

Synthesis and Antioxidant activity of 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-ones

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Abstract

Indole have been identified as interesting core moiety for the synthesis of various bioactive molecules having broad spectrum pharmacological properties like antioxidant, anti-inflammatory and cytotoxic properties. The present investigation deals with synthesizing a series of spiro indole 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-ones E(1-10) were synthesized by the ether linkages of various alkyl halides with spiro hydroxyl indole in the presence of K₂CO₃ and PTC(TBAB) in Acetone which lead to the formation of new indole derivatives. The structures of these compounds were evaluated by, IR and UV spectral data and their antioxidant potential was screened by DPPH assay, the E4 compound exhibit good antioxidant potency. It will help for the structural modification of the various substituted derivatives.

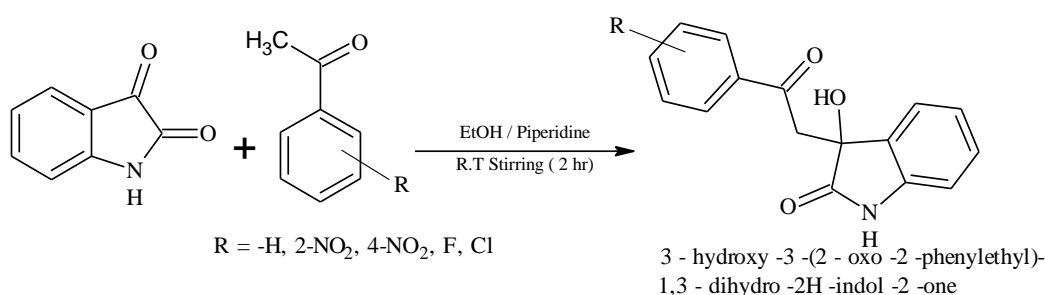
Key words: Spiro-compounds, Antioxidant activity

Introduction

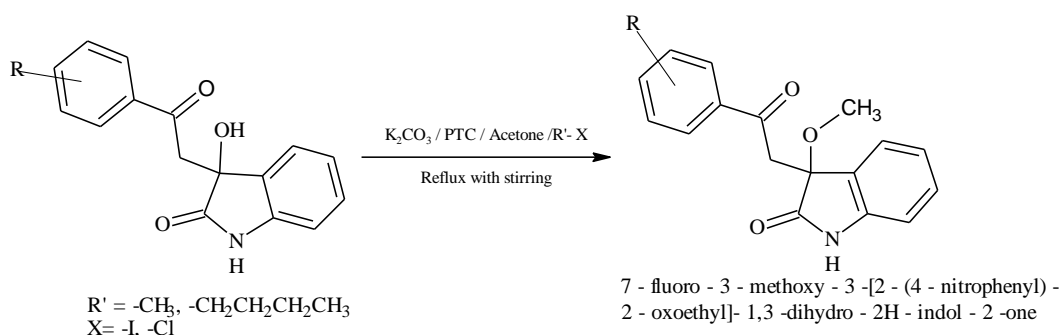
Indole and its derivatives are important class of nitrogen heterocyclic compounds, its being used as precursors for the synthesis of many pharmacologically potent molecules. Schiff bases and Spiro-indoles are known to exhibit wide range of biological activities [1-7]. Spiro indole compounds are generally less explored compounds. However, in recent years there is an growing efforts have been made to set in synthesize, structural modification and characterization of the compounds. Spiro-compounds are well known for wid range of biological activities like; anticancer agents [8,9], antibacterial agents [10,11], anticonvulsant agents [12-14], anti-tuberculosis agents [15], anti-Alzheimer's agents [16], pain-relief agents [17,18], anti-dermatitis agents [19] and antimicrobial agents [20,21]. Spiro compounds have also been recently used as antioxidants [22, 23]. We were inspired by these literature findings [24] try to develop new spiro-compounds with potential antioxidant activities.

Chemistry

Scheme



Synthesis of 3-hydroxy-3-(2-oxo-2-phenylethyl)-1, 3-dihydro-2H-indole-2-one



Synthesis of 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-one

Materials and Methods

General method for the synthesis of 3-hydroxy-3-(2-oxo-2-phenylethyl)-1, 3-dihydro-2H-indole-2-one

Equimolar quantity of isatin and acetophenone, to this add 20 ml ethyl alcohol as solvent and piperidine as catalytic amount (0.5 ml), kept the reaction mixture for room temperature stirring on magnetic stirrer, until completion of the reaction. Monitor the progress of the reaction by regular intervals of TLC. After the completion of the reaction the reaction mass is directly filtered and washed by using cold ethyl alcohol to remove unreacted reactive mass filtered dried and check the physical constant.

Synthesis of 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-one

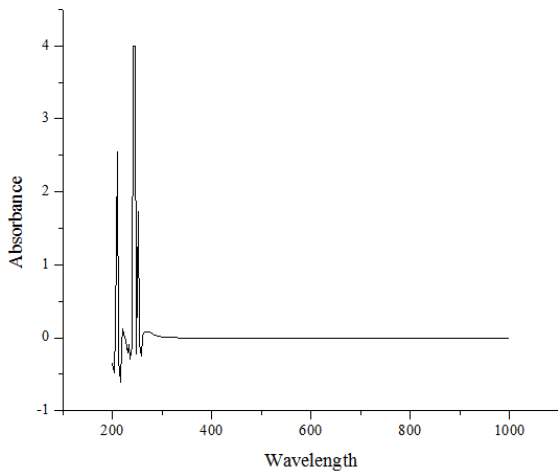
The compound 3-hydroxy-3-(2-oxo-2-phenylethyl)-1,3-dihydro-2H-indole-2-one was refluxed, room temperature stirring with different alkyl halides in presence of potassium carbonate and phase transfer catalyst in a dry acetone as a solvent until completion of the reaction. The progress of the reaction was monitored by regular intervals of TLC, after completion of the reaction the reaction mass was poured into crushed ice.

Table 1: Represents the 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-one derivatives

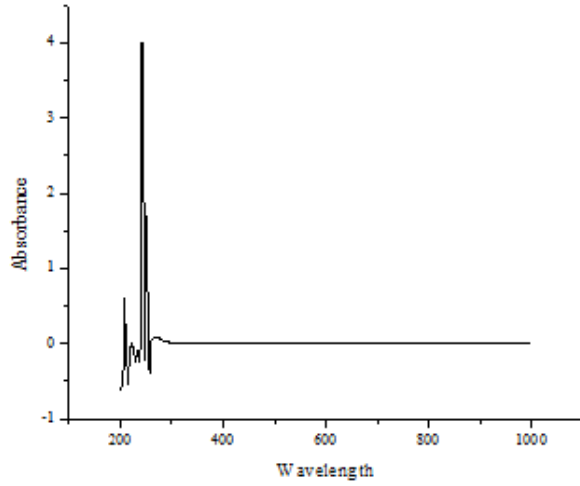
SI.No	Entry	R	R'	Mol. Formula	Mol. Weight.	% Yield	M.P °C
1	E-1	H	Methyl iodide	C ₁₇ H ₁₅ NO ₃	281	78	213-215
2	E-2	H	Butyl chloride	C ₂₀ H ₂₁ NO ₃	323	60	210-212
3	E-3	4-NO ₂	Methyl iodide	C ₁₇ H ₁₄ N ₂ O ₅	326	82	232-234
4	E-4	4-NO ₂	Butyl chloride	C ₂₀ H ₂₀ N ₂ O ₅	368	62	264-268
5	E-5	2-NO ₂	Methyl iodide	C ₁₇ H ₁₄ N ₂ O ₅	326	86	291-292
6	E-6	2-NO ₂	Butyl chloride	C ₂₀ H ₂₀ N ₂ O ₅	368	70	239-240
7	E-7	F	Methyl iodide	C ₁₇ H ₁₄ FNO ₃	299	69	248-250
8	E-8	F	Butyl chloride	C ₂₀ H ₂₀ FNO ₃	341	58	213-215
9	E-9	Cl	Methyl iodide	C ₁₇ H ₁₄ ClNO ₃	315	72	224-226
10	E-10	Cl	Butyl chloride	C ₂₀ H ₂₀ ClNO ₃	357	74	218-220

Results and Discussion: UV Reports

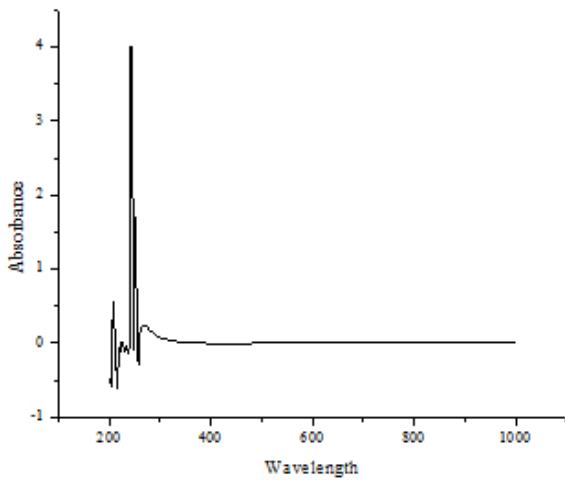
E-1



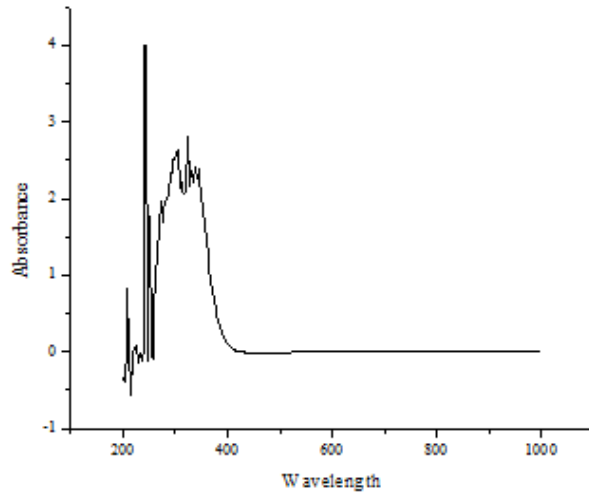
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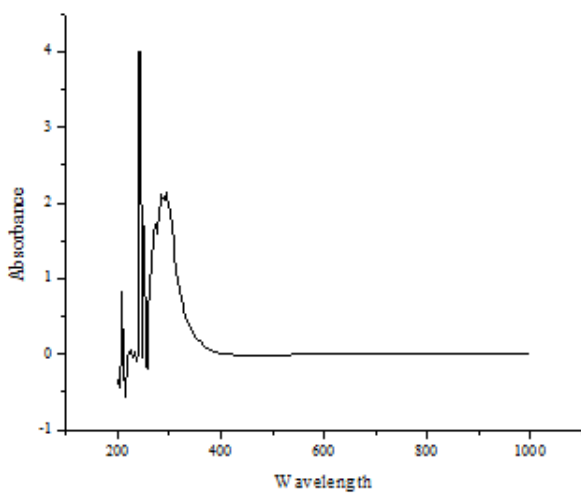
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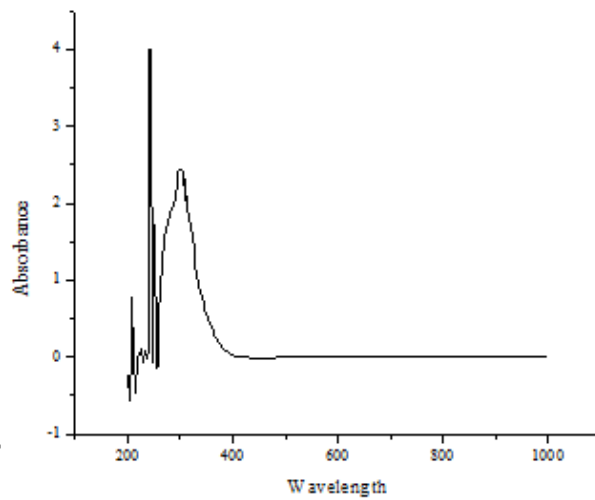
E-4



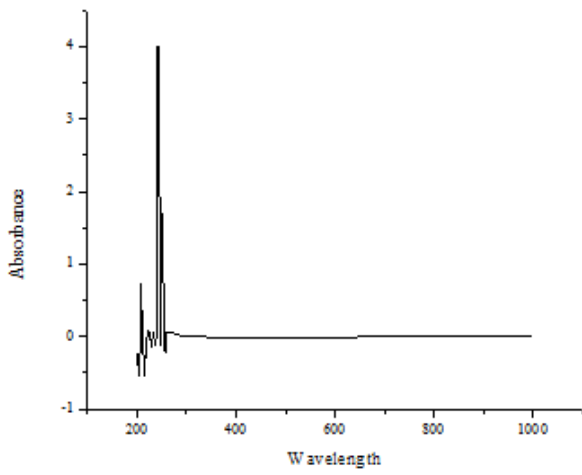
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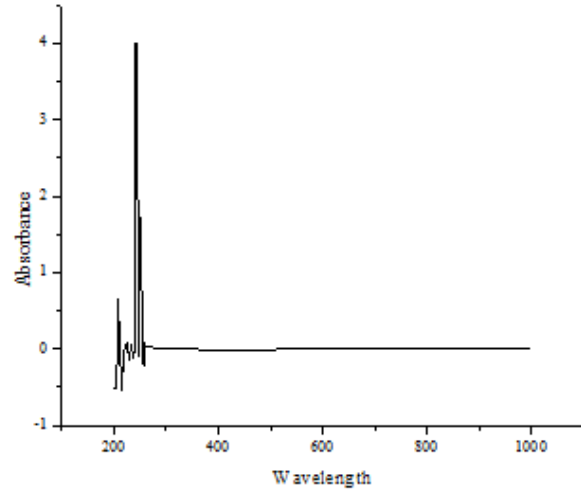
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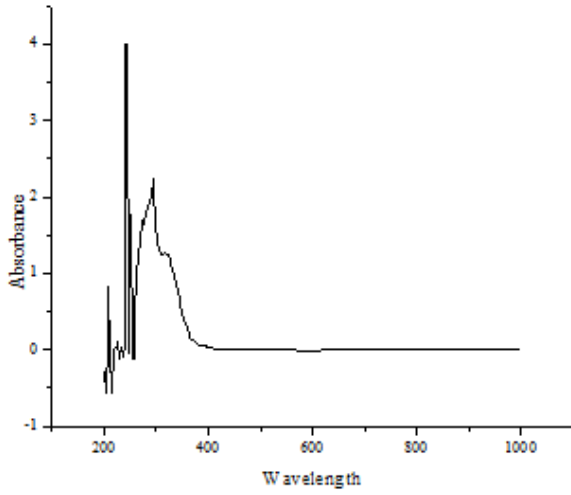
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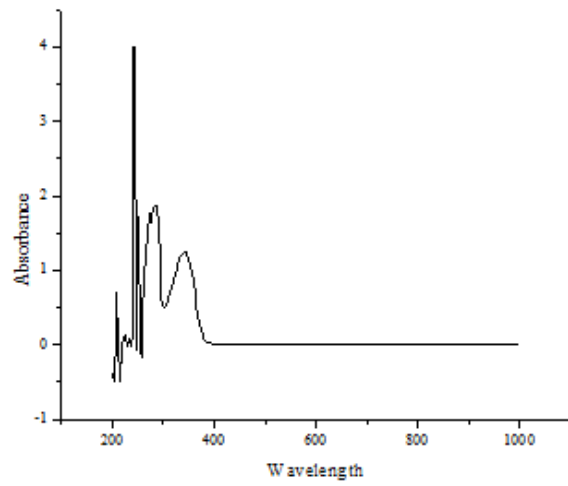
E-8



E-9

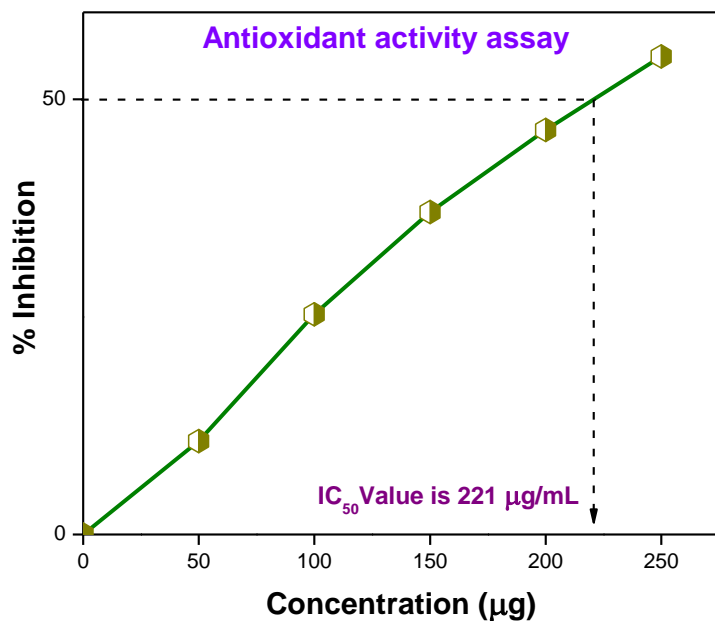
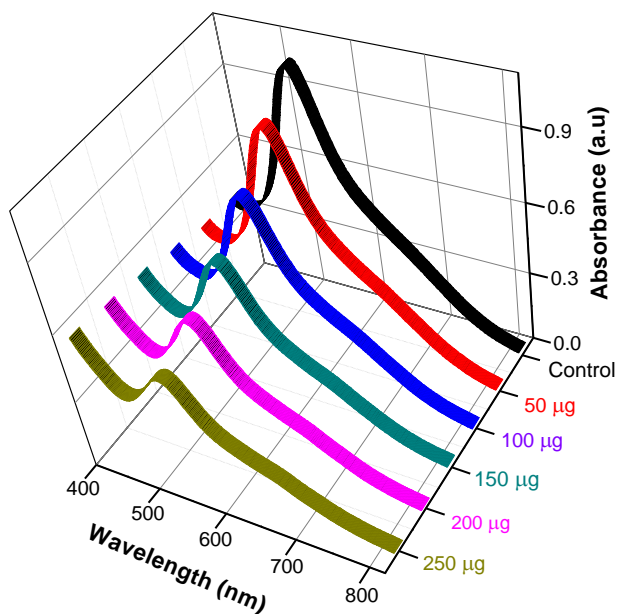


E-10



Antioxidant activity results

Dpph assay of Sample E-4



Conclusion

Among the series of synthesized 7-fluoro-3-methoxy-3-[2(4-nitrophenyl)-2-oxoethyl]-1, 3-dihydro -2H-indole-2-ones E(1-10), the compound E4 showed potent Antioxidant activity IC₅₀ value is 221 micro gram per ml.

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