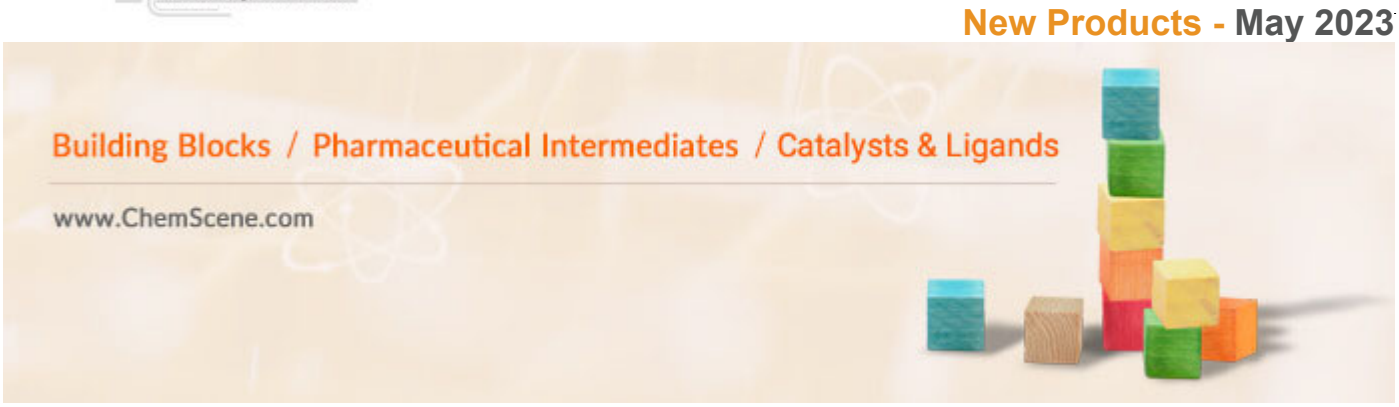
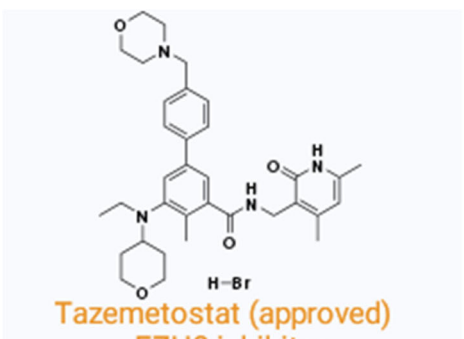
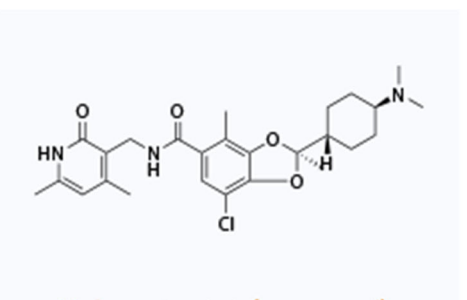
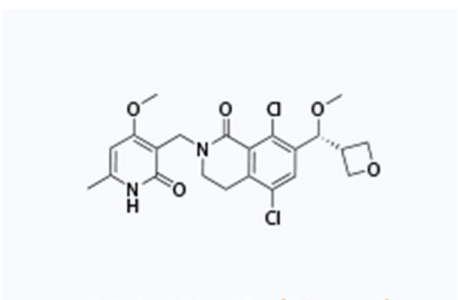
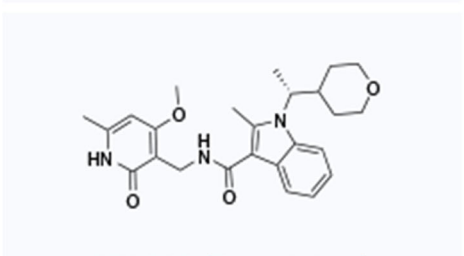


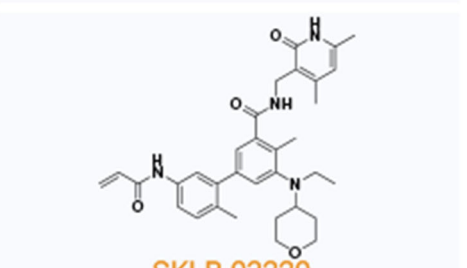
Enhancer of zeste homolog 2 (EZH2), as one of the most well-characterized epigenetic regulators, is the catalytic subunit of the Polycomb Repressive Complex 2 (PRC2) which functions to silence target genes by tri-methylating lysine 27 of histone H3 (H3K27me3)[1]. EZH2 plays a critical function in development and adult tissue homeostasis, and is closely associated with many diseases. Studies have found that EZH2 is highly expressed in a variety of solid tumors and hematological malignancies and is closely related to tumor proliferation, invasion, metastasis and poor prognosis. Therefore, EZH2 provides a pharmacological target for cancers. In addition, EZH2 also plays important roles in auto-immune diseases and other disorders. Together, there is a high need for small molecules that inhibit the activity of EZH2. To date, three EZH2 inhibitors have been approved and more than nine EZH2 inhibitors have entered into clinical trials[2-10].

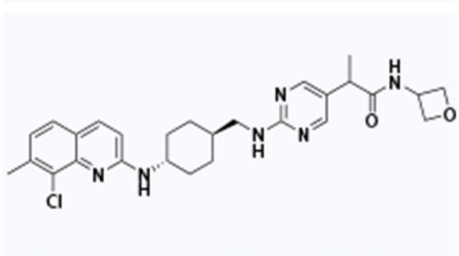

Tazemetostat (approved)
EZH2 inhibitor

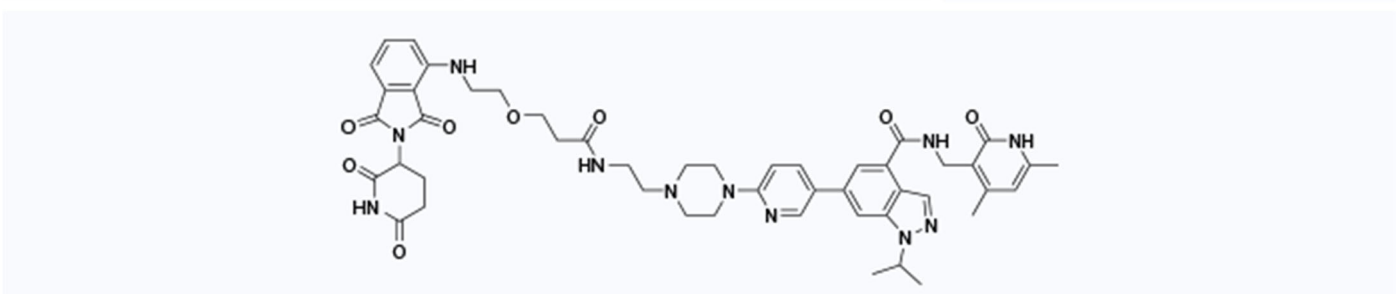

Valemetostat (approved)
EZH1/2 inhibitor


PF-06821497 (Phase I)
EZH2 inhibitor


CPI-360 (Pre-clinical)
EZH2 inhibitor

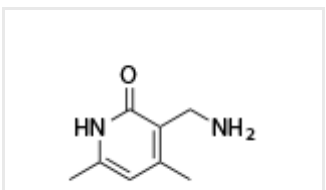

SKLB-03220
EZH2 inhibitor


Example 12 (WO2022033492A1)
EZH2 inhibitor


MS177 EZH2 degrader

A series of building blocks will be used as molecular fragments in the design of EZH2 inhibitors.

[1] European Journal of Medicinal Chemistry (**2022**), 238, 114419.
[2] Journal of Medicinal Chemistry (**2023**), 66, 3, 1725-1741.
[3] Journal of Medicinal Chemistry (**2021**), 64, 17, 12630-12650.
[4] Journal of Medicinal Chemistry (**2021**), 64, 14, 10167-10184.
[5] Journal of Medicinal Chemistry (**2018**), 61, 3, 650-665.
[6] ACS Medicinal Chemistry Letters (**2020**), 11, 6, 1205-1212.
[7] Journal of Medicinal Chemistry (**2021**), 64, 12, 8194-8207.
[8] ACS Medicinal Chemistry Letters (**2018**), 9, 2, 98-102.
[9] Nature Cell Biology (**2022**), 24, 384-399.
[10] WO2022033492A1.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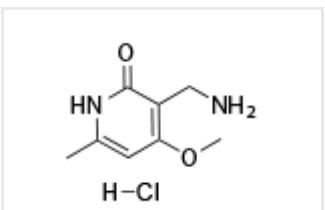
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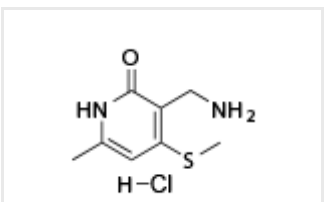
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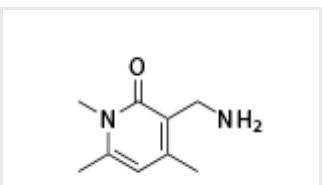
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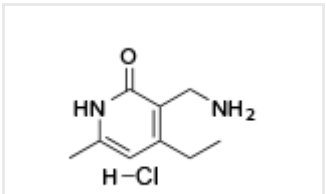
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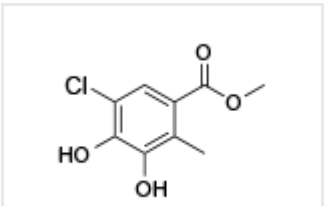
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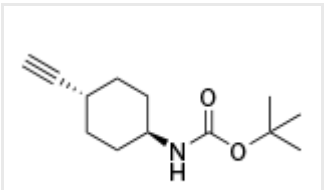
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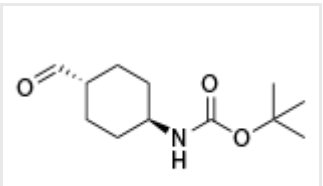
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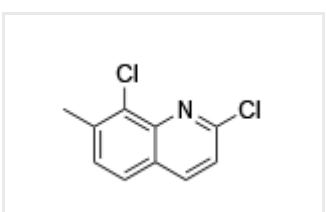
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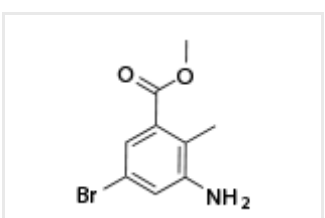
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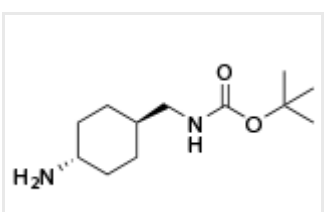
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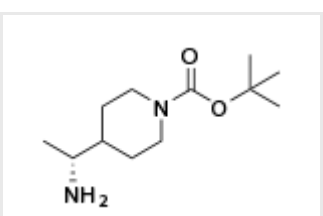
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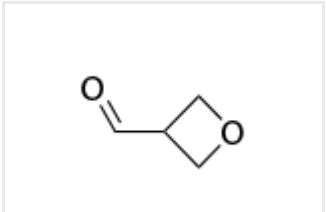
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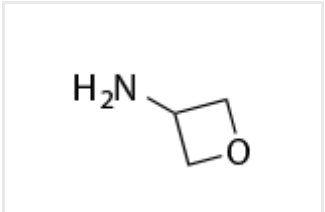
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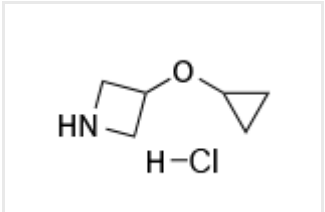
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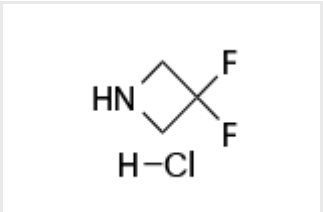
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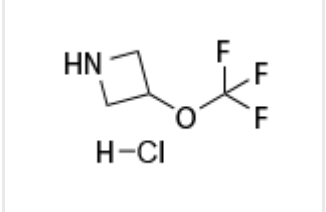
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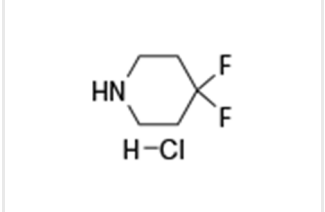
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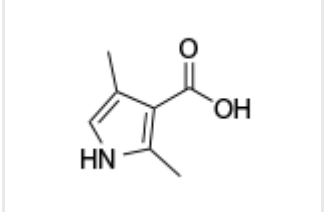
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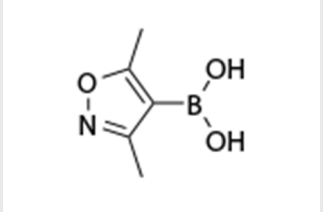
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