SL-30. α-Helix mimetics.

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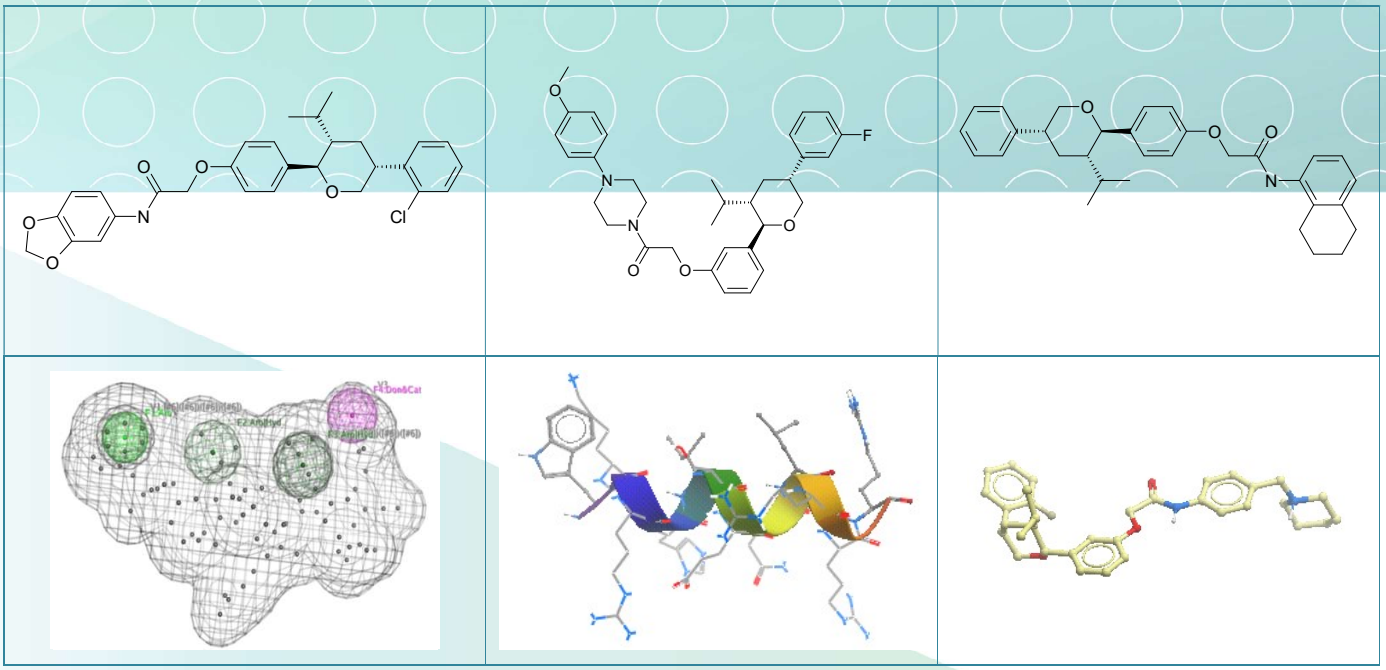
α-helix is the most common type of secondary structure in proteins [1]. It is well known that α-helix mimetics are biologically active in a number of therapeutically significant protein-protein interactions (PPIs). Notable examples include HDM2(HDM4)/p53 and the BCL-2 family of proteins.

Using extensive computer modeling supported by in vitro experiments, ASINEX has created a number of structurally sophisticated, novel molecules based on the tetrahydropyrane scaffold that work as effective epitope mimetics of more than 20 various helical protein interfaces (e.g. ATG3/ATG12, Bcl-2 Aquaporin 2, Protein S100-A9). Additionally, the resulting molecules demonstrate a favorable balance of lipophilicity and solubility due to the presence of hydrophobic groups and ionizable terminal moieties. The range of potential applications of α-helix mimetic compounds in drug discovery extends beyond PPIs and includes Family B GPCRs, ion channels, and the rapidly emerging target class of solute carrier (SLC) proteins [2,3].



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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#30_a-Helix mimetics_06-16.sdf

References:

1. *Acc Chem Res.* 2012 Oct 16;45(10):1698-709. doi: 10.1021/ar300025n
2. *J Biomol Screen*. 2013 Oct;18(9):947-66. doi: 10.1177/1087057113498418
3. *Proc Natl Acad Sci U S A*. 2007 Aug 28;104(35):13942-7. Epub 2007 Aug 21.

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