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NOTES

Studies on Pyrimidines, Part III: Synthesis and Antibacterial Activity of 6-(2′-Hydroxy-5′-methylphen-1′-yl)-3-acetyl-4-substitutedphenyl-3,4-dihydropyrimidine-2-thiols

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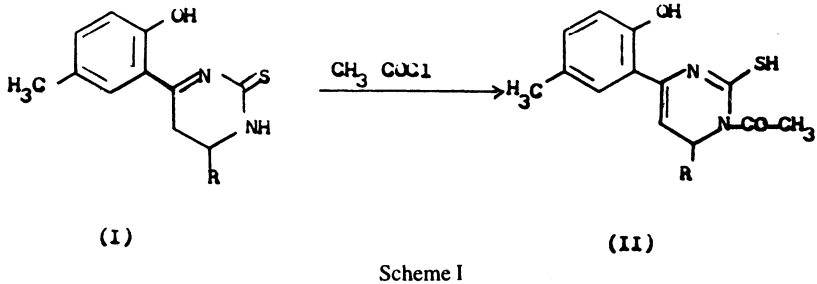
3-Acetylpyrimidine-2-thiol derivatives have been prepared by reacting pyrimidine-2-thiones with acetyl chloride. All the compounds have been screened for their antibacterial activity.

In continuation of work on pyrimidine[1], we report in the present paper the reaction of 4-(2′-hydroxy-5′-methylphen-1′-yl)-6-substituted phenyl-1-1,2,5,6-tetrahydropyrimidine-2 thiones[2](I) with acetylchloride yielded 6-(2′-hydroxy-5′-methylphen-1′-yl)-3-acetyl-4-substituted phenyl-3,4-dihydropyrimidine-2-thiols(II) (Scheme 1).

All melting points are uncorrected. IR spectra were taken on a Perkin-Elmer-377 spectrophotometer and FTNMR spectra were recorded on a Bruker-3000 spectrophotometer.

Preparation of 6-(2′-hydroxy-5′-methylphen-1′-yl)-3-acetyl-4-substituted phenyl-3,4-dihydropyrimidine-2-thiols(II)

4-(2′-Hydroxy-5′-methylphen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thiones[2] (0.0025 mol) and acetyl chloride (8.0 mL) were heated under reflux on water-bath at 35° to 45°C for 2 h. Excess of acetyl chloride was evaporated and the oil obtained was titrated with light petroleum ether, crystallised from benzene. ν_{max} (KBr) 3500–3400 (OH), 1750–1700 (N—C=O) and 1600–1590 cm^{-1} (C=N); δ(DMSO-d_6) 2.38–2.40 (CH_3), 3.12–3.16 ($COCH_3$), 6.68–6.70 (OH), 7.0–7.05 (SH) and 7.32–8.30 (ArH).



(I) (II)

Scheme I

Compounds (II)	m.p. (°C)	Compounds(II)	m.p. (°C)
1. R = C_6H_5	95	9. R = 2-$OCH_3C_6H_4$	105
2. R = 4-$CH_3C_6H_4$	110	10. R = 4-$OCH_3C_6H_4$	65
3. R = 4-$C_2H_5C_6H_4$	96	11. R = 3,4-$(OCH_3)_2C_6H_3$	134
4. R = 4-$C_3H_7C_6H_4$	90	12. R = 3,4,5-$(OCH_3)_3C_6H_2$	129
5. R = 2-ClC_6H_4	120	13. R = 4-OH-3-$OCH_3C_6H_3$	40
6. R = 4-ClC_6H_3	85–87	14. R = 3-$NO_2C_6H_4$	158
7. R = 2,4-$(Cl)_2C_6H_3$	112	15. R = 4-$NO_2C_6H_4$	180
8. R = 2,6-$(Cl)_2C_6H_3$	136	16. R = 4-FC_6H_4	90

Antibacterial activity

The compounds (II) were screened for antibacterial activity using cup-plate method at a concentration of 500 mcg/d using gram positive bacteria *i.e. S. aureus* and gram-negative bacteria *i.e. E. coli.* It was observed that most of the compounds were less active against both the bacteria.

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REFERENCES

1. S.R. Modi, H.D. Jahangirpuria, M.R. Patel and H.B. Naik, *Asian J. Chem.*, **6**, 945, 1061 (1994).
2. H.R. Champaneri, S.R. Modi and H.B. Naik, *Asian J. Chem.*, **6**, 735, 737 (1994).

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