

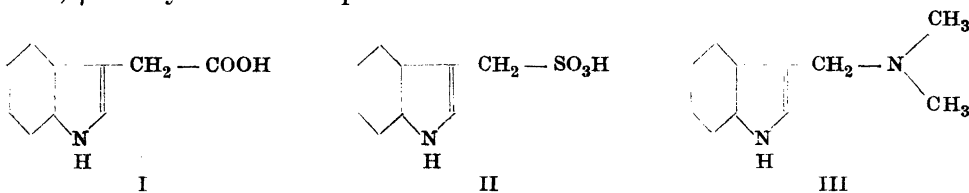
Synthetic Plant Hormones

II. β -Indolyl-methanesulphonic Acid *

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β -Indolyl acetic acid (I) possesses auxin activity and acts as a growth factor for certain microorganisms. Slight modification of the structure of biological factors frequently yields compounds exhibiting antagonistic properties and the study of such phenomena is important for the understanding of the physiological rôle of such substances. Hence it was of interest to have access to the hitherto unknown ** sulphonic acid analogue of β -indolyl acetic acid, β -indolyl methanesulphonic acid II.



Recently it was found in these laboratories that certain substituted benzyl alcohols, *e. g.* vanillyl and veratryl alcohol are excellent model substances for lignin, being very easily transformed into sulphonic acids by heating with bisulphite cooking acid¹. It was apparent, that the alkaloid gramine (III) possesses certain analogies with benzyl alcohols and that consequently sulphite

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** This work was completed in 1947 and a patent application was presented in July 29, 1948. Recently T. Wieland, E. Fischer and F. Moewus (*Ann.* 561 (1948) 47) described the synthesis of the sodium salt of β -indolyl-methanesulphonic acid and the important observation that this compound is an antagonist of heteroauxin as regards root growth. These authors work with sodium bisulphite solutions in the presence of methanol and the yield is inferior to that obtained according to our procedure. The publication of our experiences, therefore, appears not to be superfluous.

cooking of gramine might result in the formation of the desired sulphonic acid (II). This proved to be the case and it was found that almost quantitative yields were obtained when gramine was heated with a sodium sulphite solution.

Biological tests showed that β -indolyl methanesulphonic acid possesses no activity in the Avena test and that most microorganisms tested to date were not retarded in their growth by this acid. Only in the case of some yeasts, a slight inhibition could be observed, which was remedied by the addition of β -indolyl acetic acid.

EXPERIMENTAL

Preparation of β -indolyl-methanesulphonic acid

Gramine (20 g), sodium sulphite (19 g) and water (350 ml) were heated in a sealed tube to 110° for ten hours. After cooling the crystals (sodium salt of β -indolyl-methanesulphonic acid) were collected by filtration. The filtrate had a strong odour of dimethylamine. Yield of the sodium salt 94 %. It may be recrystallised from hot water.

$C_9H_8NO_3SNa$	Calc.	C 46.5	H 3.49	S 13.75	Na 9.9
	Found	» 46.7	» 3.57	» 13.8	» 9.9

β -Naphthylamine salt: This salt was prepared by neutralising a solution of the free acid with β -naphthylamine. The acid solution was obtained by filtration of a solution of the sodium salt through an organolith charged with hydrogen ions. The salt may also be prepared directly from the sodium salt and β -naphthylamine hydrochloride. The substance was recrystallised from butanol saturated with water. M. p. 228°.

$C_{19}H_{18}N_2O_3S$	Calc.	C 64.4	H 5.21
	Found	» 64.4	» 5.27

Several series of experiments were run in which the composition of the cooking acids and the heating time was varied. The results, however, were inferior. With only sulphur dioxide solutions a considerable amount of resin was formed together with small amounts of indole. With sodium bisulphite, oils were obtained from which unchanged gramine could be isolated in various amounts together with the sodium salt of the sulphonic acid.

SUMMARY

The sulphonic acid analogue of heteroauxin has been prepared.

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REFERENCES

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