Construction of Polyarylated Fluorenones *via* chemoselective Ru- and Pd-Catalyzed Suzuki Cross-Coupling Reactions

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INTRODUCTION

The importance of fluoren-9-one (**1**), found in many biologically active products,[1,2] has been emphasized in organic chemistry. It consists of essential structural backbone of various pharmaceuticals. Also number of natural products have been found containing fluoren-9-ones showing a range of biological acitivities; e.g. dengibsin, dengobsinin, dendroflorin and kinobscurinone. Utility of fluorenone derivatives as photosensitizers in organic photoconductor devices and their electrical and optical properties are also important.[3]

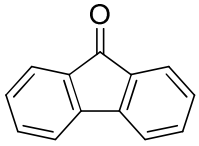

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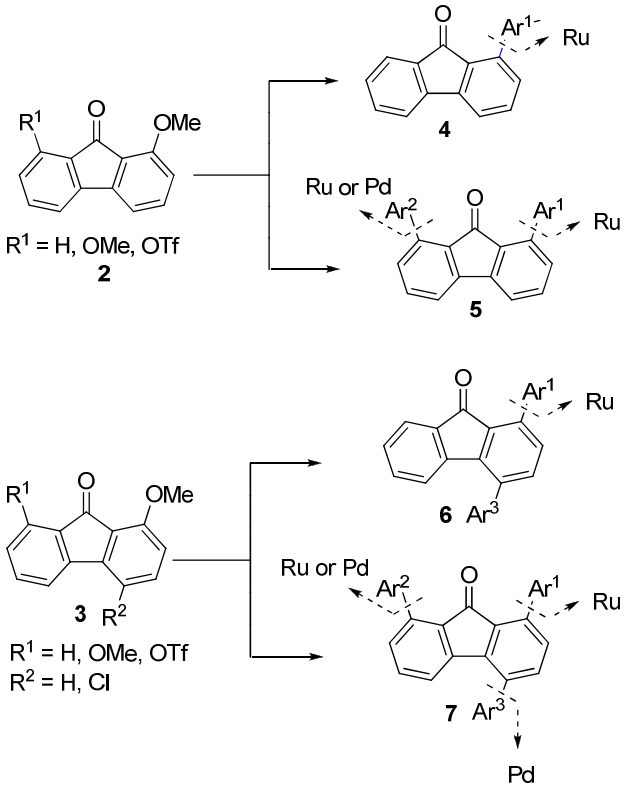
Figure 1. Fluorenone System

RESULTS AND DISCUSSION

We have discovered C-O arylation reactions of fluorenones (**2**) and (**3**) under Ru-catalyzed Chatani-Kakuichi coupling,[4] which in combination with Pd Suzuki cross-coupling lead to respectively mono- (**4**), 1,4-di- (**6** 1,8-di- (**5**) and 1,4,8-tri-substituted fluorenones (**7**) of structural interest (Scheme1). The fluorenone starting materials were prepared by directed *ortho* and remote metalation-Suzuki coupling strategies. Under these conditions it was possible to get regioselective C-O activation to afford 1-aryl substituted fluorenones (**4**) in moderate to excellent yields. The 1,4 -diaryl -substituted fluorenones (**6**) were prepared in good yields by combination between Suzuki cross coupling and Ru-catalized sequence of reactions. When 1,8-dimethoxy-fluorenone (**2**) was treated with excess amount of $Ar^1B(neop)$ in toluene (MW / 150 °C) , it was possible to obtain the 1,8-diaryl- substituted fluorenones (**5**) in moderate yields. The synthesis of (**7**) is in progress.



Scheme 1

CONCLUSION

We developed an efficient approach to prepare mono and di-aryl substituted fluorenones by combination of Suzuki reactions and Ru-catalyzed C-O activation. The syntheses of poly-aryl substituted fluorenones are in progress.

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