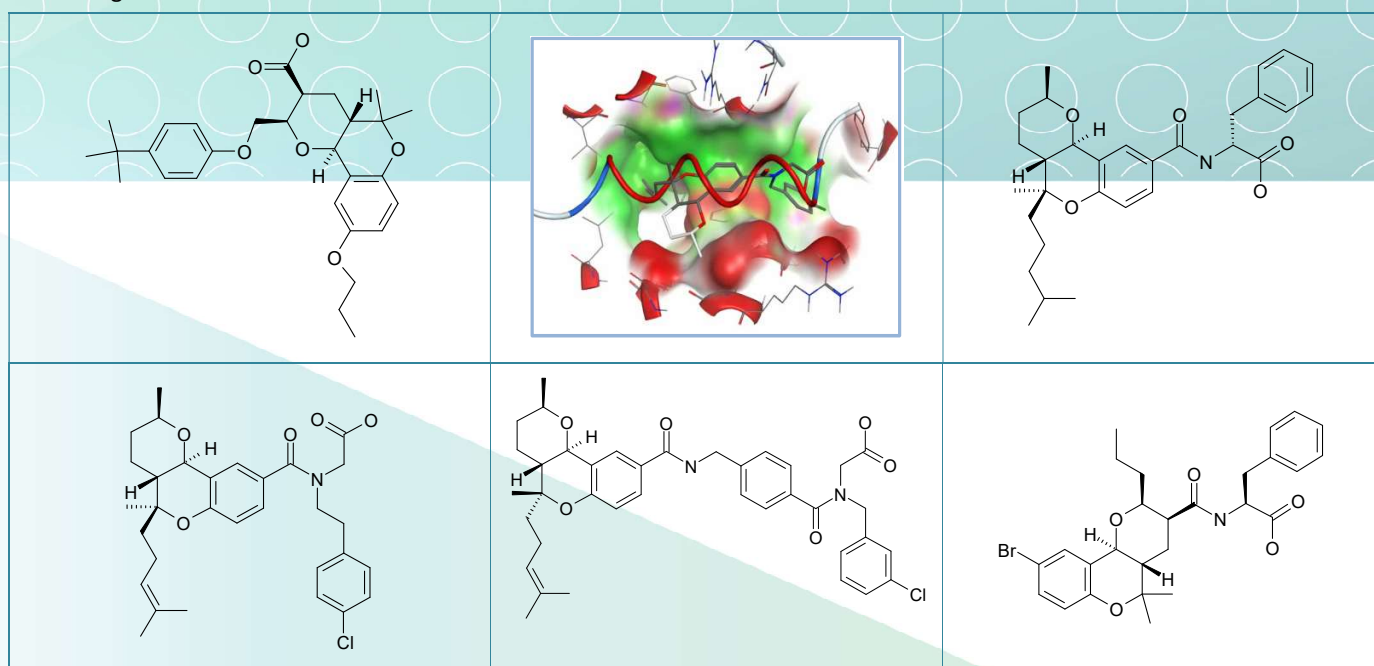


SL-25. BH3 mimetics. Apoptosis

Apoptosis is 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 [1]. Furthermore, small molecule BH3-mimetic drug Venetoclax was recently approved by the FDA for the treatment of patients with chronic lymphocytic leukemia (CLL) with 17p deletion [2].

Novel small molecule BH3 mimetics are extremely useful for understanding the mechanism(s) of action of BH3 domains and have great potential in the discovery and development of anti-cancer drugs.

Extensive *in vitro* screening of ASINEX's natural product like compound and α -helix mimetic collections against Bcl-2 family proteins has resulted in a series of polycyclic acids showing μ M inhibitory activity of Bcl-xL. *In silico* simulations suggest a possible binding mode mimicking hot spot interactions of the native pro-apoptotic BAK peptide by projecting hydrophobic substituents such as Leu578, Ile581 and Ile585 of Bak.



Signature Library 25

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 μ mol; 1 μ mol DMSO solutions	SL#25_BH3 mimetics_06-16.sdf

References:

1. *Cell Death and Differentiation* (2015) 22, 1071–1080; doi:10.1038/cdd.2015.50
2. *Expert Opin. Investig. Drugs* (2011) 20(9):1263-1275 doi: 10.1517/13543784.2011.601739

Contact us:

USA: +1 336 721 1617 mparisi@asinex.com
 Japan: +81-80-3401-9097 sota@asinex.com
 Europe/Global: lsadovenko@asinex.com