SYNTHESIS AND SPECTROSCOPIC DATA OF SOME OUINOLINIUM NUCLEOSIDES

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It is a well known fact that some metabolic enzymatic processes in biosynthesis (glycolysis, nucleoside biosynthesis) are NAD⁺-dependent. The observations that cytostatic activity of a nucleoside analog sometimes can be explained in terms of ar inhibition of an NAD⁺-dependent dehydrogenase after biochemical convertion into the corresponding NAD-analog prompted the synthesis of a series of quinolinium nucleosides I to VI.

$$\begin{array}{c} & \text{I:R}_1 = \text{R}_2 = \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{II:R}_1 = \text{R}_2 = \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{III:R}_1 = \text{CH}_3 \; ; \; \text{R}_2 = \text{H} \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{IV:R}_1 = \text{COOBu} \; ; \; \text{R}_2 = \text{H} \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{Bz} \; ; \; \text{R}_6 = \text{Bz} \\ & \text{V:R}_1 = \text{COOBu} \; ; \; \text{R}_2 = \text{COOBu} \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{Bz} \; ; \; \text{R}_6 = \text{Bz} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{COOH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{VI:R}_1 = \text{H} \; ; \; \text{R}_2 = \text{CONH}_2 \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{R}_1 = \text{H} \; ; \; \text{R}_2 = \text{H} \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \; \text{R}_5 = \text{H} \; ; \; \text{R}_6 = \text{H} \\ & \text{R}_1 = \text{H} \; ; \; \text{R}_2 = \text{H} \; ; \; \text{R}_3 = \text{H} \; ; \; \text{R}_4 = \text{H} \; ; \;$$

Bz : benzoyl

These quinolinium nucleosides, some of which show a structure relationship with the active nicotinamide part in NAD⁺ itself were obtained in 80-90% yield by direct condensation of 3,5-di-0-bezoyl- β -D-furanosyl chloride with the corresponding quinoline derivative in dry acetonitrile at 0°C. Debenzoylation was performed using methanolic ammonia. The structure of these compounds was investigated by 1 H- and 13 C-NMR and D/CI mass spectrometry.