

9-PURINYL- α -AMINO ACIDS

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9-Purinyl- α -amino acids have not been found in nature so far, nor have they been synthesized. Of the corresponding analogs of the pyrimidine series only one is known in nature, 2,6-dihydroxy-3-pyrimidinyl- α -alanine (willardine), which was first isolated from a number of plant materials [1, 2] and was then synthesized [3-5].

We have recently obtained a number of new 3-pyrimidinyl- α -amino acids [6].

By using a modification of the Montgomery-Temple method for the alkylation of 6-chloropurine [7] and the above-mentioned methods for preparing willardine [3, 4], we have obtained 6-substituted 9-purinyl- α -aminopropionic acids (alanines) for the first time.

β -(6-Mercapto-9-purinyl)- α -alanine (I). Mp 225° C (water). Found, %: C 37.57; H 4.29; N 27.16; S 12.26. Calculated for $C_8H_9N_5O_2S \cdot H_2O$, %: C 37.34; H 4.31; N 27.22; S 12.46. R_f 0.66 (system 1)*; 0.26 (system 3). UV spectra: λ_{max} 322 nm (log ϵ 3.99) (pH 1); 320 nm (log ϵ 4.00) (pH 7); 310 nm (log ϵ 3.99) (pH 13).

β -(6-Amino-9-purinyl)- α -alanine (II). Mp 248-250° C (water). Found, %: C 39.59; H 5.27; N 34.47. Calculated for $C_8H_{10}N_6O_2 \cdot H_2O$, %: C 39.99; H 5.04; N 34.98. R_f 0.61 (system 1); 0.14 (system 2); 0.35 (system 3). UV spectra: λ_{max} 258 nm (log ϵ 3.88) (pH 1), 259 nm (log ϵ 3.87) (pH 7), 262 nm (log ϵ 4.00) (pH 13).

β -(6-Dimethylamino-9-purinyl)- α -alanine (III). Mp 243° C (water). Found, %: C 45.05; H 5.83; N 31.52. Calculated for $C_{10}H_{14}N_6O_2 \cdot H_2O$, %: C 44.77; H 6.05; N 31.33. R_f 0.77 (system 1); 0.32 (system 2); 0.61 (system 3). UV spectra: λ_{max} 268 nm (log ϵ 3.99) (pH 1), 275 nm (log ϵ 4.00) (pH 7); 273 nm (log ϵ 4.00) (pH 13).

*System 1: $i-C_5H_{11}OH-NH_4OH-H_2O$ (7:1:2) (ascending); system 2: $n-C_4H_9OH-CH_3COOH-H_2O$ (4:1:5) (ascending); system 3: $n-C_5H_{11}OH-NH_4OH-H_2O$ (6:3:1) (descending). Paper, Leningrad slow.

β -(6-Hydroxy-9-purinyl)- α -alanine (IV). Mp 219-220° C (water). Found, %: C 38.37; H 5.09; N 27.48. Calculated for $C_8H_9N_5O_3 \cdot 1\frac{1}{2}H_2O$, %: C 38.40; H 4.83; N 27.99. R_f 0.66 (system 1); 0.25 (system 3). UV spectra: λ_{max} 249 nm (log ϵ 3.76) (pH 1); 248 nm (log ϵ 3.78) (pH 7); 255 nm (log ϵ 3.80) (pH 13).

β -(6-Methylthio-9-purinyl)- α -alanine (V). Obtained by the alkylation of β -mercapto-9-purinyl)- α -alanine with methyl iodide in an alkaline medium. Mp 223-225° C (water). Found, %: C 42.47; H 4.28; N 27.81; S 12.53. Calculated for $C_9H_{17}N_5O_2S$, %: C 42.68; H 4.38; N 27.65; S 12.66. R_f 0.75 (system 1); 0.35 (system 2); 0.54 (system 3). UV spectra: λ_{max} 292 nm (log ϵ 3.95) (pH 1), 290 nm (log ϵ 3.98) (pH 7), 287 nm (log ϵ 4.00) (pH 13).

The study of the physiological activity of these substances is continuing.

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