Asian Journal of Chemistry Vol. 6, No. 3 (1994), 735–736

NOTES

Studies on Pyrimidines, Part I: Synthesis and Antibacterial Activity of 4-(2′-Hydroxy-5′-methylphen-1′-yl)-6-substituted-phenyl-1,2,5,6-tetrahydropyrimidine-2-thione

H.R. CHAMPANERI, S.R. MODI* and H.B.NAIK
Department of Chemistry
South Gujarat University, Surat-395 007, India

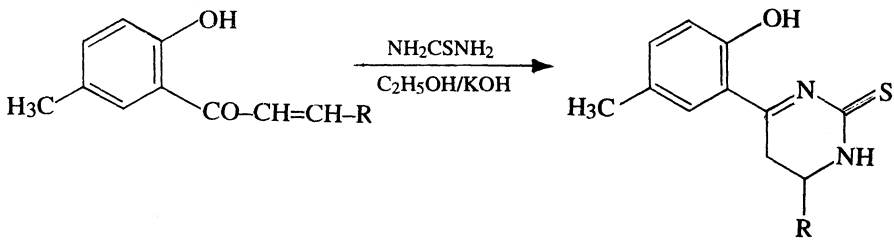
Pyrimidine-2-thiones have been prepared by heating chalcones with thiourea in ethanolic potassium hydroxide. The antibacterial activities of the compounds have been determined.

In continuation of work on pyrimidines[1], we report here the synthesis of some new 4-(2′-hydroxy-5′-methylphen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thione(II) by reacting 2′-hydroxy-5′-methylchalcones(I) with thiourea in ethanol in presence of potassium hydroxide (Scheme 1).

All melting points are uncorrected. IR spectra were taken on a Perkin Elmer-377 spectrophotometer and PMR spectra were recorded on a Varian model EM-360L spectrophotometer. Satisfactory elemental analyses were obtained.

Preparation of 4-(2′-hydroxy-5′methylphen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thiones(II)

2′-Hydroxy-5′-methylchalcone (0.01 mole), thiourea (0.01 mole) and 1.0 g. potassium hydroxide in ethanol (95%, 30 ml) were refluxed on water-bath at 70–80°C for 3 h. After keeping overnight, the solid obtained was collected and crystallised from benzene. γ_{max}(KBr): 3450–3400 (OH), 1600–1585 (C=N), 3350–3300 (NH) and 1215–1205 cm^{-1} (C=S); δ($CDCl_3$): 2.26–2.24 (CH_3), 2.48–2.52 (–CH_2–), 6.73–6.78 (OH), 7.12–7.16 (NH) and 7.23–7.70 (Ar–H)



(I) (II)

(Scheme-1)

Compounds (II):

	M. pt. (°C)		M. pt. (°C)
1. R=C_6H_5;	107	9. R=2-$OCH_3C_6H_4$;	114
2. R=4-$CH_3C_6H_4$;	86	10. R=4-$OCH_3C_6H_4$;	108
3. R=4-$C_2H_5C_6H_4$;	86	11. R=3,4-$(OCH_3)_2C_6H_3$;	128
4. R=4-$C_3H_7C_6H_4$;	78	12. R=3,4,5-$(OCH_3)_3C_6H_2$;	134
5. R=2-ClC_6H_4;	112	13. R=4-OH-3-$OCH_3C_6H_3$;	85
6. R=4-ClC_6H_4;	130	14. R=3-$NO_2C_6H_4$;	156
7. R=2,4-$Cl_2C_6H_3$;	89	15. R=4-$NO_2C_6H_4$;	139
8. R=2,6-$Cl_2C_6H_3$;	96	16. 4-FC_6H_4;	92

Antibacterial activity

Antibacterial screening of synthesised compounds has been carried out by cup-plate method[2] using a species of gram positive bacteria i.e. *S. aureus* and gram negative bacteria i.e. *E. coli*. The testing was carried out using 0.1 mg of the sample in chloroform (10 mg/ml).

From the experimental data, it was observed that the 4-chlorophenyl, 2,4-dichlorophenyl,2,6-dichlorophenyl derivatives were highly active against both the bacteria.

ACKNOWLEDGEMENT

Authors are thankul to Valsad Clinical Laboratories, Valsad for screening of bacterial activity.

REFERENCES

1. S.R. Modi, H.D. Jahangirpuria, M.R. Patel and H.B. Naik, *Asian J. Chem.*, **6** (1994) (in press).
2. C.H. Collins, Microbiological Methods, Butterworth, London, 364 (1967).

(*Received*: 1 November 1993; *Accepted*: 1 December 1993) AJC-736