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NOTE

Synthesis and Antibacterial Activity of 6-Substituted phenyl-4-(2′-hydroxy-4′-ethoxy-5′-H/Nitro/bromo phen-1′-yl-2,3,4,5-tetrahydropyrimidine-2-thione

VIJAY M. BAROT* and H.B. NAIK†
Department of Chemistry
Smt. S.M.P. Science College, Talod-383 215, India

The present work was carried out with the aim of preparing a new heterocyclic compound containing thiazoyl and pyrimidyl moiety for pharmocological studies. Several related 6 -(phenyl)-4-(2′-hydroxy-4′-ethoxy-5′-substituted phen-1′-yl)-2,3,4,5-tetrahydropyrimidine-2-thione compounds are prepared with a view of the fact that a number of related compounds are known to possess biological activity[1–3]. The chalcones(II) *i.e.* (1) 2′-hydroxy-4′-ethoxy chalcones. (2) 2′-hydroxy-4′-ethoxy-5′-nitro chalcones, (3) 2′-hydroxy-4′-ethoxy-5′-bromo chalcones have been prepared through the reaction of (1) 2-hydroxy-4-ethoxy acetophenone (2) 2-hydorxy-4-ethoxy-5-nitro acetophenone, (3) 2-hydroxy-4-ethoxy-5-bromo acetophenone respectively, and aryl aldehyde by the Claisen Schmidt condensation[4–6] (Scheme-I). Above prepared chalcones react with thiourea in presence of alcoholic KOH to give pyrimidine-2-thione derivatives[7] (Scheme-II).

The structural assigments of the compounds were based on their elemental analysis and IR spectral data. The antibacterial activity of synthesised compounds has been studied by paper-disc method[8].

Antibacterial activity: The antibacterial screening of all the compunds was carried by issuing paper-disc method, at a concentration of 50 g using gram-negative bacteria *Escherichia coli* and gram-positive bacteria *Staphyloccocus areus*. The compounds possess moderate to good activity.

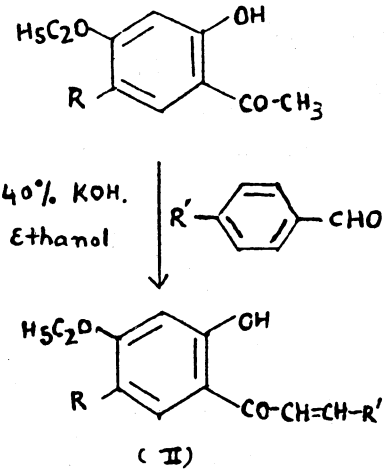
Mellting points were taken in open capillary tubes and are uncorrected. Infrared spectra (KBr) were recorded on Perkin-Elmer-377 Spectrophotometer.

6-Substituted phenyl-4-(2′-hydroxy-4′-ethoxy-5′-subsituted-phen-1′-yl)-2,3,4,5-tetrahydropyrimidine-2-thione

A mixture of chalcone (0.01 mol), thiourea (0.01 mol) and 1 g of potassium hydroxide in 30 mL of ethanol was refluxed for 3 h. After standing overnight the solid formed was collected and crystallised from acetone to give pyrimidine-2-thione. (Table-1).

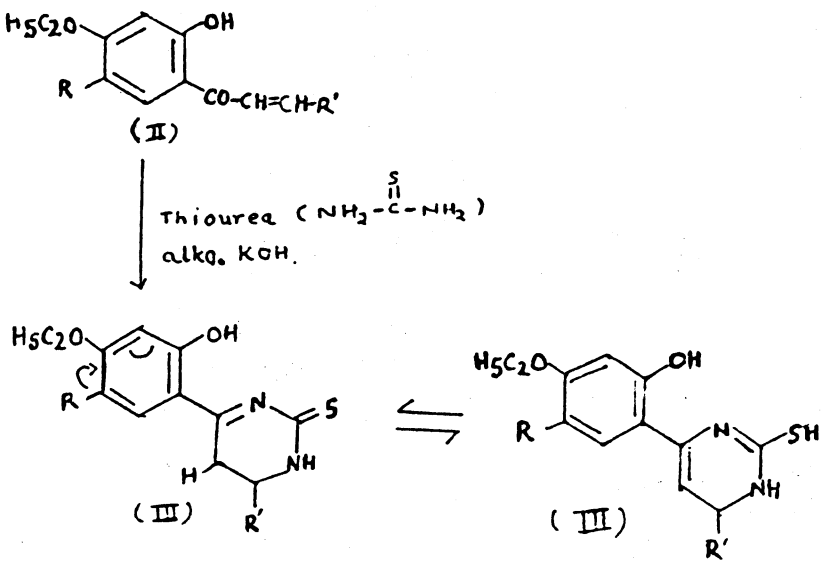
†Department of Chenistry, South Gujarat University, Surat-395 007, India.

Scheme-I



R = —H; —NO_2; —Br

Scheme-II



III. 2a-g = R = —H; III. 3a-f = R = —NO_2; III. 4a-f = R = —Br

R′ = phenyl, 4-methylphenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-N,N-dimethylphenyl, 2-furfuryl.

IR (KBr) 3450–3350 cm^{-1} ν(—OH),1260–1240 cm^{-1}, ν(C—N), 1590–1570 cm^{-1} ν(C=N), 3300–3200 cm^{-1} ν(—NH), 1220–1215 cm^{-1} ν(C=S).

TABLE-1
PHYSICAL DATA OF COMPOUNDS

Sr. No.	R = H	m.p. (°C)	Mol. formula
III			
2a	phenyl	114	$C_{18}H_{18}O_2N_2S$
2b	4-methyl phenyl	129	$C_{19}H_{20}O_2N_2S$
2c	4-chloro phenyl	105	$C_{18}H_{17}O_2N_2SCl$
2d	4-methoxy phenyl	143	$C_{19}H_{20}O_3N_2S$
2e	4-N,N-dimethyl amino phenyl	98	$C_{20}H_{23}O_2N_3S$
2f	2-furfuryl	113	$C_{16}H_{16}O_3N_2S$
2g	2-chloro phenyl	132	$C_{18}H_{17}O_2N_2SCl$
	R = —NO_2		
III			
3a	phenyl	164	$C_{18}H_{17}O_4N_3S$
3b	4-methyl phenyl	189	$C_{19}H_{19}O_4N_3S$
3c	4-chloro phenyl	172	$C_{18}H_{16}O_4N_3SCl$
3d	4-methoxy phenyl	135	$C_{19}H_{19}O_5N_3S$
3e	4-N,N-dimethyl amino phenyl	199	$C_{20}H_{22}O_4N_4S$
3f	2-furfuryl	168	$C_{16}H_{15}O_5N_3S$
	R = —Br		
III			
4a	phenyl	154	$C_{18}H_{17}O_2N_2SBr$
4b	4-methyl phenyl	183	$C_{19}H_{19}O_2N_2SBr$
4c	4-chloro phenyl	189	$C_{18}H_{16}O_2N_2SClBr$
4d	4-methoxy phenyl	176	$C_{19}H_{19}O_3N_2SBr$
4e	4-N,N-dimethyl amino phenyl	115	$C_{20}H_{22}O_2N_3SBr$
4f	2-furfuryl	123	$C_{16}H_{15}O_3N_2SBr$

All compounds gave satisfactory elemental analysis.

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