Synthesis of 3-Substituted Benzpyrid-4-imino-2-oxime Derivatives

H. A. Soleiman, A. I. M. Koraiem and N. Y. Mahmoud*
Chemistry Department, Aswan Faculty of Science, South Valley University, Aswan, Egypt

Pyrazolone, Isoxazolone, Pyrimidinone, Pyrimidinothione, thiazolidinone and β-lactam incorporating 2-oximino benzpyrid-4-one derivatives have been synthesized by cyclocondensation addition reaction and cycloaddition of hydrazine hydrate, phenyl hydrazine, hydroxylamine, urea, thiourea, mercapto acetic acid, and chloroacetylchloride, respectively.

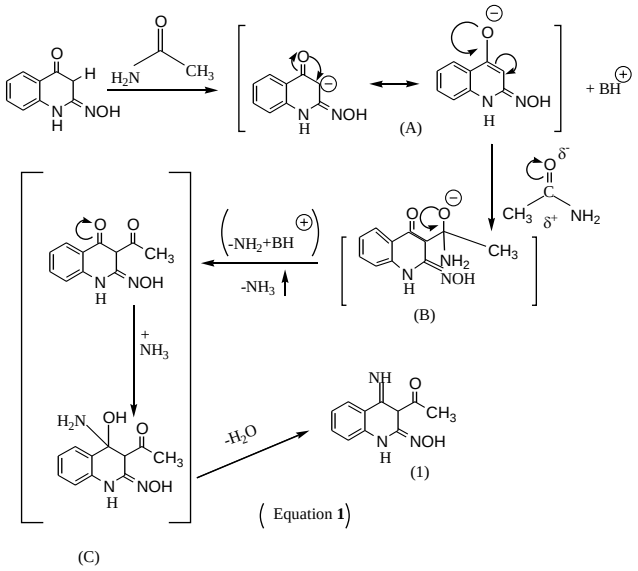
Keywords: Substituted heterocyclic compounds; Synthesis.

INTRODUCTION

New molecules fused and isolated heterocyclic compounds are biologically interesting and their chemistry has received considerable interest,[1-7] as has their use synthetic drugs.[8-12] This is principally due to the unique physical and chemical properties of such compounds, which enable their wide application as plant growth regulators.[13] The compounds described in this work are composed of four-, five-, and six-membered heterocyclic systems containing nitrogen, oxgyen, and sulfur atoms. However various styryl and Schiff base compounds incorporating the benzpyrid-4-imino-2-oxime residue have been synthesized and studied by us. Therefore, several fused and isolated pyrazolo-, pyrimidino-, oxazolo-, thiazolo-, and β-lactam and their derivatives have been synthesized.

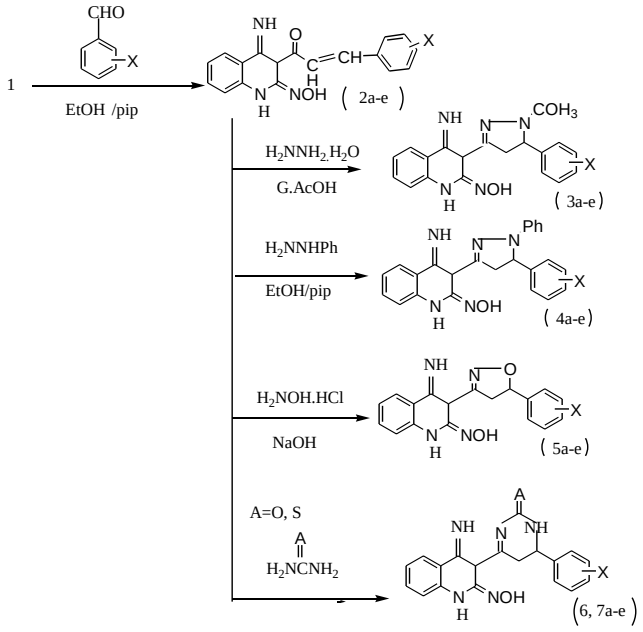
RESULT AND DISCUSSION

In a recent paper, we reported that the synthesis of 3-acetyl benzpyrid-4-imino-2-oxime was obtained by acetylation of an active methylene group in the compound benzpyrid-4-one-2-oxime[14] when heated with acetamide in an oil bath at 200-220.[15] The formation of compound (1) was suggested to proceed as a reaction related to the mixed Claisen condensation using nonenolizable acetamide as basic cyclating agents to generate heterocyclic ketone enolate ions (A). Nucleophilic addition reaction of ethanolic solution of the latter enolate formed ions to the carbonyl group of acid amide (acyl transfer) forming the suggested intermediate compound (B), which undergo through thermal basic condition dissociates by expelling an amino group which attracted proton ion from BH^+ to afford the corresponding suggested nucleophilic addition product(c), follwingly dehydration process to give 3-acetyl benzpyrid-4-imino-2-oxime (equation 1).



The methyl group in compound (1) condensed with different aromatic aldehydes in ethanol under piperidine as catalysit to yield the corresponding N-chalcone benzpyrid-4-imino-2-oxime derivatives (2_{a-e}) (Scheme I). The chalcone derivatives (2_{a-e}) when interacted with hydrazine hydrate in the presence of acetic acid in ethanol as solvent and/or phenylhdyrazine in ethanol as solvent under piperidine as catalyst, respectively, gave the required N-acetylpyrazolo-, and/or N-phenylpyrazolo-, (3_{a-e}), (4_{a-e}), respectively (Scheme I). When the chalcone derivatives interacted with hydroxylamine hydrochloride in ethanol as solvent, with sodium hydroxide as catalyst, they gave the required N-isooxazolino benzpyrid-4-imino derivatives (5_{a-e}) (Scheme I). The chalcone derivatives (2_{a-e}) when interacted with urea and/or thiourea in ethanol as solvent, with sodium hydroxide as catalyst, gave the

Scheme I

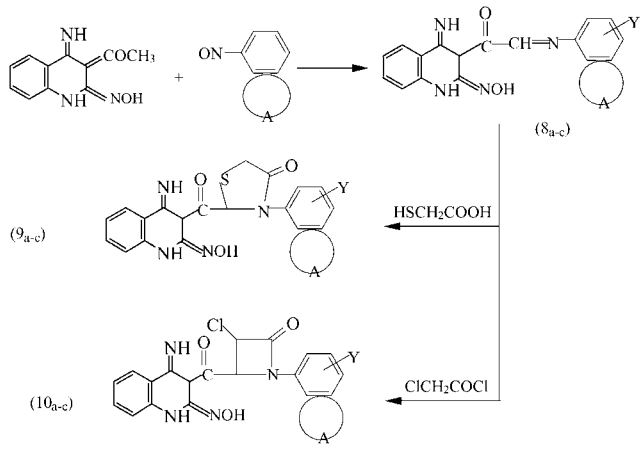


Where a, X=H; b, X=*P*.OH; c, X=*P*.Cl; d, X=*P*.OCH_3 ; e,X=*O*.NO_2

required N-pyrimidino benzpyrid-4-imino derivatives (6_{a-e}) and/or N-pyrimidinothiono benzpyrid-4-imino derivatives (7_{a-e}) (Scheme I).

N-acetylbenzpyrid-4-imino-2-oxime (1) was condensed with nitroso compounds such as p-nitrosophenol, β(α)-nitroso-α-(β)-naphthol, in the presence of piperidine as catalyst to give the corresponding Schiff base derivatives (8_{a-c}) (Scheme II). Cycloaddition reaction of thioglycolic acid to the previously prepared Schiff base compounds (8_{a-c}) proceeded successfully. Thus, thioglycolic acid when added to

Scheme II



Where $(8,9,10)_{a-c}$, a, Y=p.OH, A=H; b Y=α-OH, A=C_6H_4; c=β-OH, A=C_6H_4

Schiff base in ethanol in the presence of piperidine as catalyst afforded thiazolidinone derivatives (9_{a-c}) (Scheme II). The reaction of (8_{a-c}) with equimolar ratios of chloroacetylchloride in ethanol in the presence of triethylamine as catalyst afforded β-lactam derivatives (10_{a-c}) (Scheme II).

EXPERIMENTAL

All melting points were uncorrected. IR spectra were recorded on a Pye Unicam SP 1100 spectrophotometer using KBr disc. ^{1}H-NMR spectra were recorded on a Varian EM-390 MH_Z Spectrophotometer using DMSO d_6 as a solvent and TMS as an internal standard. Chemical shifts are expressed as ppm, units. Mass spectra were recorded on an HP Ms 6988 spectrometer. Analytical data were determined with a CE 440 Elemental Analyzer-Automatic Injector at Cairo University.

Synthesis of 3-acetyl benzpyrid-4-imino-2-oxime (1)

A mixture of benzpyrid-4-one-2-oxime and acetamide were heated in an oil bath at (200-220) for 2 hours and kept over night in a refrigerator.[15] The semi-solid mass was extracted with benzene and purified from ethanol to give 3-acetyl benzpyrid-4-imino-2-oxime (c.f. Table 2).

Synthesis of N-Chalcone benzpyrid-4-imino-2-oxime derivatives (2_{a-e})

To a solution of **1** (2.17 g, 0.01 mol) and aromatic aldehydes (1.06 g-1.51 g, 0.01 mol) in absolute ethyl alc, two drops of piperidine were added. The reaction mixture was refluxed for 6-8 hrs then left to cool and poured on cold water. The solid product so formed was collected by filtration and crystallized from ethanol to give (2_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-acetyl pyrazolino-benzpyrid derivatives (3_{a-e})

To a solution of chalcones (2_{a-e}) (3.05 g - 3.51 g, 0.01 mol) in ethanol as solvent, hydrazine hydrate (0.01 mol) was added followed by glacial acetic acid (10 mL), and the reaction mixture was refluxed for (8-10) hrs. The reaction mixture was concentrated and coold. These materials were triturated with water, precipitates were separated, filtrated, washed several times with water and crystallized from ethanol to give (3_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-phenylpyrazolino-benzpyrid derivatives (4_{a-e})

To a solution of chalcones (2_{a-e}) (3.05 g - 3.51 g, 0.01

Table 1. Characterization of compounds (1-10)

Comp. No.	Colour	m.p. °C	Yield %	Mol. Formula	Analysis% Calc. (Found)			MS (*m/z*)
					C	H	N	
1	Pale yellow	165-167	65	$C_{11}H_{11}N_3O_2$	60.82 (60.75)	5.06 (5.01)	19.35 (19.38)	217
2a	Yellow	200-202	74	$C_{18}H_{15}N_3O_2$	70.81 (7.57)	4.91 (4.81)	13.77 (13.80)	305
2b	Brown	169-171	68	$C_{18}H_{15}N_3O_3$	67.28 (67.06)	4.67 (4.37)	13.08 (13.07)	321
2c	Yellow	178-180	73	$C_{18}H_{14}N_3O_2Cl$	63.62 (63.50)	4.12 (4.10)	12.37 (12.33)	339.5
2d	Greenish yellow	199-201	75	$C_{18}H_{17}N_3O_3$	64.47 (64.84)	5.07 (5.07)	12.53 (12.50)	335
2e	Brown	187-189	65	$C_{18}H_{14}N_4O_4$	61.71 (61.51)	4.00 (4.04)	16.00 (16.05)	350
3a	Pale brown	186-188	63	$C_{20}H_{19}N_5O_2$	66.48 (66.27)	5.26 (5.26)	19.39 (19.38)	361
3b	Brown	178-180	33	$C_{20}H_{20}N_5O_3$	63.49 (63.30)	5.01 (5.02)	19.38 (19.36)	378
3c	Pale yellow	168-170	31	$C_{20}H_{18}N_5O_2Cl$	60.75 (60.54)	4.55 (4.57)	18.51 (18.53)	395.5
3d	Brown	162-164	45	$C_{21}H_{21}N_5O_3$	64.45 (64.25)	5.37 (5.36)	17.69 (17.68)	391
3e	Dark brown	165-167	48	$C_{20}H_{18}N_6O_4$	59.11 (58.95)	4.43 (4.43)	21.48 (21.44)	406
4a	Dark yellow	188-190	62	$C_{24}H_{21}N_5O$	72.91 (72.75)	5.31 (5.31)	17.72 (17.71)	395
4b	Pale brown	189-191	71	$C_{24}H_{21}N_5O_2$	70.07 (69.92)	5.10 (5.14)	17.03 (17.05)	411
4c	Brown	186-188	65	$C_{24}H_{20}N_5OCl$	67.05 (66.96)	4.66 (4.65)	16.29 (16.27)	429.5
4d	Pale brown	149-151	68	$C_{25}H_{23}N_5O_2$	70.58 (70.45)	5.41 (5.25)	16.47 (16.45)	425
4e	Orange	185-187	43	$C_{24}H_{20}N_6O_3$	65.45 (65.33)	4.55 (4.55)	19.09 (19.06)	440
5a	Brown	182-184	58	$C_{18}H_{16}N_4O_2$	67.50 (67.27)	5.00 (5.10)	17.50 (17.54)	320
5b	Brown	240-242	28	$C_{18}H_{16}N_4O_3$	64.28 (64.08)	4.76 (4.75)	16.66 (16.46)	336
5c	Yellow	164-166	68	$C_{18}H_{15}N_4O_2Cl$	60.93 (60.55)	4.23 (4.20)	15.79 (15.75)	354.5
5d	Pale brown	158-160	65	$C_{19}H_{18}N_4O_3$	65.14 (65.10)	5.14 (5.14)	16.00 (16.04)	350
5e	Brown	180-182	25	$C_{18}H_{15}N_5O_3$	61.89 (61.73)	4.29 (4.28)	20.05 (20.01)	349
6a	Pale brown	236-238	65	$C_{19}H_{17}N_5O_2$	65.70 (65.76)	4.89 (4.89)	20.17 (20.15)	347
6b	Yellowish green	251-253	42	$C_{19}H_{17}N_5O_3$	62.82 (62.76)	4.68 (4.68)	19.28 (19.25)	363
6c	Orange	191-193	51	$C_{19}H_{16}N_5O_2Cl$	59.76 (59.71)	4.19 (4.19)	18.34 (18.32)	381.5
6d	Pale yellow	246-248	46	$C_{20}H_{20}N_5O_2$	63.66 (63.61)	5.31 (5.31)	18.56 (18.51)	377
6e	Pale brown	234-236	65	$C_{19}H_{16}N_6O_4$	58.20 (58.27)	4.08 (4.08)	21.42 (21.41)	392
7a	Yellow	245-247	53	$C_{19}H_{17}N_5OS$	62.82 (62.76)	4.68 (4.69)	19.28 (19.33)	363
7b	Dark brown	197-199	33	$C_{19}H_{17}N_5O_2S$	60.18 (60.10)	4.48 (4.48)	18.46 (18.42)	379

7c	Pale yellow	204-206	42	$C_{19}H_{16}N_5OSCl$	57.35 (57.30)	4.02 (4.02)	17.61 (17.63)	397.5
7d	Pale yellow	210-212	48	$C_{20}H_{19}N_5O_2S$	61.06 (61.17)	4.83 (4.80)	17.81 (17.80)	393
7e	Brown	200-202	61	$C_{19}H_{16}N_6O_3S$	55.88 (55.82)	3.92 (3.92)	20.58 (20.53)	408
8a	Black	195-197	73	$C_{17}H_{14}N_4O_3$	63.34 (63.22)	4.34 (4.32)	17.39 (17.38)	322
8b	Dark violet	131-133	75	$C_{21}H_{18}N_4O_3$	67.74 (67.59)	4.83 (4.83)	15.06 (15.02)	372
8c	Violet	158-160	68	$C_{21}H_{18}N_4O_3$	67.74 (67.65)	4.83 (4.83)	15.06 (15.02)	372
9a	Greenish black	205-207	54	$C_{19}H_{16}N_4O_4S$	57.61 (57.53)	4.04 (4.03)	14.14 (14.13)	396
9b	Reddish violet	138-140	48	$C_{23}H_{20}N_4O_4S$	61.92 (61.78)	4.48 (4.48)	12.55 (12.51)	446
9c	Pale violet	162-164	75	$C_{23}H_{20}N_4O_4S$	61.92 (61.72)	4.48 (4.48)	12.55 (12.52)	446
10a	Black	> 300	43	$C_{19}H_{15}N_4O_4Cl$	57.88 (57.72)	3.76 (3.76)	14.05 (14.04)	398.5
10b	Reddish violet	150-152	56	$C_{23}H_{19}N_4O_4Cl$	61.58 (61.45)	4.23 (4.23)	12.48 (12.32)	448.5
10c	Dark violet	145-147	58	$C_{23}H_{19}N_4O_4Cl$	61.58 (61.51)	4.23 (4.23)	12.48 (12.44)	448.5

mol) in ethanol as solvent phenylhydrazine (0.01 mol) was added in the presence of a few drops of piperidine as catalyst, and the reaction mixture was refluxed for (8-10) hrs. The reaction mixture was concentrated, triturated with cold water; the crystals were separated. It was filtrated, washed several times with water, and crystallized from ethanol to give (4_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-isooxazolino-benzpyrid derivatives (5_{a-e})

Chalcones (2_{a-e}) (3.05 g - 3.51 g, 0.01 mol) were refluxed with hydroxylamine hydrochloride (0.069 g, 0.01 mol) in the presence of sodium hydroxide as catalyst and ethanol as solvent for (2-3) hrs. The reaction mixture was filtrated from unreacted materials; the filtrate was triturated with cold water whereby the products were separated, filtrated, washed several times with water, and crystallized from ethanol give (5_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-pyrimidino benzpyrid derivatives (6_{a-e})

Chalcones (2_{a-e}) (3.05 g - 3.51 g, 0.01 mol) were refluxed with urea (0.6 g, 0.01 mol) in the presence of sodium hydroxide as catalyst and ethanol as solvent for (6-8) hrs. The reaction mixture was filtrated from unreacted materials; the filtrate was concentrated to one - third of its volume and triturated with water, whereby the products were separated, filtrated, washed several times with water, and crystallized from ethanol to give (6_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-thiopyrimidino benzpyrid derivatives (7_{a-e})

Chalcones (2_{a-e}) (3.05 g - 3.51 g, 0.01 mol) were refluxed with thiourea (0.76 g, 0.01 mol) in the presence of sodium hydroxide as catalyst and ethanol as solvent for (6-8) hrs. The reaction mixture was filtrated from unreacted materials; the filtrate was concentrated to one - third of its volume triturated with water. Where by the products were separated, filtrated, washed several times with water and crystallized from ethanol to give (7_{a-e}) (c.f. Tables 1, 2).

Synthesis of N-azaryl benzpyrid-4-imino-2-oxime derivatives (8_{a-c})

Compound **1** (2.17 g, 0.01 mol) and nitroso compounds (1.23 g - 1.73 g, 0.01 mol) in equimolar ratios were dissolved in ethanol, and a few drops of piperidine as catalyst were added; the mixture was refluxed about 10 h. The reaction mixture was allowed to cool at room temperature then filtrated, washed several times with water, dried and collected, and crystallized from methanol to give (8_{a-c}) (c.f. Tables 1, 2).

Synthesis of N-thiazol benzpyrid-4-imino-2-oxime derivatives (9_{a-c})

A solution was made of (8_{a-c}) (3.22 g - 3.72 g, 0.01 mol) and thioglycolic acid (0.92 g, 0.01 mol) in ethanol in the presence of few drops of piperidine. The mixture was refluxed for 8-10 hrs. The filtrate was evaporated to one - third of its vol-

Table 2. IR, ^{1}H-NMR spectral data of compounds (1-10)

Comp. No.	IR $(cm)^{-1}$	^{1}H-NMR (δ-ppm)
1	3654 (OH), 3093 (NH), 1699 (C=O), 1656 (C=NOH)	2.1 (S, 1H, CH sat; 3H, $COCH_3$), 7.1-8.5 (m, 5H, aromatic protons; C=NH), 11 (S, 1H, NH; 1H, N=OH)
2a	3053-3481 (OH, NH), 1698 (C=O), 1652 (C=NOH)	2.3 (S, 1H, CH sat), 7-8.7 (m, 12H aromatic protons; olefinic protons; C=NH), 9.9 (S, 1H, NOH), 11 (S, 1H, NH)
2b	3053-3481 (OH, NH), 1698 (C=O), 1652 (C=NOH)	2.3 (S, 1H, CH sat), 7-8.7 (m, 11H aromatic protons; olefinic protons; C=NH), 9.9 (S, 2H, OH), 11 (S, 1H, NH)
2c	3053-3481 (OH, NH), 1698 (C=O), 1652 (C=NOH)	2.3 (S, 1H, CH sat), 7-8.7 (m, 11H aromatic protons; olefinic protons; C=NH), 9.9 (S, 1H, NOH), 11 (S, 1H, NH)
2d	3053-3481 (OH, NH), 1698 (C=O), 1652 (C=NOH)	2.3 (S, 1H, CH sat; 3H, OCH_3), 7-8.7 (m, 11H, aromatic protons; olefinic protons; C=NH), 9.9 (S, 1H, NOH), 11 (S, 1H, NH)
2e	3053-3481 (OH, NH), 1698 (C=O), 1652 (C=NOH)	2.3 (S, 1H, CH sat), 7-8.7 (m, 11H aromatic protons; olefinic protons; C=NH), 9.9 (S, 1H, NOH), 11 (S, 1H, NH)
3a	3428 (OH), 3093 (NH), 1686 (C=O), 1590, 1423 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.32 (S, 3H, $COCH_3$), 7.1-8.4 (m, 10H aromatic protons; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
3b	3428 (OH), 3093 (NH), 1686 (C=O), 1590, 1423 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.32 (S, 3H, $COCH_3$), 7.1-8.4 (m, 9H aromatic protons; C=NH), 10 (S, 2H, OH), 11.7 (S, 1H, NH)
3c	3428 (OH), 3093 (NH), 1686 (C=O), 1590, 1423 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.32 (S, 3H, $COCH_3$), 7.1-8.4 (m, 9H aromatic protons; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
3d	3428 (OH), 3093 (NH), 1686 (C=O), 1590, 1423 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.32 (S, 3H, $COCH_3$), 3.7 (S, 3H OCH_3), 7.1-8.4 (m, 9H aromatic protons; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
3e	3428 (OH), 3093 (NH), 1686 (C=O), 1590, 1423 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.32 (S, 3H, $COCH_3$), 7.1-8.4 (m, 9H aromatic protons; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
4a	3160-3570 (OH, NH), 1670 (C=O), 1640, 1430 (C=N)	1.3 (S, 2H, CH_2), 2.4 (S, 2H, CH sat), 7.1-8.4 (m, 15H aromatic protons; C=NH), 10.2 (S, 1H, NOH), 11.7 (S, 1H, NH)
4b	3160-3570 (OH, NH), 1670 (C=O), 1640, 1430 (C=N)	1.3 (S, 2H, CH_2), 2.4 (S, 2H, CH sat), 7.1-8.4 (m, 14H aromatic protons; C=NH), 10.2 (S, 2H, OH), 11.7 (S, 1H, NH)
4c	3160-3570 (OH, NH), 1670 (C=O), 1640, 1430 (C=N)	1.3 (S, 2H, CH_2), 2.4 (S, 2H, CH sat), 7.1-8.4 (m, 14H aromatic protons; C=NH), 10.2 (S, 1H, NOH), 11.7 (S, 1H, NH)
4d	3160-3570 (OH, NH), 1670 (C=O), 1640, 1430 (C=N)	1.3 (S, 2H, CH_2), 2.4 (S, 2H, CH sat), 3.4 (S, 3H, OCH_3), 7.1-8.4 (m, 14H aromatic protons; C=NH), 10.2 (S, 1H, NOH), 11.7 (S, 1H, NH)
4e	3160-3570 (OH, NH), 1670 (C=O), 1640, 1430 (C=N)	1.3 (S, 2H, CH_2), 2.4 (S, 2H, CH sat), 7.1-8.4 (m, 14H, aromatic protons; C=NH), 10.2 (S, 1H, NOH), 11.7 (S, 1H, NH)
5a	3447 (OH), 3250 (NH), 1684 (C=O), 1651, 1588 (C=N)	1.2 (S, 2H, CH_2), 2.3 (S, 2H, CH sat), 7.1-8.4 (m, 10H, aromatic protons; C=NH), 9.8 (S, 1H, NOH), 11.3 (S, 1H, NH)
5b	3447 (OH), 3250 (NH), 1684 (C=O), 1651, 1588 (C=N)	1.2 (S, 2H, CH_2), 2.3 (S, 2H, CH sat), 7.1-8.4 (m, 9H, aromatic protons; C=NH), 9.8 (S, 2H, OH), 11.3 (S, 1H, NH)
5c	3447 (OH), 3250 (NH), 1684 (C=O), 1651, 1588 (C=N)	1.2 (S, 2H, CH_2), 2.3 (S, 2H, CH sat), 7.1-8.4 (m, 9H, aromatic protons; C=NH), 9.8 (S, 1H, NOH), 11.3 (S, 1H, NH)
5d	3447 (OH), 3250 (NH), 1684 (C=O), 1651, 1588 (C=N)	1.2 (S, 2H, CH_2), 2.3 (S, 2H, CH sat), 3.3 (S, 3H, OCH_3), 7.1-8.4 (m, 9H, aromatic protons; C=NH), 9.8 (S, 1H, NOH), 11.3 (S, 1H, NH)
5e	3447 (OH), 3250 (NH), 1684 (C=O), 1651, 1588 (C=N)	1.2 (S, 2H, CH_2), 2.3 (S, 2H, CH sat), 7.1-8.4 (m, 9H, aromatic protons; C=NH), 9.8 (S, 1H, NOH), 11.3 (S, 1H, NH)
6a	3428 (OH), 3250 (NH), 1684 (C=O), 1528, 1590 (C=N)	1.1 (S, 2H, CH_2), 2.1(S, 2H, CH sat), 7-8.6 (m, 10H, aromatic protons; 1H, NH; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
6b	3428 (OH), 3250 (NH), 1684 (C=O), 1528, 1590 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 10 (S, 2H, OH), 11.7 (S, 1H, NH)
6c	3428 (OH), 3250 (NH), 1684 (C=O), 1528, 1590 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
6d	3428 (OH), 3250 (NH), 1684 (C=O), 1528, 1590 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.4 (S, 3H, OCH_3), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
6e	3428 (OH), 3250 (NH), 1684 (C=O), 1528, 1590 (C=N)	1.1 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 10 (S, 1H, NOH), 11.7 (S, 1H, NH)
7a	3447 (OH), 3250 (NH), 1684 (C=O), 1588, 1651 (C=N)	1.2 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 10H, aromatic protons; 1H, NH; C=NH), 9.8 (S, 1H, NOH), 11.5 (S, 1H, NH)

7b	3447 (OH), 3250 (NH), 1684 (C=O), 1588, 1651 (C=N)	1.2 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 9.8 (S, 2H, OH), 11.5 (S, 1H, NH)
7c	3447 (OH), 3250 (NH), 1684 (C=O), 1588, 1651 (C=N)	1.2 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 9.8 (S, 1H, NOH), 11.5 (S, 1H, NH)
7d	3447 (OH), 3250 (NH), 1684 (C=O), 1588, 1651 (C=N)	1.2 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 3.3 (S, 3H, $COCH_3$), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 9.8 (S, 1H, NOH), 11.5 (S, 1H, NH)
7e	3447 (OH), 3250 (NH), 1684 (C=O), 1588, 1651 (C=N)	1.2 (S, 2H, CH_2), 2.1 (S, 2H, CH sat), 7-8.6 (m, 9H, aromatic protons; 1H, NH; C=NH), 9.8 (S, 1H, NOH), 11.5 (S, 1H, NH)
8a	3652 (OH), 3183 (NH), 1694 (C=O), 1584, 1652 (C=N)	2.7 (S, 1H, CH sat), 4.2 (S, 1H, CH=N), 6.6-8.3 (m, 9H, aromatic protons; C=NH), 9, 8.9 (S, 2H, OH), 11 (S, 1H, NH)
8b	3652 (OH), 3183 (NH), 1694 (C=O), 1584, 1652 (C=N)	2.7 (S, 1H, CH sat), 4.2 (S, 1H, CH=N), 6.6-8.3 (m, 11H, aromatic protons; C=NH), 9, 8.9 (S, 2H, OH), 11 (S, 1H, NH)
8c	3652 (OH), 3183 (NH), 1694 (C=O), 1584, 1652 (C=N)	2.7 (S, 1H, CH sat), 4.2 (S, 1H, CH=N), 6.6-8.3 (m, 11H, aromatic protons; C=NH), 9, 8.9 (S, 2H, OH), 11 (S, 1H, NH)
9a	3580 (OH), 3223 (NH), 1682 (C=O), 1560, 1640 (C=N)	2.6 (S, 1H, CH sat), 3.5 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.5-8 (m, 9H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)
9b	3580 (OH), 3223 (NH), 1682 (C=O), 1560, 1640 (C=N)	2.6 (S, 1H, CH sat), 3.5 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.5-8 (m, 11H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)
9c	3580 (OH), 3223 (NH), 1682 (C=O), 1560, 1640 (C=N)	2.6 (S, 1H, CH sat), 3.5 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.5-8 (m, 11H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)
10a	3492 (OH), 3250 (NH), 1675 (C=O), 1543, 1631 (C=N)	2.4 (S, 1H, CH sat), 3.6 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.7-8 (m, 9H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)
10b	3492 (OH), 3250 (NH), 1675 (C=O), 1543, 1631 (C=N)	2.4 (S, 1H, CH sat), 3.6 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.7-8 (m, 11H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)
10c	3492 (OH), 3250 (NH), 1675 (C=O), 1543, 1631 (C=N)	2.4 (S, 1H, CH sat), 3.6 (S, 2H, CH_2), 3.8 (S, 1H, CH=N), 6.7-8 (m, 11H, aromatic protons; C=NH), 9.5, 9 (S, 2H, OH), 11 (S, 1H, NH)

ume; ice-water was added, whereby the product was separated, filtrated, washed several times with water and crystallized from methanol to give (9_{a-c}) (c.f. Tables 1, 2).

Synthesis of N-β-lactam benzpyrid-4-imino-2-oxime derivatives (10_{a-c})

A solution was made of (8_{a-c}) (3.22 g - 3.72 g, 0.01 mol) chloroacetylchloride (1.12 g, 0.01 mol) in ethanol in the presence of a few drops of triethylamine. The mixture was refluxed for 8-10 h. The filtrate was evaporated to one - third of its volume; ice-water was added, whereby the product was separated, filtrated, washed several times with water, and crystallized from methanol to give (10_{a-c}) (c.f. Tables 1, 2).

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