Asian Journal of Chemistry Vol. 6, No. 3 (1994), 737–738

NOTES

Studies on Pyrimidines, Part II: Synthesis and Antibacterial Activity of 7-(2′-hydroxy-5′-methylphen-1′yl)-2-acetyl-5-substituted phenyl-3-oxo-2,3,4,5-tetrahydrothiazolo (3,2-a)-pyrimidines

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

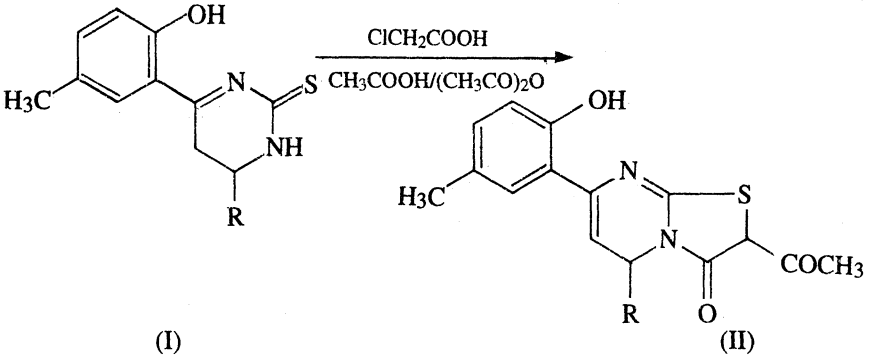
The title compounds have been prepared by heating pyrimidine-2-thiones with chloroacetic acid in acetic acid/acetic anhydride in the presence of sodium acetate. These compounds were screened for antibacterial activity.

Thiazole compounds possess considerable fungicidal action[1,2]. The present work was carried out with the treatment of 4-(2′-hydroxy-5′-methylphen-1′-yl)-6-substituted-phenyl-1,2,5,6-tetrahydropyrimidine-2-thiones[3](I) with chloroacetic acid in acetic acid/acetic anhydride in the presence of sodium acetate yielding 7-(2′-hydroxy-5′-methylphen-1′-yl)-2-acetyl-5-substituted phenyl-3-oxo-2,3,4,5-tetrahydrothiazolo-(3,2-a)-pyrimidines(II) (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 microanalyses were obtained.

Preparation of 7-(2′-hydroxy-5′-methylphen-1′-yl)-2-acetyl-5-substituted phenyl-3-oxo-2,3,4,5-tetrahydrothiazolo-(3,2-a)-pyrimidines(II)

4-(2′-Hydroxy-5′-methylphen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thiones[3] (0.005 mole), 0.5 g chloroacetic acid and 2.0 g fused sodium acetate in 5.0 ml of acetic acid and 2.0 ml acetic anhydride were refluxed on water-bath at 70–75°C for 3 h and left overnight at room temperature. The reaction mixture was poured into distilled water and the solid obtained was filtered, dried and crystallised from light petroleum ether. γ_{max}(KBr): 3450–3400 (OH), 1660–1650 (N–C=O) and 1600–1595 cm^{-1} (C=N); $\delta(CDCl_3)$: 2.24–2.26 (CH_3), 3.68–3.72 ($COCH_3$), 6.78–6.82 (OH) and 7.08–7.50 (Ar–H).



(I) (II)

(Scheme-1)

Compounds (II),

	M.pt. (°C)		M.pt. (°C)
1. R=C_6H_5;	82	9. R=2-$OCH_3C_6H_4$;	65–67
2. R=4-$CH_3C_6H_4$;	86	10. R=4-$OCH_3C_6H_4$;	75–77
3. R=4-$C_2H_5C_6H_4$;	76	11. R=3,4-$(OCH_3)_2C_6H_3$;	83–85
4. R=4-$C_3H_7C_6H_4$;	118	12. R=3,4,5-$(OCH_3)_3C_6H_2$;	98
5. R=2-ClC_6H_4;	120	13. R=4-OH-3-$OCH_3C_6H_3$;	86
6. R=4-ClC_6H_4;	108–110	14. R=3-$NO_2C_6H_4$;	162
7. R=2,4-$Cl_2C_6H_3$;	93	15. R=4-$NO_2C_6H_4$;	178
8. R=2,6-$Cl_2C_6H_3$;	82	16. 4-FC_6H_4;	105

Antibacterial activity

The products were screened for antibacterial activity by cup-plate method, using chloroform as solvent at a concentration of 10 mcg/d against *S. aureus* and *E.coli*. All compounds show mild activity against both the bacteria.

REFERENCES

1. V.K. Ahluwalia, L. Nayal, S. Bala and S. Raghav, *Indian J. Chem.*, **27B**, 72 (1988).
2. V.K. Ahluwalia, K.K. Arora and B. Mehta, *Indian J. Chem.*, **27B**, 183 (1988).
3. H.R. Champaneri, S.R. Modi and H.B. Naik, *Asian J. Chem.* (in press).

(*Received*: 1 November 1993; *Accepted*: 1 December 1993) AJC-737