

THE DISTILLERY

This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
Neurology				
Parkinson's disease (PD)	Adenosine A _{2A} receptor (ADORA _{2A}); monoamine oxidase B (MAO-B)	<i>In vitro</i> studies suggest dual antagonists of ADORA _{2A} and MAO-B could be useful for treating PD. Both proteins are known targets in PD. <i>In vitro</i> , the lead molecule from a series of benzothiazinones selectively antagonized human ADORA _{2A} with an IC ₅₀ value of 39.5 nM and MAO-B with an IC ₅₀ value of 34.9 nM. Next steps include evaluating other members of the compound series in mice. Kyowa Hakko Kirin Co. Ltd. markets the ADORA _{2A} antagonist Nouriast istradefylline to treat PD. At least seven other companies have ADORA _{2A} antagonists in Phase III or earlier testing to treat PD. Teva Pharmaceutical Industries Ltd. and H. Lundbeck A/S market Azilect rasagiline, an irreversible selective inhibitor of MAO-B, to treat PD. Valeant Pharmaceuticals International Inc. markets the MAO-B inhibitor Zelapar selegiline for the same indication. At least six other MAO-B inhibitors are in Phase III or earlier testing to treat PD.	Compound series covered by pending patents; available for licensing	Stößel, A. <i>et al. J. Med. Chem.</i> ; published online April 30, 2013; doi:10.1021/jm400336x Contact: Christa E. Müller, PharmaCenter Bonn, Bonn, Germany e-mail: christa.mueller@uni-bonn.de Contact: Michael Gütschow, same affiliation as above e-mail: guetschow@uni-bonn.de

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