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Synthesis of indole derivatives through transition metals-catalyzed cyclization of 2-alkynylaniline derivatives

Indole derivatives are one of the most extensively studied class of heterocyclic compounds. The indole nucleus is a fundamental constituent of many natural and synthetic products with biological activity. Moreover, fused indole derivatives display a number of interesting pharmacological properties. Cyclization of 2- alkynylanilines catalyzed by transition metals represents a powerful tool for the build-up of the indole nucleus, and affords mainly 2-substituded indoles, although sequential functionalization of nucleophilic 3-position has been reported. A useful development of this methodology is represented by Pd-catalyzed reaction of 2-alkynyltrifluoroacetanilides with a variety of organic electrophiles such as aryl, heteroaryl, alkyl and alkynyl halides, vinyl triflates, arenediazonium tetrafluoroborates, boronic acids, α-iodoenones and allyl esters. This approach is based on the activation of the triple bond towards intramolecular nucleophilic attack by $-NHCOCF_3$ by means of coordination to an organopalladium(II) intermediate; sequential reductive elimination results in the formation of 2,3-disubstituted indoles bearing the organic moieties linked to the -3 position). Furthermore, this methodology allows a straightforward assembly of polycyclic indole derivatives such as indoloquinazolines, indoloquinazolinones, and indoloquinolines.

Biography

Fabio Marinelli obtained his degree in Chemistry at the University of Rome in 1980. In 1983, he became Organic Chemistry Researcher and, since 2001, he has been Associate Professor of Organic Chemistry at the University of L'Aquila. His research topics include the application of transition metals as catalysts in the synthesis of heterocycles such as indoles, quinolines, lactones and other. He has published more than 115 papers in reputed journals and is member of International Society of Heterocyclic Chemistry.

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