ONE-STEP SYNTHESIS OF ISOINDOLO[2,1-*a*]INDOL-6-ONES VIA TANDEM Pd-CATALYZED AMINOCARBONYLATION AND C-H ACTIVATION REACTION

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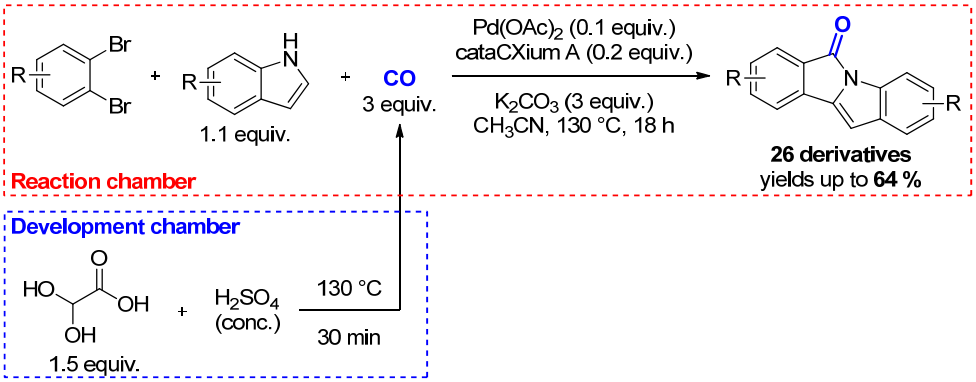
Isoindoloindol-6-ones are tetracyclic, aromatic heterocycles, which are known since 1972. They are known as ligands of melatonine MT_3 and serotonine 5-HT_6 receptors [1] and as growth inhibitors of tumor cells. [2] For this reason, considerable attention is being paid to the development of new synthetic methods for the preparation of such alkaloids. We developed an efficient one-step synthesis of isoindoloindol-6-ones from commercially available starting materials (dibromobenzenes and indoles). Key feature of the method is tandem Pd-catalyzed aminocarbonylation followed by *C-C* coupling via *C-H* activation (*Scheme 1*). Both reactions take place with the same catalytic system. The reaction was performed in a two-chamber reaction system in high-pressure tubes. The protocol uses glyoxylic acid monohydrate as a safe, prize affordable and environmentally friendly CO-surrogate. [3] To examine applicability of the presented method, we isolated 26 derivatives up to 64 % yields, of which 10 derivatives were synthesized for the first time. In the most cases, our method provides isoindoloindol-6-ones in higher yields in comparison to overall yields of previously known approaches.



Scheme 1. Pd-catalyzed aminocarbonylation with C-C coupling via C-H activation.

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