S35-1 Practical Synthesis of Heterocyclic Compounds Utilizing Regioselective Enamine Exchange

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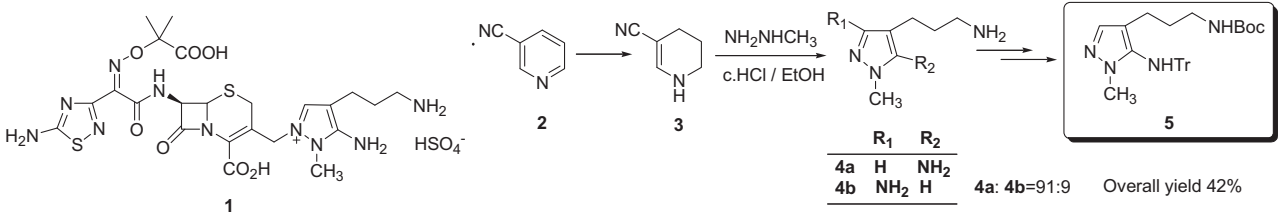
We report here our successful attempt to prepare pyrazole **5** and isoxazole **6**, involving regioselective enamine exchange. Pyrazole **5**, side chain of an anti-*Pseudomonas aeruginosa* cephalosporine **1** was the most expensive moiety of **1**. With the aim of cost reduction and process simplification, we succeeded in a practical and atom economical synthesis of **5** by utilizing a regioselective enamine exchange with cyanoenamine **3** and *N*-methylhydrazine, starting from a cheap 3-cyanopyridine (**2**).[1]



Furthermore, a practical synthesis of **6**, side chain of a novel antifungal agent, Micafungin was established utilizing a regioselective enamine exchange as a key step. This process has been successfully translated to the industrial production scale.[2] **References**: (1) Ohigashi, A.; Temmaru, K.; Hashimoto, N. *Org. Process Res. Dev.* **2006**, *10*, 159. (2) Ohigashi, A.; Kanda, A.; Tsuboi, H.; Hashimoto, N., *ibid.* **2005**, *9*, 179.