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Synthesis of New Tetrazolyl Derivatives of L- and D-Phenylalanine

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Abstract—New tetrazolyl derivatives of L- and D-phenylalanine were synthesized by azidation of *n*-propyl esters of (2*S*)- and (2*R*)-2-{[(9*H*-fluoren-9-ylmethoxy)carbonyl]amino}-3-(4-aminophenyl)propionic acids and by a series of subsequent chemical transformations. The structure and individuality of the compounds obtained were confirmed by using a complex of spectral and chromatographic methods.

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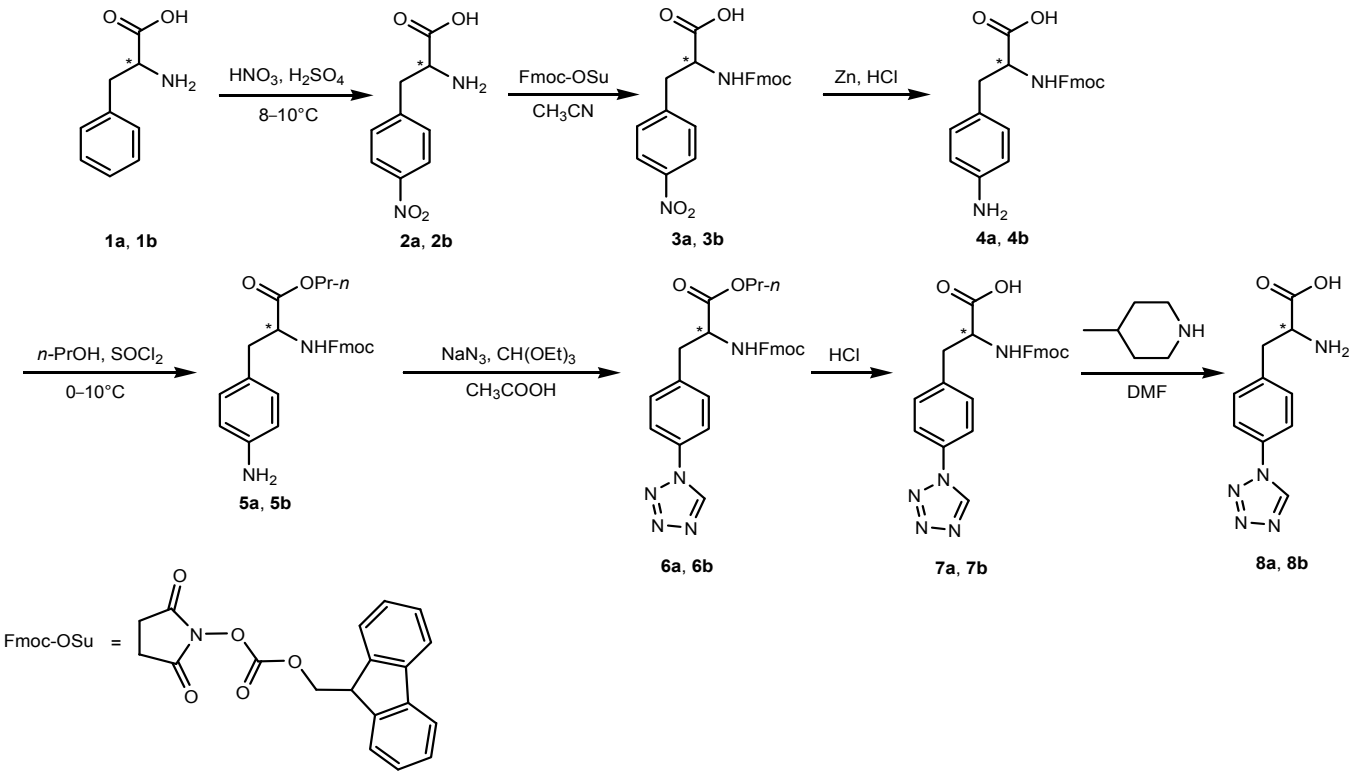
Tetrazolyl pharmacophore fragment which very seldom occurs in the nature nevertheless is widely used in developing highly efficient drugs [1–3]. Introduction of a tetrazolyl fragment to a molecule of the biologically active substrate quite often results not only in increasing its efficiency but also in more prolonged action with decreased acute toxicity [2]. It is known that the 1*H*-tetrazolyl fragment is a bioisosteric analog of carboxylic, *cis*-amide and some other functional groups [3–6]. The approach to modification of amino acids by introduction into their structure the tetrazolyl fragments allowed to design of a number of highly efficient pharmaceuticals of various action: antihypertensive drug valsartan, semi-synthetic antibiotic of a broad spectrum of activity cefazolin, a number of new agonists and antagonists of glutamate receptors, drugs for diagnostics, etc. [3]. Optically active tetrazole-bearing analogs and derivatives of amino acids can be used further in synthesis of peptidomimetics as we have earlier demonstrated with an octreotide analog [7]. Synthesis of amino acids analogs and derivatives is possible in a variety of ways: an aminolysis of halocarboxylic acids, Streker synthesis, as well as hydantoin synthesis and synthesis with malonic ester [8]. However, in the course of these reactions racemates formation occurs. It is possible to obtain enantiomerically pure compounds when using natural amino acids as initial substrates, and also applying common procedures for the synthesis of optically pure compounds.

Earlier some tetrazolyl derivatives of L-phenylalanine were described. So, the synthesis of a 4-(tetrazol-5-yl)phenylalanine derivative was described which was planned to be used further in solid-phase synthesis of peptidomimetics [9].

In the present work the derivatives L-and D-phenylalanine containing tetrazolyl-1 fragment in the position *4* of a benzene ring were synthesized for the first time. The structure and individuality of the obtained compounds were confirmed by a combination of physical and chemical methods of analysis: ^{1}H and ^{13}C NMR, IR spectroscopy, mass spectrometry, and TLC.

The synthesis of target compounds was carried out according to the scheme. In the first stage we carried out the nitration of initial L-and D-phenylalanines **1a** and **1b** with a mixture of sulfuric and nitric acids at 8–10°C within 3 hours according to the procedure [10, 11]. The reaction proceeded with high yield of nitro compounds **2a** and **2b**, their properties corresponded to the known data [10, 11]. In the next stage the α-amino function of amino acid was protected. We have used 9-fluorenylmethoxycarbonyl (Fmoc) protective group which is rather stable in acid conditions, and the obtained Fmoc-derivatives **3a** and **3b** as well as products of their further transformations can be directly used in solid-phase synthesis of peptides [7]. In ^{1}H NMR spectrum of compounds **3a** and **3b** there



S-isomer (**a**), *R*-isomer (**b**).

are characteristic signals of the methylene and the methine groups of the amino acid and of the fluorenylmethyl fragment. In ^{13}C NMR spectrum two characteristic signals of carbon atoms of carboxy and amide groups appear at 173.27 and 156.39 ppm, the group of nine signals in the region of 120.56–146.75 ppm corresponds to 18 aromatic atoms of carbon, and in the upfield region there are four signals of carbon atoms of aliphatic groups at 36.62, 47.03, 55.25, and 66.03 ppm. In the IR spectra of compounds **3a** and **3b** strong absorption bands of nitro group at 1350 and 1535 cm^{-1} are observed and also urethane and carboxy groups at 1693 and 1724 cm^{-1} are revealed.

For the reduction of the nitro group we used the system Zn – HCl – 2-propanol. It was shown by NMR data that in the course of the reaction about 30% of isopropyl ether of *N*-[(9*H*-fluoren-9-ylmethoxy)carbonyl]-4-amino-L(D)-phenylalanine formed as a by-product. To convert it to carboxylic acid form it was isolated from the reaction mixture and boiled in 20% hydrochloric acid for 6 hours. In the ^{1}H NMR spectrum of compounds **4a** and **4b** the doublet signals of aromatic benzene ring shifted upfield (6.48 and 6.91 ppm). In the IR spectra of the compounds **4a** and **4b** there were no characteristic absorption of nitro groups.

Synthesis of tetrazoles **6a** and **6b** was carried out by interaction of amine with sodium azide and triethyl orthoformate in acetic acid (see the scheme) [12–14]. Amines of aliphatic, aromatic, and heterocyclic series [12] can enter this reaction. Propyl esters **5a** and **5b**, were used as substrates in the heterocyclization since they afforded the best yields in the reaction and no tarring occurred. Esters **5a** and **5b** were prepared from the corresponding carboxylic acid **4a** and **4b** by treating with *n*-propanol in the presence of thionyl chloride. In the ^{1}H NMR spectrum of compounds **6a** and **6b** there is a characteristic signal from the CH group of 1*H*-tetrazolyl fragment at 10.03 ppm and doublets of the aromatic protons of the *para*-substituted benzene ring are shifted to 7.54 and 7.63 ppm. The ^{13}C NMR spectrum has a characteristic signal of the endocyclic carbon atom of the 5-unsubstituted 1*H*-tetrazole at 142.61 ppm. Successive treating of compounds **6a** and **6b** with hydrochloric acid to hydrolyze the ester and by 4-methylpiperidine in DMF for removing Fmoc-protecting group afforded in good yield (2*S*)- and (2*R*)-3-[4-(1*H*-tetrazol-1-yl)phenyl]-2-aminopropionic acids **8a** and **8b**. Thus, for the first time in this study we have synthesized derivatives of L - and D-phenylalanine containing tetrazolyl fragment

in the *4* position of the benzene ring, which may be used for the synthesis of peptidomimetics, and other practically important compounds.

EXPERIMENTAL

^{1}H, ^{13}C NMR spectra were registered on spectrometers Varian DPX-300 (at operating frequencies 300.0 and 75.5 MHz respectively) and Bruker Avance-III-400 (operating frequencies 400 and 100 MHz respectively) at 25°C using the residual signal of the solvent as an internal reference.

Mass spectra were measured on high-resolution instruments Bruker MicroTOF and Bruker Daltonik GmbH "MaXis".

IR spectra were taken on a spectrophotometer Shimadzu FTIR 8400 from pellets with KBr. Melting points were measured on a device PTP with heating rate of 1 deg/min in the melting range. The specific rotation angles of the polarization plane of monochromatic light $[\alpha]_D^t$ were measured with an instrument Automatic Polarimeter AA-55. Monitoring of the reaction progress was carried out by TLC on Silufol UR-254, Merck Kieselgel $60F_{254}$ plates using eluent systems, individually selected for each experiment.

(2*S*)- and (2*R*)-2-Amino-3-(4-nitrophenyl)propionic acids 2a and 2b were obtained as described in [10]. A mixture of 44 mL of conc. HNO_3 and 34.6 mL of conc. H_2SO_4 was added dropwise to a solution of 50 g (0.303 mol) of L(D)-phenylalanine in 150 mL of 85% H_2SO_4, pre-cooled to 10°C. The reaction mixture was stirred for 3 hours at 8–10°C, then the reaction mixture was adjusted to pH 6 by addition of NaOH aqueous solution. The precipitate was filtered off and dried. The reaction product was recrystallized from water. Yield 91% (**2a**), 89% (**2b**). mp 240°C (mp 239–241°C [10]). IR spectrum ν, cm^{-1}: 3294, 2889, 1701, 1620, 1535, 1443, 1350, 880, 864, 745, 698, 525. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.90–4.00 m (5H, CH, CH_2, NH_2), 7.55 d ($2H_{arom}$, ^3J 8.5 Hz), 8.15 d ($2H_{arom}$, ^3J 8.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 40.79 (CH_2), 57.28 (CH), 128.15, 129.79, 145.41, 168.48 (C_{arom}), 172.84 (COOH). Mass spectrum (ESI), *m*/*z*: 211.0713 $[M + H]^+$. $C_9H_{10}N_2O_4$. Calculated *M* 210.0641.

(2*S*)- and (2*R*)-2-{[(9H-fluoren-9-ylmethoxy)carbonyl]amino}-3-(4-nitrophenyl)propionic acids 3a and 3b. A solution of 80 mL of acetonitrile was added to a suspension of 37.7 g (0.179 mol) of acid **2a** and **2b** in 200 mL of 2% NaOH aqueous solution until complete dissolution. A solution of 61.8 g (0.183 mol) of suspension of Fmoc-OSu in 100 mL of acetonitrile was added to this solution. The reaction mixture was stirred for 3 hours at room temperature and acidified with 5% HCl to pH 4-5. The precipitated white crystals were filtered off, washed with ethyl acetate, and dried. The reaction product was recrystallized from ethanol-dioxane mixture, 50 : 50. Yield 67% (**3a**), 71% (**3b**). mp 230°C (mp 233–234°C [10]). IR spectrum ν, cm^{-1}: 3429, 3202, 1724, 1693, 1601, 1520, 1447, 1354, 1223, 1057, 856, 760, 741. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.90–3.09 m (1H, CH_2), 3.25 d.d (1H, CH_2, ^2J 13.7, ^3J 4.3 Hz), 4.13–4.34 m (4H, $2CH_2$, 2CH), 7.23–7.45 m ($4H_{arom}$), 7.54 d ($2H_{arom}$, ^3J 8.6 Hz), 7.60–7.64 m ($2H_{arom}$), 7.79 d (1H, NH, ^3J 8.6 Hz), 7.88 d ($2H_{arom}$, ^3J 7.5 Hz), 8.14 d ($2H_{arom}$, ^3J 8.6 Hz), 12.93 s (1H, COOH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 36.62 (CH_2), 47.03 (CH), 55.25 (CH), 66.03 (CH_2), 120.56, 123.69, 125.62, 127.45, 128.07, 130.94, 141.16, 144.20, 146.73 (C_{arom}), 156.39 (CONH), 173.27 (COOH). Mass spectrum (ESI), *m*/*z*: 455.1214 $[M + Na]^+$. $C_{24}H_{20}N_2O_6$. Calculated *M* 432.1321.

(2*S*)- and (2*R*)-2-{[(9*H*-fluoren-9-ylmethoxy)carbonyl]amino}-3-(4-aminophenyl)propionic acids (4a and 4b). Small portions of hydrochloric acid and zinc metal powder were added in succession to a suspension of 51.3 g (0.119 mol) **3a** and **3b** acids in a mixture of 800 mL of 2-propanol and 600 mL of water. The reaction mass was heated, the initial compound dissolved. Monitoring consumption of the starting compounds was performed by TLC. After completion of the reaction, 2-propanol was distilled off the mixture (pH 1) at a reduced pressure. The precipitate was boiled in 20% hydrochloric acid for 6 h. After cooling the resulting suspension was neutralized with aqueous sodium acetate to pH 4–5. The precipitate was filtered off and dried. Yield 89% (**4a**), 87% (**4b**), mp 214–215°C (mp 218–219°C [10]). IR spectrum ν, cm^{-1}: 3310, 2889, 2361, 1686, 1597, 1516, 1450, 1404, 1254, 1038, 737. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.70–2.78 m (1H, CH_2), 2.92 d.d (1H, CH_2, ^2J 13.7, ^3J 4.1 Hz), 4.02–4.26 m (4H, $2CH_2$, 2CH), 6.48 d ($2H_{arom}$, ^3J 8.0 Hz), 6.91 d ($2H_{arom}$, ^3J 8.0 Hz), 7.28–7.68 m (7H, $6CH_{arom}$, NH), 7.82 d ($2H_{arom}$, ^3J 7.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 36.55 (CH_2), 47.10 (CH), 56.83 (CH), 65.97 (CH_2), 114.20, 120.53, 125.72, 127.54, 128.07, 130.06, 141.13, 144.29, 147.28 (C_{arom}), 156.13 (CONH),

173.95 (COOH). Mass spectrum (ESI), *m*/*z*: 425.1472 $[M + Na]^+$. $C_{24}H_{22}N_2O_4$. Calculated *M* 402.1580.

***n*-Propyl (2*S*)- and (2*R*)-2-{[(9*H*-fluoren-9-ylmethoxy)carbonyl]amino}-3-(4-aminophenyl)propionates 5a and 5b.** A solution of 38 g (0.319 mol) of thionyl chloride was added dropwise to a solution of 20 g (0.05 mol) of acid **4a** and **4b** in 200 mL of 1-propanol with stirring at 0–10°C. The reaction mixture was stirred for 3 h at room temperature. The precipitate was filtered off, washed with aqueous sodium acetate and water, and dried. Yield 79% (**5a**), 70% (**5b**), mp 163°C. IR spectrum ν, cm^{-1}: 3325, 2882, 1693, 1620, 1516, 1447, 1258, 1196, 760, 737. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.89 t (3H, CH_3, ^3J 7.4 Hz), 1.55–1.63 m (2H, CH_2), 2.72–2.82 m (1H, CH_2), 2.88 d.d (1H, CH_2, ^2J 8.5, ^3J 5.2 Hz), 4.00 t (2H, CH_2, ^3J 6.5 Hz), 4.12–4.23 m (4H, $2CH_2$, 2CH), 5.35 br.s (2H, NH_2) 5.35 br.s (2H, NH_2), 6.53 d ($2H_{arom}$, ^3J 8.0 Hz), 6.93 d ($2H_{arom}$, ^3J 8.0 Hz), 7.25–7.70 m (7H, $6CH_{arom}$, NH), 7.83 d ($2H_{arom}$, ^3J 7.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 10.62 (CH_3), 21.93 (CH_2), 36.55 (CH_2), 47.05 (CH), 56.26 (CH), 66.11 (CH_2O), 66.43 (OCH_2), 119.24, 120.57, 125.68, 127.52, 128.11, 13 0.42, 131.87, 138.55, 141.17, 144.20 (C_{arom}), 156.38 (CONH), 172.36 (COOPr-*n*). Mass spectrum (ESI), *m*/*z*: 467.1941 $[M + Na]^+$. $C_{27}H_{28}N_2O_4$. Calculated *M* 444.2049.

***n*-Propyl (2*S*)- and (2R)-3-[4-(1*H*-tetrazol-1-yl)-phenyl]-2-{[(9*H*-fluoren-9-ylmethoxy)carbonyl]-amino}-propionates 6a and 6b.** A solution of 0.51 g (0.008 mol) of NaN_3 was added to a suspension of 3 g (0.007 mol) of propyl esters **5a** and **5b** in 3 mL of glacial acetic acid and 1.45 g (0.01 mol) of triethyl orthoformate. The reaction mixture was stirred at 100-105°C (bath temperature) for 5 hours, then poured into water (100 mL). The precipitate was filtered off, washed with water, and dried. The reaction product was recrystallized from a mixture of 2-propanol-water, 1 : 1. Yield 1.92 g (57%) (**6a**), 1.8 g (54%) (**6b**), mp 121°C. IR spectrum ν, cm^{-1}: 3325, 3128, 2966, 2889, 1732, 1693, 1520, 1447, 1261, 737. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.86 t (3H, CH_3, ^3J 7.4 Hz), 1.50–1.56 m (2H, CH_2), 2.97–3.09 m (1H, CH_2), 3.18 d.d (1H, CH_2, ^2J 13.8, ^3J 5.0 Hz), 4.03 t (2H, CH_2, ^3J 6.5 Hz), 4.12–4.30 m (4H, $2CH_2$, 2CH), 7.25–7.45 m ($4CH_{arom}$), 7.54 d ($2H_{arom}$, ^3J 8.4 Hz), 7.63 d ($2H_{arom}$, ^3J 5.9 Hz), 7.81–7.92 m (5H, $4CH_{arom}$, NH), 10.03 s ($1H_{heter}$). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 10.61 (CH_3), 21.93 (CH_2), 36.34 (CH_2), 47.03 (CH), 56.74 (CH), 66.09 (CH_2O), 66.58 (OCH_2) 120.57, 121.43, 125.60, 127.47, 128.08, 131.20, 141.16 (C_{arom}), 142.61 (C_{heter}), 144.17 (C_{arom}), 156.41 (CONH), 172.11 (COOPr-*n*). Mass spectrum (ESI), *m*/*z*: 498.2136 $[M + H]^+$, 520.1958 $[M + Na]^+$. $C_{28}H_{27}N_5O_4$. Calculated *M* 497.2063.

(2*S*)- and (2*R*)-3-[4-(1*H*-Tetrazol-1-yl)phenyl]-2-{[(9*H*-fluoren-9-ylmethoxy)carbonyl]amino}propionic acids 7a and 7b. 1.8 g (0.004 mol) of compounds **6a** and **6b** were boiled in 20% HCl for 8 hours. The precipitate was filtered off, washed with water, and dried. The reaction product was recrystallized from a mixture of 2-propanol-water, 1 : 1. Yield 79%, $[\alpha]_D^{23.5}$ –15.05 (*c* 0.3, DMF) (**7a**); yield 76%, $[\alpha]_D^{23.5}$ +15.05 (*c* 0.3, DMF) (**7b**), mp 163°C. IR spectrum ν, cm^{-1}: 3310, 3067, 2924, 2855, 2361, 1693, 1543, 1520, 1447, 741, 1265, 760. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.95–3.04 m (1H, CH_2), 3.21 d.d (1H, CH_2, ^2J 9.5, ^3J 4.0 Hz), 4.12–4.30 m (4H, $2CH_2$, 2CH), 7.25–7.45 m ($4H_{arom}$), 7.54 d ($2H_{arom}$, ^3J 8.1 Hz), 7.64 d ($2H_{arom}$, ^3J 7.4 Hz), 7.70–7.91 m (5H, $4CH_{arom}$, NH), 10.02 s ($1H_{heter}$). ^{13}C NMR spectrum (DMSO -d_6), δ, ppm: 36.46 (CH_2), 47.05 (CH), 55.80 (CH), 66.07 (CH_2O), 120.54, 121.34, 125.66, 127.48, 128.07, 131.20, 132.64, 140.50, 141.15 (C_{arom}), 142.60 (C_{heter}), 144.20 (C_{arom}), 156.41 (CONH), 172.11 (COOH). Mass spectrum (ESI), *m*/*z*: 478.1486 $[M + Na]^+$. $C_{25}H_{21}N_5O_4$. Calculated *M* 455.1594.

(2*S*)- and (2*R*)-3-[4-(1*H*-tetrazol-1-yl)phenyl]-2-amino-propionic acids 8a and 8b. A 20% solution of 4-methylpiperidine in 20 mL of DMF was added to 1 g (0.002 mol) of acids **7a** and **7b**. The suspension was stirred for 2 hours at room temperature. The reaction mixture was poured into 50 mL of water, the precipitate was filtered off. The filtrate was evaporated in a vacuum. The residue was recrystallized from ethanol. Yield 0.47 g (92%), $[\alpha]_D^{23.5}$ +2.5 (*c* 0.2, 0.01 M HCl) (**8a**); yield 0.48 g (94%), $[\alpha]_D^{23.5}$ –2.5 (*c* 0.2, 0.01 M HCl) (**8b**), temp. decomp. 250°C. ^{1}H NMR spectrum (DCl–D_2O), δ, ppm: 3.24 m (CH_2), 4.34 m (1H, CH), 7.45 d (2H, $2CH_{Ar}$, ^3J 8.0 Hz), 7.66 d (2H, $2CH_{Ar}$, ^3J 8.0 Hz), 9.52 s (1H, tetrazol-1-yl). ^{13}C NMR spectrum (DCl–D_2O), δ, ppm: 35.1 (CH_2), 53.7 (CH), 122.0 (CH_{Ar}), 131.1 (CH_{Ar}), 133.0 (CH_{Ar}), 136.4 (CH_{Ar}), 142.26 (CH, tetrazol-1-yl), 170.9 (COOH). Mass spectrum (ESI), *m*/*z*: 234.0997 $[M + H]^+$. $C_{10}H_{11}N_5O_2$. Calculated *M* 233.0913.

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