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An Umpolung Strategy: To achieve electrophilic Indoles

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Indole (being addressed as “*The Lord of the Rings*” of aromatic compounds),¹ after 150 Years of its discovery (Adolf von Baeyer, 1869), it is still a privileged structure in the field pharmaceuticals, agrochemicals, and functional materials. Indole and the complexity of naturally occurring indole derivatives continue to inspire and influence to the synthetic chemist to develop of ever more efficient synthetic protocols for the preparation and direct functionalization of this hetero-aromatic compound. The umpolung character of the indole nucleus is an emerging topic with an important synthetic potential to access various derivatives of biological relevance. Most of the time, this reversal of polarity involves the oxidation of the indole nucleus or the presence of strong electron-withdrawing groups.

<figure>

In this context, N-Ac indole derivatives are recognized for their high reactivity, which can lead to the formal umpolung of functional groups.^{2, 3} During past one decade our group made enormous effort on development of many useful cyclic hypervalent iodine reagents. Recently we demonstrated⁴ an one-step synthesis of the bench-stable hypervalent iodine reagents Indole-BX using mild Lewis acid catalyzed conditions. This new reagent is stable up to 158 °C. I want to present some results of regioselective arylation of indole derivatives with this bench-stable hypervalent iodine reagents *via* umpolung strategy.

References:

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- (3) Nandi, R. K.; Guillot, R.; Kouklovsky, C.; Vincent, G. *Org. Lett.* **2016**, *18*, 1716-1719
- (4) Nandi, R. K.; Caramenti, P.; Waser, J. *Chem. Eur. J.* **2018**, *24*, 10049-10053