

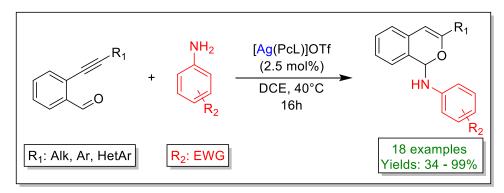
OC3 - Synthesis of 1-Aminoisochromenes trough reaction of 2-

alkynylbenzaldehydes with electron-poor anilines promoted by [Ag(PcL)] catalyst

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Isochromene is an interesting oxygen-containing heterocycle characterizing different synthetic bioactive molecules¹ and natural products.² The isochromene core can be synthetized exploiting a regioselective *6-endo-dig* domino approach starting from 2-alkynylbenzaldehydes and suitable nucleophiles, under transition metal catalysis, able to activate the triple bond.³ Most employed nucleophiles are oxygen- and carbon-based compounds, otherwise nitrogen-containing nucleophiles usually bring to the formation of isoquinoline derivatives, trough the formation of the corresponding imine intermediate. Herein we report the first synthesis of 1-amino substituted isochromene derivatives starting from different 2-alkynylbenzaldehydes exploiting the features of electron-poor anilines and PcL silver complex catalysis.⁴



Scheme 1: Synthesis of 1-aminoisochromenes

A series of 1-arylaminoisochromene was prepared, differently substituted in 3 position with alkyl, cycloalkyl, aryl or heteroaryl moiety (**Scheme 1**).

Reaction conditions, scope and limitation of the approach and a plausible mechanism of the reaction will be presented and discussed.

References:

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