



This week in therapeutics

Target/marker/ pathway	Summary	Licensing status	Publication and contact information
BCL2-like 1 (BCL-X _L ; BCL2 _{L1})	SAR studies identified analogs of chelerythrine and sanguinarine that inhibit BCL-X _L and could be optimized to treat cancer. A fluorescence polarization assay identified three newly synthesized analogs of chelerythrine and five analogs of sanguinarine with more potent BCL-X _L binding and inhibitory activity than their respective parent compounds. The most potent analogs bound to different sites on the apoptosis-promoting protein, with the sanguinarine compounds binding to the BCL2 homology domain 1 and the chelerythrine compounds binding to the BCL2 homology domain groove. Additional studies are necessary to further enhance the potency and solubility of the compounds. SciBX 1(40); doi:10.1038/scibx.2008.967	Compounds unpatented; unavailable for licensing	Bernardo, P. et al. J. Med. Chem.; published online Oct. 17, 2008; doi:10.1021/jm8005433 Contact: Christina L.L. Chai, Agency for Science Technology and Research (A*STAR), Jurong Island, Singapore e-mail: christina_chai@ices.a-star.edu.sg
	pathway BCL2-like 1 (BCL-	BCL2-like 1 (BCL- X _L ; BCL2 _{L1}) SAR studies identified analogs of chelerythrine and sanguinarine that inhibit BCL-X _L and could be optimized to treat cancer. A fluorescence polarization assay identified three newly synthesized analogs of chelerythrine and five analogs of sanguinarine with more potent BCL-X _L binding and inhibitory activity than their respective parent compounds. The most potent analogs bound to different sites on the apoptosis-promoting protein, with the sanguinarine compounds binding to the BCL2 homology domain 1 and the chelerythrine compounds binding to the BCL2 homology domain groove. Additional studies are necessary to further enhance the potency and solubility of the compounds.	BCL2-like 1 (BCL- X _L ; BCL2 _{L1}) SAR studies identified analogs of chelerythrine and sanguinarine that inhibit BCL-X _L and could be optimized to treat cancer. A fluorescence polarization assay identified three newly synthesized analogs of chelerythrine and five analogs of sanguinarine with more potent BCL-X _L binding and inhibitory activity than their respective parent compounds. The most potent analogs bound to different sites on the apoptosis-promoting protein, with the sanguinarine compounds binding to the BCL2 homology domain 1 and the chelerythrine compounds binding to the BCL2 homology domain groove. Additional studies are necessary to further enhance the potency and solubility of the compounds. SciBX 1(40); doi:10.1038/scibx.2008.967