

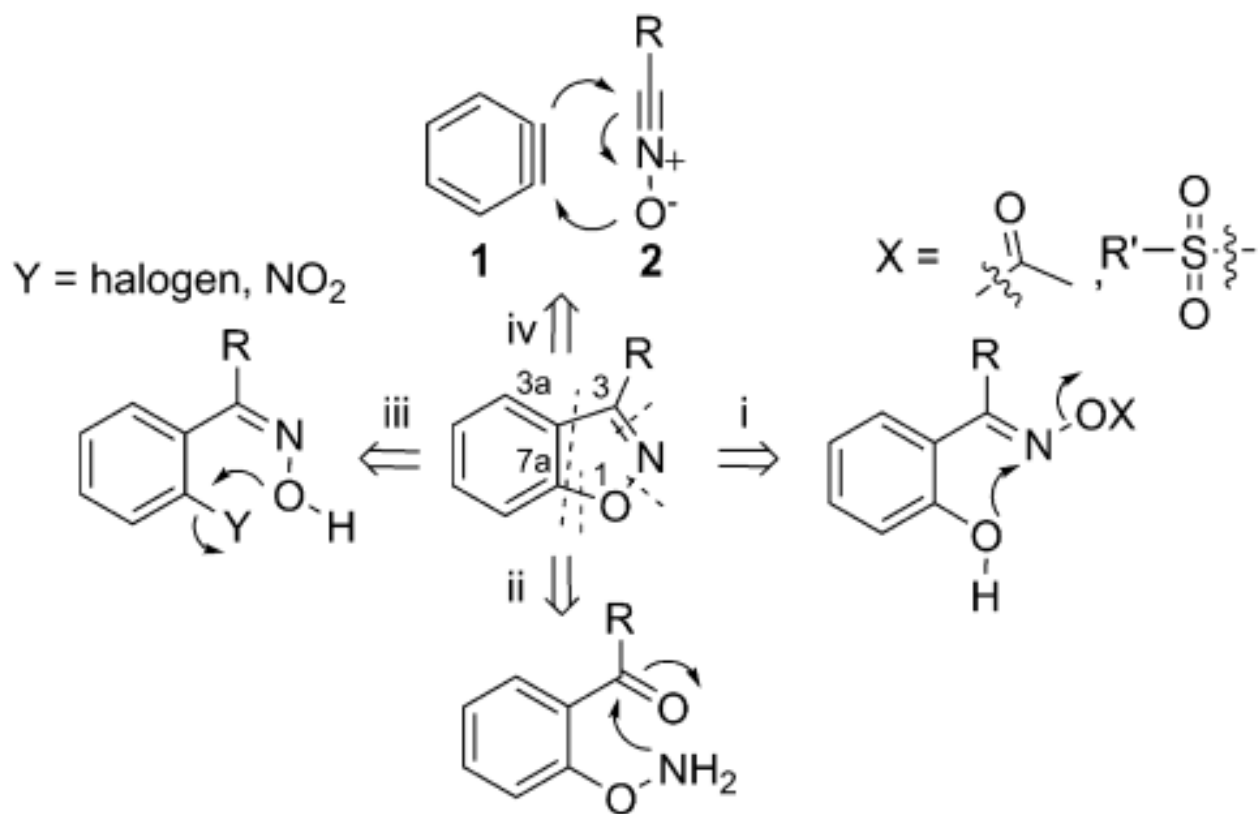
Synthesis of 1,2- Benzisoxazoles

Reporter: Duan Pingping

Supervisor: Prof. Zhao

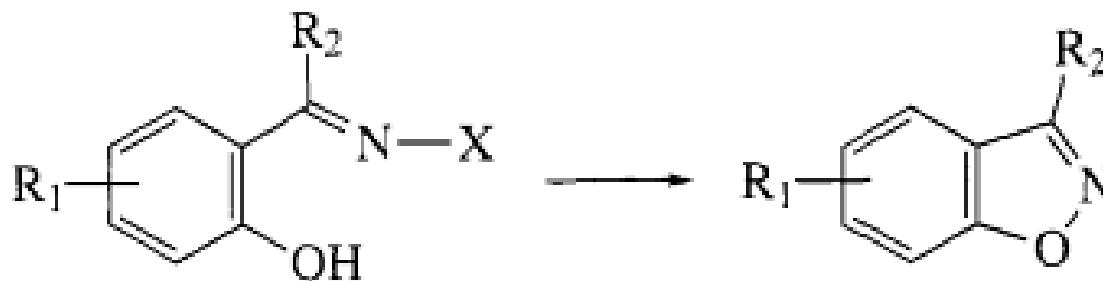
2013-06-10

Synthetic Approaches to 1,2-Benzisoxazoles



C. Spiteri, P. Sharma, F. Z. Zhang, S. J. F. Macdonald, S. Keeling, J. E. Moses, *Chem. Commun.* **2010**, 46, 1272
G. M. Shutske, *J. Org. Chem.* **1984**, 49, 180

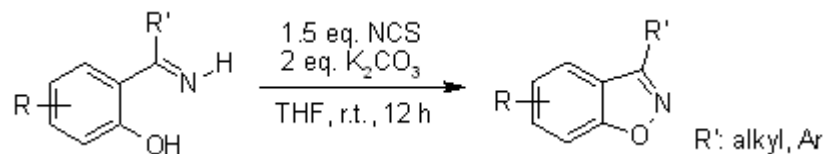
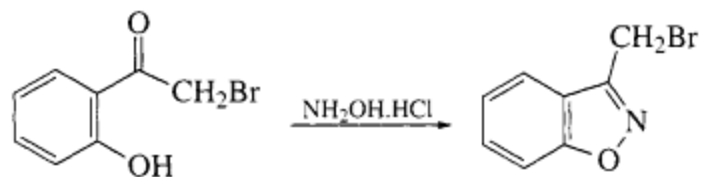
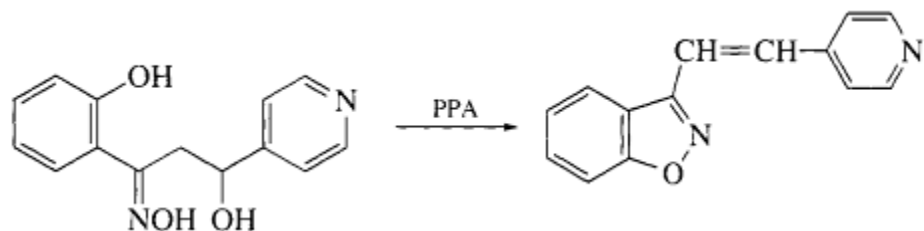
Formation of Bond 1-2



Acetate (X=OCOCH₃), Benzoyl (X=OCOC₆H₅), Trichlorocarbamoyl (X=OCONHCOCCl₃), Ethoxycarbonate (X=OCOOC₂H₅), Hydrosulfate derivatives (X=OSO₃H)

A. Villalobos, J. F. Blake, C. K. Biggers, T. W. Butler, D. S. Chapin, T. L. Chen, J. L. Ives, S. B. Jones, D. R. Liston, A. A. Nagel, D. M. Nason, J. A. Nielsen, I. A. Shalaby, W. F. White, *J. Med. Chem.* **1994**, 37, 2721.

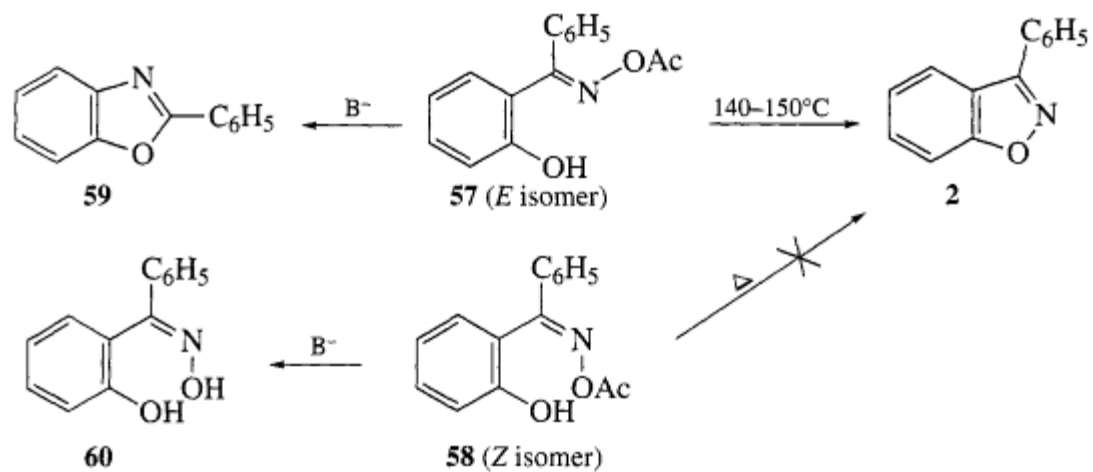
Formation of Bond 1-2



K. Samula, *Rocz. Chem.* **1974**, *48*, 959

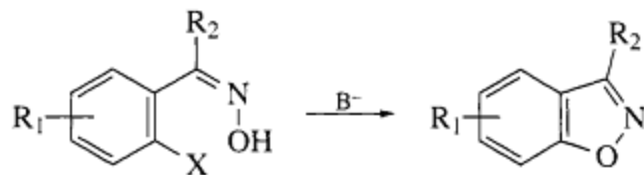
C.-y Chen, T. Andreani, H. Li, *Org. Lett.* **2011**, *13*, 6300

Formation of Bond 1-2



A. H. Blatt, L. A. Russell, *J. Am. Chem. Soc.* **1936**, 58, 1903

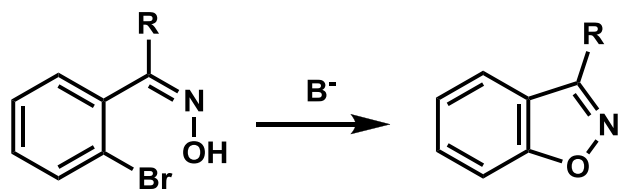
Formation of Bond 7a-1



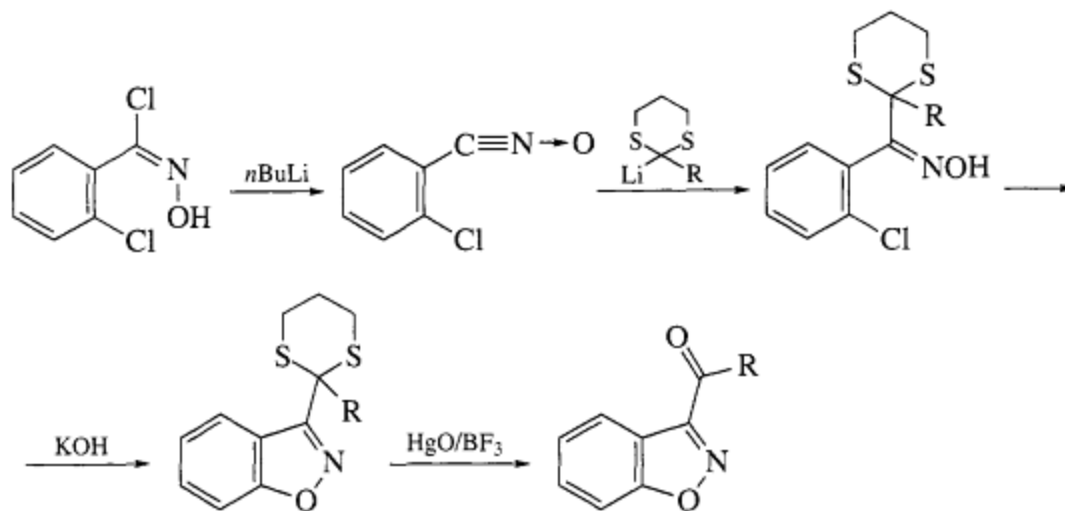
X= halogen, nitro, diazo, methoxy function, et al

Formation of Bond 7a-1

---From 2-Halobenzoyl Derivatives



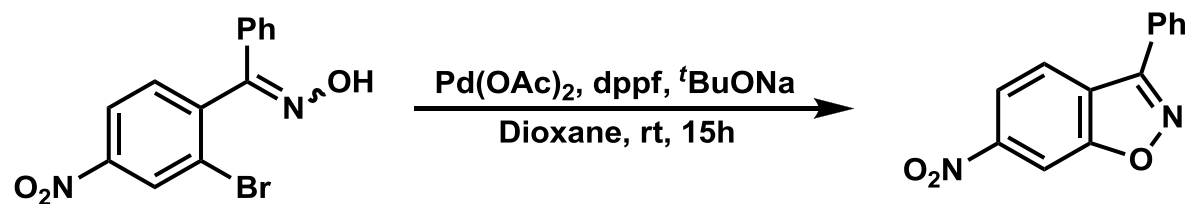
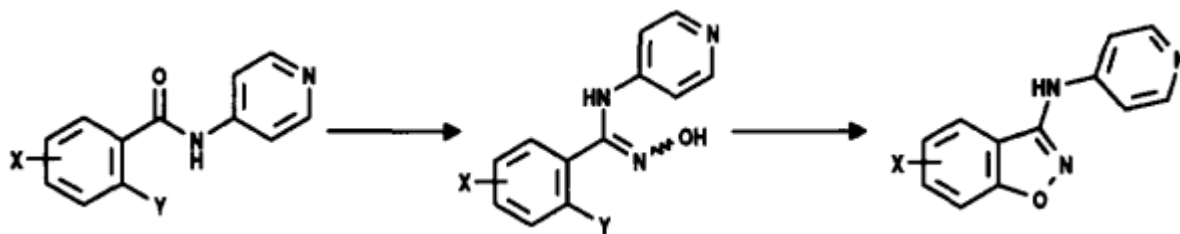
R is an alkyl, substituted or unsubstituted aryl, heteroaryl, and so on.



J. F. King, T. Durst, *T. Can. J. Chem.* **1962**, *40*, 882

T. Yamamori, Y. Hiramatsu, I. Adachi, *J. Heterocycl. Chem.* **1981**, *18*, 347

Formation of Bond 7a-1 ---From 2-Halobenzoyl Derivatives

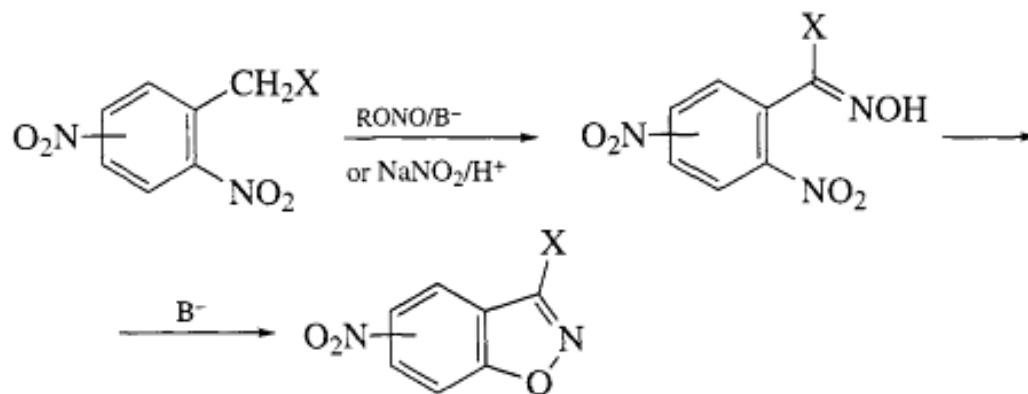


D. M. Fink , B. E. Kurys, *Tetrahedron Lett.* **1996**, 37, 995;

K. Inamoto, M. Katsuno, T. Yoshino, Y. Arai, K. Hiroya , T. Sakamoto, *Tetrahedron*, **2007**, 63, 2695.

Formation of Bond 7a-1

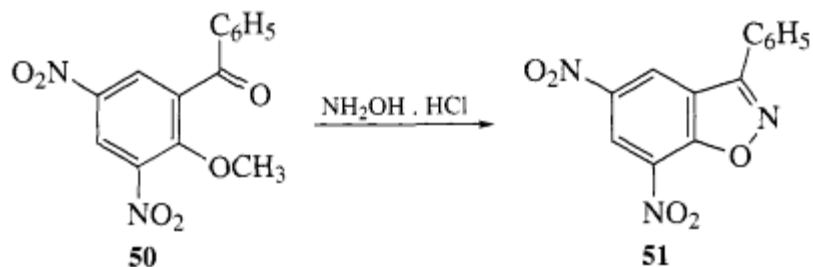
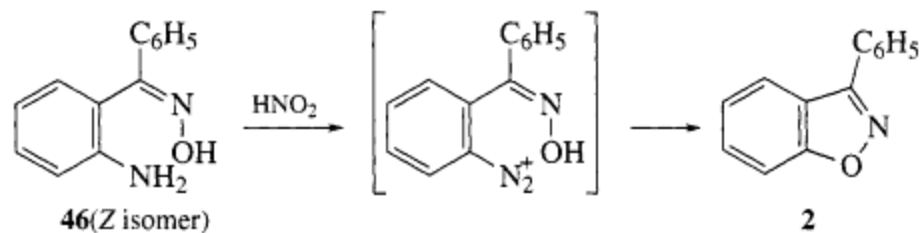
---From 2-Nitrobenzoyl Derivatives



W. Wachter, *Ber.* **1893.** 26. 1744

Formation of Bond 7a-1

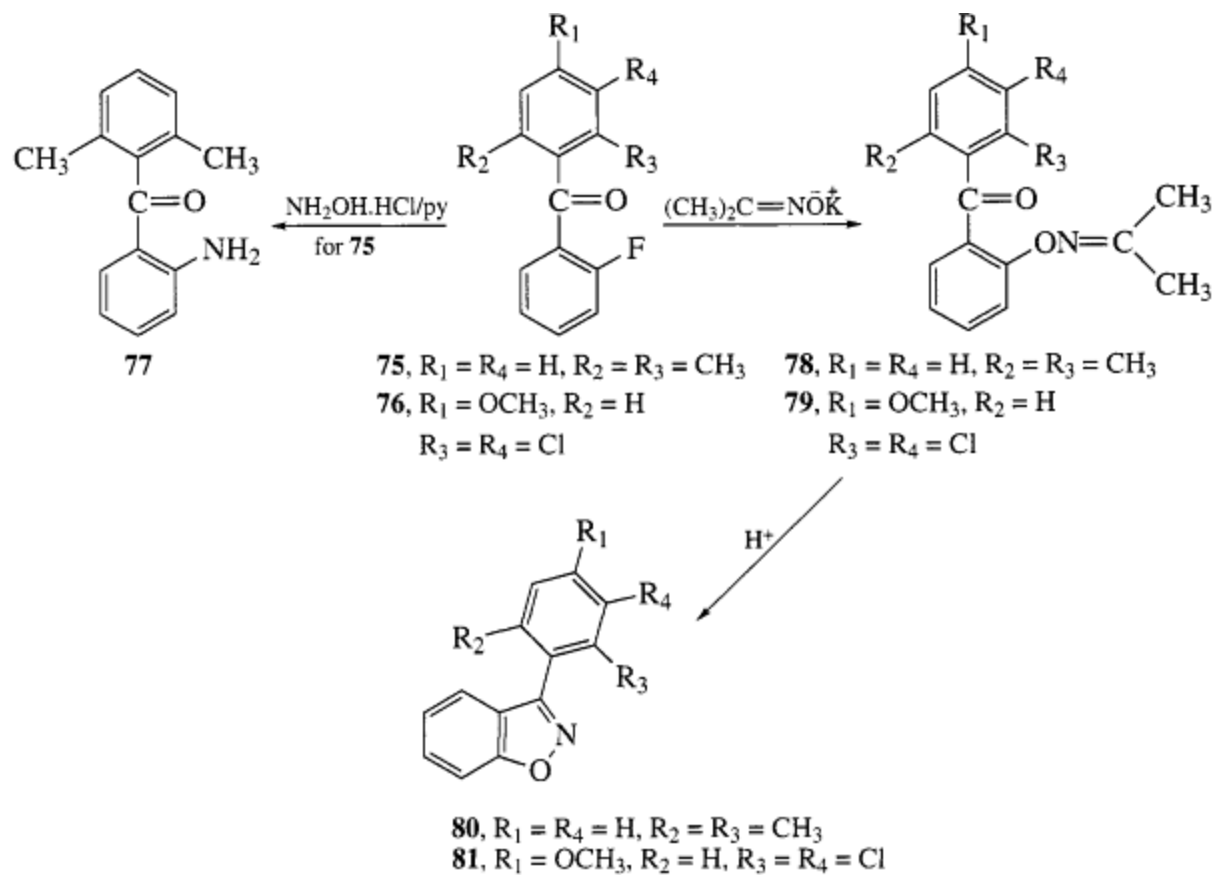
---From Other 2-Substituted Benzoyl Derivatives



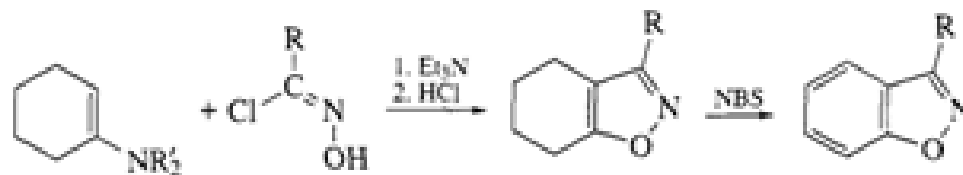
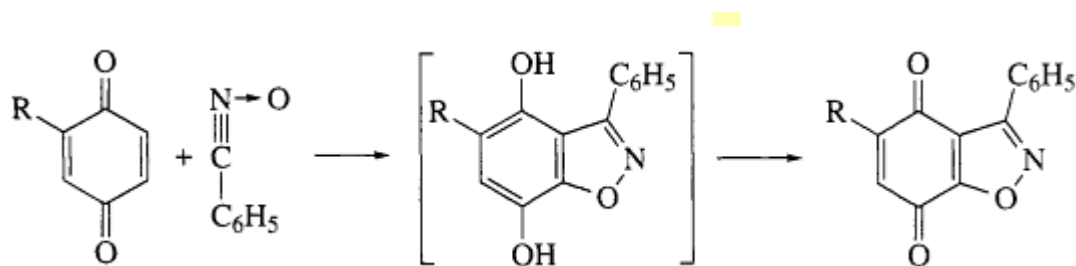
J. Meisenheimer, O. Senn, P. Zimmermann, *Ber.* **1927**, 60, 1736

J. Meisenheimer, P. Zimmermann, U. von Kummer, *Ann. Chem.* **1925**, 446, 205

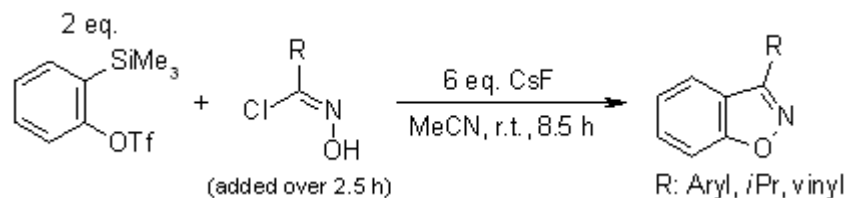
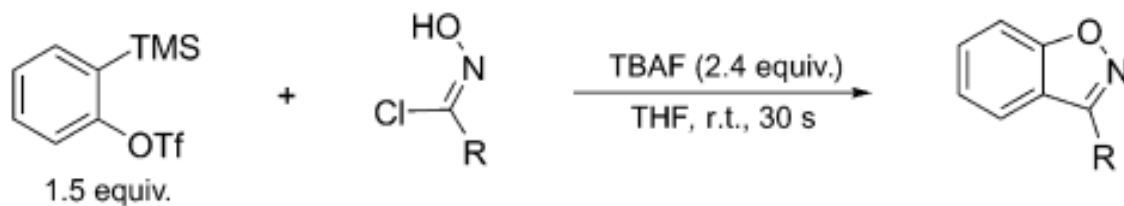
Formation of Bond 2-3



Formation of Bonds 1-7a and 3-3a

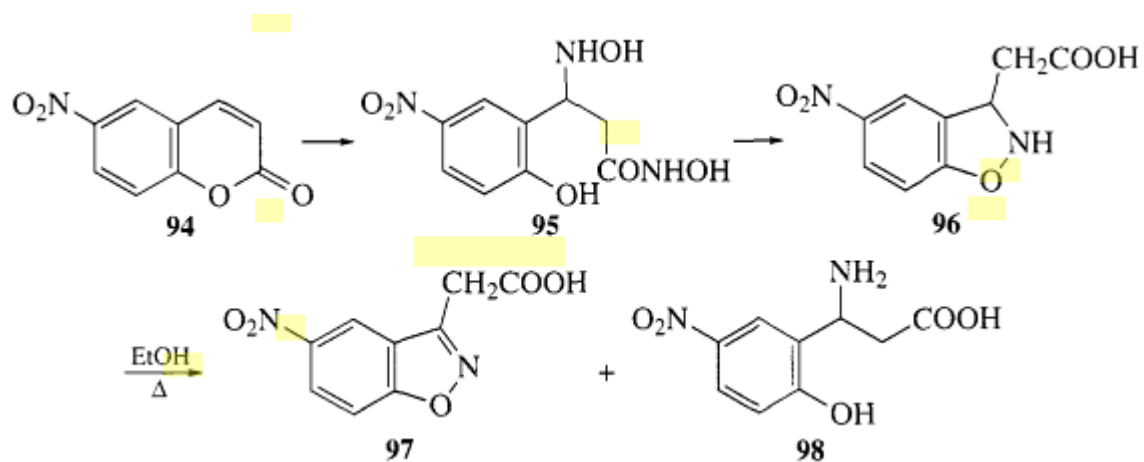


Formation of Bonds 1-7a and 3-3a



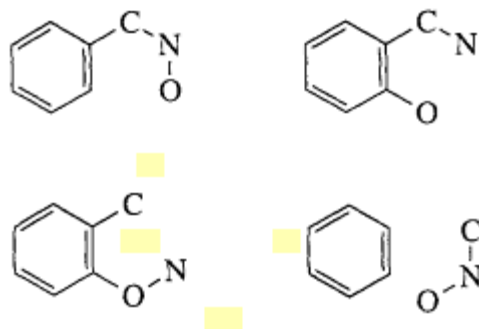
C. Spiteri, P. Sharma, F. Z. Zhang, S. J. F. Macdonald, S. Keeling, J. E. Moses. *Chem. Commun.* **2010**, 46, 1272
A. V. Dubrovskiy, R. C. Larock., *Org. Lett.*, **2010**, 12, 1180-1183.

Formation Other Heterocycles



M. Giannella, F. Gualtieri, M. L. Stein, *J. Heterocycl. Chem.* **1971**, 8, 397

Summary



- ❖ Involving multiple-steps
- ❖ Requiring strong bases
- ❖ Only one of two isomers effective
- ❖ Intermediate having highly reactive
- ❖ Producing undesirable side products

A vibrant, high-resolution photograph of a golf course. The foreground is dominated by a well-maintained, bright green fairway with subtle shadows cast by trees off-camera. In the mid-ground, a dense line of mature trees with thick, dark trunks and full, bright green canopies forms a natural backdrop. The lighting is bright and even, suggesting a clear day. The overall scene is peaceful and scenic.

Thank You !