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SYNTHESIS OF SOME POTENTIAL ANTIMYCOBACTERIAL AGENTS

On the assumption that permeability constitutes the limiting factor in the potency of the known antimycobacterial agents such as p-aminosalicyclic acid, streptomycin and sulfones,[1] a number of asymmetric diaminodiphenyl sulfones have been prepared with a view to include in the molecular architecture biologically stable groupings, that would confer upon the molecule an optimal fat water solubility ratio without materially interfering with its antibacterial activity. Such a molecule would be expected to penetrate to the site of action with greater ease.

The compounds have been so modelled as to carry a lipophylic alkyl chain at one of the nitrogen atoms, and a sugar, as the hydrophylic equilibrating moiety, at the other nitrogen. Galactose has been selected because it is known to be one of the few sugars that have comparatively little intercellular permeability impediments even though highly lipoid insoluble.[2] The alkylamino linkage is expected to resist bio-cleavage, whereas galactose may split and the lipoid soluble drug emerge at the site of infection with the requisite one free phenylamino group in the sulfone molecule.

TABLE I

RHN–⟨⟩–SO_2–⟨⟩–NH–Galactose

No.	R	Analysis % N		$(\alpha)_D^{24°}$ in MeOH	
		Required	Found		
1	Ethyl[c]	6·39	6·60	−20·0	±2
2	Propyl[d]	6·19	6·29	−18·0	,,
3	*n*-Butyl[c]	6·00	5·50	−11·5	,,
4	Isobutyl[b]	6·00	5·91	−15·0	,,
5	*n*-Amyl[b]	5·80	5·63	−11·5	,,
6	Isoamyl[d]	5·80	5·50	−11·5	,,
7	*n*-Hexyl[a]	5·66	5·37	−11·5	,,
8	Octyl[a]	5·35	5·00	−12·0	,,
9	Lauryl[a]	4·85	4·67	−13·0	,,

Table I indicates the various end-compounds synthesised and their requisite data. The p-alkylamino-p'-aminodiphenyl sulfones were prepared by a slight modification of the method used by Baker, Querry and Kadish[3] and these were converted into the corresponding galactosides by Kuhn and Strobel's procedure[4] using ammonium chloride as a catalyst. The galactosides do not have definite melting points but decompose over a range; the corresponding free amines, however, have very sharp melting points.

(*a*) Crystallised from methanol; (*b*) Crystallised from aqueous methanol; (*c*) Crystallised from water; (*d*) Could not be crystallised and were obtained as colourless amorphous powders.

Biological screening of these compounds and further syntheses along the above lines, as also of the corresponding sulfides, sulfoxides and thiosulfinates, are in progress. Preparative and biological data and other details will be published elsewhere

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OCCURRENCE OF *LERNEENICUS* SP. ON *SCOMBER SCOMBER*, LAWSON'S BAY, WALTAIR

A single female was collected attached to *Scomber scomber*. The head and trunk of the parasite were deeply embedded in the body of the fish with only the bright red egg strings protruding behind. Dissection revealed the head lobes in actual contact with the vertebral column of the host. When removed the head was found to be yellowish with a slight blackish tint, the trunk of flesh colour and the egg strings bright red. The head is triangular with three posterior short conical lobes. The short neck merges with the trunk which is annulated and the egg strings which protrude behind are as long and of the same diameter as the trunk The entire length of the body is 45 mm.

That it is *Lerneenicus* is shown by the fact that the head is triangular provided with three short knobs and is placed at right angles to