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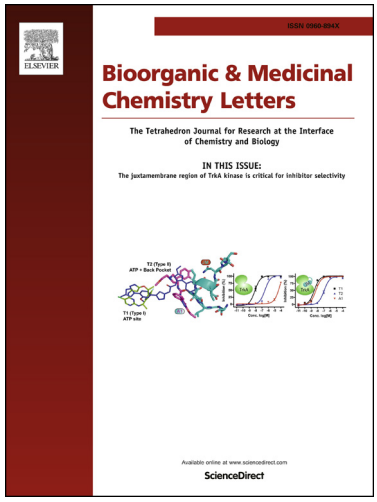

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Synthesis of extended conjugated indolyl chalconesas potent anti-breast cancer, anti-inflammatory and antioxidant agents

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ABSTRACT

In the present investigation, synthesis of a series of extended conjugated δ-chloro-α-cyano substituted indolyl chalcones (**5a-p**) was accomplished by reacting 3-cyanoacetylindole **2** with 3-chloro-3-phenyl-propenal **4** in the presence of piperidine. The structural interpretations of newly synthesized compounds were based on chemical and spectroscopic evidences. Anti-tumor evaluation of the synthesized compounds *in vitro* against MCF-7 (breast carcinoma) cell line revealed that they possess high anti-tumor activities. Among them, compound **5e** and **5a** demonstrated excellent activity against breast carcinoma (GI_{50}< 0.1 and 4 μM respectively) as good as adriamycin (GI_{50} <0.1μM). The compounds were also screened against the normal Vero monkey cell line, which showed moderate selectivity against inhibition of cancer cells. The effect of extended conjugation on activity authenticated by comparing activity profile of compound **5a**, **5i** and **5m** with their simple analogues. Among the synthesized compounds, **5i** and **5l** were found to be active anti-inflammatory agents in addition to having noteworthy antioxidant potential. These results suggest the possible use of these compounds for the design and development of novel anti-breast cancer agents.

Key words: Indole chalcones, extended conjugation, cytotoxicity, anti-inflammatory, antioxidant