SL-29. IDH1/2 inhibitors. Cancer metabolism

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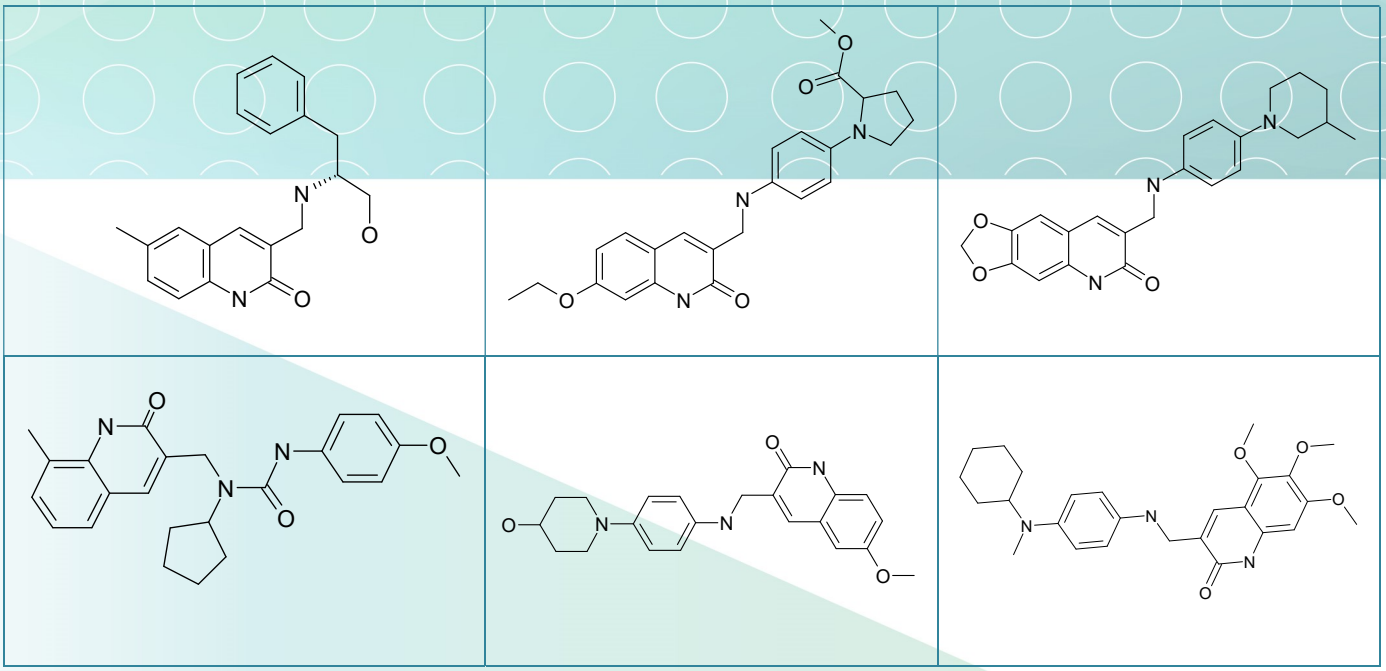
Isocitrate dehydrogenase IDH 1 and 2 catalyze the conversion of isocitrate to αKG and play an important role in cancer metabolism. Mutations in IDH1/2 are reportedly responsible for oncogenesis of glioma, acute myeloid leukemia, and lymphoma. Achieving selective inhibition of the mutant enzyme over the wild-type is a critical issue in designing IDH inhibitors for therapeutic application. Selective inhibitors of mutant forms of IDH1 and IDH2 have entered into clinical trials [1].

Recent analysis has shown several novel structural classes of IDH inhibitors with improved selectivity and pharmacological profile [2,3]. Identified pharmacophore features of known IDH inhibitors and a subsequent search across the ASINEX corporate collection has revealed several quinolone-based analogs available at ASINEX. With these compounds as a starting point, ASINEX has applied its medicinal chemistry expertise in creating an array of novel small molecules potentially interesting for IDH-related research.



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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#29_IDH inhibitors_06-16.sdf

References:

1. *Chem. Biol.* 21, 1143–1161 (2014).; doi:10.1016/j.chembiol.2014.08.007
2. Pyridin-2(1h)-one quinolinone derivatives as mutant-isocitrate dehydrogenase inhibitors WO 2016044789 A1
3. *Nature Chemical Biology* (2015) 11, 878–886 doi:10.1038/nchembio.1930

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