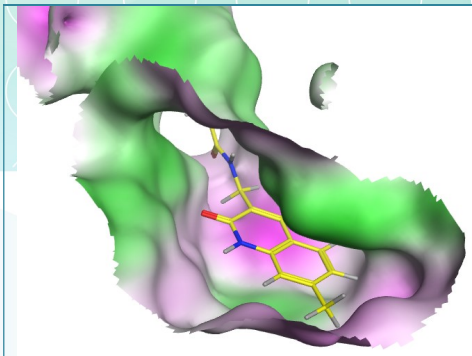
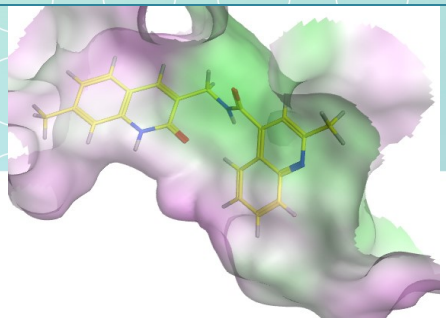
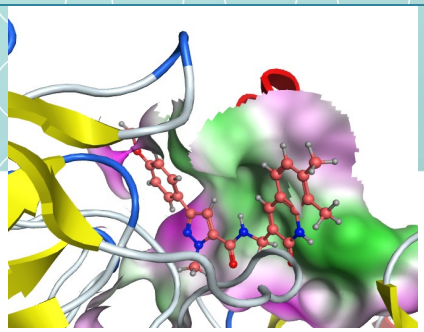
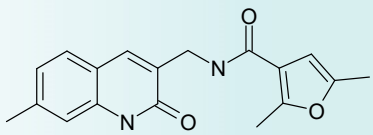
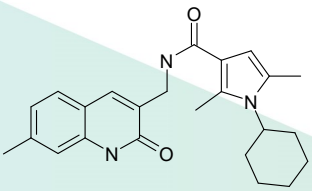
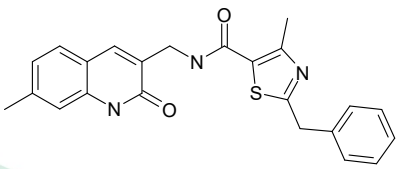
SL-31. EZH2 inhibitors

Enhancer of zeste homolog 2 (EZH2) is a histone-lysine N-methyltransferase enzyme participating in DNA methylation (i.e. the addition of methyl group to histone H3 at lysine 27 which ultimately leads to the inhibition of transcription [1, 2]). EZH2 is responsible for epigenetic regulation of cell development and differentiation; additionally, EZH2 inhibits genes responsible for suppressing tumor development. Clearly, inhibition of EZH2 activity is interesting in cancer research.

Using a combination of structure and ligand based design, we have created a library of aminomethyl quinolone-2 derivatives decorated by ortho-substituted heteroaromatic acids. The resulting amides share some similarity with published EZH2 inhibitors and may provide useful molecular probes for understanding EZH2 functional activity and developing novel, potent anti-cancer agents.

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Signature Library

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#31_EZH2 inhibitors.sdf

References

1. Nature. 439 (7078): 871–4. doi:10.1038/nature04431
2. Science. 298 (5595): 1039–43. doi:10.1126/science.1076997

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