A Cu-catalyzed Tandem Transformation of ortho C-H Hydroxylation and N-N Bond Formation: An Expedite Synthesis of 1-(ortho-Hydroxyaryl) 1H-Indazoles

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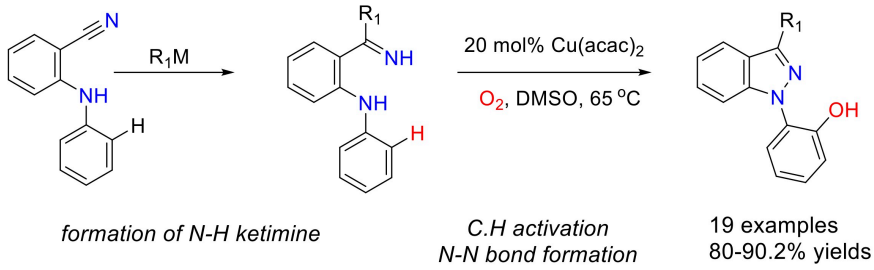
A facile, one-pot synthesis of 1*H*-indazoles featuring a Cu-catalyzed C-H ortho hydroxylation and N-N bond formation using pure oxygen as terminal oxidant is described. Reaction of readily available 2-arylaminobenzonitriles with various organometallic reagents led to *ortho*-arylamino N-H ketimine species. 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.



[1] C.-y. Chen, G. Tang, F. He, Z. Wang, H. Jing, R. Faessler, *Org. Lett*. **2016**, *18*, 1690.