

### This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
<b>Cancer</b>				
Cancer	Topoisomerase I (TOP1)	<p><i>In vitro</i> studies suggest that a class of TOP1 inhibitors could help treat cancer. Structure-based virtual screening and <i>in vitro</i> testing of small molecules identified the natural product evodiamine as a low micromolar inhibitor of TOP1. Chemical synthesis, SAR studies and <i>in vitro</i> testing of evodiamine analogs identified a lead TOP1 inhibitor that was active against human breast, lung and colon cancer cell lines at low to submicromolar concentrations. Ongoing work includes <i>in vivo</i> efficacy studies of the lead compound.</p> <p>GlaxoSmithKline plc markets the TOP1 inhibitor Hycamtin topotecan to treat cervical and small cell lung cancers.</p> <p>Pfizer Inc. markets the TOP1 inhibitor Camptosar irinotecan to treat colorectal cancer and non-small cell lung cancer (NSCLC).</p> <p>Karenitecin (BNP1350), a silicon-containing camptothecin chemotherapeutic that inhibits TOP1 from BioNumerik Pharmaceuticals Inc., is in Phase III testing to treat advanced ovarian cancer.</p> <p>At least three other companies have TOP1 inhibitors in Phase II testing to treat various cancers.</p> <p><b>SciBX 3(46); doi:10.1038/scibx.2010.1379</b>  <b>Published online Dec. 2, 2010</b></p>	Patented by Second Military Medical University; available for licensing	<p>Dong, G. <i>et al. J. Med. Chem.</i>; published online Oct. 13, 2010; doi:10.1021/jm100387d</p> <p><b>Contact:</b> Wannian Zhang, Second Military Medical University, Shanghai, China  e-mail: <a href="mailto:zhangwnk@hotmail.com">zhangwnk@hotmail.com</a></p> <p><b>Contact:</b> Chunquan Sheng, same affiliation as above  e-mail: <a href="mailto:shengcq@hotmail.com">shengcq@hotmail.com</a></p>