ACS Medicinal Chemistry Letters

Viewpoint

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Novel Compounds for the Treatment of Neurodegenerative Diseases

Patent Highlight

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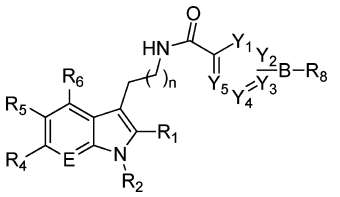
Title:	Novel Compounds for the Treatment of Neurodegenerative Disease		
Application Number:	WO 2012/080220	**Publication Date:**	June 21, 2012
Priority Application:	1021104.3	**Priority Date:**	December 13, 2010
Inventors:	Griffioen, G.; van Dooren, T.; Rojas de la Parra, V.; Marchand, A.; Allasia, S.; Kilonda, A.; Chaltin, P.		
Assignee Company:	Katholieke Universiteit Leuven, K.U. Leuven R 7D, Remynd		
Disease Area:	Neurodegenerative disease	**Biological Target:**	Tau

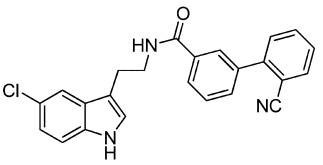
Summary: This application claims a series of indoles as molecules that inhibit tau phosphorylation. This approach to neurodegenerative disease is of interest because of the hypothesized role for tau in neuronal cell death. Tau is an intracellular protein that stabilizes microtubules and helps regulate their function, for example in cell division. Test compounds were studied for their ability to inhibit tau phosphorylation or inhibit α-synuclein in animals and in cell culture.

Primary Markush:

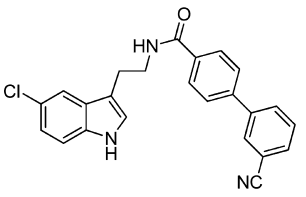


Definitions: E = CH or N; R_1, R_{4-6} = H, halogen, OH, OR, SH, SR, SO_nR, SO_2NRR, amide, ester alkyl, unsaturated alkyl, aryl, heteroaryl (including substituted derivatives); R_2 = H, alkyl, unsaturated alkyl; B = cyclic structure; R_8 = as defined for R_1/R_{4-6}.

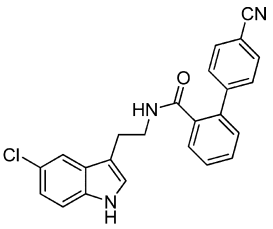
Notable Substructures:



compound 43
EC_{50} 169 nM



compound 50
EC_{50} 24 nM



compound 51
EC_{50} 325 nM

Biological Assay: Data was reported using cell based assays to measure cytotoxicity. The specific cell based model used to provide the data above was not specified.

AUTHOR INFORMATION

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Notes

The authors declare no competing financial interest.

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