

4 : 4'-Diamino-2 : 2'-dihydroxydiphenyl Sulphone

SIR,—The recent publication by Amstutz¹ of the synthesis of 4 : 4'-diamino-2 : 2'-dihydroxydiphenyl sulphone as a potential antitubercular drug, although unaccompanied by any pharmacological data, prompts us to place on record the preparation of the identical compound in these laboratories.

2 : 2'-Diethoxy-4 : 4'-dinitrodiphenyl sulphide, obtained in 30 per cent. yield by the condensation of sodium 2-ethoxy-4-nitrothiophenoxide with 4-chloro-3-ethoxynitrobenzene in alcoholic solution, was oxidised with excess of potassium permanganate to yield the corresponding sulphone; combined reduction and de-ethylation of this sulphone with hydriodic acid gave, according to the conditions employed, either 4 : 4'-diamino-2 : 2'-diethoxydiphenyl sulphone (I), m.pt 269° C. (Found: C, 48.1; H, 4.2; N, 7.3; S, 8.3 per cent. $C_{16}H_{16}O_8N_2S$ requires C, 48.5; H, 4.0; N, 7.1; S, 8.1 per cent.) or 4 : 4'-diamino-2 : 2'-dihydroxydiphenyl sulphone (II); m.pt 179° to 181°C. (Amstutz, 180° to 184°C.).

Preliminary examinations of the sulphones I and II against *M.tuberculosis* (H37Rv) in Dubos medium was kindly conducted by Professor G. A. H. Buttle of this School and inhibitory dilutions of 1:16,000 and 1:256,000 respectively were recorded. The oral toxicity of 4 : 4'-diamino-2 : 2'-dihydroxydiphenyl sulphone was of a low order and a limited investigation of its *in vivo* activity in mice infected with *M.murium* (N.C.T.C. 5676) has met with promising results.

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REFERENCE

1. Amstutz, *J. Amer. chem. Soc.*, 1950, **72**, 3420.