

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Neurology				
Pain; spinal cord injury (SCI)	Purinergic receptor P2X ligand-gated ion channel 4 (P2RX4)	An SAR study identified P2RX4 antagonists that could be useful for treating neuropathic pain and SCI. Genetic inactivation of <i>P2RX4</i> previously has been shown to reduce inflammatory and neuropathic pain associated with SCI. In a cell culture assay, the lead compound in a series of N-substituted phenoxazines inhibited human P2RX4 with an IC ₅₀ value of 0.189 μM and showed 35-fold higher selectivity for P2RX4 than for related receptors. Next steps include optimizing potency, selectivity and oral availability of the compounds and evaluating them in mouse models of neuropathic pain. SciBX 5(44); doi:10.1038/scibx.2012.1167 Published online Nov. 8, 2012	Unpatented; licensing status not applicable	Hernandez-Olmos, V. <i>et al. J. Med. Chem.</i> ; published online Oct. 17, 2012; doi:10.1021/jm300845v Contact: Christa E. Müller, University of Bonn, Bonn, Germany e-mail: christa.mueller@uni-bonn.de