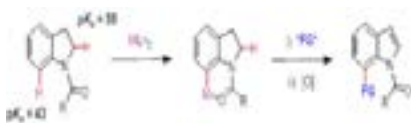


**Discovery of novel anticancer agents through C7-functionalization of indolic scaffolds**Neeraj Kumar Mishra and In Su Kim  
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Heterocyclic compounds are the most valuable sources of diverse biologically active molecules. The utility of heterocyclic structures is the ability to synthesize one library based on one core scaffold and to screen it against a variety of different receptors, yielding several active compounds. Of these, particularly the indolic scaffolds are the important substances of a large number of important compounds that occur in nature. Therefore, the invention of new synthetic routes to functionalize the indolic scaffolds continues to command wide interest due to the numerous natural products and biologically significant compounds whose structures incorporate these heterocyclic systems. In contrast, few existing methods provide efficient and regiocontrolled access to functionalize the indolic molecules. In this context, the transition metal-catalyzed C-H bond activation strategy provides a powerful vehicle for the direct assembly and functionalization of the bioactive heterocyclic molecules. This approach is highly modular and is especially well-suited for the preparation of carbon-carbon and carbon-heteroatom bond due to the minimization of stoichiometric metallic waste and of the costs associated with substrate pre-activation. These considerations led us to investigate the possibility of functionalization of indolic scaffolds at the C7-position which is highly challenging in C-H activation events. Moreover, the constructed C7-functionalized indolic compounds were tested for *in vitro* cytotoxicity against various human cancer cell lines and some of them were found to display most potent anticancer activity, compared to that of anticancer doxorubicin a positive control.

**Recent publications**

1. Jeong T, Lee S H, Mishra N K, De U, Park J, et al. (2017) Synthesis and cytotoxic evaluation of n-aroyleureas through rhodium(III)-catalyzed C-H functionalization of indolines with isocyanates. *Advance Synthesis & Catalysis* 359:2329-2336.
2. Mishra N K, Jeon M, Oh Y, Jo H, Park J, et al. (2017) Site-selective Cp\*<sup>+</sup>Rh(III)-catalyzed C-H amination of indolines with anthranils. *Organic Chemistry Frontiers* 4:241-249.
3. Jeon M, Mishra N K, De U, Sharma S, Oh Y, et al. (2016) Rh(III)-catalyzed C-H functionalization of indolines with readily accessible amidating reagent: synthesis and anticancer evaluation. *The Journal of Organic Chemistry* 8:9878-9885.
4. Jo H, Park J, Choi M, Sharma S, Jeon M, et al. (2016) Ruthenium(II)-or rhodium(III)-catalyzed grignard-type addition of indolines and indoles to activated carbonyl compounds. *Advanced Synthesis & Catalysis* 358:2714-2720.
5. Mishra N K, Jeong T, Sharma S, Shin Y, Han S, et al. (2015) Rhodium (III)-catalyzed selective C-H cyanation of indolines and indoles with an easily accessible cyano source. *Advanced Synthesis & Catalysis* 357:1293-1298.

**Biography**

Neeraj Kumar Mishra completed his PhD from the Department of Chemistry, University of Delhi, Delhi, India. His research interests include synthetic organic chemistry with special reference to transition-metal catalyzed C-H activation reactions for the synthesis of bioactive molecules. He has been awarded Junior Research Fellowship (JRF) for Science Meritorious students by University Grants Commission, India, Senior Research Fellowship (SRF) by HRDG-Council for scientific Industrial Research, India and Research Associateship by HRDG-CSIR at Department of Chemistry, University of Delhi, India. He worked as NRF-Postdoctoral Fellow with Professor In Su Kim at the School of Pharmacy, Sungkyunkwan University, Suwon, South Korea, from 2013 to 2016. Presently, he is working as Research Professor in School of Pharmacy, Sungkyunkwan University, Suwon, South Korea from January 2017.

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