

Thus, the reaction of o-aminophenol with N-acylcyanamides takes place through N'-acyl-N-(hydroxyphenol)guanidines as intermediates.

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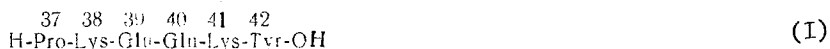
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SYNTHESIS AND PROPERTIES OF FRAGMENT 37-42 OF HUMAN GROWTH HORMONE

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In connection with the study of the laws of the structural-functional organization of human growth organism and in order to elucidate the lipotropic activity of the individual fragments of this hormone, we have performed the synthesis of the hexapeptide (I) containing amino acid sequence 37-42 of human growth hormone (all the amino acids belong to the steric L series):



Hexapeptide (I) is a component part of the tetradecapeptide fragment 31-44 of human growth hormone which possesses a high lipotropic effect [1-3], and in the structural respect it is close to the hexapeptide section of ovine lipotropin [4].

The synthesis of the hexapeptide (I) was carried out by the solid-phase method [5, 6] using a chloromethylated copolymer of styrene with 2% of divinylbenzene containing 7% of active chlorine as the insoluble support. The loading of the C-terminal amino acid onto the support amounted to 0.32 mmole/g. For the synthesis we used the following amino acid derivatives: Boc-L-Tyr(Bzl)-OH, Boc-L-Lys(Z)-OH, Boc-L-Gln-ONp, Boc-L-Glu(OBzl)-OH, and Boc-L-Pro-OH. N,N'-Dicyclohexylcarbodiimide was used as the condensing agent. The only exception was the addition of the Gln⁴⁰ residue, which was introduced into the peptide chain by the p-nitrophenyl ester method. After each stage of condensation, the blockage of any free amino groups was carried out by the action of acetic anhydride in dimethylformamide in the presence of triethylamine. The separation of the peptide from the polymeric support and the elimination of all the protective groups were effected in a single working stage by treating the peptidylpolymer with hydrogen bromide in a mixture of trifluoroacetic acid and methylene chloride (1:1) in the presence of anisole as protector.

The primary purification of the hexapeptide (I) was carried out by reprecipitation from methanol with diethyl ether. For further purification, the reprecipitated substance was subjected to chromatography on a column of Filtrak FND cellulose equilibrated with the solvent system butan-1-ol-pyridine-acetic acid-water (15:10:3:12) (system 1). The same system was used as eluent. The efficacy of purification was monitored with the aid of thin-layer chromatography (TLC) on Silufol UV-254 plates. After lyophilization, the hexapeptide (I) was obtained with a yield of 64%: $[\alpha]_D^{25} -30.5^\circ$ (c 0.38; CH₃CO₂H); R_f 0.24 (PC in system 1), 0.14 (TLC with iso-C₅H₁₁OH-C₅H₅N-CH₃CO₂H-H₂O (7:8:6:2), 0.23 (TLC, system 1), 0.79 (TLC, n-C₄H₉OH-C₅H₅N-CH₃CO₂H-H₂O, 15:20:60:24). Found, %: C 48.31, H 7.80, N 14.17. C₃₆H₅₇N₉O₁₁·6H₂O. Calculated, %: C 48.04, H 7.73, N 14.01. Amino acid analysis: Pro 1.00, Lys 1.78, Glu 2.07, Tyr 0.85.

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The study of the lipotropic activity of compound (I) *in vivo* (rabbits) and *in vitro* (rat and rabbit fatty tissue) showed that the hexapeptide (I) is capable of stimulating lipolysis, but its fat-mobilizing activity is lower than that of fragment 31-44 of human growth hormone.

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SYNTHESIS OF ONE OF THE SEX PHEROMONES OF

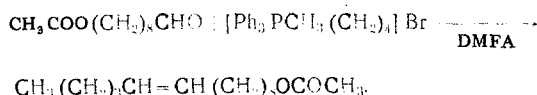
Heliothis levre — cis-TETRADEC-9-EN-1-OL ACETATE

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A number of the known methods for the synthesis of pheromones require the use of expensive starting materials, which makes it necessary to continue investigations in this direction.

cis-Tetradec-9-en-1-ol acetate is the active component of the sex pheromones of a number of insects such as *Ostrinia nubilalis* and *Anagasta kuniella* [1-4], including the pests of the cotton plant, the bollworm *Heliothis armigera* and the corn ear worm *Heliothis zea* [5, 6]. We have performed the synthesis of cis-tetradec-9-en-1-ol acetate, consisting in the condensation of 9-monoacetoxynonan-1-al and pentyltriphenylphosphonium bromide.



By the acetylation and subsequent oxidation of nonane-1,9-diol we obtained 9-acetoxynonan-1-al. cis-Tetradec-9-en-1-ol acetate was synthesized by Wittig reaction. To sodium methanolate was added 4.42 g (0.01 mole) of pentyltriphenylphosphonium bromide in 15 ml of freshly distilled dimethylformamide and the resulting dark red solution of phosphorane was stirred at 25°C for 2 h, and then at 0°C, 1.41 g (0.01 mole) of 9-acetoxynonan-1-al was added. The mixture was stirred for 4 h and was left overnight. Then 50 ml of water was added and the reaction mixture was extracted with ether (3 × 50 ml). The extract was evaporated, and distillation of the residue yielded 1.12 g (61.8%) of a substance consisting of a mixture of geometric isomers. bp 146-148/3 mm, n_D^{22} 1.4426. The cis-trans isomers (92:8) were separated by thin-layer chromatography on silica gel impregnated with silver nitrate in the solvent system benzene-pentane (80:20).

The isomers had R_f 0.26 and 0.43, respectively. The cis isomer had n_D^{22} 1.4448.

The IR spectrum contains absorption bands at (cm^{-1}) 1670 (C=C). 1450 cm^{-1} (deformation vibrations of $-\text{OCH}_3$), and 1165 cm^{-1} (C=O bond of a $-\text{OCOCH}_3$ group).

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