

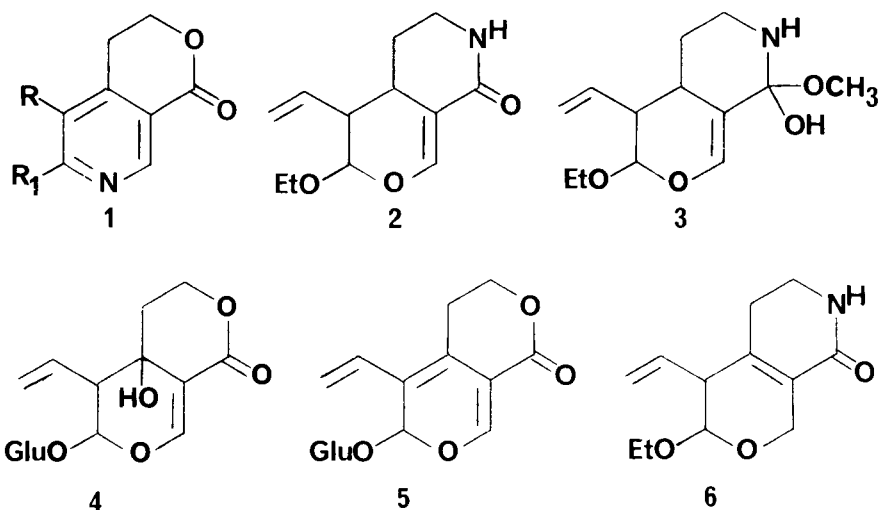
CHEMICAL STUDIES ON CENTAURIUM SPICATUM - THE STRUCTURES OF
"SPICATINE" AND "KANTAUIN"

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Extracts of the aerial parts of Centaurium spicatum (L.) Fritsch (Gentianaceae) have long been used in Egyptian traditional medicine as a treatment for hypertension (Farrag and Sherif, 1949). These authors attributed the activity to a glycosidal constituent but recent work (Bhattacharya and others, 1974) has shown that gentianine, an alkaloid common in the Gentianaceae, and known to be present in C. spicatum, is also an effective sedative. It thus seemed profitable to investigate the chemistry of these constituents further. This study has resulted in the isolation and structural elucidation of several alkaloids and glycosides. Also this work has enabled the proposal of structures for two compounds, previously isolated from the plant, the constitutions of which had not however been elucidated.

Thus, on the basis of chemical evidence and spectroscopic data, particularly n.m.r., the major alkaloids of C. spicatum are shown to be the pyridines, gentianine (1, R = CH₂=CH; R₁ = H) and gentianidine (1, R = H; R₁ = CH₃) and the ethoxy (2) and ethoxy, methoxy (3) derivatives of the amide obtained from the secoiridoid monoterpene glucoside, swertiamarin (4), which was also isolated from the plant. Another glycoside is shown to be identical with the only partially characterised "kantaurin" of Khafagy and Mnajed (1967), for which we now suggest the structure 5. The same authors (1968) have also isolated an unidentified alkaloid "spicatine" for which we now propose the structure 6, isomeric with 2.

The biosynthetic and chemical relationships between the nitrogenous and non-nitrogenous groups of compounds are discussed.



- Bhattacharya, S.K., Ghosal, S. and others (1974). *J. Amer. Chem. Soc.*, 63(8), 1341-1342.
 Farrag, H.F. and Sherif, M.A. (1949). *J. Pharm. Pharmacol.*, 1, 219-223.
 Khafagy, S.M. and Mnajed, H.K. (1967). *J. Pharm. Sci. U.A.R.*, 8, 187-199.
 Khafagy, S.M. and Mnajed, H.K. (1968). *Acta Pharm. Suecica*, 5, 135-142.