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Short Communication

Green synthesis of biologically active chalcones by Claisen-Schmidt condensation under mild conditions

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Trans-chalcones are a family of aromatic ketones with two aromatic groups bridged by an enone linkage (Ar–COCH= CH–Ar') [1]. Chalcones that derived from nature exist mostly as colors of petal and furthermore have been established in the heartwood, leaf, bark, fruit, and root of a range of plants and botanicals [2].

Plants containing chalcones, for instance, the Glycyrrhiza, Piper, Angelica, and Ruscus genus, have long been utilized as therapeutic remedies [2-4].

Several studies evaluated the biological activity of naturally occurring and synthetic chalcones and revealed them to be antimalarial [5,6], anti-cancer [7], anti-leishmanial [8], anti-inflammatory [9], antimitotic [10], anti-tuberculosis [11], cardiovascular [12] and cell differentiation inducing [13].

In recent years, the green routes, in particular the solvent free synthesis of chalcones, have been gained special attention. The solvent free reactions avoid organic solvents leads to a clean, efficient and economical technology. It has many advantages such as high efficiency and selectivity, easy separation and purification, mild reaction conditions and environmental acceptability. Recently, the combination of the solvent-free condition and the use of heterogeneous catalysts have emerged as an eco-friendly alternative of great importance due to simplification of work up technique [14].

Different heterogeneous acid or basic catalysts have been investigated in the synthesis of trans-chalcones, such as Ca(OTf)2-NBu4.BF4 [15], potassium hydroxide impregnated silica gel [16], Bamboo char sulfonic acid [17], amino grafted zeolites [18], commercial acid-clays [1,19] and Amberlyst-15 under solvent free condition [14].

In the presence of Ca(OTf)2-NBu4.BF4 , substituted acetophenones and benzaldehydes were coupled in situ to afford their corresponding chalcones in excellent yields. This method showed a broad range of substrate tolerance and mild operational conditions [15].

A synthesis of chalcone from aryl methyl ketone and substituted benzaldehyde was realized in green catalyst fly ash: H2SO4 by microwave irradiation at 160-800 watt [20]. Another method assisted by microwave irradiation was reported [21]. In this method, a new solid acid catalyst (FeCl3/bentonite) has been used for the synthesis of aryl chalcones under solvent-free conditions.

An improved solvent-free method of synthesis of trans-chalcones was based on ultrasound irradiation of the reagents (aryl methyl ketones and aryl aldehydes) in presence of KSF montmorillonite as catalyst. The trans-chalcones were synthesized in high yields (85–95%) and excellent selectivity in a short reaction time at 100°C [1]. In the presence of commercial acid resins (Amberlite-200C and Amberlyst-15) as catalysts, the synthesis of different substitued chalcones under ultrasound irradiation was achieved in a short reaction time (60 minutes) and gave excellent yields (77-98%) [14]. Duran-Valle et al. [22] reported that when one of the phases is a solid the ultrasonic irradiation has several additional enhancement effects, which are particularly convenient when the solid acts as catalyst. In general, the sonication presents beneficial effects on the chemical reactivity, such as to accelerate the reaction, to reduce the induction period and to enhance the catalyst efficiency.

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