TANDEM INDIUM-MEDIATED REDUCTIVE REACTION/N-ANNULATION REACTION: SYNTHESIS OF BIS-PYRROLEARENE DERIVATIVES FROM ELECTRON DEFICIENT NITROANILINES

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In the past decade, indium have been widely employed as a SET (single electron transfer) reagent and it was applied for the one-pot reaction by us, *i.e.*, an activation of nitro group followed by a coupling reaction with carbonyl group to obtain benzoxazole, benzimidazole, benzopyrrole, and quinoxaline derivatives.^[1]

N-Heterocyclic compounds containing pyrrole moiety are found in natural products and bioactive molecules that exhibit versatile biological activities.^[2] Moreover, polymer such as polypyrroles (ppys) have proven their great potential in the fabrication of microelectronic and optoelectronic devices, including field effect transistors, because of their good thermal and oxidative stability, flexibility and electrical conductivity.^[3]

In this work, the transformation of highly electron deficient anilines towards pyrroles for N-annulation reaction was studied, which was not easy with conventional synthetic methods because of their poor reactivity. Indium-mediated reductive/coupling reaction of highly electron deficient 2,6-disubstituted nitroanilines in the presence of 1,4-diketone to 2,6-disubstituted 4-(1*H*-pyrrole-1-yl)aniline followed by N-annulation reaction with another 1,4-diketone resulted in bis-pyrrole-containing electron deficient arenes, in reasonable yield.

^[1] Kim, B. H.; Bae, S.; Go, A.; Lee, H.; Gong, C.; Lee, B. M.; Org. Biomol. Chem. 2016, 14, 265-276 and cited therein.

^[2] Jacobi, P. A.; Coults, L. D.; Guo, J. S.; Leung, S. I. J. Org. Chem. 2000, 65, 205-213.

^[3] Diaz, A.F.; Castillo, J. I.; Logan, J. A.; Lee, W. Y. J. Electroanal. Chem. 1981, 115-132.