LETTERS TO EDITOR

CHLOROALKYLPYRIMIDINES: SYNTHESIS AND PROPERTIES

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Translated from Khimiko-Farmatsevticheskii Zhurnal, Vol. 32, No. 11, p. 53, November, 1998.

Original article submitted August 4, 1998.

In connection with the study of hybrid monomers of nucleoaminoacid type, we have synthesized a series of previously unreported substituted 2-chloromethylpyrimidines and determined their physicochemical characteristics.

The synthesis was based on the interaction of 2-hydroxymethylpyrimidines (I-IV) with phosphorus oxychloride according to the following scheme:

R = H(I), OH(II), $NH_2(III)$, $CH_3(IV)$; R = H(V), CI(VI), $NH_2(VII)$, $CH_3(VIII)$.

The reaction proceeds smoothly on heating the corresponding 2-hydroxymethylpyrimidines with freshly distilled phosphorus oxychloride without access of moisture from air.

The resulting substituted 2-chloromethylpyrimidines appear either as crystalline solids with low melting temperatures or as noncrystallizing oils distilled in vacuum without decomposition.

The structures of compounds V – VIII agree with the proposed scheme of synthesis and are confirmed by the analytical and spectroscopic characteristics.

- **2-Chloromethyl-4-chloropyrimidine** (V). Yield 87%; b.p., 96°C (10 Torr); R_6 , 0.76 (C₄H₉OH–CH₃CO₂H–H₂O, 4:1:5; TLC on Silufol UV-254) [1, 2]; UV spectrum, C₂H₅OH (λ_{max} , nm): 251 (log ε = 3.60); C₅H₄Cl₂N₂.
- **2-Chloromethyl-4,6-dichloropyrimidine** (VI). Yield 72%; m.p., 45°C; b.p., 114°C (15 Torr); $R_{\rm f}$, 0.86; UV spectrum, C_2H_5OH ($\lambda_{\rm max}$, nm): 255 (log ϵ = 3.58); $C_5H_3Cl_3N_2$.
- 2-Chloromethyl-4-chloro-6-aminopyrimidine (VII). Yield 54%; m.p., 111°C; R_f , 0.88; UV spectrum, C_2H_5OH (λ_{max} , nm): 277 (log ε = 3.54); $C_3H_5Cl_2N_3$.
- 2-Chloromethyl-4-chloro-6-methylpyrimidine (VIII). Yield 95%; m.p., 30°C; b.p., 108°C (10 Torr); R_6 , 0.94; UV spectrum, C_2H_5OH (λ_{max} , nm): 254 (log ε = 3.55); $C_6H_6Cl_2N_2$.

The synthesis of substituted 2-chloromethylpyrimidines provides the basis for obtaining new nucleopeptide regulators of the functional activity of DNA and RNA.

The work was supported by the Russian Foundation for Basic Research, project No. 97 - 03 - 33152.

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