

Synthese und Struktur von Prolinring-modifizierten Actinomycinen des X-Typs

Synthesis and Structure of Proline Ring Modified Actinomycins of the X-Type

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Z. Naturforsch. **54b**, 681–691 (1999); eingegangen am 15. Januar 1999

Antibiotics, Actinomycins, Synthesis, Crystal Structure, NMR Data

The first total synthesis of actinomycins containing L-4-hydroxyproline (**1**) and the separation and NMR spectroscopic assignment of the regioisomers $X_{0\beta}$ and iso- $X_{0\beta}$ (**1c**, **1e**) are described. The synthetic $X_{0\beta}$ proves, that the oxidized proline ring of the *natural* actinomycins $X_{0\beta}$, $X_{0\delta}$ and X_2 (**1c**, **1d**, **1b**) is situated in position 3' of the (β)-peptide chain. The new non-natural variants iso- $X_{0\beta}$ (**1e**) and Bis(hyp)- X_1 (**1f**) permitted interesting structure/activity studies. Furthermore, the amino acid sequence of the actinomycins X_2 (**1b**) and X_{1a} (**1g**) could be derived from NMR correlation spectra. A crystal structure analysis confirmed the complete structure of **1b** (and thus that of **1c–e**) and showed the characteristic „A“-type conformation present in the depsipeptide rings. This corresponds to the solution conformation, which is clearly verified by typical NMR data.

* Sonderdruckanforderungen an Prof. Dr. H. Lackner.