Tetrahedron Letters, No. 21, pp. 5-6, 1959. Pergamon Press Ltd. Printed in
Great Britain.

THE SYNTHESIS OF PACHYRRHIZIN

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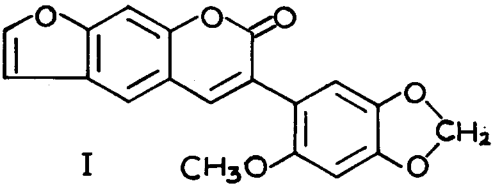
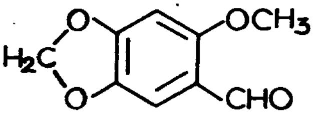
(Received 13 November 1959)

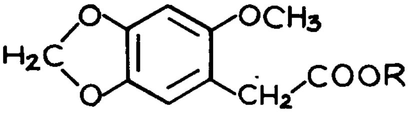
WE wish to report the synthesis of pachyrrhizin (Norton and Hansberry's[1] "compound III") confirming the structure (I) assigned to it by Schmid and coworkers.[2]



I

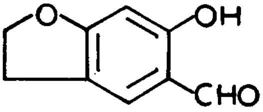


II



IIIa, R=H

b, R=CH₃



IV

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[1] L. B. Norton and R. Hansberry, J. Amer. Chem. Soc. **67**, 1609 (1945).

[2] E. Simonitsch, H. Forei and H. Schmid, Monatsh. **88**, 541 (1957).

2-Methoxy-4, 5-methylenedioxyphenylacetic acid (IIIa; m.p. 97-98°. Found: C, 57.3; H, 4.8. $C_{10}H_{10}O_5$ requires: C, 57.1; H, 4.8%), obtained from 2-methoxy-4, 5-methylenedioxybenzaldehyde (II)[3] through the azlactone (m.p. 273-274°. Found: C, 66.6; H, 4.2; N, 4.3. $C_{18}H_{13}O_5N$ requires: C, 66.9; H, 4.1; N, 4.3%), was converted into its methyl ester (IIIb) and then condensed with 5-formyl-6-hydroxycoumaran (IV)[4] in the presence of piperidine to give dihydropachyrrhizin[2] (m.p. 215-216°). This, on dehydrogenation by the N-bromosuccinimide-dimethylaniline method of Geissman and Hinreiner,[5] afforded pachyrrhizin (I; m.p. 207-208°) identical with an authentic sample of the natural product as shown by the two infrared spectra and the absence of depression of a mixture melting point.

Full details of this work will be published shortly.

Acknowledgements — We should like to express our thanks to Prof. H. Schmid, Zurich, for kindly providing us with a sample of natural pachyrrhizin and to Mr. J. Cazes of this department for determining the infrared spectra.

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[3] K. N. Campbell, P. F. Hopper and B. K. Campbell, J. Org. Chem. **16**, 1736 (1951).

[4] J. S. H. Davies, P. A. McCrea, W. L. Norris and G. R. Ramage, J. Chem. Soc. 3206 (1950).

[5] T. A. Geissman and E. Hinreiner, J. Amer. Chem. Soc. **73**, 782 (1951).