A Copper-Catalyzed Tandem C–H *ortho*-Hydroxylation and N–N Bond-Formation Transformation: Expedited Synthesis of 1-(*ortho*-Hydroxyaryl)-1*H*-indazoles

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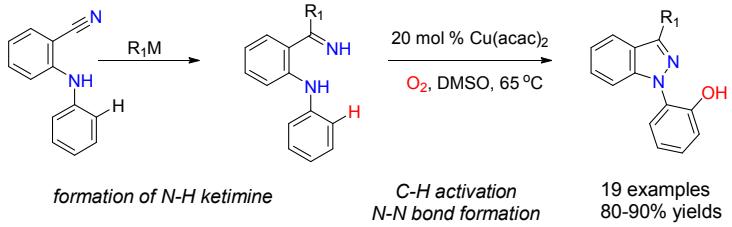
A facile, one-pot synthesis of 1*H*-indazoles featuring a Cu-catalyzed C-H *ortho* hydroxylation and N-N bond formation using pure oxygen as the terminal oxidant is described. The reaction of readily available 2-arylaminobenzonitriles with various organometallic reagents led to *ortho*-arylamino N-H ketimine species. The subsequent Cu-catalyzed hydroxylation at *ortho*-position of the aromatic ring followed by N-N bond formation in DMSO under pure oxygen afforded a wide variety of 1-(*ortho*-hydroxylaryl) 1*H*-indazoles in good to excellent yields. The efficient methodology does not require utilization of noble metal catalysts, elaborated directing groups and privileged ligands.



Reference:

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