6-(3-Methylbut-3-enylamino)purine: a Highly Active Cytokinin

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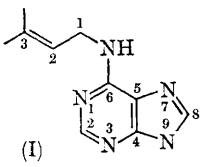
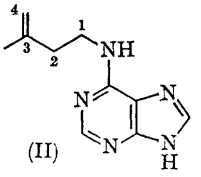
THE finding that 6-(3-methylbut-2-enylamino)-purine (I)[1,2] is a highly active,[3] naturally occurring cytokinin[4] suggested that its double-bond isomer, 6-(3-methylbut-3-enylamino)purine (II), might exhibit similar activity, especially since (II) bears the same relation to (I) that, in the mevalonic acid sequence, isopentenyl pyrophosphate bears to 3-methylbut-2-enylpyrophosphate.[5] We have now synthesized 6-(3-methylbut-3-enylamino)purine (II), and initial tobacco bioassay tests show that it has cytokinin activity, of the same order as that of (I).[6]



(I)



(II)

The purine (II) was synthesized by a sequence analogous to that used for (I).[7] 3-Methylbut-3-en-1-ol was converted to 3-methylbut-3-en-1-yl toluene-*p*-sulfonate and condensed with potassium phthalimide to give *N*-(3-methylbut-3-enyl)phthalimide, m.p. 51—53°. Hydrazinolysis and subsequent acidification with hydrochloric acid yielded 3-methylbut-3-enylamine hydrochloride, m.p. 186—188°, which was condensed with 6-chloropurine in n-butanol at reflux to give 6-(3-methylbut-3-enylamino)purine (II), m.p. 180·5—182°. Satisfactory analyses and n.m.r. spectra have been obtained for all compounds.

The synthesis of the riboside of (II), 6-(3-methylbut-3-enylamino)-9-β-D-ribofuranosylpurine and a comparison of its biological activity with that[8] of the riboside of (I) is in progress.

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