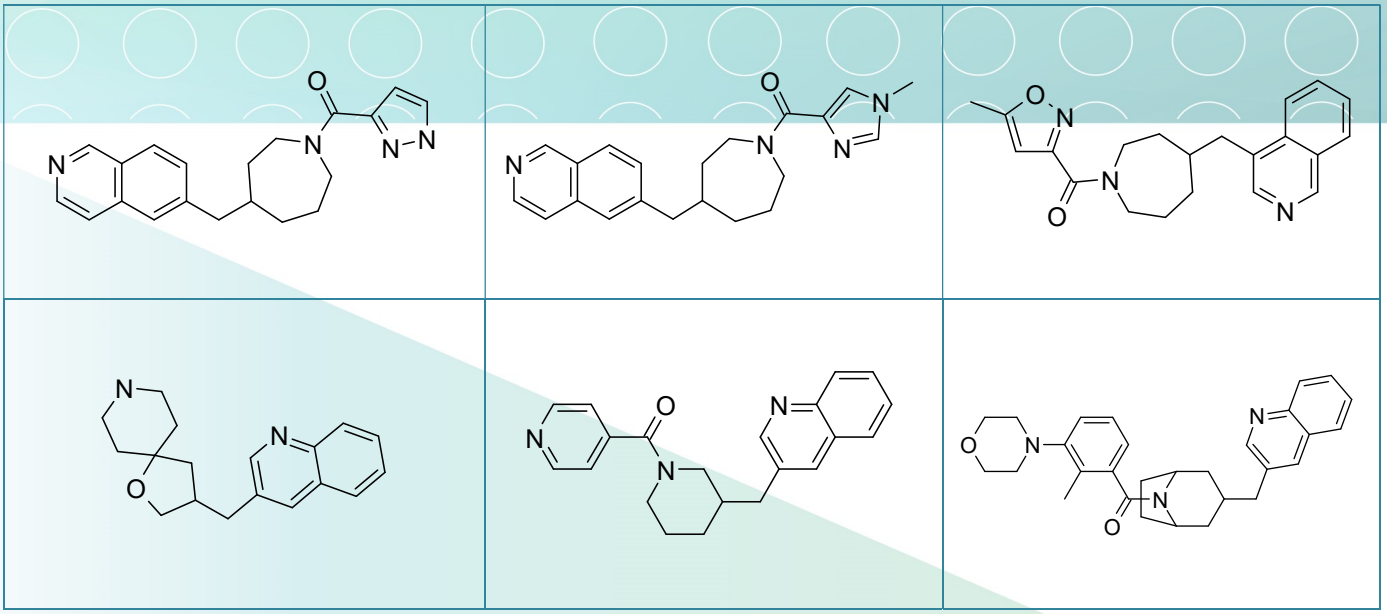
SL-42. Protein Lys methyltransferase inhibitors

Protein lysine methyltransferases (PKMT) are enzymes that catalyze the methylation of lysine residues of proteins. In this family, histone methylating enzymes have attracted a lot of attention in oncology drug discovery based on their crucial role in the regulation of transcription, DNA replication, and DNA repair. Discovery of selective inhibitors of particular PKMTs represents a significant challenge which can be addressed by exploring several binding sites of the enzyme such as catalytic domains or allosteric pockets [1]. The Protein Data Bank has more than 1300 different PKMT entries in their apo- or small molecule-bound forms which provides a significant amount of information for *de novo* design of novel selective inhibitors. Additionally, new compounds with validated *in vitro* inhibitory activity toward PKMTs represent an attractive starting point for the rational creation of more effective inhibitors. In this library we highlight several compounds that demonstrate a uM range of activity against SETD8 – an emerging target for anti-cancer intervention [2].



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Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#42_PKMT_inhibitors.sdf IC50 for selected compounds

References

1. Acta Biochim Biophys Sin (Shanghai). 2012 Jan;44(1):70-9. doi: 10.1093/abbs/gmr109
2. Arch Toxicol. 2014 Sep;88(9):1651-68. doi: 10.1007/s00204-014-1315-6

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