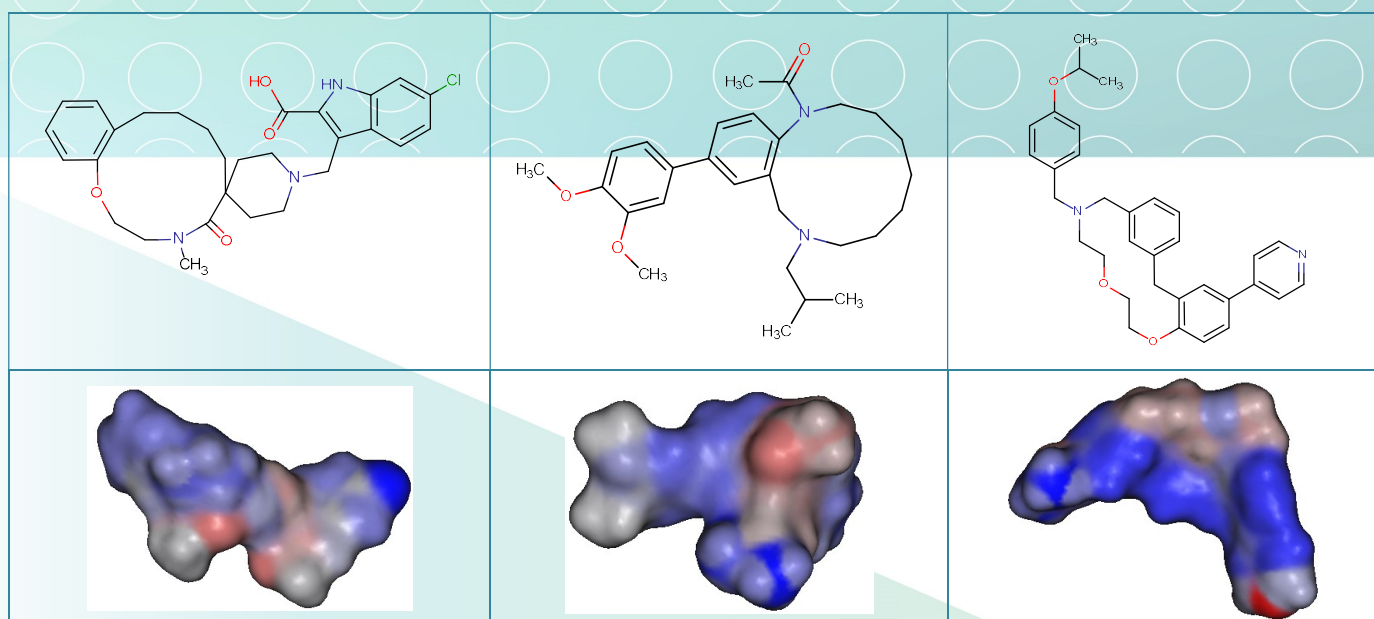


SL-32. Macrocyclic BH3 mimetics

Compounds interacting with the Bcl-2 family of proteins are critical regulators of the apoptotic process; they can, therefore, be used as anticancer agents [1]. Extensive *in vitro* screening of the Asinex Macrocyclic collection has revealed a series of molecules showing a μM range of activity against anti-apoptotic proteins Bcl-2 and Mcl-1. *In silico* modeling studies suggest that some active macrocycles can adopt a

helix-mimetic conformations that effectively mimic the BH3-epitope of pro-apoptotic peptides (e.g. Bak, Bax). The conformational rigidity of macrocycles and their ability to form intermolecular H-bonds has enabled us to design macrocycles with improved cellular permeability.



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#32 BH-3 mimetic macrocycles.sdf

References:

1. *Cell Death and Differentiation* (2015) 22, 1071–1080; doi:10.1038/cdd.2015.50

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