ORGANIC SYNTHESIS AND CHEMICAL REACTION MECHANISMS

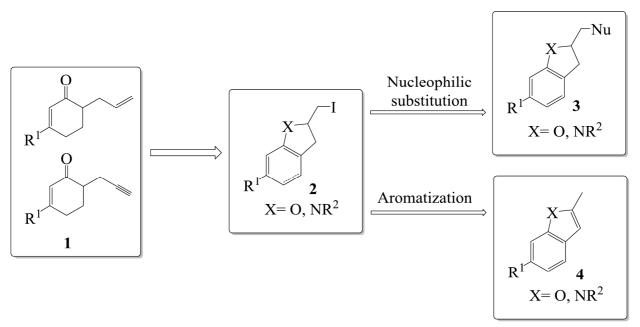
CYCLIZATION-AROMATIZATION REACTION OF CYCLOHEXENONES: ACCESS TO BENZOFURANS AND INDOLES

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Indoles and benzofurans are fundamental structural motifs in a variety of natural products as well as biologically active synthetic materials. Our research group is interested in the synthesis of substituted cyclohex-2-enones and their transformation leading to various heterocycles. 3,6-Disubstituted cyclohex-2-enones 1 can be easily prepared by condensation of the Mannich salts with the 2-substituted acetoacetic esters and are accessible intermediates for further chemical transformations. A iodine-promoted cyclization of 6-allylcyclohex-2-enones or corresponding imines leads to partially aromatic iodine derivatives 2. Further transformation such as nucleophilic substitution or aromatization lead to corresponding products 3 or fully aromatic derivatives 4.



The acid-catalyzed reaction of 6-propargylcyclohex-2-enones with primary amines followed by air-oxidative aromatization process is a concise synthetic route to 1,2,6-trisubstituted indoles.

Both described routes showed good functional group tolerance. The presented methodology provides access to differently functionalized benzofurans and indoles in moderate to good yields.

REFERENCES

(1) Mikhalyonok, S.G.; Arol, A.S.; Litvinau, D.A.; Kuz'menok, N.M.; Bezborodov, V.S. *Chem. Heterocycl. Compd.* **2019**, *55*, 205–211.

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