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SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF NEW 4-(2-PHENYL-4-QUINOLYLCARBAMOYL)BENZOIC ACID DERIVATIVES

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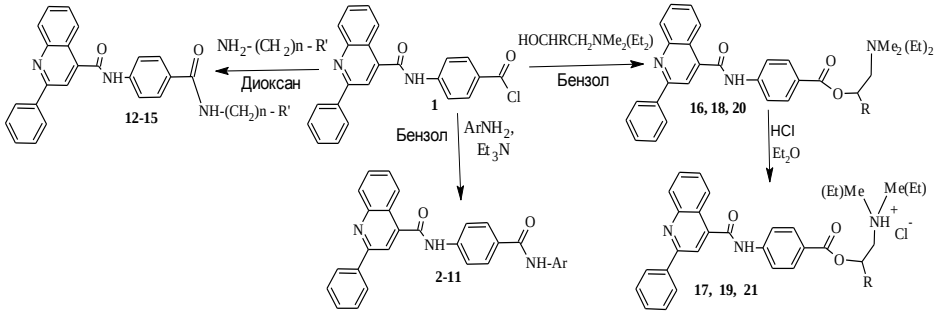
As a result of the N-acylation reaction of some primary aromatic amines and substituted alkylamines by 4-(2-phenyl-4-quinolylcarbamoyl)benzoic acid chloride, new benzamides - N1-(substituted phenyl)-4-(2-phenyl-4-quinolylcarbamoyl)-, N1-(substituted alkyl)-4-(2-phenyl-4-quinolylcarbamoyl) benzamides were obtained. O-acylation yielded 2-dialkylaminoalkyl-4-(2-phenyl-4-quinolyl-carbamoyl)benzoates and N,N-dialkyl-2-[(4-{2-phenyl-4-quinolylbenzamido}benzoyl) oxy]ethane-, propane-1-ammonium chlorides. The antibacterial properties of the compounds with respect to gram-positive staphylococci and gram-negative rods were studied. It was found that the products of N-acylation exhibited weak antimicrobial activity against all strains used (d = 10-14 mm). When replacing the benzamide group with dialkylaminoalkyl, the activity of compounds significantly increased (d = 16-22 mm).

References 8.

Due to the developing resistance to many antibiotics, doctors face infections for which there is no effective therapy. Therefore, there is a high demand for new drugs for the treatment of bacterial infections, especially caused by resistant bacterial strains [1-5]. The aim of this work is the synthesis of new antibacterial agents in a series of derivatives of 4-(2-phenyl-4-quinolylcarbamoyl)benzoic acid in the N- and O-acylation reactions of various primary aromatic amines, substituted alkylamines and aminoalkanols. The acylating reagent in the presented syntheses is 4-(2-phenyl-4-quinolylcarbamoyl)benzoic acid chloride **1**. As a result of the N-acylation reaction of some primary aromatic amines and substituted alkylamines, new benzamides were obtained – N1-(substituted phenyl)-4-(2-phenyl-4-quinolylcarbamoyl)- and N1-(substituted alkyl)-4-(2-phenyl-4-quinolylcarbamoyl)benamides **2-15**.

O-acylated compounds **16, 18, 20** – 2-dialkylaminoalkyl-4-(2-phenyl-4-quinolylcarbamoyl)benzoates were obtained by heating a mixture of the corresponding substrates with 4-(2-phenyl-4-quinolyl-carbamoyl)benzoic acid chloride in dry benzene. Then, by exposure to an ethereal solution of hydrogen chloride, the corresponding N,N-dialkyl-2-[(4-{2-phenyl-4-quinolyl benzamido} benzoyl)oxy]ethane-, propane-1-ammonium chlorides **17, 19, 21** were obtained. The initial starting compound, which is the pharmaceutical preparation of atofan - 2-phenyl-4-quinolinecarboxylic acid, was obtained by the well-known Pfitzinger reaction [6] and converted to the acid chloride.

Scheme



2 - 11: Ar = 4-Br-C_6H_4 (**2**), 3-NO_2-C_6H_4 **(3)**, 4-NO_2-C_6H_4 (**4**), 2-CH_3-C_6H_4 (**5**), 3-CH_3-C_6H_4 (**6**), 4-C H_3-C_6H_4 (**7**), 2-CH_3O-C_6H_4 **(8)**, 3-CH_3O-C_6H_4 (**19**), 4-CH_3O-C_6H_4 (**10**), 6-methyl-2-pyridyl (**11**). **12 - 15:** n = 2, R' = NMe_2 (**12**), NEt_2 (**13**); C_6H_5 (**14**), n = 3, R' = OCH_3 (**15**); **16, 18, 20:** R = H, NMe_2 (**16**), NEt_2 (**18**); R = CH_3, NMe_2 (**20**); R = H, NMe_2·HCI (**17**), NEt_2·HCI (**19**); R = CH_3, NMe_2·HCI (**21**).

The structure of the obtained compounds was confirmed by the data of IR, ^{1}H NMR spectroscopy, the composition – by elemental analysis. In the IR spectra of all benzamides **2-11** and aminoamides **12-15**, intense absorption bands of amide groups were found at 3348-3208 cm^{-1} (NH) and 1668-1597 cm^{-1} (C = O). In the IR spectra of compounds **16, 18, 20** strong absorption bands were observed for the stretching vibrations of carbonyl groups of COO at 1718-1711 cm^{-1}, of ether C-O in the region of 1100-1170 cm^{-1}.

The antibacterial activity of compounds **2-21** was studied according to the procedure [7] with a bacterial load of 20 *million* microbial bodies per 1 *ml* of medium. Gram-positive staphylococci (*Staphylococcus aureus* 209p, 1) and gram-negative bacilli (*Sh. Fleaneri* 6858, *E.coli* 0-55) were used in the experiments. Compounds were tested at a 1:20 dilution prepared in DMSO. On Petri dishes with crops of the above strains of microorganisms, solutions of the tested substances in a volume of 0.1 *ml* were applied. The results were taken into account according to the diameter (d, mm) of the zones of the absence of microorganism growth at the place of application of the substances after daily

cultivation of test cultures in a thermostat at 37°C. The known drug furazolidone was used as a positive control [8].

It was found that N-acylation products **2-15** exhibited weak antimicrobial activity against all strains used (d = 10-14 *mm*). When replacing a benzamide moiety with a dialkylaminoalkyl group **16-21**, the activity of the compounds increased significantly (d = 16-22*mm*), however, it was slightly inferior to the control drug furazolidone (d = 24-25*mm*).

Experimental part

IR spectra were recorded on a "Nicolet Avatar 330 FT-IR" spectrometer. ^{1}H NMR spectra were recorded on a "Mercury 300-VX" spectrometer with a resonant frequency of 300.08 *MHz*, in a DMSO + CF_3COOD solution; internal standard - TMS. The melting point of the obtained substances was determined on a Boetius instrument. The individuality of substances was monitored by TLC on "Silufol-254" plates in the system butanol – ethanol – acetic acid – water (8 : 2: 1: 3), and the developer was iodine pairs.

N-(2, 3, 4-Substituted phenyl)-4-(2-phenyl-4-quinolylcarbamoyl) benzamides (2-11). (General methodology). 0.01 *mol* of the corresponding amine and 1.0 *g* (0.01 *mol*) of Et_3N in 20 *ml* of dry benzene are added to (0.01 *mol*) of the acid chloride of the corresponding acid. The reaction mixture is boiled for 3 hours, then benzene is distilled off, cooled and 25 *ml* of water are added. Precipitation is observed. The contents are left overnight at room temperature, then the precipitate is filtered off, washed with water. The obtained crystalline products are recrystallized from ethanol – DMF (2 : 0.5).

N1-(4-Bromophenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (2). Yield 86%, mp 358-359 ^{o}C, Rf 0.64. IR spectrum, υ, cm^{-1}: 3315 (NH), 1645 (NHC = O). ^{1}H NMR spectrum, d, ppm: 7.39-7.44 m (2H, C_6H_4); 7.45-7.64m (4H, C_6H_4), 7.76-7.83 m (3H, C_6H_4); 7.94-8.05 m (4H, C_6H_4); 8.13-8.18 m (1H, C_6H_4); 8.25 s (1H, = CH); 8.28-8.37 m (3H, C_6H_4); 10.09 s (1H, NH); 10.88 s (1H, NH). Found, %: C 66.64; H 3.18; N 8.01; Br 15.28. $C_{29}H_{20}BrN_3O_2$. Calculated, %: C 66.68; H 3.86; N 8.04; Br 15.30.

N1-(3-Nitrophenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (3). Yield 71%, mp 241-243°C, Rf 0.63. IR spectrum, υ, cm^{-1}: 3260 (NH), 1668 (NHC = O). ^{1}H NMR spectrum, d, ppm: 7.48-7.60 m (4H, C_6H_4); 7.62-7.68 m (1H, C_6H_4), 7.7 9-7.85 m (1H, C_6H_4); 7.89 dd (1H, J1 8.2., J2 2.2, J3 0.9 Hz, H arom); 7.93-8.03 and 8.06-8.11 m (2H and 2H, C_6H_4); 8.24-8.28 m (1H, C_6H_4); 8.30 s (1H, = CH); 8.31-8.40 m (4H, C_6H_4); 8.81 t (1H, J1 2.2 Hz, C_6H_4); 10.46 s (1H, NH); 10.99 s (1H, NH). Found, %: C 66.63; H 3.81; N 8.01; Br 15.28. $C_{29}H_{20}N_4O_4$. Calculated, %: C 66.68; H 3.86; N 8.04; Br 15.30.

N1-(4-Nitrophenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (4). Yield 73%, mp. 239-241°C, Rf 0.61. IR spectrum, υ, cm^{-1}: 3326 (NH), 1661 (NHC = O). ^{1}H NMR spectrum, d, ppm: 7.45-7.64 m (4H, C_6H_4); 7.76-7.82m

(1H, C_6H_4), 7.97-8.09 m (4H, C_6H_4); 8.10-8.23 m (5H, C_6H_5); 8.25 s (1H, = CH); 8.28- 8.37 m (3H, C_6H_4); 10.53 s (1H, NH); 10.91 s (1H, NH). Found, %: C 66.64; H 3.82; N 8.01; Br 15.26. $C_{29}H_{20}N_4O_4$. Calculated, %: C 66.68; H 3.86; N 8.04; Br 15.30.

N1-(2-Tolyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (5). Yield 75%, mp 182-183°C, Rf 0.61. IR spectrum, υ, cm^{-1}: 3268 (NH), 1650 (NHC = O). ^{1}H NMR spectrum, d, ppm: 2.32 s (3H, CH_3); 7.08-7.24 m (3H, $C_6H_4CH_3$); 7.40 m (5H, C_6H_4 CH_3); 7.75-7.82 m (1H, H arom); 7.93-8.07 m (4H, C_6H_4); 8.13 -8.18 m (1H, C_6H_4); 8.25 s (1H, = CH); 8.28-8.37 m (3H, C_6H_4) 9.54 s (1H, NH); 10.84 s (1H, NH). Found, %: C 78.74; H 5.04; N 9.15. $C_{30}H_{23}N_3O_2$. Calculated, %: C 78.76; H 5.07; N 9.18.

N1-(3-Tolyl-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (6). Yield 71%, mp 192-194°C, Rf 0.61. IR spectrum, υ, cm^{-1}: 3270 (NH), 1650 (NHC = O). ^{1}H NMR spectrum, d, ppm: 2.38 s (3H, CH3); 6.84 br.s (1H, J 7.5, Hz, $C_6H_4CH_3$); 7.16 t (1H, J 7.8 Hz, $C_6H_4CH_3$); 7.48-7.69 m (6H, H arom); 7.80-7.86 m (1H, C_6H_4); 7.94-8.06 m (4H, C_6H_4); 8.26-8.41 m (4H, C_6H_4); 8.30 s (1H, = CH); 9.86 s (1H, NH); 10.96 s (1H, NH). Found, %: C 78.73; H 5.05; N 9.16. $C_{30}H_{23}N_3O_2$. Calculated, %: C 78.76; H 5.07; N 9.18.

N1-(4-Tolyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (7). Yield 73%, mp 197-199 °C, Rf 0.61. IR spectrum, υ, cm^{-1}: 3226 (NH), 1644 (NHC = O). ^{1}H NMR, d, ppm: 2.34 s (3H, CH_3); 7.06 -7.11 m (2H, C_6H_4); 7.45-7.69 m (6H, C_6H_4); 7.75-7.82 m (1H, H arom); 7.93-8.05 m (4H, C_6H_4); 8.14-8.19 m (1H, C_6H_4); 8.25 s (1H, = CH); 8.29 - 8.38 m (3H, C_6H_4); 9.86 s (1H, NH); 10.85 s (1H, NH). Found, %: C 78.72; H 5.02; N 9.17. $C_{30}H_{23}N_3O_2$. Calculated, %: C 78.76; H 5.07; N 9.18.

N1-(2-Methoxyphenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (8). Yield 79%, mp 182-183°C, Rf 0.58. IR spectrum, υ, cm^{-1}: 3280 (NH), 1650 (NHC = O). ^{1}H NMR spectrum, d, ppm: 3.97 s (3H, OCH_3); 6.92-7.10 m (3H, N arom); 7.45-7.65 m (4H, H arom); 7.76-7.82 m (1H, H arom); 7.93-8.03 m. (4H, C_6H_4); 8.14-8.19 m (1H, C_6H_4); 8.24 dd (1H, J1 7.8, J2 1.7 Hz, C_6H_4); 8.25 s (1H, = CH);); 8.28 - 8.32 m (1H, C_6H_4); 8.33-8.37 m (2H, C_6H_4); 8.85 s (1H, NH); 10.88 s (1H, NH). Found, %: C 76.08; H 4.89; N 8.85. $C_{30}H_{23}N_3O_3$. Calculated, %: C 76.09; H 4.90; N 8.87.

N1-(3-Methoxyphenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (9). Yield 77%, mp 191-193 °C, Rf 0.57. IR spectrum, υ, cm^{-1}: 3296 (NH), 1649 (NHC = O). ^{1}H NMR spectrum, d, ppm: 3.96 s (3H, OCH_3); 6.92-7.10 m (3H, N arom); 7.45-7.64 m (4H, H arom); 7.75-7.82 m (1H, H arom); 7.93-8.03 m. (4H, C_6H_4); 8.13-8.17 m (1H, C_6H_4); 8.21-8.25 m (1H, C_6H_4); 8.25 s (1H, = CH); 8.27 - 8.31 m (1H, C_6H_4); 8.31-8.37 m (2H, C_6H_4); 8.86 s (1H, NH); 10.88 s (1H, NH). Found,: C 76.06; H 4.87; N 8.86. $C_{30}H_{23}N_3O_3$. Calculated, %: C 76.09; H 4.90; N 8.87.

N1-(4-Methoxyphenyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (10). Yield 81%, mp 194-195 °C, Rf 0.58. IR spectrum, υ, cm^{-1}: 3306 (NH),

1639 (NHC = O). ^{1}H NMR spectrum, d, ppm: 3.79 s (3H, OCH_3); 6.81-6.86 m (3H, N arom); 7.45-7.64 m (3H, H arom); 7.67-7.73 m (2H, C_6H_4); 7.75-7.81 m (1H, C_6H_4); 7.92-8.04 m (4H, C_6H_4); 8.13-8.17 m (1H, C_6H_4); 8.25 s (1H, = CH); 8.29-8.37 m (3H, C_6H_4); 9.82c (1H, NH); 10.83 s (1H, NH). Found,: C 76.06; H 4.87; N 8.86. $C_{30}H_{23}N_3O_3$. Calculated: C 76.09; H 4.90; N 8.87.

N1-(6-Methyl-2-pyridyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (11). Yield 74%, mp 236-237°C, Rf 0.54. IR spectrum, υ, *cm*$^{-1}$: 3330 (NH), 1645 (NHC = O). ^{1}H NMR spectrum, d, ppm: 2.50 s (3H, OCH_3); 6.91 d (1H, J 7.5 Hz, C_6H_4); 7.45-7.67 m (5H, H arom); 7.75-7.82 m (1H, C_6H_4); 7.93-7.99 m (2H, C_6H_4); 8.07-8.18 m (4H, C_6H_4); 8.25 s (1H, = CH); 8.28-8.38 m (3H, C_6H_4); 10.10 s (1H, NH); 10.85 s (1H, NH). Found, %: C 76.06; H 4.87; N 8.86. $C_{29}H_{22}N_4O_2$. Calculated, %: C 75.97; H 4.84; N 12.22.

N1-(3-Diethylaminoethyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (13). Yield 75%, mp 240-241°C, Rf 0. 55. IR spectrum, υ, *cm*$^{-1}$: 3292 (NH), 1653, 1636 (NHC = O). ^{1}H NMR spectrum, d, ppm: 1.06 t [6H, J 7.2 Hz, N (CH_2CH_3)] 2; 2.56 q [4H, J 7.2 Hz, N (CH_2CH_3)] 2; 2.47 t (2H, J 6.7 Hz, NCH_2); 3.36 - 3.43 m (2H, $NHCH_2$); 7.44-7.63 m (4H, C_6H_4); 7.75-7.81 m (1H, C_6H_4); 7.81-7.96m (5H, C_6H_4 and NH); 8.12-8.18 m (1H, C_6H_4); 8.23 s (1H, = CH); 8.26-8.30 m (1H, C_6H_4); 8.32-8.36 m (2H, C_6H_4); 10.76 s (1H, NH). Found, %: C 74.63; H 6.45; N 12.00. $C_{29}H_{30}N_4O_2$. Calculated, %: C 74.65; H 6.48; N 12.01.

N1-Phenethyl-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (14). Yield 80%, mp 275-276°C, Rf 0.56. IR spectrum, υ, *cm*$^{-1}$: 3323 (NH), 1653, 1632 (NHC = O). ^{1}H NMR spectrum, d, pp m: 2.88-2.94 m (2H, CH_2); 3.49-3.57m (2H, NCH_2); 7.13-7.29 m (5H, C_6H_5); 7.45-7.64 m (4H, C_6H_4); 7.75-7.81 m (1H, C_6H_4); 7.84-7.93 m (4H, C_6H_4); 8.13-8.17 m (1H, C_6H_4); 8.23 s (1H, = CH); 8.24 t (1H, J 5.6 Hz, $NHCH_2$); 8.28-8.32 m (1H, C_6H_4); 8.33-8.37 m (2H, C_6H_4); 10.79 s (1H, NH). Found,: C 78.93; H 5.31; N 8.90. $C_{31}H_{25}N_3O_2$. Calculated, %: C 78.96; H 5.34; N 8.91.

N1-(3-Methoxypropyl)-4-(2-phenyl-4-quinolylcarbamoyl)benzamide (15). Yield 80%, mp 228-230°C, Rf 0.57. IR spectrum, υ, *cm*$^{-1}$: 3291 (NH), 1654 (NHC = O). ^{1}H NMR spectrum, d, ppm: 1.78-1.87 m (2H, CH2); 3.32 s (3H, OCH3); 3.32-3.39 m (2H, NCH_2); 3.44 t (2H., J 6.2 Hz, OCH_2); 7.44-7.63 m (4H, C_6H_4); 7.75-7.81 m (1H, C_6H_4); 7.83-7.91 m (4H, C_6H_4); 8.10 t (1H, J 5.7 Hz, NH); 8.13-8.17 m (1H, C_6H_4); 8.23 s (1H, = CH); 8.27-8.31 m (1H, C_6H_4); 8.32-8.37 m (2H, C_6H_4); 10.77 s (1H, NH). Found, %: C 73.76; H 5.74; N 9.53. $C_{27}H_{25}N_3O_3$. Calculated, %: C 73.79; H 5.73; N 9.56.

General procedure for the preparation of 4-(2-phenyl-4-quinolylcarbamoyl)benzoates (16-21). In a flask with a capacity of 150 *ml*, a solution of acid chloride (0.046 *mol*) in 35 *ml* of dry benzene is placed. Then, with cooling, 0.061 *mol* of aminopropanol dissolved in 35 *ml* of dry benzene is gradually added dropwise. Next, the mixture is boiled in a water bath for 6-7 *hours*, after cooling, it is treated with a saturated solution of potassium

carbonate. The benzene layer is separated, and the aqueous is extracted with benzene (3×50 *ml)*. The combined extracts are dried over sodium sulfate. Benzene is distilled off, the residue is an oily substance, crystallizes, recrystallized from a mixture of absolute ethanol and DMF (15: 5).

2-Dimethylaminoethyl-4-(2-phenyl-4-quinolylbenzamido)benzoate (16). Yield 69%, mp 173-175 °C, Rf 0.51. IR spectrum, ν, cm^{-1}: 3215 (NH); 1640 (NHC = O); 1711 (C = O). ^{1}H NMR spectrum, ppm: 1.00 t (6H, J 7.1 Hz, N[(CH_3)2]; 2.78 t (2H,, J 6.3 Hz, NCH_2); 4.31 t (2H, J 6.3 Hz, OCH_2) ; 7.44-7.61 m (4H, C_6H_4); 7.74-7.80m (1H, C_6H_4); 7.93-8.02 m (4H, C_6H_4); 8.12-8.16 m (2H, C_6H_4); 8.22 s (1H, = CH); 8.26-.8.31 m (1H, C_6H_4); 8.31-8.35 m (2H, C_6H_4); 10.88 s (1H, NH). Found, %: C 73.93; H 5.95; N 12.77. $C_{27}H_{25}N_3O_3$. Calculated, %: C 73.79; H 5.73; N 9.56.

N,N-Dimethyl-2-[(4-{2-phenyl-4-quinolylbenzamido}benzoyl)oxy] ethane-1-ammonium chloride (17). Yield 82%, mp 193-194°C, Rf 0.45. IR spectrum, ν, cm^{-1}: 1713 (C = O), 2365 (NH +). Found, %: C 68.11; H 5.44; N 8.81. $C_{27}H_{26}CIN_3O_3$. Calculated, %: C 68.13; H 5.46; N 8.83.

2-Diethylaminoethyl-4-(2-phenyl-4-quinolylbenzamido)benzoate (18). Yield 68%, mp 169-170°C, Rf 0.52. IR spectrum, ν, cm^{-1}: 3172 (NH); 1679 (NHC = O); 1716 (C = O). ^{1}H NMR spectrum, ppm: 1.06 t (6H, J 7.1 Hz, N [(CH_2CH_3)2]; 2.60 k (4H, J 7.1 Hz, N [(CH_2CH_3) 2]; 2.79 t (2H, J 6.3 Hz, NCH_2); 4.30 t (2H, J 6.3 Hz, OCH_2); 7.45-7.63 m (4H, C_6H_4); 7.75-7.81m (1H, C_6H_4); 7.94-8.01 m (4H, C_6H_4); 8.13- 8.17 m (2H, C_6H_4); 8.22 s (1H, = CH); 8.26-8.30 m (1H, C_6H_4); 8.32-8.36 m (2H, C_6H_4); 10.89 s (1H, NH). Found, %: C 73.93; H 5.95; N 12.77. $C_{29}H_{29}N_3O_3$. Calculated, %: C 74.50; H 6.25; N 8.99.

N,N-Diethyl-2-[(4-{2-phenyl-4-quinolylbenzamido}benzoyl)oxy]ethane-1-ammonium chloride (19). Yield 84%, mp. 197-199°C, Rf 0.55. IR spectrum, ν, cm^{-1}: 1722 (C = O), 2345 (NH +). Found, %: C 69.87; H 7.81; N 6.78. $C_{29}H_{30}CIN_3O_3$. Calculated,: C 69.88; H 7.82; N 6.79.

2-Dimethylamino-1-methylethyl-4-(2-phenyl-4-quinolylbenzamido)benzoate (20). Yield 71%, mp 163-165 °C, Rf 0.53. IR spectrum, ν, cm^{-1}: 3172 (NH); 1682 (NHC = O); 1776 (C = O). ^{1}H NMR spectrum, ppm: 1.54 d (3H, CH_3 CH, J 6.3 Hz); 2.88-2.89 both d (3H each, N (CH_3) 2, J 3.0 Hz); 3.47 dd (1H, CH_2, J1 14.0, J2 6.7, J3 2.3 Hz); 3.66 dd (1H, CH_2, J1 14.0, J2 9.2, J3 3.5 Hz); 4.16 dc (1H, OCH, J1 9.7, J2 6.3, J3 2.6 Hz); 7.44-7.61 m (4H, C_6H_4); 7.74-7.80 m (1H, C_6H_4); 7.93-8.02 m (4H, C_6H_4); 8.12-8.16 m (2H, C_6H_4); 8.22 s (1H, = CH); 8.26-8.8.31 m (1H, C_6H_4); 8.31-.8.35 m (2H, C_6H_4); 10.87 s (1H, NH). Found, %: C 74.11; H 6.01; N 9.21. $C_{28}H_{27}N_3O_3$. Calculated, %: C 74.15; H 6.00; N 9.26.

N,N-Dimethyl-2-[(4-{2-phenyl-4-quinolylbenzamido}benzoyl)oxy]propane-1-ammonium chloride (21). Yield 87%, mp 193-194°C, Rf 0.45. IR spectrum, ν, cm^{-1}: 1723 (C = O), 2345 (NH +). Found, %: C 68.62; H 5.71; N 8.56. $C_{28}H_{28}CIN_3O_3$. Calculated, %: C 68.64; H 5.72; N 8.58.

4-(2-ՖԵՆԻԼ-4-ՔԻՆՈԼԻԼԿԱՐԲԱՄՈԻԼ)ԲԵՆԶՈՅԱԿԱՆ ԹԹՎԻ ՆՈՐ ԱԾԱՆՑՅԱԼՆԵՐԻ ՍԻՆԹԵԶԸ ԵՎ ՀԱԿԱՄԱՆՐԷԱՅԻՆ ԱԿՏԻՎՈՒԹՅՈՒՆԸ

Ա. Ն. ԻՍԱԽԱՆՅԱՆ, Ա. Ա. ՀԱՐՈՒԹՅՈՒՆՅԱՆ, Ն. Ս. ՀԱՐՈՒԹՅՈՒՆՅԱՆ, Ա. Գ. ԱՌԱՔԵԼՅԱՆ, Ա. Ս. ՍԱՖԱՐՅԱՆ և Ա. Ա. ՇԱԽԱՏՈՒՆԻ

Որոշ առաջնային արոմատիկ ամինների և տեղակալված ալկիլամինների N-ացիլացման ռեակցիայի արդյունքում 4-(2-ֆենիլ)-4-(քինոլիլկարբամոյիլ)բենզոյական թթվի քլորանհիդրիդով սինթեզվել են նոր բենզամիդներ՝ N1-(տեղակալված ֆենիլ)-4-(2-ֆենիլ-4-քինոլիլկարբամոյիլ)-, N1-(տեղակալված ալկիլ)-4-(2-ֆենիլ-4-քինոլիլկարբամոյիլ)բենզամիդներ: O-Ացիլացմամբ սինթեզվել են 2-դիալկիլամինոալկիլ-4-(2-ֆենիլ-4-քինոլիլկարբամոյիլ)բենզոատներ և N,N-դիալկիլ-2-[(4-{2-ֆենիլ-4-քինոլիլբենզամիդո}բենզոյիլ)օքսի]էթան-, պրոպան-1-ամոնիումի քլորիդներ: Ուսումնասիրվել են միացությունների հակամանրէային ակտիվությունները գրամդրական ստաֆիլակոկկերի և գրամբացասական ցուպիկների նկատմամբ: Պարզվել է, որ N-ացիլացման արգասիքները թույլ հակամանրէային ակտիվություն են ցուցաբերում օգտագործված բոլոր շտամների նկատմամբ (d=10-14մմ): Երբ միացություններում բենզամի դային խումբը փոխարինվում է դիալկիլամինաալկիլային խմբով, հակամանրէային ակտի վությունը զգալիորեն մեծանում է (d = 16-22 մմ).

СИНТЕЗ И АНТИБАКТЕРИАЛЬНАЯ АКТИВНОСТЬ НОВЫХ ПРОИЗВОДНЫХ 4-(2-ФЕНИЛ-4-ХИНОЛИЛКАРБАМОИЛ)БЕНЗОЙНОЙ КИСЛОТЫ

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В результате реакции N-ацилирования хлорангидридом 4-(2-фенил-4-хинолилкарбамоил)бензойной кислоты некоторых первичных ароматических аминов и замещенных алкиламинов получены новые бензамиды – N1-(замещенные фенил)-4-(2-фенил-4-хинолилкарбамоил), N1-(замещенные алкил)-4-(2-фенил-4-хинолилкарбамоил)бензамиды. О-Ацилированием получены 2-диалкил аминоалкил-4-(2-фенил-4-хинолилкарбамоил)бензоаты и N,N-диалкил-2-[(4-{2-фенил-4-хинолил бензамидо}бензоил)окси]этан-, пропан-1-аммониум хлориды. Установлено, что продукты N-ацилирования проявляют слабую противомикробную активность в отношении всех использованных штаммов(d=10-14 *мм*). При замене бензамидной группировки на диалкиламиноалкильную активность соединений значительно повышается (d=16-22 *мм*).

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