

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Neurology				
Alzheimer's disease (AD)	β -Site APP-cleaving enzyme 1 (BACE1)	<p><i>In vitro</i> and rat studies identified brain-penetrating iminohydantoin BACE inhibitors that could help treat AD. BACE1 is one of two proteases that catalyze the formation of β-amyloid (Aβ) from amyloid-β precursor protein (APP). Two of the iminohydantoin-based compounds inhibited Aβ secretion with IC₅₀ values of 2.6 and 12 μM in a human cell assay and showed brain penetration in rats. Merck & Co. Inc. did not disclose next steps, which could include evaluating the compounds in animal models of AD.</p> <p>CTS-21166, a small molecule BACE1 inhibitor from CoMentis Inc. and Astellas Pharma Inc., is in Phase I testing for AD.</p> <p>At least four other companies have BACE1 inhibitors in preclinical development for AD.</p> <p>SciBX 3(4); doi:10.1038/scibx.2010.123 Published online Jan. 28, 2010</p>	Patent application filed; licensing status undisclosed	<p>Zhu, Z. <i>et al. J. Med. Chem.</i>; published online Dec. 31, 2009; doi:10.1021/jm901408p Contact: Zhaoning Zhu, Schering-Plough Research Institute, Kenilworth, N.J. e-mail: Zhaoning.Zhu@spcorp.com</p> <p>Wang, Y.-S. <i>et al. J. Med. Chem.</i>; published online Dec. 31, 2009; doi:10.1021/jm901472u Contact: Yu-Sen Wang, Schering-Plough Research Institute, Cambridge, Mass. e-mail: allen.yu-sen.wang@spcorp.com</p>