SL-02. Bcl-xL Apoptosis

ASINEX
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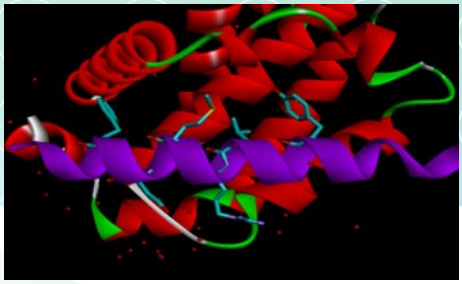
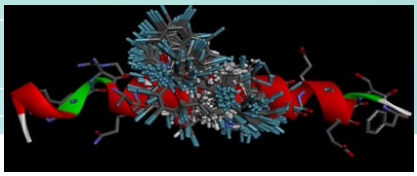
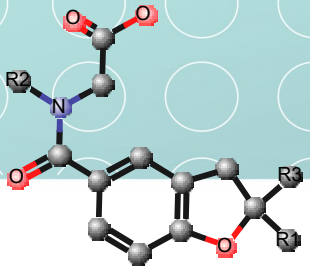
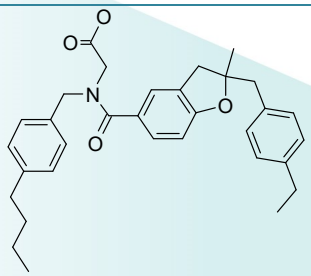
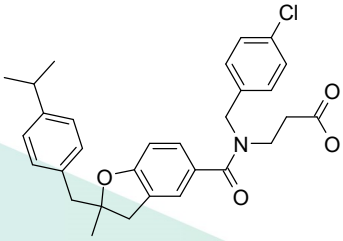
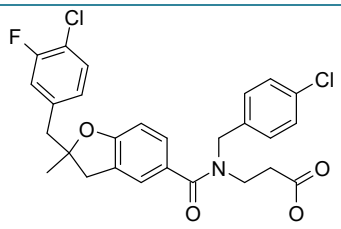
Apoptosis is now considered an attractive mechanism underlying a new strategy in the treatment of cancer. Compounds interacting with the Bcl-2 family of proteins are critical regulators of the apoptotic process; therefore, they can be used as anticancer agents. The oncoprotein Bcl-xL neutralizes pro-apoptotic Bcl-2 proteins by binding their helical BH3 domain. Small molecule α-helix mimetics with functional groups from a scaffold in an orientation similar to the native α-helix are effective inhibitors of the Bcl-xL protein [1]. Using an extensive pharmacophore analysis algorithm [2] we have identified dihydrobenzofurane as a functional a-helix mimetic displaying uM Bcl-xL binding affinity determined by a fluorescence polarization assay.







Signature Library 02

Formats	Supplementary Information
80 compounds per plate	IC_{50} [Bcl-xL-BidBH3]
0.1 mg; 1 mg; 2 mg dry film/powder	Solubility data in PBS
0.1 µmol; 1 µmol DMSO solutions	SL#2_BclxL_04-16.sdf

References:

1. .*Biology* 2015, 4, 540-555; doi:10.3390/biology4030540
2. *Med. Chem. Commun*., 2013,4, 1597-1603, doi: 10.1039/C3MD00211J

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