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Synthesis ,characterization and biological activities of chalcones and related compounds

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ABSTRACT

Some new chalcones have been prepared by Claisen-Schmidt condensation between various aldehydes and 5'-hydroxyacetophenone. Thiophen-2-aldehyde-5'hydroxyacetophenone(TAHA),furan-2-aldehyde-5'-hydroxy acetophenone(FAHA),2-hydroxynapthaldehyde-5'-hydroxyacetophenone (HNHA)& cinnamalde-5'-hydroxyacetophenone (CAHA) were synthesized & characterised by elemental analyses, IR & NMR spectra. The chalcones were screened for their biological activity.

Key words: Synthesis,Biological activity,chalcones.

Chalcones constitutes an important group of natural products. Chalcones have been very important substrates for the synthesis of variety of hetrocyclic[1], carbocyclic[2,3] and flavanoids[4]. Chalcones also find application in biological activities[5-7]. A number of chalcones having hydroxy, methoxy group in different positions have been reported to contain antibacterial[8],antiulcer[9], antifungal[10], anticoagulating[11],vasodilatory[12], antipepticulcer[13], antimitotic[14], anticonvulsant, narcosis potentiation[15] and antileishmanial[16] activities. Keeping these facts in view, we report harein the synthesis and characterization of some new chalcones derived from 5'-hydroxyacetophenone with thiophen-2-aldehyde, furan-2-aldehyde,2-hydroxynapthaldehyde & cinnamaldehyde.

Equimolar quantities of 5'-hydroxyacetophenone & aldehydes were taken and dissolved in ethanol(20-30 ml) under stirring to which aqueous sodium hydroxide (50%,5 ml) was added dropwise. After stirring the reaction mixture was kept overnight at room temperature. It was diluted with ice cold water and then acidified with 10% hydrochloric acid. The precipitate was filtered off and crystallized from ethanol and dried in vacuum.

The analytical data suggested 1:1 molar ratio of 5'-hydroxyacetophenone & respective aldehydes. The difference in the melting points of the constituents & the product indicated the formation of chalcones.

IR and NMR spectra

The IR spectra of the chalcones & the parent compounds were recoreded in KBr phase & compared. Comparision revealed the presence of some characteristic peaks. In the IR spectra of chalcones, the peak at 3590 cm-1 has been assigned to ν(-OH). The other important peak observed by 1650 cm-1 , has been assigned to (C=O). The peak at 985 cm-1 has been assigned to ν (CH=CH) (17). This inference of IR spectra support the formation of chalcones. The formation of chalcones is further supported by NMR spectra of chalcones recorded in DMSO. From the peak positions shown is evident that CH=CH protons exhibit a doublet at δ 7.002-7.08 ppm in the spectrum.

The other peaks observed were at 193.11,163.38,148.21& 136.1 ppm which may be assigned to C=O,C-OH,(C-β) and (C-α) carbons respectively.

Antibacterial activity

All the synthesized chalcones were tested against gram+ve organism *Staphylococcus aurenus*, *Streptococcus fecalis* and gram-ve organisms *Escherichea coli, Proteus mirabilis*, using DMF as solvent at 200 µg/mL concentration by paper disc diffusion method. The zone of inhibiton after 18 hour of incubation at 37°C was compared with that of standard drugs Amicacin and Tobramycin. None of these compounds was found to exhibit any significant activity against *S. fecalis* and *P. mirabilis.*

Table 1: Analytical data

Compound	M.F.	M.P.	Analysis % Calc (Found)		
Colour		(°C)	C	H	S
TAHA	$C_{13}H_{10}O_2S$	142	67.82	4.34	13.91
Light Yellow			(67.79)	(4.29)	(13.89)
FAHA	$C_{13}H_{10}O_3$	172	72.89	4.67	
DarkYellow			(72.86)	(4.63)	
HNHA	$C_{19}H_{15}O_3$	145	78.35	5.15	
Yellow			(78.31)	(5.12)	
CAHA	$C_{17}H_{14}O_2$	147	81.6	5.6	
Pale Yellow			(81.3)	(5.4)	

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