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Coumarin versus Chromone Monoamine Oxidase B Inhibitors: Quo Vadis?

Because of the lack of significant disease-modifying drugs for neurodegenerative disorders, a pressing need for new chemical entities endowed with IMAO-B still exists. Within this framework, and for the first time, a study was performed to compare coumarin- and chomone-3-phenylcarboxamide scaffolds. Compounds 10a and 10b were the most potent, selective, and reversible noncompetitive IMAO-B. The benzopyrone sp2 oxygen atom was found to be position independent and a productive contributor for the ligand-enzyme complex stability. © 2017 American Chemical Society.