

DROC/ROC of Small Ring Aza-Heterocycles

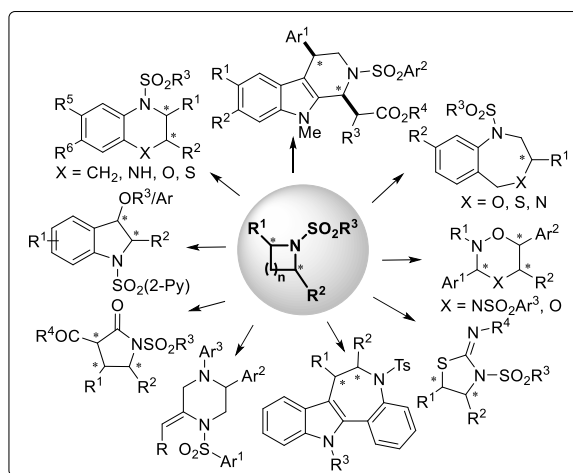
Manas K. Ghorai

*Department of Chemistry, Indian Institute of Technology Kanpur
Kanpur 208016, Uttar Pradesh, India*

mkghorai@iitk.ac.in

Abstract:

We have demonstrated that Lewis acid-catalyzed nucleophilic ring opening of small ring aza-heterocycles does proceed through an S_N2-type pathway. By exploiting and exploring our protocol of domino-ring-opening-cyclization (DROC) and ring-opening-cyclization (ROC), a number of non-racemic *N/O*-heterocycles of contemporary interest have been synthesized. Those compounds include tetrahydro- β -carboline, γ -lactams, pyrazinoindoles, oxazinoindoles, tetrahydrobenzoxazepines, tetrahydrobenzothiazepines, tetrahydrobenzodiazepines, 1,2,4-oxadiazinanes, 1,4,2-dioxazinanes, iminothiazolidines, tetrahydrobenzoazepinoindoles, hexahydropyrroloindoles, oxazolidines, imidazolines, indolines, tetrahydroquinoxalines, tetrahydroquinolines, tetrahydropyrimidines, oxazinanones, morpholines, polyhydroxylated-pyrrolidines, piperidines, dihydropyrroles, tetrahydropyridines, piperazines, spiro-piperidino indolenines, enaminoitriles and many more such intricate molecular frameworks with immense biological significance. The progressive development of this chemistry in terms of further mechanistic investigations, enhanced enantio- and diastereoselectivity and important applications in asymmetric organic synthesis will be presented.



Scheme 1. Synthetic exploration of domino ring-opening cyclization (DROC) and ring-opening cyclization (ROC) of Small Ring Aza-Heterocycles

References and Notes:

1. Tarannum S.; Sk Sahid.; Das S.; Wani A. I.; Ghorai M. K.; *J. Org. Chem.* **2020**, *85*, 367-379.
2. Pradhan S.; Chauhan, N.; Shahi C. K.; Bhattacharyya A.; Ghorai M. K. *Org. Lett.* **2020**, *22*, 7903-7908.
3. Chauhan, N.; Pradhan S.; Ghorai M. K. *J. Org. Chem.* **2019**, *84*, 1757-1765.
4. Pradhan S.; Shahi C. K.; Bhattacharyya A.; Ghorai M. K. *Chem. Commun.* **2018**, *54*, 8583-8586.
5. Mal A.; Goswami G.; Wani A. I.; Ghorai M. K. *Chem. Commun.* **2017**, *53*, 10263-10266.
6. Bhattacharyya A.; Shahi C. K.; Pradhan S.; Ghorai M. K. *Org. Lett.* **2018**, *20*, 2925-2928.

Bio-Sketch of Speaker

Professor
Department of Chemistry
Indian Institute of Technology, Kanpur
Uttar Pradesh-208 016, India
Contact No. 0512 259-7518
Email: mkghorai@iitk.ac.in
Home page:
<https://home.iitk.ac.in/~mkghorai/home.htm>



Prof. Ghorai obtained his B. Sc. (Hons.) from University of Calcutta (1989), M.Sc. from IIT Kharagpur (1991), and Ph.D. from NCL, Pune (1998) with Prof. Ganesh Pandey. He worked as a postdoctoral research associate with Prof. Michael Schmittel at the University of Wuerzburg Germany (1998–2000), as an Alexander von Humboldt fellow in the University of Siegen (2000–2001), and as a postdoctoral research associate with Prof. JoAnne Stubbe at MIT, USA (2001–2002). He joined the Department of Chemistry at IIT Kanpur as an assistant professor in 2002. He became an associate professor in 2007, full professor in 2012 and HAG-professor in 2019. He was an USV Chair Professor at IIT Kanpur. He is a Fellow of National Academy of Sciences (FNASc.), Fellow of Academy of Sciences (FASc) and Fellow of West Bengal Academy of Science and Technology (FAST). He received Dr. S. Rajappa Award and Agharkar Birth Centenary Gold Medal. His research interests are synthetic and mechanistic investigation of small ring aza-heterocycles and carbacycles, Memory of Chirality, dianion chemistry and organocatalysis.