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NOTES

Studies on pyrimidines: Part IV: Synthesis and Antibacterial Activity of 6-(2′-Hydroxy-5′-methylphen-1′-yl)-3-*p*-acetanilide Sulphonyl-4-substitutedphenyl-3,4-dihydropyrimidine-2-thiols

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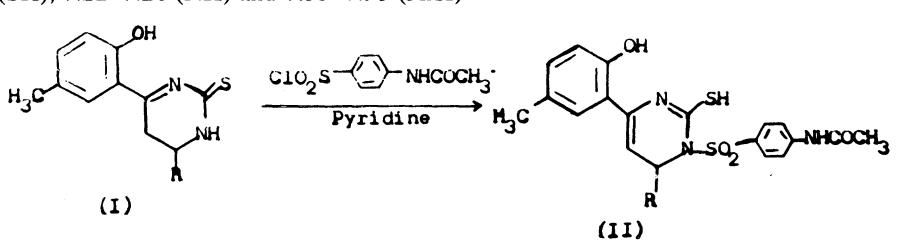
The title compounds have been synthesised and screened for their antibacterial activity against *S. aureus* and *E. coli.*

In the progress of our work[1], we report the reaction of 4-(2′-hydroxy-5′-methylphen-1′-yl)-6-substituted phenyl-1-2,5,6-tetrahydropyrimidine-2-thiones[2](I) with *p*-acetanilidesulphonyl chloride in presence of pyridine yielded the 6-(2′-hydroxy-5′-methylphen-1′-yl)-3-*p*-acetanilidesulphonyl-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 PMR spectra were recorded on Varian model EM-360L spectrophotometer. Satisfactory microanalyses were obtained.

Preparation of 6-(2(-hydroxy-5′-methylphen-1′-yl)-3-*p*-acetanilidesulphonyl-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) in pyridine (10 mL) was cooled in an ice-bath and *p*-acetanilide sulphonyl chloride (0.0025 mol) was added to it. The mixture was stirred for 1 h at room temperature and it was then treated with cold dilute hydrochloric acid (2N). The solid obtained was filtered, washed with water and crystallised from ethanol. ν_{max}(KBr); 3450–3400 (OH), 3380–3340 (NH), 1760–1740 (C=O), 1610–1595 (C=N) and 1250–1230, 1140–1120 cm^{-1} (SO_2); δ(DMSO-d_6): 2.38–2.40 (CH_3), 3.78–3.80 ($COCH_3$), 6.84–6.86 (OH), 6.98–7.0 (SH), 7.22–7.26 (NH) and 7.35–7.95 (ArH)



Scheme I

Compounds (II)	m.p. (°C)	Compounds(II)	m.p. (°C)
1. R = C_6H_5	120	9. R = 2-$OCH_3C_6H_4$	210
2. R = 4-$CH_3C_6H_4$	108	10. R = 4-$OCH_3C_6H_4$	122–123
3. R = 4-$C_2H_5C_6H_4$	98	11. R = 3,4-$(OCH_3)_2C_6H_3$	80
4. R = 4-$C_3H_7C_6H_4$	88–90	12. R = 3,4,5-$(OCH_3)_3C_6H_4$	94
5. R = 2-ClC_6H_4	115	13. R = 4-OH-3-$OCH_3C_6H_3$	52
6. R = 4-ClC_6H_4	125	14. R = 3-$NO_2C_6H_4$	189
7. R = 2,4-$(Cl)_2C_6H_3$	80	15. R = 4-$NO_2C_6H_4$	205
8. R = 2,6-$(Cl)_2C_6H_3$	82–83	16. R = 4-FC_6H_4	120

Antibacterial activity

Compounds II were screened for their antibacterial activity against *S. aureus* and *E. coli* by cup-plate method[3] at a concentration of 50 mcg/d in dimethylsulfoxide. Filter paper discs of diameter 5 mm were used and the diameters of zones of inhibition formed around each disc after incubating for a period of 24 h at 25–30°C were recorded. Results were compared with standard drugs ampicillin and gentamycin. The compounds 7, 8, 14,15 and 16 were found to be more active against both the bacteria.

REFERENCES

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