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Single step synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3*H*)-imines and 3,5-dialkyl-9-nitro-imidazo[1,2-*c*]quinazolin-2(3*H*)-ones

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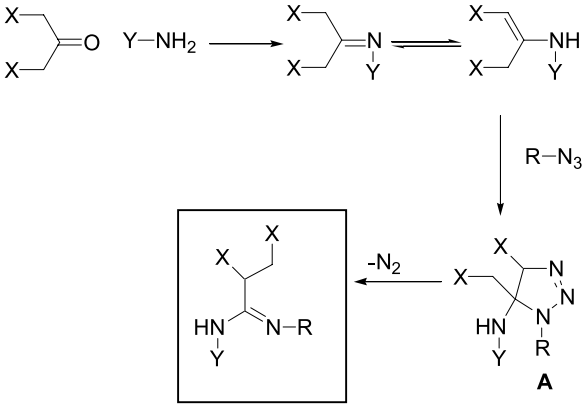
Abstract—A single step synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3*H*)-imines and 3,5-dialkyl-9-nitro-imidazo-[1,2-*c*]-quinazolin-2(3*H*)-ones from simple carbonyl compounds, primary amines or amino acid methyl esters and 2-azido-5-nitro-benzonitrile was developed. Key intermediates were *N*,*N*′-disubstituted amidines obtained by rearrangement of 4,5-dihydrotriazoles; the new heterocyclic rings were formed by spontaneous intramolecular reaction of the amino and cyano groups which are present in the intermediates.

1. Introduction

Recently, we described a convenient synthesis of *N*,*N*′-disubstituted amidines from tosyl azide, primary amines and ketones.[1] As depicted in Scheme 1, the amidines were easily obtained through a transformation reaction of 4-amino-4,5-dihydrotriazole intermediates **A**. It is well known that compounds **A** readily rearrange into amidines[2] when the **R** group on N-1 is an highly electron-withdrawing substituent (e.g. tosyl and aryl groups having formyl, nitro or cyano substituents). This simple approach to the synthesis of substituted amidines prompted us to investigate the preparation of *N*,*N*′-disubstituted amidines bearing the *ortho*-cyanoaryl group on N-1 and to study the formation of heterocyclic products by intramolecular cyclization processes.



Scheme 1.

Indeed, the formation of a fused azaheterocyclic ring could be expected by virtue of the nucleophilic character of the NH group and as a consequence of the known ability of *N*-aryl amidine intermediates to give intramolecular condensation reactions with suitable ortho groups (Scheme 2).

2. Results and discussion

As a further development of the general synthesis of *N*,*N*′-disubstituted amidines and in analogy with previous results, propanal **1a**, benzylamine **2a** and 2-azido-5-nitro-benzonitrile **3** were reacted in an inert solvent and in the presence of molecular sieves (see Scheme 3). Surprisingly, a single product was obtained, i.e. 3-benzyl-2-ethyl-6-nitro-quinazolin-4(3*H*)-imine **4a**, derived from the direct reaction between the secondary benzylamino group and the cyano group. The structure of **4a** was established by analytical and spectroscopic data. The ^{1}H NMR spectrum of **4a** were in agreement with the proposed structure and IR and ^{13}C NMR spectra ruled out the presence of the cyano group.

Keywords: 2,3-Dialkyl-6-nitro-4(3*H*)-quinazolinimines; 3,5-Dialkyl-9-nitro-imidazo[1,2-*c*]quinazolin-2(3)-ones; *N*,*N*′-disubstituted amidines; Intramolecular cyclization.
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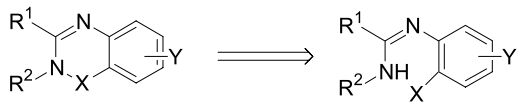
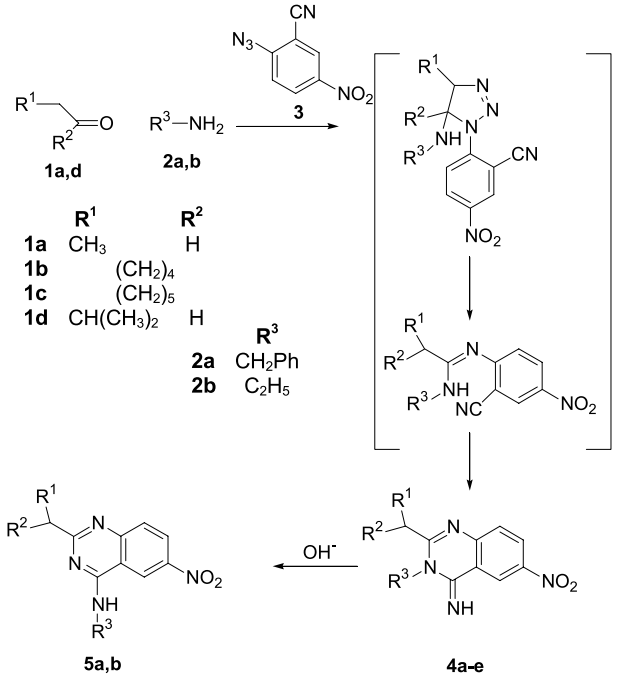


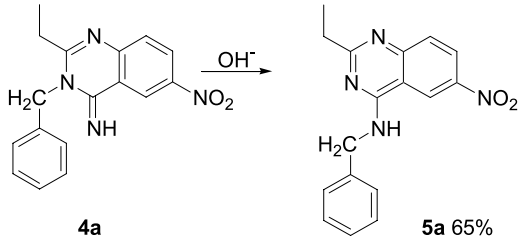
Scheme 2.



	R^1	R^2	R^3	%4	%5
4,5a	CH_3	H	CH_2Ph	78	65
4,5b	$(CH_2)_4$		C_2H_5	88	52
4c	$(CH_2)_4$		CH_2Ph	70	
4d	$(CH_2)_5$		CH_2Ph	68	
4e	$CH(CH_3)_2$	H	CH_2Ph	89	

Scheme 3. Reaction conditions; CH_2Cl_2, room temperature, molecular sieves.

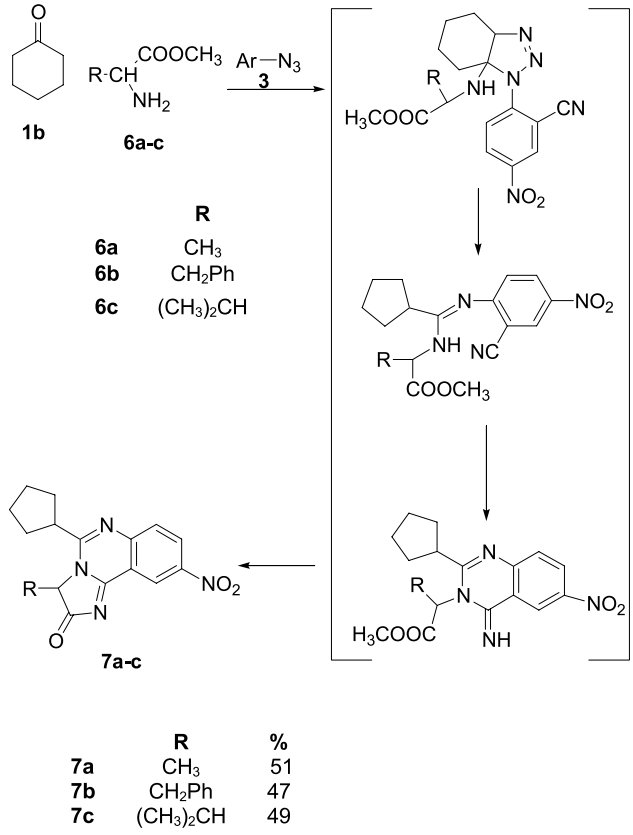
The ^{1}H NMR spectrum of **4a** hydrochloride in DMSO solution showed that the resonance of the NH_2^+ group was split into two signals with considerable difference of frequency (0.9 ppm). The rigid NH_2^+ structure fits this evidence, because the environment of the two protons is very different. In order to confirm this structural hypothesis the reactivity of **4a** was examined. The base catalyzed transposition of the alkyl group of 3-alkyl-quinazoline-4(3*H*)-imines which affords 4-alkylaminoquinazolines is well known as the Dimroth rearrangement.[3] As expected, in basic conditions (1 M sodium hydroxide), iminoquinazoline **4a** yielded 4-alkylaminoquinazoline **5a** (Scheme 4).



Scheme 4.

The ^{1}H NMR spectrum of **5a** hydrochloride showed a single signal associated with the NH_2^+ group and the ^{13}C NMR spectrum was in agreement with the proposed structure. In order to further validate structure **4** we reacted quinazoline-imine **4b** with a base. This second experiment gave rise to the expected Dimroth rearrangement product **5b**. The one-pot method previously described was applied to the synthesis of quinazoline-4(3*H*)imines **4a–e** from carbonyl derivatives **1a–d**, primary amines **2a**,**b** and 2-azido-5-nitrobenzonitrile **3** (Scheme 3).

The use of amino acid esters as primary amines in the above reaction conditions was further investigated. This procedure should allow the amino acid function to be linked onto the quinazoline ring. Reaction of cyclohexanone **1b** and aminoacid methyl esters **6a–c** (D,L-alanine, L-phenylalanine, L-valine methyl esters) with 2-azido-5-nitro-benzonitrile **3** afforded imidazo[1,2-*c*]quinazolin-2(3*H*)-one derivatives **7a–c** in good yields and as single products. Analytical and spectroscopic data ruled out the presence of the methyl ester group and of exchangeable protons whereas signals related to an aromatic group, to the cyclopentyl substituents and those corresponding to the aminoacid backbone were clearly identified. All ^{13}C NMR spectra of **7a–c** were characterized by two signals (quaternary carbons) at low frequencies (185.5–187.2 and 170.3–170.7 δ) associated with a cyclic amide group and with a cyclic N–C=N carbon conjugated with C=O,[4] respectively. These analytical data



	R	%
7a	CH_3	51
7b	CH_2Ph	47
7c	$(CH_3)_2CH$	49

Scheme 5. Reaction conditions: CH_2Cl_2, room temperature, molecular sieves.

allowed assignment of the structure of 3,5-dialkyl-9-nitro-imidazo[1,2-*c*]quinazolin-2(3*H*)-one to compounds **7a–c**. Mass spectra and elementary analysis were in agreement with the proposed structures.

The formation of tricyclic derivatives **7** can be rationalized through the cyclization of 4-iminoquinazoline intermediates which could not be isolated in the present case. The adopted reaction conditions were responsible for the formation of the cyclic imide **7** by condensation of the imino group with the ester function (Scheme 5).

It is necessary to remark that compounds **7** arising from optically pure aminoacids lose optical activity. This is explained by enolization of the intermediate product.

In conclusion, readily available starting materials have been used in one-pot reactions to obtain two different heterocyclic rings in good yield by suitably exploiting the reactivity of *N*,*N*′-disubstituted amidines. This synthetic method is versatile and allows access to several heterocyclic rings with various substituents, depending on the structure of the starting materials.

3. Experimental

Mps were determined by a Büchi 510 (capillary) apparatus. IR spectra were measured with a JASCO IR Report 100 instrument (Nujol; cm^{-1}). NMR spectra were obtained with Bruker Advance 300 and Varian Gemini 200 instruments. *J* values are given in Hz for solutions in $CDCl_3$ if not indicated. Mass spectra were recorded with LCQ Advantage Thermofinnigan equipped with electrospray ionisation (ESI). 2-Azido-5-nitro-benzonitrile is a known compound.[5]

3.1. Synthesis of 3,5-dialkyl-6-nitro-quinazolin-4(3*H*)-imines 4a–c: general procedure

Carbonyl compound **1a**,**d** (10 mmol) and amine **2a**,**b**[6] (10 mmol) were dissolved in CH_2Cl_2 (20 mL). To the solution molecular sieves (4 Å, 5 g) were added. After 30 min, 2-azido-5-nitro-benzonitrile **3** (10 mmol) was added. The solution was stirred at room temperature for 12 h until disappearance of the starting materials (TLC: ethyl acetate–cyclohexane 2:3). The reaction suspension was filtered and evaporated. The crude was chromatographed with ethyl acetate–cyclohexane (2:3).

3.1.1. 3-Benzyl-2-ethyl-6-nitro-quinazolin-4(3*H*)-imine 4a. Yield 2.6 g, 78%. Mp 142–144 °C (yellow crystals from EtOH). IR 3342 (NH), 1629 (C=N), ^{1}H NMR 1.33 (3H, t, J=7.4 Hz, CH_3), 2.75 (2H, q, J=7.4 Hz, CH_2), 5.49 (2H, s, CH_2Ph), 7.22–7.41 (5H, m, Ph), 7.64 (1H, d, J=8.8 Hz, H-8), 8.40 (1H, dd J=8.8, 2.2 Hz, H-7), 8.74 (1H, d, J=2.2 Hz, H-5), 7.40–8.90 (1H, bs, NH), ^{1}H NMR of the hydrochloride (DMSO) 1.35 (3H, t, J=6.9 Hz, CH_3), 4.43 (2H, q, J=6.9 Hz, CH_2), 5.76 (2H, s, CH_2Ph), 7.25–7.44 (5H, m, Ph), 8.02 (1H, d, J=8.7 Hz, H-8), 8.70 (1H, dd, J=1.8, 8.7 Hz, H-7), 9.82 (1H, d, J=1.83 Hz, H-5), 10.30 and 11.17 (2H, 2bs, NH_2), ^{13}C NMR 11.3 (CH_3), 28.4 (CH_2), 47.2 (CH_2), 116.7 (C), 122.8 (CH), 126.6 (CH), 127.4 (CH), 127.6 (CH), 129.3 (CH), 130.0 (CH), 136.1 (C), 145.1 (C), 149.8 (C), 156.8 (C), 162.6 (C). ESI Mz+309.2. Calcd for $C_{17}H_{16}N_4O_2$ (308.33) C, 66.23; H, 5.19; N, 18.18. Found: C, 65.93; H, 5.24; N, 18.03.

3.1.2. 2-Cyclopentyl-3-ethyl-6-nitro-quinazolin-4(3*H*)-imine 4b. Yield 2.5 g, 88%. Mp 164 °C (yellow crystals from EtOH). IR 3345 (NH), 1632 (CN), ^{1}H NMR 1.41 (3H, t, J=6.9 Hz, CH_3), 1.68–2.10 (8H, m, 4CH_2), 3.12–3.24 (1H, m, CH), 4.28 (2H, q, J=6.9 Hz, CH_2), 5.25 (1H, bs, NH), 7.55 (1H, d, J=9.1 Hz, H-8), 8.64 (1H, dd, J=2.5, 9.1 Hz, H-7), 8.70 (1H, d, J=2.5 Hz, H-5), ^{1}H NMR of the hydrochloride (DMSO) 1.36 (3H, t, J=6.9 Hz, CH_3), 1.70–2.12 (8H, m, 4CH_2), 3.14–3.26 (1H, m, CH), 4.44 (2H, q, J=6.9 Hz, CH_2), 7.97 (1H, d, J=9.1 Hz, H-8), 8.70 (1H, dd, J=2.2, 9.1 Hz, H-7), 10.21 and 10.98 (2H, 2bs, NH_2). ^{13}C NMR 13.4 (CH_3), 26.2 (CH_2), 32.6 (CH_2), 40.2 (CH_2), 43.3 (CH), 119.5 (C), 120.8 (CH), 126.8 (CH), 128.9 (CH), 144.9 (C), 149.9 (C), 156.4 (C), 164.4 (C). ESI Mz+287.3. Calcd for $C_{15}H_{18}N_4O_2$ (286.14) C, 62.92; H, 6.34; N, 19.57. Found: C, 62.74; H, 6.50; N, 19.32.

3.1.3. 3-Benzyl-2-cyclopentyl-6-nitro-quinazolin-4(3*H*)-imine 4c. Yield 2.4 g, 70%. Mp 150 °C (yellow crystals from EtOH). IR 3346 (NH), 1629 (C=N), ^{1}H NMR 1.45 (8H, m, 4CH_2), 3.01–3.33 (1H, m, CH), 5.54 (2H, s, CH_2Ph), 7.19–7.40 (5H, m, Ph), 7.61 (1H, d, J=9.1 Hz, H-8), 8.00 (1H, bs, NH), 8.38 (1H, dd, J=2.2, 9.1 Hz, H-7), 8.74 (1H, d, J=2.2 Hz, H-5), ^{1}H NMR of the hydrochloride (DMSO) 1.45–1.93 (8H, m, 4CH_2), 3.25–3.42 (1H, m, CH–C=N), 5.75 (2H, s, CH_2Ph), 7.25–7.44 (5H, m, Ph), 8.02 (1H, d, J=8.7 Hz, H-8), 8.73 (1H, dd, J=1.8, 8.7 Hz, H-7), 9.80 (1H, d, J=1.8 Hz, H-5), 10.3 and 11.17 (2H, 2bs, NH_2), ^{13}C NMR 26.4 (CH_2), 32.7 (CH_2), 43.8 (CH), 48.3 (CH_2), 119.7 (C), 121.2 (CH), 126.1 (CH), 127.2 (CH), 127.9 (CH), 129.2 (CH), 129.4 (CH), 136.5 (C), 145.3 (C), 150.0 (C), 157.0 (C), 165.3 (C). ESI Mz+349.3. Calcd for $C_{20}H_{20}N_4O_2$ (348.16) C, 68.95; H, 5.79; N, 16.08. Found: C, 69.00; H, 5.75; N, 16.09.

3.1.4. 3-Benzyl-2-cyclohexyl-6-nitro-quinazolin-4(3*H*)-imine 4d. Yield 2.5 g, 68%. Mp 146–147 °C (yellow crystals from 2-propanol). IR 3350 (NH), 1630 (CN), ^{1}H NMR 1.52–2.59 (10H, m, 5CH2), 2.62–2.77 (1H, m, CH), 5.48 (1H, s, CH2), 7.17–7.42 (6H, m, Ph and NH), 7.58 (1H, d, J=8.8 Hz, H-8), 8.46 (1H, dd, J=8.8, 1.4 Hz, H-7), 8.70 (1H, d, J=1.4 Hz, H-5), ^{13}C NMR 25.8 (CH_2), 26.2 (CH_2), 31.5 (CH_2), 43.0 (CH), 47.8 (CH_2), 119.6 (C), 121.1 (CH), 126.2 (CH), 127.1 (CH), 127.8 (CH), 129.0 (CH), 136.5 (C), 146.1 (C), 149.9 (C), 156.9 (C), 165.0 (C). ESI M+363.1. Calcd for $C_{21}H_{22}N_4O_2$ C, 69.59; H, 6.12; N, 15.46 (362.43). Found: C, 69.37; H, 6.24; N, 15.27.

3.1.5. 3-Benzyl-2-isobutyl-6-nitro-quinazolin-4(3*H*)-imine 4e. Yield 2.9 g, 89%. Mp 156–155 °C (yellow crystals from 2-propanol). IR 3349 (NH), 1632 (CN), ^{1}H NMR 1.00 (6H, d, J=7.3 Hz, 2CH_3), 2.25–2.63 (1H, m, CH), 2.62 (2H, d, J=7.0 Hz), 5.57 (2H, s, CH_2), 7.16–7.38 (6H, m, Ph and NH), 7.65 (1H, d, J=8.8 Hz, H-8), 8.42 (1H, dd, J=8.8, 2.2 Hz, H-7), 9.0 (1H, d, J=2.2 Hz, H-5), ^{13}C NMR 22.7 (CH_3), 27.2 (CH), 44.3 (CH_2), 48.2 (CH_2), 119.7 (C), 121.1 (CH), 126.1 (CH), 127.1 (CH), 127.8 (CH), 129.1 (CH), 135.9 (C), 145.2 (C), 149.5 (C), 156.5 (C), 160.7 (C).

ESI M+337.0. Calcd for $C_{19}H_{20}N_4O_2$ (336.39) C, 67.84; H, 5.99; N, 16.66. Found: C, 67.68; H, 6.23; N, 16.58.

3.2. Dimroth rearrangement: general procedure

3,5-Dialkyl-6-nitro-quinazolin-4(3*H*)-imines **4a**,**b** (10 mmol) was suspended in 30 mL of 1 M NaOH solution and heated to 80 °C for 24 h. The reaction was monitored by TLC (ethyl acetate–cyclohexane 1:1). The reaction mixture was extract with dichloromethane, dried with Na_2SO_4 and evaporated. The crude reaction was chromatographed with ethyl acetate–cyclohexane (1:1).

3.2.1. 4-Benzylamino-2-ethyl-6-nitro-quinazoline 5a. Yield 2.0 g, 65%. Mp 186 °C (yellow crystals from EtOH). IR 2220 (NH). ^{1}H NMR 1.25 (3H, t, J=8.1 Hz, CH_3), 2.75 (2H, q, J=8.1 Hz, CH_2), 4.80 (2H, d, J=5.4 Hz, CH_2Ph), 7.25–7.44 (5H, m, Ph), 7.75 (1H, d, J=9.1 Hz, H-8), 8.42 (1H, dd, J=9.1, 1.8 Hz, H-7), 9.36 (1H, d, J=1.8 Hz, H-5), 9.42 (1H, t, J=5.4 Hz, NH), ^{13}C NMR (DMSO) 12.0 (CH_3), 32.4 (CH_2), 43.7 (CH_2), 112.2 (C), 120.4 (CH), 126.0 (CH), 126.8 (CH), 127.7 (CH), 128.2 (CH), 128.4 (CH), 138.8 (C), 143.2 (C), 153.4 (C), 160.0 (C), 171.0 s. ESI Mz+309.2. Calcd for $C_{17}H_{16}N_4O_2$ (308.33) C, 66.23; H, 5.19; N, 18.18. Found: C, 65.89; H, 5.27; N, 18.00.

3.2.2. 2-Cyclopentyl-4-ethylamino-6-nitro-quinazoline 5b. Yield 1.4 g, 52%. 188–189 (yellow crystals from EtOH). IR 2220 (NH), ^{1}H NMR 1.40 (3H, t, J=7.4 Hz, CH_3), 1.67–2.19 (8H, m, 4CH_2), 3.27–3.34 (1H, m, CH), 3.71–3.84 (2H, q, J=7.4 Hz, CH_2), 6.08 (1H, bs, NH), 7.84 (1H, d, J=9.2 Hz, H-8), 8.45 (1H, dd, J=9.2, 2.6 Hz, H-7), 8.72 (1H, d, J=2.6 Hz, H-5), ^{13}C NMR (DMSO) 14.6 (CH_3), 26.4 (CH_2), 32.8 (CH_2), 36.3 (CH_2), 49.1 (CH), 113.1 (C), 121.1 (CH), 126.5 (CH), 129.2 (CH), 143.8 (C), 154.2 (C), 160.8 (C), 174.4 (C). ESI Mz+287.3. Calcd for $C_{15}H_{18}N_4O_2$ (286.14) C, 62.92; H, 6.34; N, 19.57. Found C, 62.62; H, 6.59; N, 19.24.

3.3. Synthesis of 3,5-dialkyl-9-nitro-imidazo[1,2-*c*] quinazolin-2(3*H*)-ones 7a–c: general procedure

Amino-acid methyl ester hydrochloride **6a–c** (10 mmol) and TEA (10 mmol) were dissolved in CH_2Cl_2 (20 mL). Then, cyclohexanone (10 mmol) and 7 g of 4 Å molecular sieves were added. After 30 min 2-azido-5-nitro-benzonitrile **3** (10 mmol) was added. The solution was stirred for 24 h until disappearance of the starting material (TLC ethyl acetate–cyclohexane 1:1). After filtration and evaporation the crude reaction product was chromatographed with ethyl acetate–cyclohexane (2:3).

3.3.1. 5-Cyclopentyl-3-methyl-9-nitro-imidazo[1,2-*c*]-quinazolin-2(3*H*)-one 7a. Yield 1.6 g, 51%. Mp 218 °C (yellow crystals from EtOH). IR 1741 (C=O), 1622 (C=N), ^{1}H NMR 1.58–2.37 (11H, m, 4CH_2 and CH_3), 3.08–3.28 (1H, m, CH), 4.60 (1H, q, J=7.3 Hz, CH–N), 7.85 (1H, d, J=9.1 Hz, H-7), 8.63 (1H, dd, J=9.1, 2.5 Hz, H-8), 9.26 (1H, d, J=2.5 Hz, H-10), ^{13}C NMR 18.5 (CH_3), 26.2 (CH_2), 26.4 (CH_2), 33.2 (CH_2), 43.2 (CH), 58.9 (CH), 115.4 (C), 123.9 (CH), 129.2 (CH), 129.8 (CH), 145.7 (C), 151.18 (C), 161.4 (C), 170.3 (C), 187.2 (C). ESI Mz+313.3. Calcd for $C_{16}H_{16}N_4O_3$ (312.32) C, 61.53; H, 5.16; N, 17.94. Found: C, 61.32; H, 5.29; N, 17.49.

3.3.2. 3-Benzyl-5-cyclopentyl-9-nitro-imidazo[1,2-*c*]-quinazolin-2(3*H*)-one 7b. Yield 1.8 g, 47%. Mp 202–203 °C (yellow crystals from EtOH). IR 1622 (C=N), 1741 (C=O), ^{1}H NMR 1.78–2.30 (8H, m, 4CH_2), 3.18–3.37 (1H, m, CH–C=N), 3.58 (2H, d, J=4.4 Hz, CH_2Ph), 4.86 (1H, t, J=4.4 Hz, CH–N), 6.97–7.15 (5H, m, Ph), 7.78 (1H, d, J=9.1 Hz, H-7), 8.53 (1H, dd, J=9.1, 2.5 Hz, H-8), 8.99 (1H, d, J=2.5 Hz, H-10), ^{13}C NMR 26.3 (CH_2), 26.5 (CH_2), 31.9 (CH_2), 34.1 (CH_2), 37.7 (CH_2), 43.5 (CH), 63.6 (CH), 114.9 (C), 123.7 (CH), 128.0 (CH), 128.8 (CH), 129.1 (CH), 129.7 (CH), 132.4 (C), 145.5 (C), 150.9 (C), 161.4 (C), 170.8 (C), 186. 3 (C). ESI Mz+389.4. Calcd for $C_{22}H_{20}N_4O_3$ (388.42) C, 68.03; H, 5.19; N, 14.43. Found: C, 67.79; H, 5.25; N, 14.23.

3.3.3. 5-Cyclopentyl-3-isopropyl-9-nitro-imidazo[1,2-*c*] quinazolin-2(3*H*)-one 7c. Yield 1.6 g, 49%. Mp 176–177 °C (yellow crystals from EtOH). IR 1620 (C=N), 1739 (C=O), ^{1}H NMR 0.79 (3H, d, J=6.9 Hz, CH_3), 1.43 (3H, d, J=6.9 Hz, CH_3), 1.70–2.30 (8H, m, 4CH_2), 2.56–2.65 (1H, m, CH), 3.04–3.24 (1H, m, CH–C=N), 4.52 (1H, d, J=3.6 Hz, CH–N), 7.83 (1H, d, J=9.1 Hz, H-7), 8.60 (1H, dd, J=9.1, 2.5 Hz, H-8), 9.23 (1H, d, J=2.5 Hz, H-10), ^{13}C NMR 15.5 (CH_3), 17.6 (CH_3), 26.6 (CH_2), 26.8 (CH_2), 33.6 (CH_2), 34.3 (CH_2), 31.6 (CH), 43.6 (CH), 67.4 (CH), 115.3 (C), 124.3 (CH), 129.4 (CH), 130.0 (CH), 146.0 (C), 151.4 (C), 161.8 (C), 170.8 (C), 185.5 (C). ESI Mz+341.4. Calcd for $C_{18}H_{20}N_4O_3$ (340.38) C, 63.52; H, 5.92; N, 16.64. Found: C, 63.37; H, 6.04; N, 16.52.

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6. In the case of ethylamine hydrochloride, an equivalent amount of TEA was added.