

BolmAr: Borylation(Catalytic)-Imination-Arylation(Catalytic) - A New Synthetic Approach to Promising Alzheimer and Parkinson Drugs

Daniela Peixoto, Carolina S. Marques, Paulo J. Mendes, Anthony J. Burke

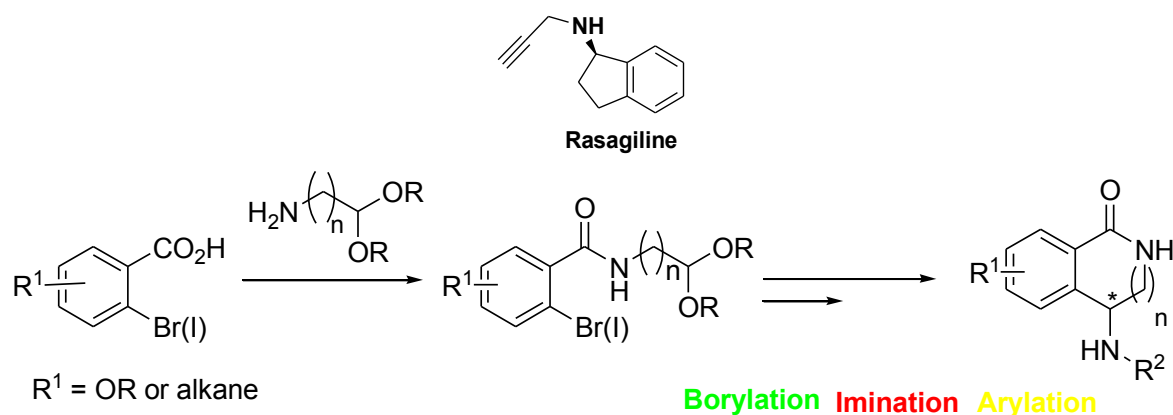
Departamento e Centro de Química, Universidade de Évora, Rua Romão Ramalho 59, 7000 Évora.

danielaaspeixoto@gmail.com

Although dramatic progress has been made in understanding the pathogenesis of neurodegenerative conditions of the aged population such as Alzheimer's disease, Parkinson's disease and Fronto-Temporal dementia, to date most of these diseases are incurable. Because of the aging population, these disorders pose a serious challenge to the health care system. Loss of synapses is probably the common neuropathological feature leading to dementia in these neurodegenerative disorders.¹

Parkinson's disease is a progressive neurodegenerative condition caused by loss of dopamine producing cells in the substantia located in the basal ganglia causing motor, autonomic and cognitive impairments.² Rasagiline is a potent, selective, irreversible inhibitor of monoamine oxidase (MAO) which is an anti-Parkinson drug.³

Herein, we present our innovative approach to the synthesis of several chiral amine⁴ δ -benzolactam analogues which involves a one-pot borylation-Imination-Arylation (BolmAr) sequence - the last step being a key intramolecular catalytic arylation reaction (**Scheme 1**).



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