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Synthesis and Chemical Transformations of *tert*-Butyl-4-vinyl-3,6-dihydro-2*H*-pyridine-1-carboxylate

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Abstract—Reaction of *tert*-butyl-1,2,3,6-tetrahydro-4-[(trifluoromethyl)sulfonyl]pyridine-1-carboxylate with tributylvinyltin in the presence of $Pd(PPh_3)_4$–LiCl afforded *tert*-butyl-4-vinyl-3,6-dihydro-2*H*-pyridine-1-carboxylate. The latter reacted with maleic anhydride to form *tert*-butyl-(3a*S*,9b*R*)-1,3-dioxo-4,6,7,9,9a,9b-hexahydro-3a*H*-furo[3,4-*h*]isoquinoline-8-carboxylate as the Diels–Alder *endo*-adduct. Reactions of this adduct with electrophilic and nucleophilic reagents, as well as the reduction and oxidation of its functional groups was performed.

Keywords: *tert*-butyl-4-vinyl-3,6-dihydro-2*H*-pyridine-1-carboxylate, tributylvinyltin, maleic anhydride, Diels–Alder reaction, nucleophilic substitution

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In extension on our previous studies [1] on the chemistry of piperidine derivatives exhibiting a wide spectrum of biological activity [2, 3], we report here on the first synthesis of *t*-butyl-4-vinyl-3,6-dihydro-2*H*-pyridine-1-carboxylate **II** obtained in 86% yield by reacting the available *tert*-butyl-1,2,3,6-tetrahydro-4-[(trifluoromethyl)sulfonyl]pyridine-1-carboxylate **I** [4] with tributylvinyltin in the presence of a catalytic system $Pd(PPh_3)_4$–anhydrous LiCl at boiling in tetrahydrofuran for 9 h (Scheme 1).

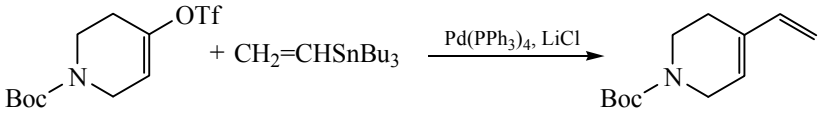
Due to the presence of conjugated diene system in the molecule pyridine **II** can be widely used in organic synthesis, for example, in the Diels–Alder reaction with various dienophiles [5]. The interest in this reaction is caused by applying it in the synthesis of biologically active [6] and naturally occurring [7] compounds.

In particular, we found that diene **II** reacted with maleic anhydride at reflux in toluene to afford a classical Diels–Alder adduct **III** in 92% yield. Moreover, by analogy with literature data [8–10] and according to ^{1}H NMR spectral data, compound **III** obtained by us was *endo*-isomer, which is thermodynamically more stable than the *exo*-isomer [5] (Scheme 2).

In the structure of **III** there are three reactive sites, namely, N-Boc fragment, anhydride group, and the C=C bond. In order to confirm the structure of **III** and the possibility its application as a promising intermediate in the synthesis of a variety of heterocyclic compounds we performed some chemical transformations.

Thus, similar to [11], 1,2,3,6-tetrahydropyridine **III** was Boc-deprotected with trimethylsilyl trifluoromethanesulfonate followed by the addition of acetic

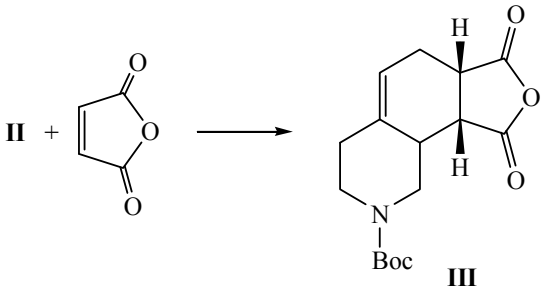
Scheme 1.



I **II**

Boc = $C(O)CMe_3$, Tf = SO_2CF_3.

Scheme 2.



anhydride or methanesulfonyl chloride as electrophilic reagent to give the corresponding *N*-acetylamide **IV** or *N*-methylsulfonylamide **V** (Scheme 3).

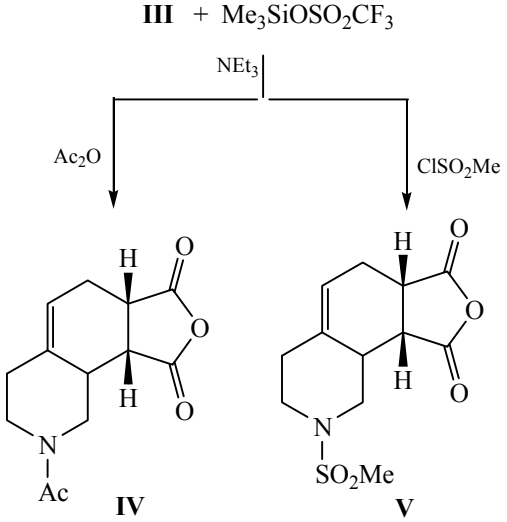
Reactions of compounds **III–V** with nucleophilic reagents occurred involving an anhydride group. In particular, similar to [8] the reaction of **III–V** with water resulted in dicarboxylic acids **VI–VIII** (Scheme 4).

The reactions of compounds **IV** and **V** with *N*-nucleophiles (aniline, phenylhydrazine, and semicarbazide), performed by procedures [12] afforded the corresponding cyclic imides **IX–XIV** (Scheme 5).

In addition, we carried out the catalytic hydrogenation [8] of the double bond in **IV** and **V** yielding saturated anhydrides **XV** and **XVI**. The latter were hydrolyzed to give the corresponding dicarboxylic acids **XVII** and **XVIII** (Scheme 6).

Finally, imide **IX** was converted into epoxy derivative **XIX** by oxidation of the double bond with peroxyformic acid according to the procedure in [12] (Scheme 7).

Scheme 3.



The composition and structure of the synthesized compounds **II–XIX** were confirmed by elemental analysis, IR, ^{1}H NMR spectroscopy, and GC-MS spectrometry.

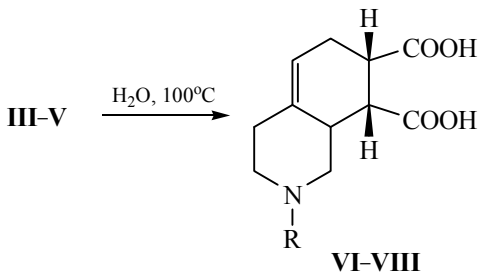
In summary, the preparatively accessible diene **II** is a multifunctional synthone in organic synthesis and may be used for creating piperidine and isoquinoline derivatives, which are potentially biologically active substances.

EXPERIMENTAL

IR spectra were recorded on a Specord 75 IR spectrometer from KBr pellets or thin layer. ^{1}H NMR spectra were registered on a Varian Mercury Plus-400 spectrophotometer (400 MHz) using $CDCl_3$ (**II–V**) or DMSO-d_6 as solvents and TMS as an internal reference. GC-MS spectra (APCI) were taken on a Surveyor MSQ Thermo Finnigan (USA). TLC analysis was performed on Silufol UV-254 plates eluting with hexane–ethyl acetate, 1:1 (A), ethyl acetate (B) or methanol–ethyl acetate, 1: 2 (C).

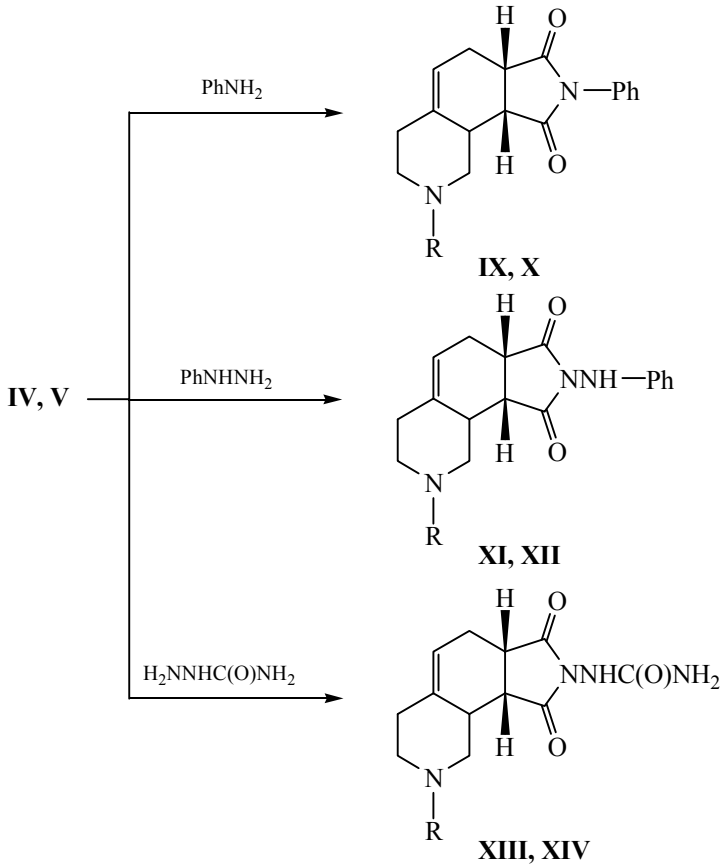
***tert*-Butyl-4-vinyl-3,6-dihydro-2*H*-pyridine-1-carboxylate (II).** A mixture of 0.634 g of **I** [4], 0.70 g of tributyltin, 0.25 g of anhydrous LiCl, and 0.05 g of tetrakis(triphenylphosphine)palladium(0) in 20 mL of anhydrous tetrahydrofuran was refluxed under argon atmosphere for 9 h (the reaction progress was monitored by TLC using a solvent system A). After cooling, a mixture of 10 mL of saturated KF solution and 25 mL of ethyl acetate was added to the reaction mixture. Then the mixture was stirred for 1 h and filtered. Aqueous layer was separated and extracted with 20 mL of ethyl acetate. Organic layers were combined, washed sequentially with water and saturated sodium chloride solution, and dried over Na_2SO_4. Then the solvent was removed, and the residue was chromatographed eluting with the solvent system A. Yield 0.359 g (86%). IR

Scheme 4.

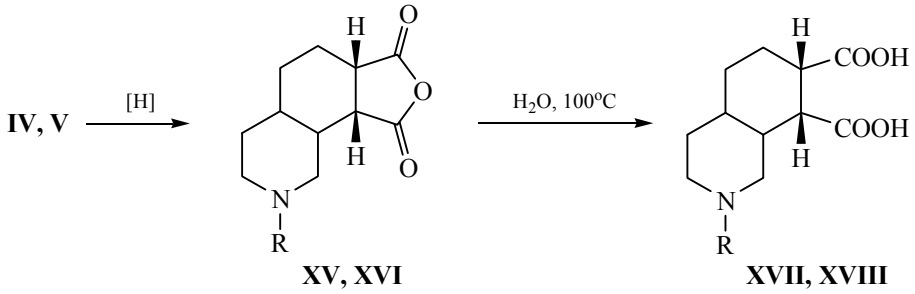


R = Boc (**VI**), Ac (**VII**), SO_2Me (**VIII**).

Scheme 5.



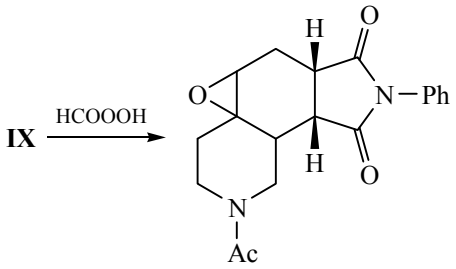
Scheme 6.



spectrum, ν, cm^{-1}: 1687 (C=O), 1622, 1603 (C=C). ^1H NMR spectrum, δ, ppm: 1.48 s (9H, CMe_3), 2.25 t (2H, CH_2, *J* 8.4 Hz), 3.57 t (2H, NCH_2, *J* 8.4 Hz), 4.00 d (2H, NCH_2, *J* 9.6 Hz), 5.00 d (1H, CH=, *J* 11.4 Hz), 5.12 d (1H, CH=, *J* 11.4 Hz), 5.68 s (1H, C=CH–C–N), 6.28–6.40 m (1H, CH=C). Mass spectrum, *m*/*z* (I_{rel}, %): 210.36 (100) $[M + H]^+$. Found, %: C 68.64; H 9.08; N 6.83. $C_{12}H_{19}NO_2$. Calculated, %: C 68.93; H 9.26; N 6.74. *M* 209.28.

***tert*-Butyl-(3a*S*,9b*R*)-1,3-dioxo-4,6,7,9,9a,9b-hexahydro-3a*H*-furo[3,4-*h*]isoquinoline-8-carboxylate (III).** A mixture of 0.327 g of **II**, 0.153 g of freshly distilled maleic anhydride, 0.01 g of 1,4-hydroquinone

Scheme 7.



XIX

in 3 mL of aqueous toluene was refluxed under argon atmosphere for 2 h (the reaction progress was monitored by TLC using a solvent systems A, B). After the solvent removal the residue was treated with hexane and cooled to –40°C. The formed precipitate was filtered off and dried at room temperature. Yield 0.44 g (92%), mp 68–70°C. IR spectrum, ν, cm^{-1}: 1738, 1708, 1685 (C=O), 1602 (C=C). ^1H NMR spectrum, δ, ppm: 1.50 s (9H, CMe_3), 2.22–2.75 m (7H, $2CH_2C{=}C$, CHC=C, 2CHC=O), 3.25–3.62 m (3H, NCH_2, NCH), 4.00–4.10 m (1H, NCH), 5.23 t (1H, CH=C, *J* 7.8 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 308.26 (28) $[M + H]^+$, 252.31 (100) $[M - 57 + 2H]^+$, 208.12 (36) $[M - 101 + 2H]^+$. Found, %: C 62.41; H 6.75; N 4.73. $C_{16}H_{21}NO_5$. Calculated, %: C 62.57; H 6.93; N 4.65. *M* 307.34.

(3a*S*,9b*R*)-8-Acetyl-4,6,7,9,9a,9b-hexahydro-3a*H*-furo[3,4-*h*]isoquinoline-1,3-dione (IV) was prepared by procedure reported in [11]. Yield 68%, mp 86–87°C. IR spectrum, ν, cm^{-1}: 1740, 1710, 1702 (C=O), 1605 (C=C). ^1H NMR spectrum, δ, ppm: 2.05 s (3H, CH_3), 2.18–2.64 m (7H, $2CH_2C{=}C$, CHC=C, 2CHC=O), 3.15–3.48 m (2H, NCH_2), 3.86–4.12 m (2H, NCH_2), 5.41 t (1H, CH=C, *J* 7.6 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 250.24 (100) $[M + H]^+$. Found, %: C 62.35; H 6.01; N 5.76. $C_{13}H_{15}NO_4$. Calculated, %: C 62.67; H 6.14; N 5.66. *M* 249.26.

(3a*S*,9b*R*)-8-Methylsulfonyl-4,6,7,9,9a,9b-hexahydro-3a*H*-furo[3,4-*h*]isoquinoline-1,3-dione (V) was prepared similarly to **IV**. Yield 74%, mp 108–109°C. IR spectrum, ν, cm^{-1}: 1738, 1711 (C=O), 1602 (C=C), 1325, 1176 (SO_2). ^1H NMR spectrum, δ, ppm: 2.20–2.62 m (7H, $2CH_2C{=}C$, CHC=C, 2CHC=O), 3.02 s (3H, SO_2CH_3), 3.18–3.42 m (2H, NCH_2), 3.94–4.15 m (2H, NCH_2), 5.58 t (1H, CH=C, *J* 8.1 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 286.41 (100) $[M + H]^+$. Found, %: C 50.16; H 5.24; N 5.06. $C_{12}H_{15}NO_5S$. Calculated, %: C 50.58; H 5.36; N 4.93. *M* 285.32.

(7*S*,8*R*)-2-*tert*-Butoxycarbonyl-3,4,6,7,8,8a-hexahydro-1*H*-isoquinoline-7,8-dicarboxylic acid (VI) was prepared by procedure reported in [8]. Yield 82%, mp 158–160°C (decomp.). IR spectrum, ν, cm^{-1}: 3620–2480 br (OH), 1706, 1700, 1686 (C=O), 1612 (C=C). ^1H NMR spectrum, δ, ppm: 1.49 s (9H, CMe_3), 1.98–2.15 m (4H, $2CH_2C{=}C$), 2.50–2.85 m (3H, CHC=C, 2CHC=O), 3.19–3.36 m (2H, NCH_2), 3.81–4.16 m (2H, NCH_2), 5.82–5.96 m (1H, CH=C), 11.36 br.s (2H, 2COOH). Mass spectrum, *m*/*z* (I_{rel}, %): 270.42 (100) $[M - 57 + 2H]^+$, 226.18 (45) $[M - 101 + 2H]^+$. Found, %: C 58.86; H 7.18; N 4.25. $C_{16}H_{23}NO_6$. Calculated, %: C 59.14; H 7.15; N 4.36. *M* 325.36.

(7*S*,8*R*)-2-Acetyl-3,4,6,7,8,8a-hexahydro-1*H*-isoquinoline-7,8-dicarboxylic acid (VII) was prepared similarly to **VI**. Yield 76%, mp 186–188°C (decomp.). IR spectrum, ν, cm^{-1}: 3630–2520 br (OH), 1718, 1709, 1700 (C=O), 1615 (C=C). ^1H NMR spectrum, δ, ppm: 2.03 s (3H, CH_3), 2.09–2.21 m (4H, $2CH_2C{=}C$), 2.62–2.90 m (3H, CHC=C, 2CHC=O), 3.16–3.40 m (2H, NCH_2), 3.85–4.31 m (2H, NCH_2), 5.90–5.95 m (1H, CH=C), 11.42 br.s (2H, 2COOH). Mass spectrum, *m*/*z* (I_{rel}, %): 268.12 (100) $[M + H]^+$. Found, %: C 58.26; H 6.32; N 5.22. $C_{13}H_{17}NO_5$. Calculated, %: C 58.43; H 6.47; N 5.28. *M* 267.28.

(7*S*,8*R*)-2-Methylsulfonyl-3,4,6,7,8,8a-hexahydro-1*H*-isoquinoline-7,8-dicarboxylic acid (VIII) was prepared similarly to **VI**. Yield 80%, mp 201–203°C (decomp.). IR spectrum, ν, cm^{-1}: 3620–2500 br (OH), 1716, 1706 (C=O); 1609 (C=C); 1324, 1169 (SO_2). ^1H NMR spectrum, δ, ppm: 2.12–2.24 m (4H, $2CH_2C{=}C$), 2.60–2.84 m (3H, CHC=C, 2CHC=O), 3.01 s (3H, SO_2CH_3), 3.17–3.38 m (2H, NCH_2), 3.84–4.28 m (2H, NCH_2), 5.91–5.97 m (1H, CH=C), 12.02 br.s (2H, 2COOH). Mass spectrum, *m*/*z* (I_{rel}, %): 304.12 (100) $[M + H]^+$. Found, %: C 47.42; H 5.36; N 4.51. $C_{12}H_{17}NO_6S$. Calculated, %: C 47.56; H 5.64; N 4.62. *M* 303.08.

(3a*S*,9b*R*)-8-Acetyl-2-phenyl-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinoline-1,3-dione (IX) was prepared by procedure reported in [12]. Yield 64%, mp 125–126°C. IR spectrum, ν, cm^{-1}: 1718, 1709, 1698 (C=O); 1600 (C=C). ^1H NMR spectrum, δ, ppm: 2.03 s (3H, CH_3), 2.06–2.48 m (7H, $2CH_2C{=}C$, CHC=C, 2CHC=O), 3.08–3.32 m (2H, NCH_2), 3.76–4.05 m (2H, NCH_2), 5.28 t (1H, CH=C, *J* 7.8 Hz), 6.81–7.12 m (5H, C_6H_5). Mass spectrum, *m*/*z* (I_{rel}, %): 325.31 (100) $[M + H]^+$. Found, %: C 70.12; H 6.24; N 8.46. $C_{19}H_{20}N_2O_3$. Calculated, %: C 70.29; H 6.17; N 8.63. *M* 324.37.

(3a*S*,9b*R*)-8-Methylsulfonyl-2-phenyl-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinoline-1,3-dione

(X) was prepared similarly to **IX**. Yield 71%, mp 142–143°C. IR spectrum, ν, cm^{-1}: 1720, 1709 (C=O); 1602 (C=C); 1322, 1175 (SO_2). ^1H NMR spectrum, δ, ppm: 2.05–2.53 m (7H, $2CH_2C$=C, CHC=C, 2CHC=O), 3.00 s (3H, SO_2CH_3), 3.14–3.38 m (2H, NCH_2), 3.75–4.08 m (2H, NCH_2), 5.37 t (1H, CH=C, *J* 8.1 Hz), 6.83–7.10 m (5H, C_6H_5). Mass spectrum, *m*/*z* (I_{rel}, %): 361.56 (100) $[M + H]^+$. Found, %: C 60.21; H 5.44; N 7.68. $C_{18}H_{20}N_2O_4S$. Calculated, %: C 60.07; H 5.66; N 7.83. *M* 360.43.

(3a*S*,9b*R*)-8-Acetyl-2-anilino-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinoline-1,3-dione (XI) was prepared similarly to **IX**. Yield 83%, mp 145–146°C. IR spectrum, ν, cm^{-1}: 3078 (NH); 1710, 1698, 1687 (C=O); 1602 (C=C). ^1H NMR spectrum, δ, ppm: 2.01 s (3H, CH_3), 2.05–2.34 m (7H, $2CH_2C$=C, CHC=C, 2CHC=O), 2.97–3.24 m (2H, NCH_2), 3.71–4.02 m (2H, NCH_2), 5.31 t (1H, CH=C, *J* 7.6 Hz), 6.01 s (1H, NH), 6.72–7.04 m (5H, C_6H_5). Mass spectrum, *m*/*z* (I_{rel}, %): 340.18 (100) $[M + H]^+$. Found, %: C 67.14; H 6.09; N 12.56. $C_{19}H_{21}N_3O_3$. Calculated, %: C 67.24; H 6.28; N 12.45. *M* 339.39.

(3a*S*,9b*R*)-2-Anilino-8-methylsulfonyl-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinoline-1,3-dione (XII) was prepared similarly to **IX**. Yield 85%, mp 154–155°C. IR spectrum, ν, cm^{-1}: 3120 (NH); 1718, 1704 (C=O); 1604 (C=C); 1325, 1172 (SO_2). ^1H NMR spectrum, δ, ppm: 2.03–2.41 m (7H, $2CH_2C$=C, CHC=C, 2CHC=O), 3.02 s (3H, SO_2CH_3), 3.08–3.31 m (2H, NCH_2), 3.58–3.91 m (2H, NCH_2), 5.24 t (1H, CH=C, *J* 8.3 Hz), 5.98 s (1H, NH), 6.75–7.06 m (5H, C_6H_5). Mass spectrum, *m*/*z* (I_{rel}, %): 376.26 (100) $[M + H]^+$. Found, %: C 57.42; H 5.51; N 11.43. $C_{18}H_{21}N_3O_4S$. calculated, %: C 57.64; H 5.66; N 11.27. *M* 375.44.

(3a*S*,9b*R*)-(8-Acetyl-1,3-dioxo-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinolin-2-yl)urea (XIII) was prepared similarly to **IX**. Yield 74%, mp 188–189°C. IR spectrum, ν, cm^{-1}: 3245, 3125 (NH), 1721, 1710, 1700, 1687 (C=O); 1598 (C=C). ^1H NMR spectrum, δ, ppm: 1.99 s (3H, CH_3), 2.08–2.36 m (7H, $2CH_2C$=C, CHC=C, 2CHC=O), 3.01–3.34 m (2H, NCH_2), 3.78–4.11 m (2H, NCH_2), 5.32 t (1H, CH=C, *J* 7.8 Hz), 7.84 s (1H, NH), 8.13 s (1H, NH), 9.78 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 307.12 (100) $[M + H]^+$. Found, %: C 54.71; H 5.93; N 18.54. $C_{14}H_{18}N_4O_4$. Calculated, %: C 54.95; H 5.96; N 18.37. *M* 306.32.

(3a*S*,9b*R*)-(8-Methylsulfonyl-1,3-dioxo-4,6,7,9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinolin-2-yl)urea (XIV) was prepared similarly to **IX**. Yield 79%, mp 202–203°C. IR spectrum, ν, cm^{-1}: 3281, 3154 (NH); 1720, 1708, 1685 (C=O); 1596 (C=C); 1328, 1168 (SO_2). ^1H NMR spectrum, δ, ppm: 2.09–2.36 m (7H, $2CH_2C$=C, CHC=C, 2CHC=O), 3.01 s (3H, SO_2CH_3), 3.12–3.41 m (2H, NCH_2), 3.62–3.98 m (2H, NCH_2), 5.36 t (1H, CH=C, *J* 8.4 Hz), 7.86 s (1H, NH), 8.19 s (1H, NH), 9.81 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 343.24 (100) $[M + H]^+$. Found, %: C 45.38; H 5.28; N 16.57. $C_{13}H_{18}N_4O_5S$. Calculated, %: C 45.67; H 5.36; N 16.44. *M* 342.37.

(3a*S*,9b*R*)-8-Acetyl-4,5,5a,6,7,9,9a,9b-octahydro-3a*H*-furo[3,4-*h*]isoquinoline-1,3-dione (XV) was prepared by procedure reported in [8]. Yield 76%, mp 103–104°C. IR spectrum, ν, cm^{-1}: 1742, 1712, 1701 (C=O). ^1H NMR, δ, ppm: 1.02–1.36 m (4H, $2CH_2$), 1.41–1.63 m (4H, CH_2, 2CH), 2.02 s (3H, CH_3), 2.19–2.34 m (2H, 2CHC=O), 3.18–3.42 m (2H, NCH_2), 3.84–4.07 m (2H, NCH_2). Mass spectrum, *m*/*z* (I_{rel}, %): 252.43 (100) $[M + H]^+$. Found, %: C 62.03; H 6.73; N 5.48. $C_{13}H_{17}NO_4$. Calculated, %: C 62.14; H 6.86; N 5.63. *M* 251.28.

(3a*S*,9b*R*)-8-Methylsulfonyl-4,5,5a,6,7,9,9a,9b-octahydro-3a*H*-furo[3,4-*h*]isoquinoline-1,3-dione (XVI) was prepared similarly to **XV**. Yield 81%, mp 136–137°C. IR spectrum, ν, cm^{-1}: 1741, 1712 (C=O); 1327, 1175 (SO_2). ^1H NMR spectrum, δ, ppm: 1.05–1.28 m (4H, $2CH_2$), 1.44–1.65 m (4H, CH_2, 2CH), 2.21–2.32 m (2H, 2CHC=O), 3.02 s (3H, SO_2CH_3), 3.21–3.45 m (2H, NCH_2), 3.86–4.10 m (2H, NCH_2). Mass spectrum, *m*/*z* (I_{rel}, %): 288.31 (100) $[M + H]^+$. Found, %: C 50.14; H 5.83; N 5.08. $C_{12}H_{17}NO_5S$. Calculated, %: C 50.26; H 6.05; N 4.96. *M* 287.33.

(7*S*,8*R*)-2-Acetyl-3,4,4a,5,6,7,8,8a-octahydro-1*H*-isoquinoline-7,8-dicarboxylic acid (XVII) was prepared similarly to **VI**. Yield 78%, mp 212–214°C (decomp.). IR spectrum, ν, cm^{-1}: 3645–2634 br (OH); 1724, 1713, 1702 (C=O). ^1H NMR spectrum, δ, ppm: 0.98–1.31 m (4H, $2CH_2$), 1.45–1.58 m (4H, CH_2, 2CH), 2.00 s (3H, CH_3), 2.24–2.40 m (2H, 2CHC=O), 3.21–3.38 m (2H, NCH_2), 3.86–4.08 m (2H, NCH_2), 12.14 br.s (2H, 2COOH). Mass spectrum, *m*/*z* (I_{rel}, %): 270.14 (100) $[M + H]^+$. Found, %: C 57.83; H 7.04; N 5.16. $C_{13}H_{19}NO_5$. Calculated, %: C 58.07; H 7.16; N 5.27. *M* 269.29.

(7*S*,8*R*)-2-Methylsulfonyl-3,4,4a,5,6,7,8,8a-octahydro-1*H*-isoquinoline-7,8-dicarboxylic acid (XVIII) was prepared similarly to **VI**. Yield 83%, mp 218–220°C (decomp.). IR spectrum, ν, cm^{-1}: 3640–2638 br

(OH); 1724, 1708 (C=O); 1328, 1171 (SO_2). ^{1}H NMR spectrum, δ, ppm: 1.04–1.36 m (4H, $2CH_2$), 1.48–1.62 m (4H, CH_2, 2CH), 2.18–2.36 m (2H, 2CHC=O), 3.02 s (3H, SO_2CH_3), 3.22–3.36 m (2H, NCH_2), 3.88–4.11 m (2H, NCH_2), 12.16 br.s (2H, 2COOH). Mass spectrum, *m*/*z* (I_{rel}, %): 306.26 (100) $[M + H]^+$. Found, %: C 47.18; H 6.15; N 4.43. $C_{12}H_{19}NO_6S$. Calculated, %: C 47.28; H 6.32; N 4.65. *M* 305.35.

(3a*S*,9b*R*)-8-Acetyl-2-phenyl-5,6a-epoxy-4,6,7,-9,9a,9b-hexahydro-3a*H*-pyrrolo[3,4-*h*]isoquinoline-1,3-dione (XIX) was prepared by procedure reported in [12]. Yield 65%, mp 134–135°C. IR spectrum, ν, cm^{-1}: 1720, 1712, 1700 (C=O); 856 (epoxide) [13]. ^{1}H NMR spectrum, δ, ppm: 1.45–1.73 m (5H, $2CH_2$, CH), 2.02 s (3H, CH_3), 2.08–2.24 m (2H, 2CHC=O), 3.09–3.28 m (3H, NCH_2, OCH), 3.81–4.03 m (2H, NCH_2), 6.84–7.15 m (5H, C_6H_5). Mass spectrum, *m*/*z* (I_{rel}, %): 341.28 (100) $[M + H]^+$. Found, %: C 66.91; H 5.87; N 8.17. $C_{19}H_{20}N_2O_4$. Calculated, %: C 67.07; H 5.93; N 8.26. *M* 340.37.

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