑Apoptosis |  | (Benedetti et al., 2019) |
| YUKA1 | KDM5A | ↓Proliferation  ↓Trastuzumab resistance |  | (Gale et al., 2016) |
| Cyclopenta[c]chromen derivative 1 | KDM5A | ↓Proliferation |  | (Yang et al., 2019) |
| Rhodiu(III) complex 1 | KDM5A | ↓Proliferation |  | (Yang et al., 2018) |
| KDM5-inh1 | KDM5A | ↓Proliferation  ↓Trastuzumab resistance  ↓Lapatinib resistance |  | (Paroni et al., 2019) |
| 2-4(4-methylphenyl)-1,2-  benzisothiazol-3(2H)-one (PBIT) | KDM5B | ↓Proliferation |  | (Sayegh et al., 2013) |
| CPI-455 | KDM5B | ↓Viability |  | (Leadem et al., 2018) |
| QC6352 | KDM4 | ↓CSCs  ↓Sphere formation |  | (Metzger et al., 2017) |
| GSKJ4 | KDM6A/B | ↓Proliferation |  | (Yan et al., 2017) |
| Deferiprone (DFP)，  Derivatives | Pan-KDMi | ↓ Proliferation  ↓Tumor growth |  | (Khodaverdian et al., 2019) |

Note: ↑, promote; ↓, inhibit

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