

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Neurology				
Neurology; Parkinson's disease (PD)	MAP kinase kinase 12 (MAP3K12; DLK)	<i>In vitro</i> and mouse studies identified DLK inhibitors that could help treat neurodegenerative diseases. DLK plays a key role in regulating neurodegeneration. Library screening and subsequent medicinal chemistry on hits identified multiple di(pyridine-2-yl)amine analogs as selective nanomolar inhibitors of DLK. In an <i>in vitro</i> rat axon degeneration assay, several of the inhibitors prevented dorsal root ganglia degeneration at nanomolar IC ₅₀ values. In mouse models of optic axonal injury and PD, oral or i.p. administration of the lead inhibitor (GNE-3511), respectively, decreased markers of neuronal degeneration compared with vehicle administration. Next steps include further characterization of the safety and efficacy of GNE-3511.	Patent applications filed; licensing status undisclosed	Patel, S. <i>et al.</i> <i>J. Med. Chem.</i> ; published online Oct. 23, 2014; doi:10.1021/jm5013984 Contact: Michael Siu, Genentech Inc., South San Francisco, Calif. e-mail: siu.michael@gene.com Contact: Joseph W. Lewcock, same affiliation as above e-mail: lewcock.joseph@gene.com
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