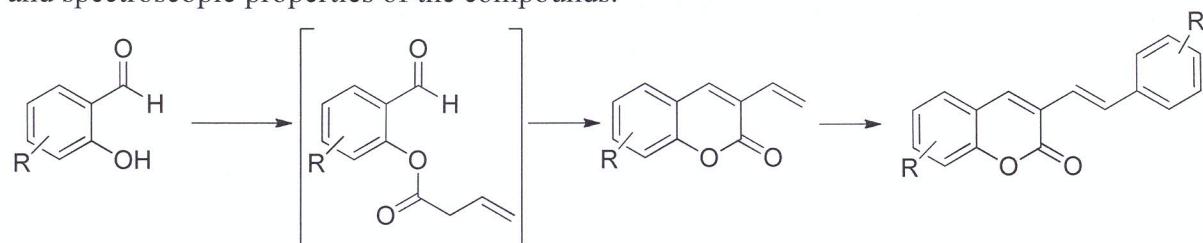


# New Methodology for the Synthesis of 3-Stiryl Coumarins

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Coumarins, a common motif in a variety of naturally occurring compounds, have attracted intense interest in recent years due to their diverse pharmacological properties, namely as anticoagulant, antimicrobial, antibacterial, anticancer, anti-HIV and antioxidant.<sup>1</sup> Moreover, these series of compounds rises to one of the most extensively investigated and commercially significant groups due to their outstanding optical properties.<sup>2</sup> We have recently reported a particularly useful, easy and concise synthesis of diversified 3-aryl coumarin using Heck coupling reactions between coumarin and aryl iodides.<sup>3</sup> The introduction of the aryl moiety occurred regioselective at the 3-position of the heteroaromatic ring. With the objective to increase the delocalized  $\pi$ -electron system, new vinyl and stiryl coumarin derivatives with potential industrial applications, such as new antioxidants and fluorescent chemosensors, were developed by a simple and efficient synthetic strategy. Starting from readily available aldehydes the coupling reaction with 3-butenoic acid allowed the preparation in a one-pot reaction of diverse 3 vinyl coumarins. Extension of the  $\pi$ -electron system was achieved using palladium cross-coupling reactions between aryl iodides and vinyl coumarins. The results will be presented and discussed in relation to the influence of the aryl substituents on the yields and spectroscopic properties of the compounds.



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## References

- (1) (a) *Coumarins: Biology, Applications and Mode of Action*; Wiley: Chichester, 1997. (b) Neamat, N. *Med. Res. Rev.* **2008**, 28, 118.
- (2) (a) Jung, H. S.; Kwon, P. S.; Lee, J. W.; Kim, J. I.; Hong, C. S.; Kim, J. W.; Yan, S. H.; Lee, J. Y.; Lee, J. H.; Joo, T.; Kim, J. S. *J. Am. Chem. Soc.* **2009**, 131, 2008. (b) Mashraqui, S. H.; Mistry, H.; Sundaram, S. *J. Heterocycl. Chem.* **2006**, 43, 917. (c) Keskin, S. S.; Aslan, N.; Bayrakceken, N. *Spectroc. Acta Pt. A-Molec. Biomolec. Spectr.* **2009**, 72, 254. (e) Chang, C. H.; Cheng, H. C.; Lu, Y. J.; Tien, K. C.; Lin, H. W.; Lin, C. L.; Yang, C. J.; Wu, C. C. *Org. Electron.* **2010**, 11, 247. (f) Jagtap, A. R.; Satam, V. S.; Rajule, R. N.; Kanetkar, V. R. *Dyes Pigment.* **2009**, 82, 84
- (3) Martins, S.; Branco, P. S.; de la Torre, M. C.; Sierra, M. A.; and Pereira, A. "New Methodology for the Synthesis of 3-Substituted Coumarins via Pd-Catalyzed Site-Selective Cross-Coupling Reactions." accepted for publication in *Synlett*.