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Ruthenium-Catalyzed Chemo- and Regioselective Alkenylation of Flavones with Alkenes through C-H Activation

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ABSTRACT

Flavones are a diverse group of natural products commonly found in plants. These secondary metabolites exhibit several biological activities such as anti-inflammatory, antioxidant, and antitumor. Therefore, developing methods for the synthesis of functionalized flavones is extremely relevant. Transition-metal-catalyzed C-H bond olefination of arenes has been reported by employing several directing groups such as carbamates using ruthenium and rhodium complexes as catalysts. The his work, alkenylated flavones were prepared by ruthenium catalyst with good yields (up to 92%) (Scheme 1). The 7-methoxy flavones (3) were obtained using (1) and (2). Then, 5-alkenylated (4) and 8-alkenylated (6) flavones were synthesized by the keto and O-carbamate-directing group, respectively. In addition, in the presence of the carbamate group at C7 a chemo and regioselective process was observed. The flavone 5 coupled with alkenes exclusively to give 8-alkenylated products.

i) Pyrrolidine, I₂, DMSO, 150 °C, 3 h; ii: RuCl₂(p-cymene), AgSbF₆, Cu(OAc)₂, Dioxane, 100 °C, 18 h, N₂; iii) BBr₃, DCM, r.t, overnight; iv) DiethylCarbamoyl Chloride, K₂CO₃, MeCN, 80 °C, overnight, v) RuCl₂(p-cymene), AgSbF₆, Cu(OAc)₂, DME, 100 °C, 18 h, N₂.

Scheme 1: Synthesis Alkenylated Flavones.

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