Studies on Benzoquinoline Derivatives : Preparation and Antimicrobial Activity of Azo-derivatives of Arylthiobenzo[*f*]quinoline

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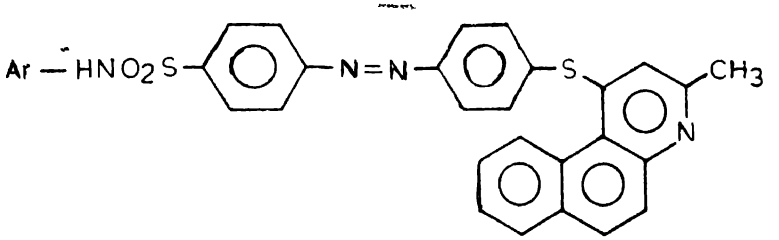
The medicinal importance of benzo[*f*]quinoline sulphides is well-known[1,2]. The importance of azo-derivatives of arylthiobenzo[*h*]quinoline has been discussed by us[3]. Realising the pharmcological importance of above compounds, it was thought worthwhile to synthesise the title compounds in order to get more versatile drugs. Thiophenyl substituted benzo[*f*]quinoline was reacted with diazonium salts of substituted sulphanilamides, viz. sulphadiazine, sulphapyridine, sulphaguanidine, sulphamethazole and sulphaphenazole, to form substituted sulphonamidobenzene azo-derivatives of 1-(arylthio)-3-methylbenzo[*f*]quinoline (2—6) and tested their antimicrobial activities.

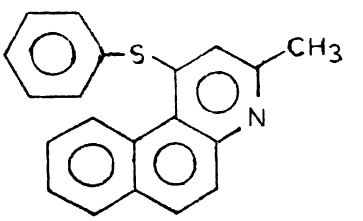
Experimental

All the melting points recorded are uncorrected. Purity of the compounds was checked by tlc using silica gel G as adsorbent and petroleum ether-acetone (6 : 4, v/v) as mobile phase. Structures were assigned on the basis of elemental analysis, ir and electronic spectral studies. Ir spectra (KBr) were recorded on a Perkin-Elmer Infracord spectrophotometer and electronic spectra on a Toshniwal spectrophotometer.

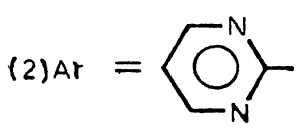
1-(4'-Pyrimidyl sulphonamidobenzeneazothiophenyl)-3-methylbenzo[f]*quinoline* (2) : To an ice-cold solution of 1[1] (1.5 g, 0.005 mol) in acetone



(2–6)



(1)



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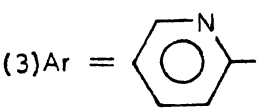

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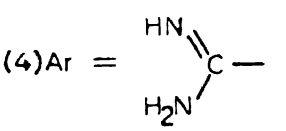

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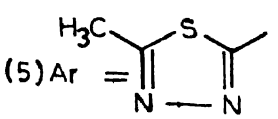

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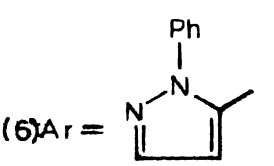

(6) Ar =

containing sodium acetate (10 g) was gradually added a diazotised solution of sulpha drug (diazotised with solution of $NaNO_2$/HCl at 0—5°) with continuous stirring. The stirring was continued for another 15 min. The azo compound was precipitated by addition of ice-cold water and the resulting solid was washed with water, dried and purified by repeated crystallisation from glacial acetic acid, (63%), m.p. 248°. The synthesis of the other azo compounds (3—6) was done under similar conditions (Table 1).

TABLE 1—PHYSICAL DATA OF COMPOUNDS*

Compd. no.	Colour	M.p. °C	R_f	λ_{max} nm
2	Yellow	248	0.18	417
3	Yellow	138	0.22	417
4	Yellow	233	0 25	420
5	Yellow-Orange	193	0 22	440
6	Orange	242	0 16	440

*All the compounds showed satisfactory C, H and N analyses.

Compounds 2—6 when tested against bacteria *E. coli, Staphylococcus aureus, S. aerogens, Shigella* sp. and *Clostridium* sp. and against fungi *Aspergillus flavus, A. niger, A. japonicus, A. clavatus, A. fumigatus, Penicillium* sp., *Cladosporium herbarium, Curvularia lunata, Helminthosporium* sp., *Aeternaria solani* and *Fusarium* sp., showed promising results.

References

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3. R. P. BAHUGUNA and B. C. JOSHI, *Ann. Sci. Bruxelles* 1983, **97**, 33.