

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Neurology				
Stroke	Glutamate receptor, ionotropic, N-methyl D-aspartate 2B (NR2B; GRIN2B; NMDA receptor subunit 2B)	SAR and <i>in vivo</i> studies suggest that biaryl propanolamines could help prevent or treat stroke and other ischemic conditions. <i>In vitro</i> , this class of compounds selectively inhibited NR2B with IC ₅₀ values of 30–100 nM. In a mouse model of transient ischemia, one of the compounds significantly lowered infarct volume when given before arterial occlusion compared with saline control ($p < 0.05$). Also in mice, injection of a racemic mixture of two of the compounds lowered sensitivity to electroshock stimulus compared with that seen using vehicle control, suggesting the compounds have anticonvulsant properties. Further studies are necessary to determine the pharmacokinetics and full side-effect profiles of the compounds. At least 14 companies have NMDA receptor antagonists in development stages ranging from preclinical to marketed to treat neurological conditions.	All antagonists described in the paper have been patented; licensed by NeurOp Inc. for a variety of neurological conditions; unavailable for licensing	Tahirovic, Y. <i>et al. J. Med. Chem.</i> ; published online Aug. 23, 2008; doi:10.1021/jm8002153 Contact: Stephen F. Traynelis, Department of Pharmacology, Emory University School of Medicine, Atlanta, Ga. e-mail: strayne@emory.edu Contact: James P. Snyder, Department of Chemistry, Emory University, Atlanta, Ga. e-mail: jsnyder@emory.edu