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Synthetic studies of the new oxaziridines bearing electron-withdrawing substituent for asymmetric C-H functionalization

○Yuta Noguchi[1], Ryukichi Takagi and Manabu Abe

[1]Graduate School of Science., Hiroshima Univ.

Oxaziridines are three-membered heterocycles containing oxygen, nitrogen, and carbon (Figure 1). Oxaziridine derivatives are generally known as reagents for α-hydroxylation of enolates and oxidation of sulfide. The oxidation ability of oxaziridines is influenced by the substituent on the three-membered ring, and oxaziridine **1** bearing electron-withdrawing group catalyze C-H hydroxylation of alkanes (Scheme 1).[1] Stereoselective C-H functionalization of organic compounds is a challenging field to innovate organic synthesis.

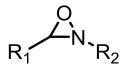
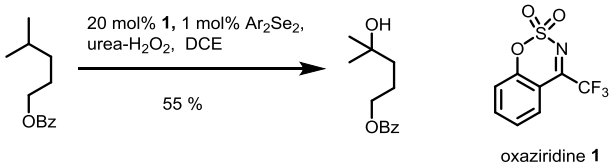


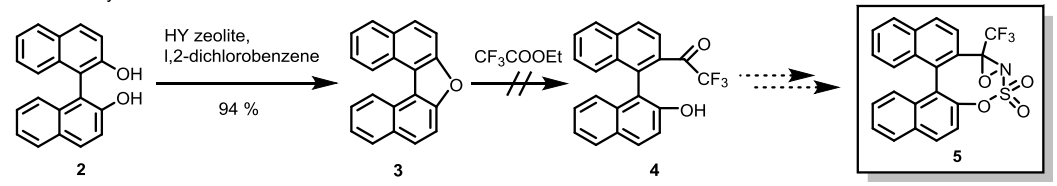
Figure 1. oxaziridine

Scheme 1. hydroxylation of alkane

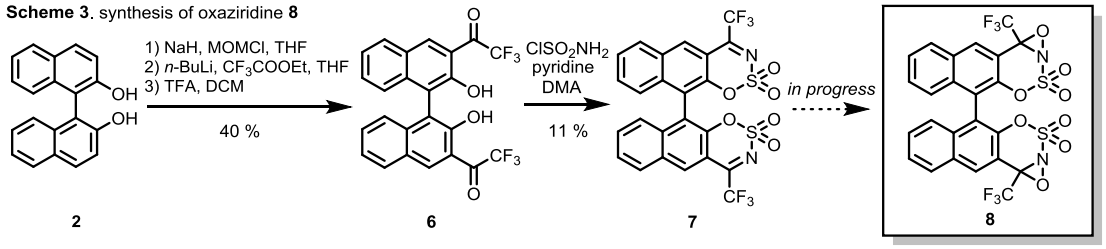


In this study, we examined synthesis of new oxaziridines **5**, **8** bearing electron-withdrawing substituent for stereoselective C-H functionalization. First, we designed the new oxaziridine **5** (Scheme 2).[2] However, ketone **4** was not obtained in spite of several attempts. Next, we examined synthesis of the new oxaziridine **8** (Scheme 3).[1,3] Binaphtyl derivative **6** was prepared by a known procedure from BINOL **2** and converted to bis-imine **7**. Now, oxidation of bis-imine **7** is in progress.

Scheme 2. synthesis of oxaziridine **5**



Scheme 3. synthesis of oxaziridine **8**



[1] Benjamin H. Brosky et al., *J. Am. Chem. Soc*., **2005**, *127*, 15391-15394.

[2] (a) Xiaomin Xie et al., *J. Org. Chem*., **2006**, *71*, 6522-6529. (b) Xiaomin Xie et al., *Chin. J. Chem*., **2010**, *28*, 1630-1634. (c) Bin Wang et al., *Synthesis* **2007**, *9*, 1304-1308.

[3] Shanshan Yu et. al., *J. Am. Chem. Soc*., **2012**,*134*, 20282-20285.