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Synthesis and anti-oxidant activity of coumarinyl chalcones

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Abstract

Background: The ability to inhibit oxidative stress has been established as the prime mechanism in treatment of several disease conditions. In view of this, two new series of coumarin–chalcone hybrid molecules (**5a–o** and **6a–o**) were synthesized using various aromatic aldehydes. The structures of the compounds were confirmed using IR, 1HNMR and mass spectral analyses. The compounds were evaluated for their antioxidant potential against 2,2-diphenyl-1-picrylhydrazyl (DPPH) and hydroxyl radicals in scavenging assays.

Results: Compounds **5o** and **5k** exhibited significant antioxidant potential as compared to the standard drug (ascorbic acid).

Conclusions: It can be concluded that the coumarin–chalcone treatment have the potential to be optimized further to generate scaffolds capable to treat many pathological conditions.

Keywords: Coumarin, Chalcone, Antioxidant, Free radical, Vilsmeier–Haack, Claisen–Schmidt condensation

Background

Coumarins (2H-1-benzopyran-2-one) **(I)** contribute to more than 1300 secondary metabolites obtained from plants, bacteria, and fungi and therefore represent the largest class of phenolic substances found in plants [1]. The widespread availability of coumarins in nature has been instrumental for the wide spectrum biological activities exhibited by the natural coumarins. Several synthetic derivatives of coumarins have been explored for activities including antibacterial [2], antifungal [3], anticancer [4], anti-HIV [5], anti-inflammatory [6] etc. Al-Majedy et al. have reported a detailed review on the antioxidant action of synthetic coumarin derivatives [7].

Chalcones **(II)** are molecules containing a 1,3-diphenylprop-2-en-1-one obtained from the flavonoid class of natural products. Several chalcone compounds have been isolated from plant sources and aplenty synthetic derivatives have been produced in laboratories by substituting on the benzene rings. Most of the biological actions exhibited by chalcones as owed to their antioxidant potential [8].

Reports have been made where linking two distinct moieties together using various functional groups or spacers has resulted in synergizing the action of the resulting molecules [9–17]. In persuasion to the reports, we envisaged to fuse coumarin and chalcone nucleuses to form novel conjugates and evaluate the antioxidant potential of the conjugates.

Methods

General

Melting points were determined using open capillary tubes and the reported results are uncorrected. Infrared spectra (KBr) were obtained on Bruker FTIR spectrophotometer. ^{1}H NMR spectra were recorded on Bruker AVANCE-III 400 MHz spectrometer in the suitable solvent using TMS as the internal standard and the mass spectra were obtained on Applied Biosystems 3200 Q-Trap spectrometer. Purity of the compounds was checked by TLC.

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