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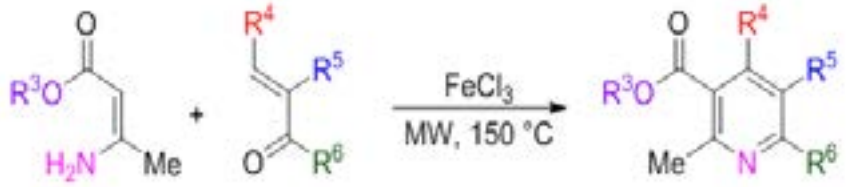
## Synthesis of polysubstituted nicotinates and azafluorenones

**Haruyasu Asahara**
Kochi University of Technology, Japan

Nicotinate derivatives play an important role in natural products, medicines and agrochemicals. Consequently, extensive research has focused on the construction of this motif. Although many approaches have shown impressive advances in the synthesis of nicotinates in the past decades, only a few synthetic methods for polysubstituted nicotinates were found in the literature. On the other hand, unsaturated carbonyl compounds possessing an electron-donating group at β-position has an electron biased double bond. This structural feature facilitates the hetero Diels-Alder reaction with α,β-unsaturated carbonyl compounds. Indeed, hetero Diels-Alder reaction of β-amino α,β-unsaturated esters (β-enamino esters) with enones is one of the most effective and simple methods to afford nicotinates. However, the reported methods are limited to mono- or di-substituted nicotinates. Based on these backgrounds, we studied the synthesis of polysubstituted nicotinate derivative by the reaction between β-amino α,β-unsaturated ester and enone. During this study, we successfully developed a new synthetic method for polysubstituted nicotinates using β-amino α,β-unsaturated ester and enone in the presence of $FeCl_3$ (Scheme 1). Both the pyridine ring and the ester moiety were easily modified by changing the starting enamides and enones. This feature facilitates the molecular design and synthesis of versatile nicotinates on demand. Furthermore, the ester function of the nicotinates efficiently underwent the intra-molecular condensation with the vicinal aryl substituent leading to substituted azafluorenones, which is difficult to obtain by other protocol. Hence, this method is a new synthetic tool to facilitate the molecular design and synthesis of polysubstituted nicotinates and azafluorenones of both biological and synthetic interest.




**Scheme 1.** Synthesis of polysubstituted Nicotinates

### Biography

Haruyasu Asahara has received his PhD degree in 2010 from Osaka University. After completion of his degree he was appointed as a Postdoctoral Fellow at the Minakata's Group in the Department of Chemistry, Osaka University. From 2010 to 2011, he joined the Herbert Mayr's Group at LMU in Germany as a Humboldt Fellow. He was a Post-doctoral fellow at the Akashi's group in the Department of Chemistry, Osaka University. He has worked at Professor Nishiwaki's group in School of Environmental Science and Engineering, Kochi University of Technology, as an Assistant Professor (2013-2015) and is currently working as an Associate Professor. His research interests comprise of synthetic organic chemistry using polyfunctionalized compounds as building block, and organic functional materials.

asahara.haruyasu@kochi-tech.ac.jp

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