# IBX/NIS INDUCED OXIDATIVE INTRAMOLECULAR ANNULATION

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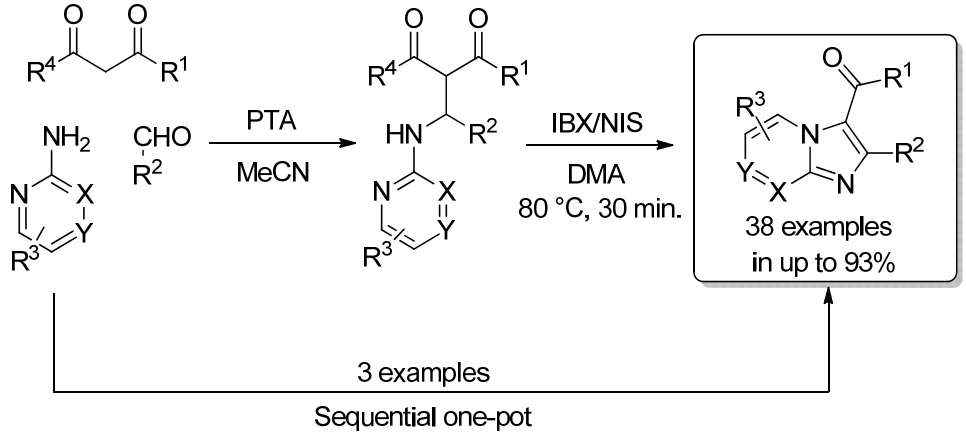
The development of advantageous synthetic method for the preparation of novel imidazo[1,2-*a*]-fused heterobicycles is markedly increased demand in drug discovery/medicinal chemistry owing to their valuable framework [1,2]. Numerous methodologies are known including robust ring-closing processes and transition-metal-catalyzed C-N bond formation approaches [3,4].

Herein, we disclose an efficient IBX/NIS mediated C($sp^3$)-H functionalization process towards sophistically decorated imidazo[1,2-*a*]-fused frameworks starting from the corresponding Mannich-type substrates. The cyclizations were carried out under mild and metal-free conditions leading complex functionalized imidazo[1,2-*a*]-pyridine, imidazo[1,2-*a*]-pyrimidine as well as imidazo[1,2-*a*]-pyrazine heterocycles in yields of 35-93%. In addition, the sequential one-pot synthesis is also executed starting from readily available precursors and further transformations of selected compounds are also achieved.




X = CH or N; Y = CH, CR or N; $R^1$= EtO, Me; $R^2$ = aryl or alkyl; $R^3$ = Me, MeO, Cl, Br, I.

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[2] J. M. Bentley, D. C. Brookings, J. A. Brown, T. P. Cain, L. J. Gleave, A. Heifetz, V. E. Jackson, C. Johnstone, D. Leigh, J. Madden, J. R. Porter, M. D. Selby, Z. Zhu, *WO Patent* 2014/009296A1, **2014**.

[3] D. K. Nair, S. M. Mobin, I. N. N. Namboothiri *Org. Lett.* **2012**, *14*, 4580-4583.

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