

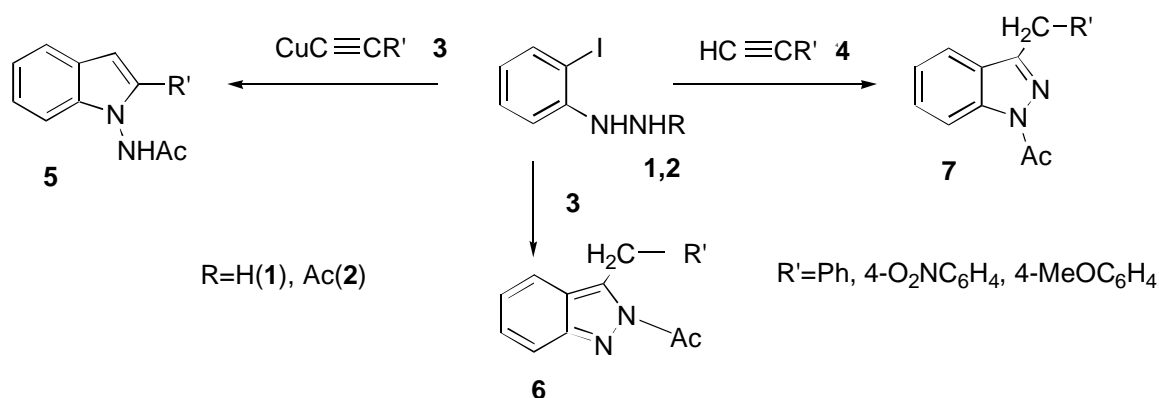
Cross-coupling of 1-Arylalkynes and Copper Arylacetylates with N-(*ortho*-Iodoaryl)hydrazines: a Novel Route to Condensed Azoles

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Many natural and synthetic compounds of indole and indazole derivatives find application as medicines /1,2/. Searching for new effective medical preparations it is very important to have the series of compounds with a regularly variable structure.

One of the approaches to the synthesis of condensed heteroaromatics is based on the cyclization of *vic*-functionalized arylacetylenes /3/. In this report we have demonstrated the potentialities of the cross-coupling of 1-alkynes or acetylates with N-(*o*-iodophenyl)hydrazine **1** and α -N-(*o*-iodophenyl)- β -N-(acetyl)hydrazine **2** in producing condensed heterocyclic systems by one-pot reaction:



The condensation of α -N-(*o*-iodophenyl)- β -N-(acetyl)hydrazine with substituted copper (I) arylacetylides in DMF with the formation of the pyrrole ring leads to corresponding indoles **5** (30-75%). This is a new method of synthesis of 2-substituted indoles. The same compounds are obtained by the cyclization of α -N-(*o*-iodophenyl)- β -N-(acetyl)hydrazine in DMF in the presence of CuI.

The cyclocondensation of α -N-(*o*-iodophenyl)- β -N-(acetyl)hydrazine with copper(I) acetylides with electron-withdrawing substituents gives 3-substituted isoindazoles **6** (30-75%) under the effect of the bases.

The Pd-Cu-catalyzed cross-coupling of N-(*o*-iodophenyl)hydrazine with 1-alkynes bearing electron-withdrawing substituents in the presence of the base is followed by the cyclization to 3-substituted indazoles **7** (40-45%).

References:

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