1,3-Dipolar cycloadditions of heterocycles XXIII.* Cycloadditions of benzonitrile oxides to *N*-(2,6-dialkylphenyl)maleinimides

[a]M. KONOPÍKOVÁ, [a]Ľ. FIŠERA**, [b]I. GOLJER, [c]Š. VARKONDA, [c]O. HÝBLOVÁ, [d]E. ŠTURDÍK, and [d]R. UJHÉLYOVÁ

[a]*Department of Organic Chemistry, Faculty of Chemical Technology, Slovak Technical University, CS-812 37 Bratislava*

[b]*Central Laboratory of Spectroscopy, Faculty of Chemical Technology, Slovak Technical University, CS-812 37 Bratislava*

[c]*Research Institute of Chemical Technology, CS-831 06 Bratislava*

[d]*Department of Biotechnology, Faculty of Chemical Technology, Slovak Technical University, CS-812 37 Bratislava*

Received 25 May 1990

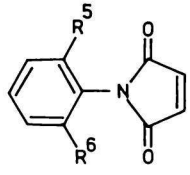
The paper describes 1,3-dipolar cycloaddition of substituted benzonitrile oxides and *N*-(2,6-dialkylphenyl)maleinimides, giving 3,5-diaryl-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-*d*]isoxazoles. The prepared isoxazoles showed activity against bacteria, yeasts, and moulds. The reaction of *N*-(2-ethyl-6-methylphenyl)maleinimide with nitrile oxide gave, due to hindered rotation, two diastereomers characterized by different spatial arrangement of alkyl groups *vs.* bridgehead hydrogen atoms.

Antifungal compounds with a dicarboximide type structure have recently received increased attention. The reason is that members of this family are known to possess a systemic activity against *Botrytis cinerea*, *Cochliobolus miyabeanus*, and *Pellicularia sasaki* [1—4]. Within the scope of our ongoing research aimed at utilization of 1,3-dipolar cycloadditions to heterocycles we have investigated methods of preparation of condensed isoxazolines, compounds known for their fungicidal and herbicidal activity [1, 5]. In the preceding paper of this series [6] we described the preparation and activity against bacteria, yeasts, and moulds of condensed isoxazolines prepared from substituted *N*-(R-phenyl)maleinimides, where R is H, F, Cl, Br, NO_2, OCH_3, CH_3, $CH(CH_3)_2$, and CF_3. In the present paper we report on the synthesis of condensed isoxazolines based on a 1,3-dipolar cycloaddition of benzonitrile oxides and *N*-(2,6-dialkylphenyl)maleinimides.

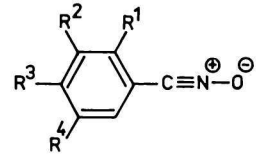
* For Part *XXII* see *Chem. Papers 45*, 775 (1991).

** The author to whom the correspondence should be addressed.

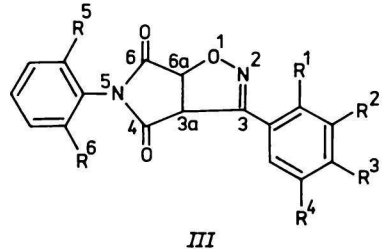
Substituted 3,5-diaryl-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-*d*]isoxazoles (*III-1* through *III-43*) were prepared by a 1,3-dipolar cycloaddition of substituted benzonitrile oxides *II* (R^1—R^4 = H, Cl, F, CH_3, CH_3O, NO_2, respectively) to *N*-(2,6-dialkylphenyl)maleinimides *I*, wherein alkyl was either methyl or ethyl (see Experimental). The requisite nitrile oxides *II* were liberated by treatment with triethylamine at 0 °C of ethereal solutions of the respective



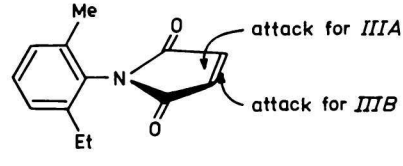
I



II



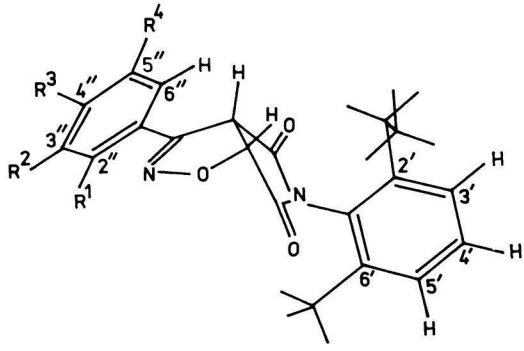
III



N-hydroxybenzenecarboximidoyl chlorides [7]. The yields of such cycloadditions fell within the 33—90 % range. The structure of cycloadducts *III* that could be expected from the method of preparation was confirmed by ^1H and ^{13}C NMR spectral data, which were compared with the data of analogous derivatives [6]. The analysis of ^1H NMR spectra revealed that substituents R^1 through R^4, borne by the aromatic ring, affected significantly chemical shifts of bridgehead carbon and hydrogen atoms, found at δ: 4.81—5.82 (H-3a), 5.58—6.13 (H-6a), 54.21—56.26 (C-3a) and 79.80—81.46 (C-6a), respectively.

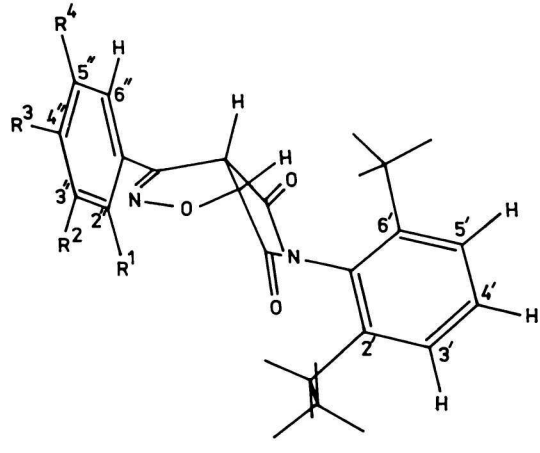
Symmetrical maleinimides furnished a single cycloadduct (*III-1* through *III-29*), whilst the ^{1}H and ^{13}C NMR spectra of raw reaction mixture resulting from the reaction of *N*-(2-ethyl-6-methylphenyl)maleinimide showed doubling of signals of alkyl groups (see Experimental), indicating a 1 1 ratio of isomers.

As a consequence of the hindered rotation and unsymmetrical substitution of the *N*-phenyl ring of maleinimide two diastereomeric transition states of 1, 3-cy-



III−30−*III*−43
A

MM2 calculated structure of isomer *A*



III−30−*III*−43
B

MM2 calculated structure of isomer *B*

cloaddition can be envisioned. The attack of the dipole at the double bond can in principle be carried out from the side of the methyl group (derivatives *IIIA*) or from the opposite side (derivatives *IIIB*). Consequently, the diastereomeric cycloadducts (atropisomers) differ in the spatial arrangement of their alkyl groups towards the bridgehead protons H-3a and H-6a. In derivatives *IIIA* methyl group assumes an *anti* position, while in *IIIB* series it is in the *syn* position. The attempted chromatographic separation of diastereomers was successful in case of compounds *III-38* through *III-43*; the isomer *A* could be isolated in a pure state, isomer *B* remained contaminated by a small amount of *A*. The difference in their structure manifested itself markedly only in signals of alkyl groups, signals of other parts of the molecules were practically identical.

The assignment of structure to either type *IIIA* or *IIIB* was done based on measurements of NOE or difference NOE between the protons of the alkyl group and the H-3a and H-6a bridgehead protons [8], supplemented by the MM2 calculations [9, 10]. In both the structures *IIIA* and *IIIB* the repulsion of an alkyl group located in proximity to bridgehead protons (*syn*, *B* type) causes their deshielding, an effect which indeed is substantiated by the measured δ values (see Experimental). Accordingly, irradiation of the methyl group protons in *IIIB* elicited a difference NOE on the order of 1 %. Thus the conclusions drawn from NOE measurements and MM2 calculations are in accord with assigning the structure to type *IIIA* or *IIIB*. The calculations ascertained also the minimum energy conformation for both *IIIA* and *IIIB* diastereomers [9, 10].

The triplets of methyl protons of the ethyl groups in *IIIA* were found at $\delta = 1.15$—1.19, whereas in *IIIB* at $\delta = 0.89$—0.92. Singlets of methyl group protons bound directly to the benzene ring in *IIIA* were found at $\delta = 1.86$—1.89, those of *IIIB* at higher values (2.10—2.14). Similar differences are observable also in quartets of the methylene groups protons; in *IIIA* $\delta = 2.39$—3.43, in *IIIB* $\delta = 2.07$—2.18, as well as in signals of ^{13}C NMR spectra (*IIIA*, δ: 14.40—14.44 ($CH_2\underline{CH_3}$), 17.21—17.29 (CH_3), *IIIB*, δ: 14.40—14.44 and 17.75—17.84). Signals of carbons of the methylene group differ by 0.2—0.5.

This is another example of diastereoselectivity in 1,3-dipolar cycloadditions of nitrile oxides to *N*-(2-ethyl-6-methylphenyl)maleinimide. The first one we observed in the cycloaddition to 2,5-dimethylfuronitrile oxide [11].

The synthesized compounds *III* were subjected to screening for antimicrobial activity on an assortment of gram-positive bacteria (*Bacillus subtilis, Sarcina subflava, Staphylococcus aureus*) and gram-negative bacteria (*Escherichia coli, Proteus mirabilis, Salmonella typhimurium*), further on yeasts *Candida albicans* and *Saccharomyces cerevisiae*. The results of tests are summarized in Tables 1 and 2. All compounds *III-1*—*III-43* were also tested on phytopathogenic moulds; compounds *7, 10, 12, 19, 27, 36* were active against *Phytophthora infestans*, compounds *16, 19, 21, 27, 32* against *Alternaria species*, compounds

Table 1

Minimal inhibitory concentrations (MIC), determined for some bacteria and yeasts

Compound *III*	A	B	C	D	E	F	G	H
10	1	2	0	1	1	1	3	4
17	0	1	0	0	0	0	2	4
32	1	1	1	1	1	1	1	4

A — *Bacillus subtilis*, B — *Staphylococcus aureus*, C — *Sarcina subflava*, D — *Proteus mirabilis*, E — *Escherichia coli*, F — *Salmonella typhimurium*, G — *Candida albicans*, H — *Saccharomyces cerevisiae*.

The numbers 0—4 represent concentrations/(mol dm^{-3}): $>10^{-3}$, 5×10^{-4}, 2.5×10^{-4}, $1.25\times\times10^{-4}$, 6.125×10^{-5}, respectively.

Table 2

Antimicrobial effects of some studied isoxazolines and potassium sorbate (S) against *Saccharomyces cerevisiae* characterized by ED_{50} values, estimated after 18 or 22 h cultivation

Compound *III*	$ED_{50}/(10^{-4}$ mol dm$^{-3})$	
	18 h	22 h
1	1.78	>1.99
3	1.58	>1.99
4	1.12	1.99
5	1.58	>1.87
6	>1.99	>1.99
7	1.41	>1.99
8	1.58	>1.99
9	1.58	>1.99
10	0.70	1.00
11	>1.99	>1.99
12	0.45	0.63
15	1.26	>2.01
17	1.99	>1.99
19	0.13	0.16
30	>1.98	>1.98
38	>1.98	>1.98
40	1.77	1.99
S	>1.99	>1.99

5, *6*, *10*, *16*, *17*, *19*, *21*, *22*, *27*, *30*, *32*, *36* against *Botrytis cinerea*, whilst compounds *3*, *5—8*, *10—13*, *16*, *17*, *19—22*, *25—28*, *30—32*, *37*, *41*, and *42* were active against *Fusarium nivale*. The broadest spectrum of activity was thus observed for compounds *10*, *16*, *19*, and *27*, which showed activity against all

four types of moulds, compounds *12, 21*, and *32* were active against three and *5—7, 13, 17, 22, 28, 30, 36, 37, 40* against two types of microorganisms. The level of biological activity varies, among the most active were *10, 13, 19, 28, 37* against *Fusarium nivale, 10, 19* against *Botrytis cinerea* and *19* against *Alternaria species*.

Experimental

Melting points are not corrected. ^{1}H NMR spectra were measured with a Tesla spectrometer, model BS 487 C (60 MHz), ^{13}C NMR spectra with a Jeol spectrophotometer JX-100. Measured were solutions of the prepared compounds in deuterochloroform, with tetramethylsilane as an internal standard. ^{1}H and ^{13}C NMR spectra of isomers *IIIA, IIIB* (*III-38* through *III-43*) were taken with the Varian spectrophotometer VXR 300 (300 MHz) in a 5 mm multinuclear probe; working frequency 299.93 MHz (^{1}H), spectral width 4 kHz, 16 kbytes (32 bit) FIDs at double precision; ^{13}C NMR spectra were measured at 75 MHz, spectral width 16 kHz, 64 kbytes FID signals. NOE effects were run under the DIFFNOE program with 0.5 Hz saturation for methyl and 25 Hz saturation for ethyl group in a stationary tube. In order to assign unequivocally all signals heterocorrelated spectra were taken of all isomers. Ultraviolet spectra were measured with a spectrophotometer M-40 (Zeiss, Jena) in thermostated methanolic solutions of compounds; ε values are given in $m^2 mol^{-1}$, λ in nm.

The progress of the cycloaddition was monitored by thin-layer chromatography on silica gel (silufol; Lachema, Brno), impregnated by a fluorescence indicator (254 nm). *N*-(2, 6-Dialkylphenyl)maleinimides *I* were prepared by the reaction of malein anhydride with 2, 6-dialkylanilines [12]. Tests for biological activity were conducted under conditions described earlier [6].

3, 5-Diaryl-4, 6-dioxo-3a, 4, 6, 6a-tetrahydropyrrolo[3, 4-d]isoxazoles III-1—III-43

The solution of triethylamine (0.013 mol) in dry ether (25 cm^3) was dropwise added during 1 h to the cooled (−5 °C) and stirred reaction mixture, consisting of dipolarophile *I* (0.01 mol) and *N*-hydroxybenzenecarboximidoyl chloride (0.01 mol) in dry ether (40 cm^3). After addition the stirring was continued at laboratory temperature for another 24 h, the precipitated product was then suction-filtered and washed with water. In the case of cycloaddition to *N*-(2-ethyl-6-methylphenyl)maleinimide the reaction mixture ultimately contained two diastereomeric cycloadducts which, for compounds *III-38* through *III-43*, could be separated by chromatography on a silica gel column, eluted by a cyclohexane—ethyl acetate mixture ($\varphi_r = 2:1$).

3-Phenyl-5-(2, 6-dimethylphenyl)-4, 6-dioxo-3a, 4, 6, 6a-tetrahydropyrrolo[3, 4-d]isoxazole (III-1), yield = 81 %, m. p. = 185—187 °C. For $C_{19}H_{16}N_2O_3$ (M_r = 320.34)

w_i(calc.): 71.23 % C, 5.03 % H, 8.74 % N; w_i(found): 71.05 % C, 5.12 % H, 8.73 % N. UV spectrum, λ_{max} (log ε): 262 (2.4). ^{1}H NMR spectrum, δ: 1.87, 2.13 (s, s, 6H, 2 × CH_3), 4.96 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.68 (d, 1H, H-6a), 7.1—8.07 (m, 8H, H_{arom}). ^{13}C NMR spectrum, δ: 17.20, 17.84 (q, q, 2 × CH_3), 55.11 (d, C-3a), 80.73 (d, C-6a), 152.22 (s, C=N), 169.54, 170.40 (s, 2 × C=O), 137.48, 135.61, 129.99, 129.93, 129.0, 128.71, 125.14 (C_{arom}).

3-(2-Methoxyphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-2), yield = 57 %, m. p. = 170—173 °C. For $C_{20}H_{18}N_2O_4$ (M_r = 350.36) w_i(calc.): 68.55 % C, 5.17 % H, 7.99 % N; w_i(found): 68.34 % C, 5.02 % H, 7.89 % N. UV spectrum, λ_{max} (log ε): 257 (2.11). ^{1}H NMR spectrum, δ: 1.87, 2.08 (s, s, 6H, 2 × CH_3), 3.92 (s, 3H, OCH_3), 5.42 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.65 (d, 1H, H-6a), 6.85—7.65 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.09, 17.67 (q, q, 2 × CH_3), 45.76 (q, OCH_3), 55.82 (d, C-3a), 79.92 (d, C-6a), 120.93, 128.54, 129.65, 130.12, 132.52, 135.56 (C_{arom}), 153.17 (s, C=N), 169.43, 171.48 (s, s, 2 × C=O).

3-(4-Methoxyphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-3), yield = 85 %, m. p. = 168—170 °C. For $C_{20}H_{18}N_2O_4$ (M_r = 350.36) w_i(calc.): 68.55 % C, 5.17 % H, 7.99 % N; w_i(found): 68.26 % C, 5.03 % H, 7.72 % N. UV spectrum, λ_{max} (log ε): 273 (2.53). ^{1}H NMR spectrum, δ: 1.86, 2.11 (s, s, 6H, 2 × CH_3), 3.82 (s, 3H, OCH_3), 4.91 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.63 (d, 1H, H-6a), 6.91—8.02 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.14, 17.72 (q, q, 2 × CH_3), 45.75 (q, OCH_3), 55.40 (d, C-3a), 80.32 (d, C-6a), 118.99, 128.59, 129.11, 129.70, 135.55, 135.67 (C_{arom}), 152.58 (s, C=N), 169.83, 170.89 (s, s, 2 × C=O).

3-(4-Chlorophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-4), yield = 53 %, m. p. = 209—211 °C. For $C_{19}H_{15}ClN_2O_3$ (M_r = 354.78) w_i(calc.): 64.31 % C, 4.26 % H, 7.89 % N; w_i(found): 64.37 % C, 4.35 % H, 7.81 % N. UV spectrum, λ_{max} (log ε): 267 (2.52). ^{1}H NMR spectrum, δ: 1.83, 2.08 (s, s, 6H, 2 × CH_3), 4.87 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.63 (d, 1H, H-6a), 7.07—7.98 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.19, 17.84 (q, q, 2 × CH_3), 55.34 (d, C-3a), 80.38 (d, C-6a), 128.0, 128.64, 129.58, 129.93, 135.55, 135.72, 141.75 (C_{arom}), 152.98 (s, C=N).

3-(2,4-Dichlorophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-5), yield = 59 %, m. p. = 188—190 °C. For $C_{19}H_{14}Cl_2N_2O_3$ (M_r = 389.23) w_i(calc.): 58.62 % C, 3.62 % H, 7.19 %N; w_i(found): 58.72 % C, 3.90 % H, 7.34 % N. UV spectrum, λ_{max} (log ε): 255 (2.26). ^{1}H NMR spectrum, δ: 1.96, 2.08 (s, s, 6H, 2 × CH_3), 5.40 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.76 (d, 1H, H-6a), 7.15—7.62 (m, 6H, H_{arom}). ^{13}C NMR spectrum, δ: 17.27, 17.73 (q, q, 2 × CH_3), 55.82 (d, C-3a), 80.33 (d, C-6a), 128.71, 129.94, 130.76, 132.11, 133.98, 135.38, 137.61 (C_{arom}), 152.23 (s, C=N), 168.85, 170.54 (s, s, 2 × C=O).

3-(3-Nitrophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-6), yield = 71 %, m. p. = 164—167 °C. For $C_{19}H_{15}N_3O_5$ (M_r =

= 365.33) w_i(calc.): 62.46 % C, 4.13 % H, 11.50 % N; w_i(found): 62.32 % C, 4.28 % H, 11.35 % N. UV spectrum, λ_{max} (log ε): 257 (2.6). ^{1}H NMR spectrum, δ: 1.87, 2.13 (s, s, 6H, 2 × CH_3), 5.08 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.81 (d, 1H, H-6a), 7.11—7.26, 7.62—7.73, 8.25—8.9 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.15, 17.53 (q, q, 2 × CH_3), 54.76 (d, C-3a), 81.21 (d, C-6a), 122.98, 125.55, 128.71, 130.06, 133.39, 135.44, 135.56 (C_{arom}), 151.53 (s, C=N), 169.37, 170.02 (s, s, 2 × C=O).

3-(4-Nitrophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-7), yield = 90 %, m. p. = 224—227 °C. For $C_{19}H_{15}N_3O_5$ (M_r = 365.33) w_i(calc.): 62.46 % C, 4.13 % H, 11.50 % N; w_i(found): 62.22 % C, 3.9 % H, 11.21 % N. UV spectrum, λ_{max} (log ε): 300 (2.41). ^{1}H NMR spectrum, δ: 1.87, 2.16 (s, s, 6H, 2 × CH_3), 5.13 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.88 (d, 1H, H-6a), 7.11—7.18, 8.26 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.09, 17.79 (q, q, 2 × CH_3), 54.21 (d, C-3a), 80.97 (d, C-6a), 123.92, 128.65, 128.85, 128.83, 129.94 (C_{arom}).

3-(4-Fluorophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-8), yield = 78 %, m. p. = 192—194 °C. For $C_{19}H_{15}FN_2O_3$ (M_r = = 338.33) w_i(calc.): 67.44 % C, 4.46 % H, 8.28 % N; w_i(found): 67.88 % C, 4.54 % H, 8.35 % N. UV spectrum, λ_{max} (log ε): 262 (2.36). ^{1}H NMR spectrum, δ: 1.87, 2.20 (s, s, 6H, 2 × CH_3), 5.58 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 6.06 (d, 1H, H-6a), 7.32—7.55, 8.18—8.37 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.03, 17.73 (q, q, 2 × CH_3), 55.17 (d, C-3a), 80.62 (d, C-6a), 122.75, 122.86, 128.60, 129.82, 130.29, 135.5 (C_{arom}), 152.06 (s, C=N), 169.61, 170.54 (s, s, 2 × C=O).

3-(4-Methylphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-9), yield = 59 %, m. p. = 184—186 °C. For $C_{20}H_{18}N_2O_3$ (M_r = 334.36) w_i(calc.): 71.83 % C, 5.42 % H, 8.38 % N; w_i(found): 71.69 % C, 5.38 % H, 8.70 % N. UV spectrum, λ_{max} (log ε): 266 (2.48). ^{1}H NMR spectrum, δ: 1.86, 2.11, 2.37 (s, s, s, 9H, 3 × CH_3), 4.90 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.60 (d, 1H, H-6a), 7.08—7.88 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 16.89, 17.54, 21.31 (q, q, q, 3 × CH_3), 55.09 (d, C-3a), 80.17 (d, C-6a), 123.58, 127.73, 128.51, 129.48, 129.68, 135.53 (C_{arom}), 152.81 (s, C=N), 169.58, 170.61 (s, s, 2 × C=O).

3-(2-Chlorophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-10), yield = 70 %, m. p. = 186—187 °C. For $C_{19}H_{15}ClN_2O_3$ (M_r = 354.78) w_i(calc.): 64.31 % C, 4.26 % H, 7.89 % N; w_i(found): 64.68 % C, 4.35 % H, 7.98 % N. UV spectrum, λ_{max} (log ε): 257 (2.00). ^{1}H NMR spectrum, δ: 2.03, 2.16 (s, s, 6H, 2 × CH_3), 5.73 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 6.13 (d, 1H, H-6a), 7.25—7.97 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.28, 17.67 (q, q, 2 × CH_3), 56.26 (d, C-3a), 80.30 (d, C-6a), 128.64, 129.94, 130.72, 131.37, 131.89, 135.53 (C_{arom}), 153.07 (s, C=N), 169.06, 170.87 (s, s, 2 × C=O).

3-(3,4-Dichlorophenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-11), yield = 33 %, m. p. = 201—203 °C. For $C_{19}H_{14}Cl_2N_2O_3$

(M_r = 389.23) w_i(calc.): 58.62 % C, 3.62 % H, 7.19 % N; w_i(found): 58.62 % C, 3.80 % H, 7.16 % N. UV spectrum, λ_{max} (log ε): 271 (2.49). ^{1}H NMR spectrum, δ: 1.90, 2.15 (s, s, 6H, 2 × CH_3), 4.92 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.73 (d, 1H, H-6a), 7.06—8.32 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.23, 17.83 (q, q, 2 × CH_3), 54.82 (d, C-3a), 80.99 (d, C-6a), 128.85, 129.79, 130.14, 131.02, 133.47, 135.58 (C_{arom}), 151.34 (s, C=N), 169.45, 170.21 (s, s, 2 × C=O).

3-(3,4-Methylenedioxyphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-12), yield = 60 %, m. p. = 165—168 °C. For $C_{20}H_{16}N_2O_5$ (M_r = 364.35) w_i(calc.): 65.92 % C, 4.42 % H, 7.69 % N; w_i(found): 65.77 % C, 4.58 % H, 7.71 % N. UV spectrum, λ_{max} (log ε): 270 (2.04), 304 (2.00). ^{1}H NMR spectrum, δ: 1.96, 2.08 (s, s, 6H, 2 × CH_3), 5.45 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.77 (d, 1H, H-6a), 6.01 (s, 2H, CH_2), 6.87—7.33 (m, 6H, H_{arom}). ^{13}C NMR spectrum, δ: 17.37, 17.84 (q, q, 2 × CH_3), 56.07 (d, C-3a), 80.32 (d, C-6a), 102.61 (t, CH_2), 128.71, 129.88, 135.67, 147.13 (C_{arom}), 152.9 (s, C=N), 169.13, 170.9 (s, s, 2 × C=O).

3-(3-Methoxyphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-13), yield = 50 %, m. p. = 152—154 °C. For $C_{20}H_{18}N_2O_4$ (M_r = 350.36) w_i(calc.): 68.55 % C, 5.17 % H, 7.99 % N; w_i(found): 68.29 % C, 4.98 % H, 7.73 % N. ^{1}H NMR spectrum, δ: 1.86, 2.08 (s, s, 6H, 2 × CH_3), 3.79 (s, 3H, OCH_3), 4.88 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.62 (d, 1H, H-6a), 7.07—7.53 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 17.08, 17.66 (q, q, 2 × CH_3), 55.28 (q, OCH_3; d, C-3a), 80.61 (d, C-6a), 117.70, 120.63, 127.82, 128.64, 129.81, 135.60 (C_{arom}), 153.04 (s, C=N), 169.65, 170.71 (s, s, 2 × C=O).

3-(3,5-Dichloro-2-methoxyphenyl)-5-(2,6-dimethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-14), yield = 57 %, m. p. = 223—225 °C. For $C_{20}H_{16}Cl_2N_2O_4$ (M_r = 419.26) w_i(calc.): 57.29 % C, 3.84 % H, 6.68 % N; w_i(found): 57.15 % C, 3.78 % H, 6.45 % N. UV spectrum, λ_{max} (log ε): 260 (2.08). ^{1}H NMR spectrum, δ: 1.93, 2.07 (s, s, 6H, 2 × CH_3), 3.97 (s, 3H, OCH_3), 5.21 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.70 (d, 1H, H-6a), 7.11—7.49 (m, 5H, H_{arom}). ^{13}C NMR spectrum, δ: 17.19, 17.78 (q, q, 2 × CH_3), 56.10 (d, C-3a), 61.95 (q, OCH_3), 80.73 (d, C-6a), 128.64, 129.87, 132.80, 135.49, 135.55, 151.55, 151.34 (C_{arom}), 153.60 (s, C=N), 169.36, 170.50 (s, s, 2 × C=O).

3-Phenyl-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-15), yield = 72 %, m. p. = 122—124 °C. For $C_{21}H_{20}N_2O_3$ (M_r = 348.39) w_i(calc.): 72.39 % C, 5.78 % H, 8.04 % N; w_i(found): 72.25 % C, 5.90 % H, 8.09 % N. UV spectrum, λ_{max} (log ε): 262 (2.38). ^{1}H NMR spectrum, δ: 0.87, 1.15 (t; t, 6H, 2 × CH_3), 2.00—2.55 (q, q, 4H, 2 × CH_2), 4.93 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.66 (d, 1H, H-6a), 7.07—7.48, 7.95—8.07 (m, 8H, H_{arom}). ^{13}C NMR spectrum, δ: 13.99, 14.22 (q, q, 2 × CH_3), 24.17 (t, 2 × CH_2), 55.17 (d, C-3a), 80.51 (d, C-6a), 126.67, 126.96, 127.89, 128.77, 129.47, 130.29, 131.11, 141.23 (C_{arom}), 152.99 (s, C=N), 170.25, 171.42 (s, s, 2 × C=O).

3-(2-Methoxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-16), yield = 53 %, m. p. = 203—206 °C. For $C_{22}H_{22}N_2O_4$ (M_r = = 378.42) w_i(calc.): 69.82 % C, 5.86 % H, 7.40 % N; w_i(found): 69.75 % C, 5.60 % H, 7.65 % N. UV spectrum, λ_{max} (log ε): 257 (2.18). ^{1}H NMR spectrum, δ: 0.93, 1.11 (t, t, 6H, 2 × CH_3), 2.00—2.50 (q, q, 4H, 2 × CH_2), 3.91 (s, 3H, OCH_3), 5.41 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.63 (d, 1H, H-6a), 6.86—7.65 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.05, 14.16 (q, q, 2 × CH_3), 24.05, 24.17 (t, t, 2 × CH_2), 55.76 (q, OCH_3), 56.17 (d, C-3a), 79.80 (d, C-6a), 120.82, 126.49, 126.72, 127.89, 130.06, 132.46, 141.12 (C_{arom}), 153.05 (s, C=N), 170.02, 172.18 (s, s, 2 × C=O).

3-(4-Methoxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-17), yield = 63 %, m. p. = 167—170 °C. For $C_{22}H_{22}N_2O_4$ (M_r = = 378.42) w_i(calc.): 69.82 % C, 5.86 % H, 7.40 % N; w_i(found): 69.58 % C, 5.56 % H, 7.72 % N. UV spectrum, λ_{max} (log ε): 274 (2.46). ^{1}H NMR spectrum, δ: 0.86, 1.13 (t, t, 6H, 2 × CH_3), 2.00—2.55 (q, q, 4H, 2 × CH_2), 3.81 (s, 3H, OCH_3), 4.93 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.63 (d, 1H, H-6a), 6.87—7.35, 7.88—8.00 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.15, 14.33 (q, q, 2 × CH_3), 24.27 (t, 2 × CH_2), 45.80 (q, OCH_3), 119.05, 126.72, 127.07, 129.76, 130.34, 141.40 (C_{arom}), 152.58 (s, C=N), 170.54, 171.71 (s, s, 2 × C=O).

3-(3,4,5-Trimethoxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydro-pyrrolo[3,4-d]isoxazole (III-18), yield = 55 %, m. p. = 125—128 °C. For $C_{24}H_{26}N_2O_6$ (M_r = 438.37) w_i(calc.): 65.73 % C, 5.97 % H, 6.39 % N; w_i(found): 65.42 % C, 5.64 % H, 6.15 % N. UV spectrum, λ_{max} (log ε): 286 (2.36). ^{1}H NMR spectrum, δ: 0.92, 1.17 (t, t, 6H, 2 × CH_3), 2.05—2.57 (q, q, 4H, 2 × CH_2), 3.9 (s, 9H, 3 × OCH_3), 4.97 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.73 (d, 1H, H-6a), 7.18—7.33 (m, 5H, H_{arom}). ^{13}C NMR spectrum, δ: 14.05, 14.16 (q, q, 2 × CH_3), 24.17 (t, 2 × CH_2), 55.41 (d, C-3a), 60.79 (q, 3 × OCH_3), 80.68 (d, C-6a), 121.81, 126.67, 127.02, 127.84, 130.29, 141.17 (C_{arom}), 153.17 (s, C=N), 170.66, 171.48 (s, s, 2 × C=O).

3-(4-Chlorophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-19), yield = 66 %, m. p. = 170—173 °C. For $C_{21}H_{19}ClN_2O_3$ (M_r = = 382.83) w_i(calc.): 65.88 % C, 5.00 % H, 7.31 % N; w_i(found): 65.63 % C, 5.14 % H, 7.19 % N. UV spectrum, λ_{max} (log ε): 267 (2.48). ^{1}H NMR spectrum, δ: 0.86, 1.12 (t, t, 6H, 2 × CH_3), 2.00—2.60 (q, q, 4H, 2 × CH_2), 4.81 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.58 (d, 1H, H-6a), 7.00—7.42, 7.86—7.96 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.05, 14.22 (q, q, 2 × CH_3), 24.22, 24.52 (t, t, 2 × CH_2), 55.00 (d, C-3a), 80.68 (d, C-6a), 127.72, 129.12, 129.94, 130.35, 134.21, 137.37, 141.17 (C_{arom}), 152.12 (s, C=N), 170.19, 171.19 (s, s, 2 × C=O).

3-(2,4-Dichlorophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrro-lo[3,4-d]isoxazole (III-20), yield = 52 %, m. p. = 161—162 °C. For $C_{21}H_{18}Cl_2N_2O_3$ (M_r = 417.28) w_i(calc.): 60.44 % C, 4.34 % H, 6.71 % N; w_i(found): 60.31 % C, 4.42 % H, 6.75 % N. UV spectrum, λ_{max} (log ε): 257 (2.28). ^{1}H NMR spectrum, δ: 1.05, 1.14 (t,

t, 6H, 2 × CH_3), 2.20—2.51 (q, q, 4H, 2 × CH_2), 5.38 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.73 (d, 1H, H-6a), 7.10—7.60 (m, 6H, H_{arom}). ^{13}C NMR spectrum, δ: 14.19, 14.25 (q, q, 2 × CH_3), 24.17, 24.31 (t, t, 2 × CH_2), 55.86 (d, C-3a), 80.42 (d, C-6a), 130.39, 130.85, 132.14, 134.03, 137.65, 141.09, 141.23 (C_{arom}), 152.17 (s, C=N), 169.55, 171.26 (s, s, 2 × C=O).

3-(3-Nitrophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo-[3,4-d]isoxazole (III-21), yield = 67 %, m. p. = 164—165 °C. For $C_{21}H_{19}N_3O_5$ (M_r = 393.38) w_i(calc.): 64.11 % C, 4.86 % H, 10.68 % N; w_i(found): 64.03 % C, 4.85 % H, 10.59 % N. UV spectrum, λ_{max} (log ε): 257 (2.53). ^{1}H NMR spectrum, δ: 0.88, 1.15 (t, t, 6H, 2 × CH_3), 2.00—2.55 (q, q, 4H, 2 × CH_2), 5.05 (d, 1H, H-3a), $J_{3a,6a}$ = 9 Hz, 5.80 (d, 1H, H-6a), 7.07—7.73, 8.26—8.90 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.09, 14.33 (q, q, 2 × CH_3), 24.27 (t, 2 × CH_2), 54.93 (d, C-3a), 81.32 (d, C-6a), 127.71, 128.59, 130.05, 130.46, 133.44, 141.11, 141.40 (C_{arom}), 151.64 (s, C=N), 170.19, 170.95 (s, s, 2 × C=O).

3-(4-Nitrophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]-isoxazole (III-22), yield = 79 %, m. p. = 190—191 °C. For $C_{21}H_{19}N_3O_5$ (M_r = 393.38) w_i(calc.): 64.11 % C, 4.86 % H, 10.68 % N; w_i(found): 64.37 % C, 4.88 % H, 10.55 % N. UV spectrum, λ_{max} (log ε): 300 (2.41). ^{1}H NMR spectrum, δ: 0.9, 1.18 (t, t, 6H, 2 × CH_3), 2.00—2.57 (q, q, 4H, 2 × CH_2), 5.10 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.86 (d, 1H, H-6a), 7.10—8.25 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.19, 14.36 (q, q, 2 × CH_3), 24.36 (t, 2 × CH_2), 54.79 (d, C-3a), 81.46 (d, C-6a), 127.21, 127.74, 128.97, 130.61, 132.71, 141.20, 141.31 (C_{arom}), 151.79 (s, C=N), 170.04, 170.74 (s, s, 2 × C=O).

3-(4-Fluorophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-23), yield = 50 %, m. p. = 147—148 °C. For $C_{21}H_{19}FN_2O_3$ (M_r = = 366.38) w_i(calc.): 68.83 % C, 5.22 % H, 7.64 % N; w_i(found): 68.45 % C, 5.23 % H, 7.65 % N. UV spectrum, λ_{max} (log ε): 262 (2.36). ^{1}H NMR spectrum, δ: 0.9, 1.18 (t, t, 6H, 2 × CH_3), 2.03—2.58 (q, q, 4H, 2 × CH_2), 4.98 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.75 (d, 1H, H-6a), 7.08—7.52, 8.02—8.20 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.20 (q, 2 × CH_3), 24.05 (t, 2 × CH_2), 57.9 (d, C-3a), 78.17 (d, C-6a), 112.39, 118.65, 119.53, 123.16, 127.19, 129.82, 133.28, 141.06 (C_{arom}), 152.06 (s, C=N), 170.0, 171.10 (s, s, 2 × C=O).

3-(4-Methylphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-24), yield = 89 %, m. p. = 139—141 °C. For $C_{22}H_{22}N_2O_3$ (M_r = = 362.42) w_i(calc.): 72.90 % C, 6.11 % H, 7.73 % N; w_i(found): 72.60 % C, 6.12 % H, 7.99 % N. UV spectrum, λ_{max}(log ε): 265 (2.43). ^{1}H NMR spectrum, δ: 0.87, 1.13 (t, t, 6H, 2 × CH_3), 2.00—2.55 (q, q, 4H, 2 × CH_2), 2.36 (s, 3H, CH_3), 4.88 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.60 (d, 1H, H-6a), 7.02—7.87 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.03, 14.29 (q, q, 2 × CH_3), 21.44 (q, CH_3), 24.30 (t, 2 × CH_2), 55.35 (d, C-3a), 80.43 (d, C-6a), 123.84, 126.69, 127.08, 127.99, 129.55, 130.33, 141.38, 141.77 (C_{arom}), 152.94 (s, C=N), 170.35, 171.53 (s, s, 2 × C=O).

3-(2-Chlorophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-25), yield = 49 %, m. p. = 134—136 °C. For $C_{21}H_{19}ClN_2O_3$ (M_r = = 382.83) w_i(calc.): 65.88 % C, 5.00 % H, 7.31 % N; w_i(found): 65.50 % C, 5.38 % H, 7.48 % N. UV spectrum, λ_{max} (log ε): 257 (2.08). ^{1}H NMR spectrum, δ: 1.03, 1.07 (t, t, 6H, 2 × CH_3), 2.02—2.63 (q, q, 4H, 2 × CH_2), 5.82 (d, 1H, H-3a), $J_{3a.6a}$ = 10 Hz, 6.13 (d, 1H, H-6a), 7.37—7.97 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 13.77 (q, q, 2 × CH_3), 23.78 (t, t, 2 × CH_2), 55.74 (d, C-3a), 79.91 (d, C-6a), 126.43, 126.69, 129.94, 130.46, 130.98, 131.5, 140.86 (C_{arom}), 152.55 (s, C=N), 169.32, 171.27 (s, s, 2 × C=O).

3-(3,4-Dichlorophenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-26), yield = 41 %, m. p. = 167—169 °C. For $C_{21}H_{18}Cl_2N_2O_3$ (M_r = 417.28) w_i(calc.): 60.44 % C, 4.34 % H, 6.71 % N; w_i(found): 60.48 % C, 4.27 % H, 6.99 % N. UV spectrum, λ_{max} (log ε): 271 (2.47). ^{1}H NMR spectrum, δ: 0.91, 1.16 (t, t, 6H, 2 × CH_3), 2.01—2.56 (q, q, 4H, 2 × CH_2), 4.91 (d, 1H, H-3a), $J_{3a.6a}$ = 10 Hz, 5.72 (d, 1H, H-6a), 7.10—8.15 (m, 6H, H_{arom}). ^{13}C NMR spectrum, δ: 14.30 (q, 2 × CH_3), 24.30 (t, 2 × CH_2), 54.84 (d, C-3a), 80.99 (d, C-6a), 127.10, 129.67, 130.55, 130.96, 133.36, 135.64, 141.31 (C_{arom}), 151.38 (s, C=N), 170.16, 171.03 (s, s, 2 × C=O).

3-(3,4-Methylenedioxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-27), yield = 46 %, m. p. = 162—166 °C. For $C_{22}H_{20}N_2O_5$ (M_r = 392.4) w_i(calc.): 67.33 % C, 5.13 % H, 7.14 % N; w_i(found): 67.60 % C, 4.99 % H, 7.30 % N. ^{1}H NMR spectrum, δ: 1.06, 1.12 (t, t, 6H, 2 × CH_3), 2.12—2.51 (q, q, 4H, 2 × CH_2), 5.37 (d, 1H, H-3a), $J_{3a.6a}$ = 10 Hz, 5.65 (d, 1H, H-6a), 6.00 (s, 2H, CH_2), 6.85—7.45 (m, 6H, H_{arom}). ^{13}C NMR spectrum, δ: 14.19 (q, 2 × CH_3), 24.19, 24.30 (t, t, 2 × CH_2), 55.90 (d, C-3a), 80.23 (d, C-6a), 102.58 (t, CH_2), 126.45, 126.75, 126.86, 127.80, 130.31, 141.14, 141.25 (C_{arom}), 152.84 (s, C=N), 169.75, 171.56 (s, s, 2 × C=O).

3-(3-Methoxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-28), yield = 68 %, m. p. = 116—119 °C. For $C_{22}H_{22}N_2O_4$ (M_r = = 378.42) w_i(calc.): 69.82 % C, 5.86 % H, 7.4 % N; w_i(found): 69.58 % C, 5.69 % H, 7.28 % N. UV spectrum, λ_{max} (log ε): 264 (2.3). ^{1}H NMR spectrum, δ: 0.87, 1.12 (t, t, 6H, 2 × CH_3), 2.01—2.50 (q, q, 4H, 2 × CH_2), 3.79 (s, 3H, OCH_3), 4.86 (d, 1H, H-3a), $J_{3a.6a}$ = 10 Hz, 5.6 (d, 1H, H-6a), 7.03—7.58 (m, 7H, H_{arom}). ^{13}C NMR spectrum, δ: 14.27 (q, q, 2 × CH_3), 24.27 (t, 2 × CH_2), 55.40 (q, OCH_3; d, C-3a), 80.73 (d, C-6a), 120.69, 126.77, 127.94, 129.87, 130.40, 141.40 (C_{arom}), 153.10 (s, C=N), 170.41, 170.47 (s, s, 2 × C=O).

3-(3,5-Dichloro-2-methoxyphenyl)-5-(2,6-diethylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-29), yield = 78 %, m. p. = 193—195 °C. For $C_{22}H_{20}Cl_2N_2O_4$ (M_r = 447.31) w_i(calc.): 59.06 % C, 4.50 % H, 6.26 % N; w_i(found): 58.89 % C, 4.36 % H, 6.18 % N. UV spectrum, λ_{max} (log ε): 264 (2.15). ^{1}H NMR spectrum, δ: 1.39 (t, 6H, 2 × CH_3), 2.99—3.17 (q, q, 4H, 2 × CH_2), 3.98 (s, 3H, OCH_3), 5.31 (d, 1H, H-3a), $J_{3a.6a}$ = 10 Hz, 5.81 (d, 1H, H-6a), 7.09—7.50 (m, 5H, H_{arom}). ^{13}C NMR spectrum, δ: 14.09, 14.27 (q, q, 2 × CH_3), 24.16—24.27 (t, t, 2 × CH_2), 45.80

(q, OCH_3), 55.87 (d, C-3a), 80.49 (d, C-6a), 127.82, 128.64, 129.52, 129.75, 130.40, 132.91, 141.10 (C_{arom}), 153.62 (s, C=N), 169.83, 171.41 (s, s, 2 × C=O).

3-(4-Methoxyphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-30, mixture A + B), yield = 85 %, m. p. = 131—135 °C. For $C_{21}H_{20}N_2O_4$ (M_r = 364.39) w_i(calc.): 69.21 % C, 5.53 % H, 7.68 % N; w_i(found): 69.14 % C, 5.47 % H, 7.53 % N. UV spectrum, λ_{max} (log ε): 273 (2.36). ^{1}H NMR spectrum, δ: 1.00, 1.35 (t, t, 6H, 2 × CH_3), 1.75, 2.12 (s, s, 6H, 2 × CH_3), 2.0—2.5 (q, q, 4H, 2 × CH_2), 3.82 (s, 6H, 2 × CH_3O), 5.07 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.76 (d, 2H, H-6a), 6.88—7.37, 7.9—8.01 (m, 14H, H_{arom}). ^{13}C NMR spectrum, δ: 14.05, 14.28 (q, q, 2 × $\underline{CH_3}$—CH_2), 17.03, 17.73 (q, q, 2 × CH_3), 24.17 (t, 2 × CH_2), 45.76 (q, 2 × OCH_3), 55.47 (d, C-3a), 80.39 (d, C-6a), 126.67, 126.96, 128.48, 129.59, 129.94, 135.56, 141.35 (C_{arom}), 152.52 (s, 2 × C=N), 170.13, 171.37 (s, s, 4 × C=O).

3-(2,4-Dichlorophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-31, mixture A + B), yield = 52 %, m. p. = 166—168 °C. For $C_{20}H_{16}Cl_2N_2O_3$ (M_r = 403.26) w_i(calc.): 59.56 % C, 3.99 % H, 6.94 % N; w_i(found): 59.43 % C, 4.08 % H, 7.11 % N. UV spectrum, λ_{max} (log ε): 256 (2.26). ^{1}H NMR spectrum, δ: 1.00, 1.10 (t, t, 6H, 2 × CH_3), 1.95, 2.06 (s, s, 6H, 2 × CH_3), 2.00—2.52 (q, q, 4H, 2 × CH_2), 5.38 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.72 (d, 2H, H-6a), 7.21—7.62 (m, 12H, H_{arom}). ^{13}C NMR spectrum, δ: 14.22, 14.28 (q, q, 2 × $\underline{CH_3}$—CH_2), 17.32, 17.73 (q, q, 2 × CH_3), 24.17, 24.28 (t, t, 2 × CH_2), 55.82 (d, C-3a), 80.39 (d, C-6a), 124.27, 126.84, 126.96, 127.66, 128.25, 128.65, 130.18, 130.82, 132.11, 133.98, 137.61, 141.12 (C_{arom}), 152.23 (s, 2 × C=N), 169.20, 170.95 (s, s, 4 × C=O).

3-(3-Nitrophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-32, mixture A + B), yield = 61 %, m. p. = 165—168 °C. For $C_{20}H_{17}N_3O_5$ (M_r = 379.36) w_i(calc.): 63.31 % C, 4.51 % H, 11.07 % N; w_i(found): 63.41 % C, 4.68 % H, 11.02 % N. UV spectrum, λ_{max} (log ε): 257 (2.54). ^{1}H NMR spectrum, δ: 0.87, 1.15 (t, t, 6H, 2 × CH_3), 1.85, 2.11 (s, s, 6H, 2 × CH_3), 2.00—2.55 (q, q, 4H, 2 × CH_2), 5.06 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.80 (d, 2H, H-6a), 7.05—7.62, 8.23—8.88 (m, 14H, H_{arom}). ^{13}C NMR spectrum, δ: 14.09, 14.39 (q, q, 2 × $\underline{CH_3}$—CH_2), 17.20, 17.22 (q, q, 2 × CH_3), 24.27 (t, 2 × CH_2), 54.81 (d, C-3a), 80.67 (d, C-6a), 122.97, 125.6, 126.89, 127.13, 128.24, 130.05, 133.39, 135.55, 141.17, 141.34 (C_{arom}), 151.58 (s, 2 × C=N), 169.78, 170.48 (s, s, 4 × C=O).

3-(4-Fluorophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-33, mixture A + B), yield = 52 %, m. p. = 162—164 °C. For $C_{20}H_{17}FN_2O_3$ (M_r = 352.36) w_i(calc.): 68.16 % C, 4.86 % H, 7.95 % N; w_i(found): 68.08 % C, 4.69 % H, 7.89 % N. UV spectrum, λ_{max} (log ε): 262 (2.38). ^{1}H NMR spectrum, δ: 1.03, 1.12 (t, t, 6H, 2 × CH_3), 1.88, 2.07 (s, s, 6H, 2 × CH_3), 2.27—2.71 (q, q, 4H, 2 × CH_2), 5.61 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 6.08 (d, 2H, H-6a), 7.25—7.62, 8.22—8.40 (m, 14H, H_{arom}). ^{13}C NMR spectrum, δ: 14.25, 14.39 (q, q, 2 × $\underline{CH_3}$—CH_2), 17.20, 17.78 (q, q, 2 × CH_3), 24.33 (t, 2 × CH_2), 55.22 (d, C-3a), 80.61 (d, C-6a), 122.85,

126.83, 127.18, 128.41, 128.76, 130.11, 130.40, 135.55, 141.40 (C_{arom}), 152.17 (s, $2\times$C=N), 169.48, 170.07 (s, s, $4\times$C=O).

3-(4-Methylphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-34, mixture A + B), yield = 40 %, m. p. = 170—172 °C. For $C_{21}H_{20}N_2O_3$ (M_r = 348.39) w_i(calc.): 72.39 % C, 5.78 % H, 8.04 % N; w_i(found): 72.11 % C, 5.69 % H, 7.95 % N. UV spectrum, λ_{max} (log ε): 265 (2.38). ^{1}H NMR spectrum, δ: 1.12, 1.35 (t, t, 6H, $2\times CH_3$), 1.85, 2.12 (s, s, 6H, $2\times CH_3$), 2.37 (s, 6H, $2\times CH_3$), 2.02—2.58 (q, q, 4H, $2\times CH_2$), 5.06 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.76 (d, 2H, H-6a), 7.10—7.88 (m, 14H, H_{arom}). ^{13}C NMR spectrum, δ: 14.03 (q, $2\times\underline{CH_3}$—CH_2), 16.89, 17.41 (q, q, $2\times CH_3$), 21.18, 23.91 (t, t, $2\times CH_2$), 55.09 (d, C-3a), 80.17 (d, C-6a), 123.45, 126.43, 127.60, 128.25, 129.29, 135.27, 141.12, 141.38 (C_{arom}), 152.81 (s, $2\times$C=N), 169.84, 171.01 (s, s, $4\times$C=O).

3-(2-Chlorophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-35, mixture A + B), yield = 59 %, m. p. = 134—136 °C. For $C_{20}H_{17}ClN_2O_3$ (M_r = 368.81) w_i(calc.): 65.12 % C, 4.64 % H, 7.59 % N; w_i(found): 64.95 % C, 4.51 % H, 7.51 % N. UV spectrum, λ_{max} (log ε): 257 (2.08). ^{1}H NMR spectrum, δ: 1.01, 1.10 (t, t, 6H, $2\times CH_3$), 1.96, 2.03 (s, s, 6H, $2\times CH_3$), 2.03—2.50 (q, q, 4H, $2\times CH_2$), 5.45 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.78 (d, 2H, H-6a), 7.02—7.60 (m, 14H, H_{arom}). ^{13}C NMR spectrum, δ: 14.29 (q, $2\times\underline{CH_3}$—CH_2), 17.40, 17.81 (q, q, $2\times CH_3$), 24.17 (t, $2\times CH_2$), 56.13 (d, C-3a), 80.30 (d, C-6a), 125.78, 126.95, 127.21, 128.64, 130.20, 130.85, 131.37, 132.02, 135.53, 141.25 (C_{arom}), 153.07 (s, $2\times$C=N), 169.32, 171.08 (s, s, $4\times$C=O).

3-(3,4-Methylenedioxyphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-36, mixture A + B), yield = 56 %, m. p. = 141—144 °C. For $C_{21}H_{18}N_2O_5$ (M_r = 378.37) w_i(calc.): 66.65 % C, 4.79 % H, 7.40 % N; w_i(found): 66.71 % C, 4.90 % H, 7.66 % N. ^{1}H NMR spectrum, δ: 1.03, 1.11 (t, t, 6H, $2\times CH_3$), 1.95, 2.07 (s, s, 6H, $2\times CH_3$), 1.95—2.52 (q, q, 4H, $2\times CH_2$), 5.42 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.73 (d, 2H, H-6a), 6.00 (s, 4H, $2\times CH_2$), 6.86—7.38 (m, 12H, H_{arom}). ^{13}C NMR spectrum, δ: 14.21, 14.33 (q, q, $2\times\underline{CH_3}$—CH_2), 17.18, 17.31 (q, q, $2\times CH_3$), 24.16 (t, $2\times CH_2$), 45.80 (t, $2\times CH_2$), 55.98 (d, C-3a), 80.32 (d, C-6a), 126.42, 126.89, 128.59, 130.05, 141.17, 141.34, 147.08 (C_{arom}), 169.20, 171.24 (s, s, $4\times$C=O).

3-(3,5-Dichloro-2-methoxyphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-37, mixture A + B), yield = 74 %, m. p. = = 200—203 °C. For $C_{21}H_{18}Cl_2N_2O_4$ (M_r = 433.28) w_i(calc.): 58.20 % C, 4.18 % H, 6.46 % N; w_i(found): 58.02 % C, 4.04 % H, 6.39 % N. UV spectrum, λ_{max} (log ε): 260 (2.18). ^{1}H NMR spectrum, δ: 1.02, 1.13 (t, t, 6H, $2\times CH_3$), 2.06—2.42 (m, 10H, $2\times CH_3$ and $2\times CH_2$), 3.88 (s, 6H, $2\times OCH_3$), 5.23 (d, 2H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.72 (d, 2H, H-6a), 7.14—7.53 (m, 10H, H_{arom}). ^{13}C NMR spectrum, δ: 14.28 (q, $2\times\underline{CH_3}$—CH_2), 17.15, 17.73 (q, q, $2\times CH_3$), 24.22 (t, $2\times CH_2$), 55.76 (d, C-3a), 61.84 (q, $2\times\underline{CH_3}O$), 80.39 (d, C-6a), 123.27, 126.96, 128.60, 130.18, 132.93, 135.44, 141.23, 151.23, 151.24 (C_{arom}), 153.52 (s, C=N), 169.43, 171.00 (s, s, $4\times$C=O).

3-Phenyl-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]-isoxazole (III-38, mixture A + B), yield = 49 %. For $C_{20}H_{18}N_2O_3$ (M_r = 334.36) w_i(calc.): 71.83 % C, 5.42 % H, 8.38 % N; w_i(found): 71.62 % C, 5.49 % H, 8.50 % N. UV spectrum, λ_{max} (log ε): 262 (2.3).

III-38,A. M. p. = 173—176 °C. ^{1}H NMR spectrum, δ: 1.16 (t, 3H, CH_3), 1.87 (s, 3H, CH_3), 2.43 (q, 2H, CH_2), 4.97 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.69 (d, 1H, H-6a), 7.08 (d, 1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.18 (d, 1H, H-3′), $J_{3',4'}$ = 7 Hz, 7.28 (dd, 1H, H-4′), 7.44—7.47 (m, 3H, H-3″, H-4″, H-5″), 8.01, 8.02 (d, d, 2H, H-2″, H-6″), $J_{2'',3''} = J_{6'',5''}$ = 6.9 Hz. ^{13}C NMR spectrum, δ: 14.42 (q, $\underline{CH_3}$—CH_2), 17.23 (q, CH_3), 24.36 (t, CH_2), 55.21 (d, C-3a), 80.55 (d, C-6a), 126.63, 126.83, 128.08, 128.35, 128.74, 129.01, 129.04, 129.16, 130.45, 151.54, 135.66, 141.39 (C_{arom}), 153.11 (s, C=N), 170.02, 171.12 (s, s, 2 × C=O).

III-38,B. M.p. = 171—175 °C. ^{1}H NMR spectrum, δ: 0.89 (t, 3H, CH_3), 2.13 (s, 3H, CH_3), 2.15 (q, 2H, CH_2), 4.97 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.69 (d, 1H, H-6a), 7.10 (d, 1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.14 (d, 1H, H-3′), $J_{3',4'}$ = 6.9 Hz, 7.30 (dd, 1H, H-4′), 7.42—7.46 (m, 3H, H-3″, H-4″, H-5″), 8.00, 8.01 (d, d, 2H, H-2″, H-6″), $J_{2'',3''} = J_{6'',5''}$ = = 7.5 Hz. ^{13}C NMR spectrum, δ: 14.15 (q, $\underline{CH_3}$—CH_2), 17.83 (q, CH_3), 24.31 (t, CH_2), 55.29 (d, C-3a), 80.61 (d, C-6a), 126.63, 127.16, 128.03, 128.52, 128.64, 128.89, 130.20, 131.28, 135.59, 141.44 (C_{arom}), 153.09 (s, C=N), 169.99, 171.03 (s, s, 2 × C=O).

3-(3,4,5-Trimethoxyphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-39, mixture A + B), yield = 61 %. For $C_{23}H_{24}N_2O_6$ (M_r = 424.44) w_i(calc.): 65.08 % C, 5.69 % H, 6.60 % N; w_i(found): 64.92 % C, 5.51 % H, 6.49 % N. UV spectrum, λ_{max} (log ε): 285 (2.30).

III-39,A. M. p. = 152—155.5 °C. ^{1}H NMR spectrum, δ: 1.15 (t, 3H, CH_3), 1.89 (s, 3H, CH_3), 2.42 (q, 2H, CH_2), 3.82 (s, 9H, 3 × OCH_3), 4.95 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.69 (d, 1H, H-6a), 7.09 (d, 1H, H-5′), $J_{5',4'}$ = 7.8 Hz, 7.19 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.28 (dd, 1H, H-4′), 7.31 (s, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.40 (q, $\underline{CH_3}$—CH_2), 17.29 (q, CH_3), 24.29 (t, CH_2), 55.45 (d, C-3a), 56.26 (q, 2 × OCH_3), 60.95 (q, OCH_3), 80.79 (d, C-6a), 105.46, 121.97, 126.86, 128.51, 128.76, 130.28, 135.61, 140.48, 141.39, 152.78 (C_{arom}), 153.28 (s, C=N), 170.49, 171.38 (s, s, 2 × C=O).

III-39,B. M. p. = 164—166 °C. ^{1}H NMR spectrum, δ: 0.92 (t, 3H, CH_3), 2.12 (s, 3H, CH_3), 2.18 (q, 2H, CH_2), 3.82 (s, 9H, 3 × OCH_3), 4.95 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.69 (d, 1H, H-6a), 7.12 (d, 1H, H-5′), $J_{5',4'}$ = 8.1 Hz, 7.15 (d, 1H, H-3′), $J_{3',4'}$ = 9 Hz, 7.27 (dd, 1H, H-4′), 7.31 (s, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.22 (q, $\underline{CH_3}$—CH_2), 17.75 (q, CH_3), 24.33 (t, CH_2), 55.33 (d, C-3a), 56.26 (q, 2 × OCH_3), 60.95 (q, OCH_3), 80.83 (d, C-6a), 105.44, 121.96, 127.19, 128.52, 130.29, 135.61, 140.51, 141.41, 152.77 (C_{arom}), 153.29 (s, C=N), 170.50, 171.24 (s, s, 2 × C=O).

3-(4-Chlorophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-40, mixture A + B), yield = 60 %. For $C_{20}H_{17}ClN_2O_3$ (M_r = = 366.81) w_i(calc.): 65.12 % C, 4.64 % H, 7.59 % N; w_i(found): 65.05 % C, 4.69 % H, 7.71 % N. UV spectrum, λ_{max} (log ε): 267 (2.54).

III-40,A. M. p. = 178—180 °C. ^{1}H NMR spectrum, δ: 1.16 (t, 3H, CH_3), 1.86 (s, 3H, CH_3), 2.41 (q, 2H, CH_2), 4.93 (d, 1H, H-3a), $J_{3a,6a}$ = 9.5 Hz, 5.69 (d, 1H, H-6a), 7.08 (d,

1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.19 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.31 (dd, 1H, H-4′), 7.41 (d, 2H, H-3″, H-5″), $J_{3'',2''} = J_{5'',6''}$ = 8.7 Hz, 7.95 (d, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.42 (q, $\underline{CH_3}$—CH_2), 17.22 (q, CH_3), 24.35 (t, CH_2), 55.06 (d, C-3a), 80.73 (d, C-6a), 125.14, 126.88, 128.40, 128.77, 129.23, 129.33, 130.26, 135.57, 137.51, 141.36 (C_{arom}), 152.25 (s, C=N), 169.96, 170.94 (s, s, 2 × C=O).

III-40,B. M. p. = 209—212 °C. ^{1}H NMR spectrum, δ: 0.89 (t, 3H, CH_3), 2.12 (s, 3H, CH_3), 2.08—2.17 (q, 2H, CH_2), 4.94 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.70 (d, 1H, H-6a), 7.11 (d, 1H, H-5′), $J_{5',4'}$ = 7.8 Hz, 7.15 (d, 1H, H-3′), $J_{3',4'}$ = 7.5 Hz, 7.26 (dd, 1H, H-4′), 7.43 (d, 2H, H-3″, H-5″), $J_{3'',2''} = J_{5'',6''}$ = 8.7 Hz, 7.97 (d, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.18 (q, $\underline{CH_3}$—CH_2), 17.84 (q, CH_3), 24.32 (t, CH_2), 55.12 (d, C-3a), 80.76 (d, C-6a), 125.14, 127.21, 128.69, 130.28, 135.53, 137.52, 141.37 (C_{arom}), 152.17 (s, C=N), 169.89, 170.46 (s, s, 2 × C=O).

3-(4-Nitrophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-41, mixture A + B), yield = 69 %. For $C_{20}H_{17}N_3O_5$ (M_r = 379.36) w_i(calc.): 63.31 % C, 4.51 % H, 11.07 % N; w_i(found): 63.19 % C, 4.31 % H, 11.28 % N. UV spectrum, λ_{max} (log ε): 299 (2.48).

III-41,A. M. p. = 213—215 °C. ^{1}H NMR spectrum, δ: 1.19 (t, 3H, CH_3), 1.87 (s, 3H, CH_3), 2.42 (q, 2H, CH_2), 5.03 (d, 1H, H-3a), $J_{3a,6a}$ = 10 Hz, 5.81 (d, 1H, H-6a), 7.10 (d, 1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.22 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.30 (dd, 1H, H-4′), 8.24 (d, 2H, H-3″, H-5″), $J_{3'',2''} = J_{5'',6''}$ = 9 Hz, 8.31 (d, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.42 (q, $\underline{CH_3}$—CH_2), 17.21 (q, CH_3), 24.42 (t, CH_2), 54.69 (d, C-3a), 81.38 (d, C-6a), 124.09, 126.95, 128.80, 128.96, 130.36, 132.57, 135.40, 141.27 (C_{arom}), 151.67 (s, C=N), 169.63, 170.30 (s, s, 2 × C=O).

III-41,B. M. p. = 212—214 °C. ^{1}H NMR spectrum, δ: 0.90 (t, 3H, CH_3), 2.14 (s, 3H, CH_3), 2.10—2.17 (q, 2H, CH_2), 5.03 (d, 1H, H-3a), $J_{3a,6a}$ = 9.6 Hz, 5.81 (d, 1H, H-6a), 7.13 (d, 1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.17 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.30 (dd, 1H, H-4′), 8.24 (d, 2H, H-3″, H-5″), $J_{3'',2''} = J_{5'',6''}$ = 9.3 Hz, 8.31 (d, 2H, H-2″, H-6″). ^{13}C NMR spectrum, δ: 14.15 (q, $\underline{CH_3}$—CH_2), 17.81 (q, CH_3), 24.29 (t, CH_2), 54.69 (d, C-3a), 81.37 (d, C-6a), 124.09, 127.23, 128.21, 128.76, 128.89, 130.39, 132.57, 135.49, 141.20 (C_{arom}), 151.65 (s, C=N), 169.64, 170.28 (s, s, 2 × C=O).

3-(3,4-Dichlorophenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-42, mixture A + B), yield = 47 %. For $C_{20}H_{16}Cl_2N_2O_3$ (M_r = 403.26) w_i(calc.): 59.56 % C, 3.99 % H, 6.94 % N; w_i(found): 59.89 % C, 4.08 % H, 6.97 % N. UV spectrum, λ_{max} (log ε): 270 (2.4).

III-42,A. M. p. = 63—68 °C. ^{1}H NMR spectrum, δ: 1.16 (t, 3H, CH_3), 1.87 (s, 3H, CH_3), 2.41 (q, 2H, CH_2), 4.90 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.71 (d, 1H, H-6a), 7.09 (d, 1H, H-5′), $J_{5',4'}$ = 7.2 Hz, 7.19 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.29 (dd, 1H, H-4′), 7.51 (d, 1H, H-5″), $J_{5'',6''}$ = 8.7 Hz, 7.86 (dd, 1H, H-6″), $J_{6'',2''}$ = 2.1 Hz, 8.14 (d, 1H, H-2″). ^{13}C NMR spectrum, δ: 14.44 (q, $\underline{CH_3}$—CH_2), 17.24 (q, CH_3), 24.35 (t, CH_2), 54.82 (d, C-3a), 80.98 (d, C-6a), 126.82, 127.02, 127.11, 128.31, 128.80, 129.73, 130.34, 130.96, 133.42, 134.36, 135.51, 141.35 (C_{arom}), 151.41 (s, C=N), 169.84, 170.72 (s, s, 2 × C=O).

III-42,B. M. p. = 217—221 °C. ^{1}H NMR spectrum, δ: 0.92 (t, 3H, CH_3), 2.10 (s, 3H,

CH_3), 2.09—2.19 (q, 2H, CH_2), 4.93 (d, 1H, H-3a), $J_{3a,6a}$ = 9.6 Hz, 5.74 (d, 1H, H-6a), 7.13 (d, 1H, H-5′), $J_{5',4'}$ = 8.4 Hz, 7.17 (d, 1H, H-3′), $J_{3',4'}$ = 7.8 Hz, 7.30 (dd, 1H, H-4′), 7.53 (d, 1H, H-5″), $J_{5'',6''}$ = 8.4 Hz, 7.88 (dd, 1H, H-6″), $J_{6'',2''}$ = 2.1 Hz, 8.17 (d, 1H, H-2″). ^{13}C NMR spectrum, δ: 14.17 (q, $\underline{CH_3}$—CH_2), 17.83 (q, CH_3), 24.31 (t, CH_2), 54.89 (d, C-3a), 81.00 (d, C-6a), 126.59, 127.04, 127.22, 128.72, 128.79, 129.69, 130.34, 130.98, 133.47, 135.50, 135.70, 141.31 (C_{arom}), 151.32 (s, C=N), 169.76 (s, C=O).

3-(3-Methoxyphenyl)-5-(2-ethyl-6-methylphenyl)-4,6-dioxo-3a,4,6,6a-tetrahydropyrrolo[3,4-d]isoxazole (III-43, mixture A + B), yield = 52 %. For $C_{21}H_{20}N_2O_4$ (M_r = = 364.39) w_i(calc.): 69.21 % C, 5.53 % H, 7.69 % N; w_i(found): 69.13 % C, 5.39 % H, 7.68 % N. UV spectrum, λ_{max} (log ε): 264 (2.32).

III-43,A. M. p. = 145—148 °C. ^{1}H NMR spectrum, δ: 1.17 (t, 3H, CH_3), 1.88 (s, 3H, CH_3), 2.42 (q, 2H, CH_2), 3.84 (s, 3H, OCH_3), 4.96 (d, 1H, H-3a), $J_{3a,6a}$ = 9.6 Hz, 5.70 (d, 1H, H-6a), 7.05 (dd, 1H, H-4″), $J_{4'',5''}$ = 8.25 Hz, $J_{4'',6''}$ = 2.4 Hz, 7.08 (d, 1H, H-5′), $J_{5',4'}$ = 7.5 Hz, 7.19 (d, 1H, H-3′), $J_{3',4'}$ = 7.2 Hz, 7.28 (dd, 1H, H-4′), 7.35 (dd, 1H, H-5″), $J_{5'',6''}$ = 7.8 Hz, 7.57—7.61 (dd and d, 2H, H-2″ and H-6″). ^{13}C NMR spectrum, δ: 14.40 (q, $\underline{CH_3}$—CH_2), 17.27 (q, CH_3), 24.36 (t, CH_2), 55.27 and 55.44 (d and q, C-3a and $\underline{OCH_3}$), 80.62 (d, C-6a), 112.45, 117.88, 120.75, 126.82, 127.81, 128.50, 128.74, 129.93, 130.20, 135.66, 141.36 (C_{arom}), 153.01 (s, C=N), 170.01, 171.08 (s, s, 2 × C=O).

III-43,B. M. p. = 132—136 °C. ^{1}H NMR spectrum, δ: 0.90 (t, 3H, CH_3), 2.12 (s, 3H, CH_3), 2.07—2.18 (q, 2H, CH_2), 3.83 (s, 3H, OCH_3), 4.94 (d, 1H, H-3a), $J_{3a,6a}$ = 9.3 Hz, 5.68 (d, 1H, H-6a), 7.03 (dd, 1H, H-4″), $J_{4'',5''}$ = 8.1 Hz, $J_{4'',6''}$ = 2.4 Hz, 7.11 (d, 1H, H-5′), $J_{5',4'}$ = 7.8 Hz, 7.15 (d, 1H, H-3′), $J_{3',4'}$ = 7.5 Hz, 7.30 (dd, 1H, H-4′), 7.35 (dd, 1H, H-5″), $J_{5'',6''}$ = 7.8 Hz, 7.55—7.60 (dd and d, 2H, H-2″ and H-6″). ^{13}C NMR spectrum, δ: 14.21 (q, $\underline{CH_3}$—CH_2), 17.72 (q, CH_3), 24.27 (t, CH_2), 55.27 and 55.44 (d and q, C-3a and $\underline{OCH_3}$), 80.62 (d, C-6a), 112.55, 117.76, 120.69, 127.126, 128.64, 129.87, 135.60, 141.10 (C_{arom}), 152.82 (s, C=N), 170.00, 171.37 (s, s, 2 × C=O).

References

1. Matocsy, G., Nádasy, M., and Andriska, V., *Pesticide Chemistry*. Akadémiai Kiadó, Budapest, 1988.
2. Fujinami, A., Ozaki, T., Nodera, K., and Tanaka, K., *Agric. Biol. Chem. 36*, 318 (1972).
3. Cayley, G. R. and Hide, G., *Pestic. Sci. 11*, 15 (1980).
4. Pommer, E. H. and Zeeh, B., *Pestic. Sci. 8*, 320 (1977).
5. Howe, R. K., Shelton, B. R., and Liu, K.-Ch., *J. Org. Chem. 50*, 903 (1985).
6. Konopíková, M., Fišera, Ľ., Šturdík, E., Ujhélyová, R., Varkonda, Š., and Hýblová, O., *Chem. Papers 45*, 775 (1991).
7. Huisgen, R. and Mack, W., *Chem. Ber. 105*, 2805 (1972).
8. Kinns, M. and Sanders, I. K. M., *J. Magn. Reson. 56*, 518 (1984).
9. Allinger, N. L., *J. Am. Chem. Soc. 99*, 8127 (1977).
10. Burkert, U. and Allinger, N. L., *Molecular Mechanism*, ACS Monograph Series. American Chemical Society, Washington, D.C., 1982.
11. Jedlovská, E., Fišera, Ľ., Goljer, I., Konopíková, M., and Beleňkii, L. I., *Collect. Czechoslov. Chem. Commun. 56*, 673 (1991).
12. Bublitz, D. E., *U.S. 3394145* (1968); *Chem. Abstr. 69*, 76972p (1968).

Translated by P. Zálupský