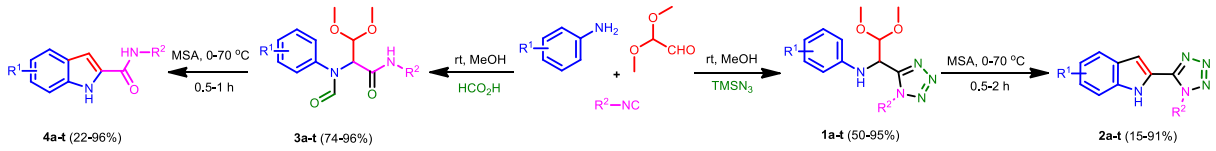
AN EFFICIENT AND SUSTAINABLE METHODOLOGY TOWARDS INDOLES

Xiaofang Lei,[*] Panagiota Lampiri,[*] Alexander Dömling,[**] Constantinos G. Neochoritis[*]

[*]*Department of Chemistry, University of Crete, Heraklion, Greece*
[**]*Department of Pharmacy, drug design group, University of Groningen, Groningen, The Netherlands*

The ubiquitous presence of the indole fragment in numerous natural products and drugs asks for ever novel syntheses. Here, we report a novel two-step multicomponent reaction synthesis of 2-tetrazolo and 2-carboxamide substituted indoles. The most preferred heterocyclic indole core was *de novo* assembled by an innovative 2-step reaction from inexpensive and broadly available anilines, glyoxal dimethyl acetal and isocyanides involving an Ugi multicomponent reaction. Acidic ring closure affords then the targeted compounds in good yields. The syntheses is fast, tolerates a great number of functional groups with three points of diversification yielding unprecedented indoles. To establish the usefulness of the synthesis, gram scale syntheses, bioactive compounds and further transformations of the indoles were performed. Our diverse, short and reliable Ugi approach will add to the toolbox of useful indole syntheses.



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