A NEW, EFFICIENT AND MILD METHOD FOR THE SYNTHESIS OF BIS(INDOLYLMETHANES) IN AQUEOUSE MEDIA

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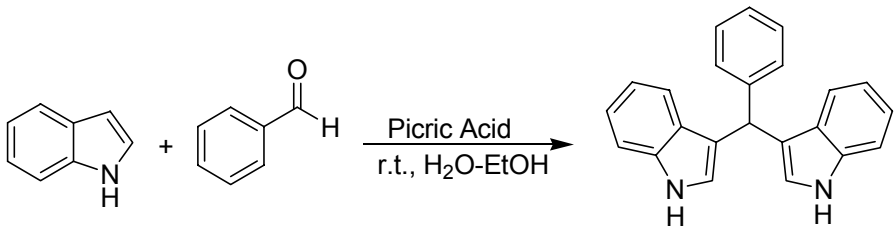
Indole derivatives are known due to the vast applications in material sciences,[1] agrochemicals and pharmaceuticals.[2] Among them, the substrates including bis(indolyl)methane moieties such as secondary metabolites,[3] and marine sponge alkaloids[4] are important classes of bioactive metabolites. Therefore, there is a great deal of interest in the synthesis of this class of compounds.

The electrophilic substitution reaction of indole with carbonyl compounds has been used as an useful route toward bis(indolyl)methanes synthesis. Different reagents and catalysts have been employed to accomplish this transformation such as $InCl_3$, $In(OTf)_3$, InF_3, $Dy(OTf)_3$, $Ln(OTf)_3$, $FeCl_3$, NBS, $PPh_3{\cdot}HClO_4$ (TPP), trichloro-1,3,5-triazine, $AlPW_{12}O_{40}$, $ZrOCl_2.8H_2O$ and silica chloride.[5] However, some of the reported methods are associated with the following drawbacks: (i) the use of expensive reagents, (ii) long reaction times, (iii) low yields, and (iv) the use of an additional microwave oven. Because of wide range of biological, industrial and synthetic applications of bis(indolyl)methanes, their preparation has received renewed interest processes. Having the above aspects in mind and also in continuation of our previous studies to explore new synthetic methods for the organic functional groups transformations,[6] herein, we report a new, homogeneous, clean and efficient method for condensation of indoles with carbonyl compounds in the presence of catalytic amount of picric acid at room temperature in aqueous media (Scheme 1).



Scheme 1

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