Title

Nitrene generation for olefin aziridination under aqueous conditions

Authors

J. Schoenmakers, D.V. Filippov, E. Bouwman

Poster presentation

Aziridines, which are three-membered heterocycles consisting of one nitrogen and two carbon atoms, have shown to be useful functional groups both in synthetic intermediates and biologically relevant molecules. Despite their broad applicability, the synthesis of these moieties is considered a challenge. Therefore, multiple photocatalytic aziridination methodologies have been developed over the past few years. In these reactions, nitrenes are generated by electron or energy transfer from a photosensitizer such as a cyanoarene^{1,2} or ruthenium complex³, to an organic azide or iminoiodinane. These methodologies have shown to be effective for the aziridination of a broad range of olefin substrates. However, due to their hydrophobic conditions these methodologies have shown to be less successful for polar substrates. To resolve this limitation, we designed a photocatalytic aziridination methodology under aqueous conditions. During this process, a range of sulfonyl azides and water soluble photosensitizers were screened, mainly focusing on achieving a high yield, catalytic efficiency and preventing the formation of a hydroxyamination product. This aqueous aziridination methodology may allow for the synthesis of complex, polar aziridines, in which multiple protection and deprotection steps can be avoided.



References:

- 1. Dam, D., et al., Organic Dye-Sensitized Nitrene Generation: Intermolecular Aziridination of Unactivated Alkenes, The Journal of Organic Chemistry, **89(5)**: 3251–3258
- Meyer, A.R., et al., Combined Synthetic, Spectroscopic, and Computational Insights Into a General Method for Photosensitized Alkene Aziridination, ACS Catalysis, 14(16): 12310– 12317
- 3. Guo, Y., et al. A combined experimental and theoretical study on the reactivity of nitrenes and nitrene radical anions, Nature Communications, 2022, **13**: 86