DR-17. HIGHLY EFFICIENT METHOD FOR DIRECT C3-ALKYLATION OF 4-HYDROXYCOUMARINS USING STYRENE UNDER METAL AND SOLVENT FREE CONDITION

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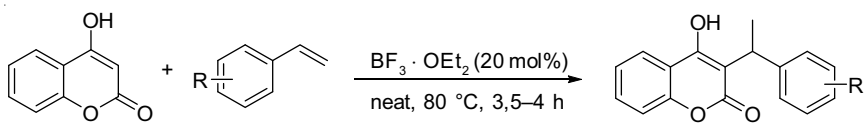
Coumarin is a privileged oxygen heterocycle which are broadly founded throughout the plant region and has drawn considerable attention by the exhibition of wide range of biological activities such as anti-HIV, antimalarial, antibacterial, and cytotoxic [1]. Among the various substituted coumarins, 3-(benzyl)-substituted 4-hydroxycoumarins are considered as an valuable compounds due to the frequent presence in the clinical pharmaceuticals such as Warfarin, Coumatetralyl, Bromadialone, and Difenacoum [2]. Previously, several processes have been reported in the literatures about the C3-alkylation of 4-hydroxycoumarins, most of them need organic halides or strong acids or metal catalyst as substrates [3]. Most of the cases the alkylation has been taken place by benzylic alcohols or metal salts as catalyst [4]. Hence we have developed another catalytic method for direct C3-alkylation of 4-hydroxycoumarins using styrene. We have carried out the reaction of 4-hydroxycoumarins and various styrene in the presence of $BF_3 \cdot Et_2O$ without any solvent and under 80 °C temperature.



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