

## SYNTHESIS OF SOME NEW IMIDAZO[1,2-*c*]PYRIMIDO-[4',5':6,5]PYRANO[3,2-*h*]QUINOLINE DERIVATIVES

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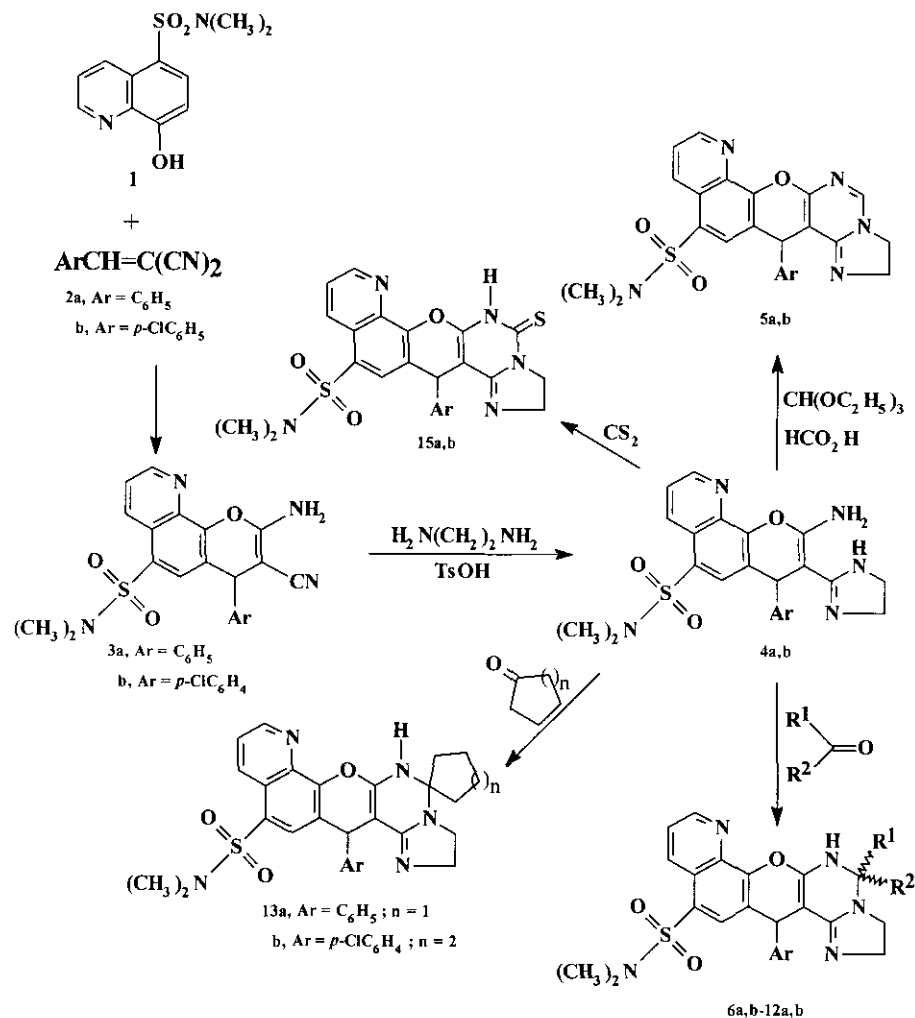
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**Abstract-** 5-Dimethylaminosulfonyl-8-quinolinol (**1**) was reacted with cinnamionitriles (**2a,b**) to give the corresponding pyranoquinolines (**3a,b**). Reaction of **3a,b** with ethylenediamine yielded 2-amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]quinolines (**4a,b**). Compounds (**4a,b**) were reacted with triethyl orthoformate, aldehyde, ketone and carbon disulfide to give the corresponding imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinoline derivatives (**5a,b-15a,b**).

Recent years have witnessed the synthesis and characterisation a number of nitrogen-containing heteroaromatics. In fact, the biological activities of these compounds have drawn the attention of organic chemists for a long time. The synthesis of pyrimidine derivatives has gained very important goals<sup>1-4</sup> to be used as antimicrobial,<sup>5</sup> antitumor<sup>6</sup> and displayed significant pesticidal activity.<sup>7</sup> Also imidazole derivatives showed diverse biological activities e.g. they are used as factors Xa inhibitors,<sup>8</sup> alpha-2-adrenoceptor agonists<sup>9</sup> and antithrombotics.<sup>10</sup> For these reasons, the preparation of imidazole derivatives has a lot attention in the last ten years.<sup>11-19</sup> Pyran derivatives were reported by different methods.<sup>20-22</sup> From all of the forgoing facts, together with the importance of quinoline derivatives<sup>23</sup> and as a continuation of our interest in the synthesis of heterocyclic systems,<sup>24-32</sup> we reported herein the synthesis of the title compounds which might show enhanced biological activity due to the presence of fused imidazo-pyrimido-pyranoquinoline.

The synthesis of the new imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b-15a,b**) was carried out as shown in Scheme 1. Thus the reaction of 5-dimethylaminosulfonyl-8-quinolinol (**1**) with cinnamionitriles (**2a,b**) gave the corresponding 2-amino-4-aryl-3-cyano-6-dimethylaminosulfonyl-4*H*-pyrano[3,2-*h*]quinolines (**3a,b**). The IR ( $\nu$ ,  $\text{cm}^{-1}$ ) (KBr) spectra of **3a** showed characteristic absorption bands at 3400-3320 (NH), 3000 (CH arom.), 2900 (CH aliph.), 2200 (CN), 1360 (SO<sub>2</sub> asym.), 116 (SO<sub>2</sub> sym.). NMR ( $\delta$ , ppm) (CDCl<sub>3</sub>) of **3a** showed: 3.20 (s, 6H, 2CH<sub>3</sub>), 4.95 (s, 1 H, pyran), 6.60 (s, 2H, NH<sub>2</sub>), 7.10-8.40 (m, 9H, aromatic protons). Reaction of **3a,b** with ethylenediamine and *p*-toluenesulfonic acid monohydrate

yielded 2-amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]quinolines (**4a,b**) which serve as intermediate for the synthesis of imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines.



Compounds (6a,b-12a,b)

	Ar	R <sup>1</sup>	R <sup>2</sup>		Ar	R <sup>1</sup>	R <sup>2</sup>
6a	$\text{C}_6\text{H}_5$	H	$\text{CH}_3$	10a	$\text{C}_6\text{H}_5$	$\text{CH}_3$	$\text{CH}_3$
6b	$p\text{-ClC}_6\text{H}_4$	H	$\text{CH}_3$	10b	$p\text{-ClC}_6\text{H}_4$	$\text{CH}_3$	$\text{CH}_3$
7a	$\text{C}_6\text{H}_5$	H	$\text{C}_6\text{H}_5$	11a	$\text{C}_6\text{H}_5$	$\text{CH}_3$	$\text{C}_6\text{H}_5$
7b	$p\text{-ClC}_6\text{H}_4$	H	$\text{C}_6\text{H}_5$	11b	$p\text{-ClC}_6\text{H}_4$	$\text{CH}_3$	$\text{C}_6\text{H}_5$
8a	$\text{C}_6\text{H}_5$	H	$p\text{-ClC}_6\text{H}_4$	12a	$\text{C}_6\text{H}_5$	$\text{CH}_3$	$p\text{-ClC}_6\text{H}_4$
8b	$p\text{-ClC}_6\text{H}_4$	H	$p\text{-ClC}_6\text{H}_4$	12b	$p\text{-ClC}_6\text{H}_4$	$\text{CH}_3$	$p\text{-ClC}_6\text{H}_4$
9a	$\text{C}_6\text{H}_5$	H	$p\text{-NO}_2\text{C}_6\text{H}_4$				
9b	$p\text{-ClC}_6\text{H}_4$	H	$p\text{-NO}_2\text{C}_6\text{H}_4$				

Scheme 1

Thus the reaction of compounds (**4a,b**) with triethyl orthoformate, aldehydes and ketones gave the corresponding 2,3-dihydroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b**) and 2,3,6-trihydroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**6a,b-12a,b**), while the reaction with cyclic ketones and carbon disulfide gave spiroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**13a,b-14a,b**) and 5-thioxo-2,3,6-trihydroimidazo[1,2-*c*]pyrimido[4',5':6,7]pyrano[3,2-*h*]quinolines (**15a,b**) respectively (Table 1). All the newly synthesized compounds were tested against *Escherichia coli* DSM 423 and *Staphylococcus aureus* DSM 346 and the data are listed in Table 2.

**Table 1.** Physical Data of 2-Amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]quinolines (**4a,b**) and Imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b-15a,b**)

Compd. No.	Yield (%)	mp (°C)	Molecular Formula (Solvent of Recrystallization)	IR (ν, cm <sup>-1</sup> ) (KBr) and MS	NMR (δ, ppm) (Solvent)	C	Anal. Calcd/(Found) % H	N	S	Cl
3a	60	> 310	C <sub>21</sub> H <sub>18</sub> N <sub>4</sub> O <sub>3</sub> S (ethanol)	3400-3320 (NH <sub>2</sub> ), 3000 (CH arom.), 2900 (CH aliph.), 2200 (CN), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 3.20 (6H, s), 4.95 (1H, s) 6.60 (2H, s), 7.10-8.40 (9H, m)	62.04 (62.12)	4.46 (4.43)	13.79 (13.86)	7.90 (7.94)	—
3b	69	286-288	C <sub>21</sub> H <sub>17</sub> N <sub>4</sub> O <sub>3</sub> ClS (ethanol)	3400-3320 (NH <sub>2</sub> ), 3000 (CH arom.), 2900 (CH aliph.), 2200 (CN), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 3.20 (6H, s), 5.0 (1H, s) 6.80 (2H, s), 7.20-8.10 (8H, m)	57.19 (57.27)	3.89 (3.91)	12.71 (12.67)	7.28 (7.32)	8.05 (8.01)
4a	51	256 decomp	C <sub>23</sub> H <sub>23</sub> N <sub>3</sub> O <sub>3</sub> S (ethanol)	3440-3340 (NH <sub>2</sub> ), 3310 (NH), 3020 (CH arom.), 2960 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.), m/z 449	(CF <sub>3</sub> COOD): 2.90 (6H, s), 3.30 (2H, t, <i>J</i> = 6.2 Hz), 3.70 (2H, t, <i>J</i> = 6.2 Hz), 4.90 (1H, s), 7.30- 8.60 (9H, m)	61.44 (61.51)	5.16 (5.22)	15.58 (15.61)	7.14 (7.19)	—
4b	45	274 decomp	C <sub>23</sub> H <sub>22</sub> N <sub>3</sub> O <sub>3</sub> ClS (ethanol)	3450-3350 (NH <sub>2</sub> ), 3330 (NH), 3030 (CH arom.), 2970- 2860 (CH, aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 3.00 (6H, s), 3.40 (2H, t, <i>J</i> = 6.2 Hz), 3.80 (2H, t, <i>J</i> = 6.2 Hz), 5.00 (1H, s), 7.25- 8.70 (8H, m)	57.07 (57.16)	4.58 (4.62)	14.47 (14.41)	6.63 (6.58)	7.33 (7.28)
5a	42	291-293	C <sub>24</sub> H <sub>21</sub> N <sub>3</sub> O <sub>3</sub> S (methanol)	3020 (CH arom.), 2990-2860 (CH aliph.), 1365 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.), m/z 459	(CDCl <sub>3</sub> ): 2.95 (6H, s), 3.40-3.70 (4H, m) 4.95 (1H, s), 7.30- 8.80 (10H, m)	62.72 (62.65)	4.61 (4.59)	15.24 (15.22)	6.98 (6.97)	—
5b	45	239 decomp	C <sub>24</sub> H <sub>20</sub> N <sub>3</sub> O <sub>3</sub> ClS (methanol)	3030 (CH arom.), 2990-2870 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1170 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 3.00 (6H, s), 3.40-3.80 (4H, m), 5.00 (1H, s), 7.20-8.50 (9H, m)	58.34 (58.29)	4.08 (4.13)	14.18 (14.18)	6.50 (6.52)	7.19 (7.22)

(Continued)

**Table 1. (Continued)** Physical Data of 2-Amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]-quinolines (**4a,b**) and Imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b-15a,b**)

Compd. No.	Yield (%)	mp (°C)	Molecular Formula (Solvent of Recrystallization)	IR (ν, cm <sup>-1</sup> ) (KBr) and MS	NMR (δ, ppm) (Solvent)	C	Anal. Calcd/(Found) % H	N	S	Cl
6a	36	> 310	C <sub>25</sub> H <sub>25</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3410 (NH), 3010 (CH arom.), 2990-2860 (CH aliph.), 1365 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.55 (3H, d, <i>J</i> = 5.92 Hz), 3.00 (6H, s), 3.50-3.80 (4H, m), 4.90 (1H, s), 5.40 (1H, m), 7.10-8.70 (9H, m)	63.13 (63.20)	5.30 (5.22)	14.73 (14.81)	6.75 (6.81)	—
6b	39	251 decomp	C <sub>25</sub> H <sub>24</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3400 (NH), 3020 (CH arom.), 2980-2880 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 1.55 (3H, d, <i>J</i> = 5.92 Hz), 3.00 (6H, s), 3.55-3.80 (4H, m), 5.00 (1H, s), 5.45 (1H, m), 7.20-8.60 (8H, m), 8.90 (1H, s)	58.86 (58.91)	4.74 (4.81)	13.73 (13.65)	6.29 (6.33)	6.96 (6.92)
7a	44	281 decomp	C <sub>30</sub> H <sub>27</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3415 (NH), 3000 (CH arom.), 2970-2890 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 3.00 (6H, s), 3.40-3.80 (4H, m), 5.00 (1H, s), 6.60-8.10 (15H, m)	67.01 (66.94)	5.06 (5.11)	13.03 (13.12)	5.97 (5.91)	—
7b	47	> 310	C <sub>30</sub> H <sub>26</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3420 (NH), 3020 (CH arom.), 2990-2890 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1170 (SO <sub>2</sub> sym.)	(DMSO- <i>d</i> <sub>6</sub> ): 2.90 (6H, s), 3.30-3.70 (4H, m), 5.20 (1H, s), 7.00-8.80 (14H, m), 10.10 (1H, s)	62.97 (62.90)	4.58 (4.63)	12.24 (12.18)	5.61 (5.55)	6.20 (6.27)
8a	34	> 310	C <sub>30</sub> H <sub>26</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3400 (NH), 3000 (CH arom.), 2990-2890 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1170 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 3.00 (6H, s), 3.30-3.80 (4H, m), 5.10 (1H, s), 6.90-8.10 (14H, m)	62.97 (63.01)	4.58 (4.51)	12.24 (12.27)	5.61 (5.69)	6.20 (6.16)
8b	36	> 310	C <sub>30</sub> H <sub>25</sub> N <sub>5</sub> O <sub>3</sub> Cl <sub>2</sub> S (methanol)	3420 (NH), 3020 (CH arom.), 2990-2890 (CH aliph.), 1380 (SO <sub>2</sub> asym.), 1170 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 3.10 (6H, s), 3.20-3.70 (4H, m), 5.00 (1H, s), 7.10-8.30 (13H, m)	59.39 (59.47)	4.15 (4.19)	11.55 (11.59)	5.29 (5.31)	11.70 (11.66)
9a	35	298 decomp	C <sub>30</sub> H <sub>26</sub> N <sub>6</sub> O <sub>3</sub> S (methanol)	3400 (NH), 3030 (CH arom.), 2990-2890 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.), <i>m/z</i> 582	(CDCl <sub>3</sub> ): 2.95 (6H, s), 3.10-3.65 (4H, m), 5.10 (1H, s), 7.10-8.70 (14H, m), 10.00 (1H, s)	61.83 (61.89)	4.50 (4.47)	14.43 (14.48)	5.51 (5.59)	—
9b	39	> 310	C <sub>30</sub> H <sub>25</sub> N <sub>6</sub> O <sub>3</sub> ClS (methanol)	3420 (NH), 3030 (CH arom.), 2990-2890 (CH aliph.), 1365 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 3.00 (6H, s), 3.20-3.70 (4H, m), 5.00 (1H, s), 7.20-8.50 (13H, m), 10.10 (1H, s)	58.38 (58.41)	4.08 (4.13)	13.62 (13.58)	5.20 (5.17)	5.75 (5.81)

(Continued)

**Table 1. (Continued)** Physical Data of 2-Amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]-quinolines (**4a,b**) and Imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b-15a,b**)

Compd. No.	Yield (%)	mp (°C)	Molecular Formula (Solvent of Recrystallization)	IR (ν, cm <sup>-1</sup> ) (KBr) and MS	NMR (δ, ppm) (Solvent)	C	Anal. Calcd/(Found) %				Cl
							H	N	S		
10a	41	281 decomp	C <sub>26</sub> H <sub>27</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3420 (NH), 3040 (CH arom.), 2990-2900 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.90 (6H, s), 2.90 (6H, s), 3.10-3.60 (4H, m), 5.00 (1H, s), 7.40-8.90 (9H, m)	63.78 (63.75)	5.56 (5.54)	14.31 (14.30)	6.56 (6.54)	—	
10b	44	> 310	C <sub>26</sub> H <sub>26</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3430 (NH), 3000 (CH arom.), 2980-2890 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CDCl <sub>3</sub> ): 1.90 (6H, s), 2.95 (6H, s), 3.00-3.60 (4H, m), 5.00 (1H, s), 7.20-8.60 (8H, m), 10.30 (1H, s)	59.58 (59.54)	5.00 (4.96)	13.37 (13.41)	6.13 (6.08)	6.77 (6.81)	
11a	53	296 decomp	C <sub>31</sub> H <sub>29</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3420 (NH), 3020 (CH arom.), 2970-2900 (CH aliph.), 1365 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.95 (3H, s), 2.95 (6H, s), 3.15-3.70 (4H, m), 5.10 (1H, s), 7.50-8.40 (14H, m)	67.48 (67.50)	5.30 (5.26)	12.70 (12.65)	5.82 (5.76)	—	
11b	55	> 310	C <sub>31</sub> H <sub>28</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3410 (NH), 3030 (CH arom.), 2980-2900 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 2.00 (3H, s), 2.90 (6H, s), 3.00-3.60 (4H, m), 5.10 (1H, s), 7.60-8.20 (13H, m)	63.51 (63.60)	4.82 (4.79)	11.95 (11.89)	5.48 (5.50)	6.06 (6.10)	
12a	45	> 310	C <sub>31</sub> H <sub>28</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3410 (NH), 3030 (CH arom.), 2980-2900 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 2.00 (3H, s), 2.90 (6H, s), 3.00-3.60 (4H, m), 5.10 (1H, s), 7.50-8.30 (13H, m)	63.51 (63.47)	4.82 (4.85)	11.95 (11.99)	5.48 (5.51)	6.06 (6.04)	
12b	42	292 decomp	C <sub>31</sub> H <sub>27</sub> N <sub>5</sub> O <sub>3</sub> Cl <sub>2</sub> S (methanol)	3400 (NH), 3030 (CH arom.), 2990-2900 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 2.00 (3H, s), 2.95 (6H, s), 3.10-3.70 (4H, m), 5.10 (1H, s), 7.60-8.50 (12H, m)	59.98 (59.91)	4.39 (4.36)	11.29 (11.27)	5.17 (5.21)	11.44 (11.41)	
13a	51	262-264	C <sub>28</sub> H <sub>29</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3420 (NH), 3030 (CH arom.), 2990-2900 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.40-1.80 (8H, m), 2.90 (6H, s), 3.30-3.60 (4H, m), 5.10 (1H, s), 7.70-8.40 (9H, m)	65.21 (65.16)	5.67 (5.64)	13.58 (13.61)	6.23 (6.19)	—	
13b	55	279 decomp	C <sub>28</sub> H <sub>28</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3410 (NH), 3030 (CH arom.), 2980-2900 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.40-1.80 (8H, m), 2.90 (6H, s), 3.30-3.60 (4H, m), 5.20 (1H, s), 7.50-8.30 (8H, m)	61.13 (61.17)	5.13 (5.15)	12.73 (12.69)	5.84 (5.79)	6.45 (6.42)	

(Continued)

**Table 1. (Continued)** Physical Data of 2-Amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]-quinolines (**4a,b**) and Imidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (**5a,b-15a,b**)

Compd. No.	Yield (%)	mp (°C)	Molecular Formula (Solvent of Recrystallization)	IR (ν, cm <sup>-1</sup> ) (KBr) and MS	NMR (δ, ppm) (Solvent)	C	Anal. Calcd/(Found) % H	N	S	Cl
14a	48	235-237	C <sub>29</sub> H <sub>31</sub> N <sub>5</sub> O <sub>3</sub> S (methanol)	3400 (NH), 3030 (CH arom.), 2990-2900 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.30-1.70 (10H, m), 2.80 (6H, s), 3.30-3.60 (4H, m), 5.10 (1H, s), 7.80-8.50 (9H, m)	66.75 (66.71)	5.90 (5.87)	13.23 (13.19)	6.06 (6.11)	—
14b	52	253 decomp	C <sub>29</sub> H <sub>30</sub> N <sub>5</sub> O <sub>3</sub> ClS (methanol)	3420 (NH), 3030 (CH arom.), 2990-2900 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 1.30-1.70 (10H, m), 2.90 (6H, s), 3.40-3.70 (4H, m), 5.10 (1H, s), 7.60-8.30 (8H, m)	61.73 (61.76)	5.36 (5.33)	12.42 (12.46)	5.69 (5.71)	6.29 (6.25)
15a	58	269 decomp	C <sub>24</sub> H <sub>21</sub> N <sub>5</sub> O <sub>3</sub> S <sub>2</sub> (AcOH)	3410 (NH), 3030 (CH arom.), 2980-2900 (CH aliph.), 1360 (SO <sub>2</sub> asym.), 1160 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 2.85 (6H, s), 3.20-3.70 (4H, m), 5.20 (1H, s), 7.70-8.20 (9H, m)	58.63 (58.58)	4.31 (4.33)	14.25 (14.22)	13.06 (13.11)	—
15b	61	> 310	C <sub>29</sub> H <sub>20</sub> N <sub>5</sub> O <sub>3</sub> ClS <sub>2</sub> (AcOH)	3400 (NH), 3020 (CH arom.), 2980-2900 (CH aliph.), 1370 (SO <sub>2</sub> asym.), 1165 (SO <sub>2</sub> sym.)	(CF <sub>3</sub> COOD): 2.90 (6H, s), 3.10-3.80 (4H, m), 5.20 (1H, s), 7.50-8.10 (8H, m)	54.78 (54.71)	3.83 (3.86)	13.31 (13.26)	12.20 (12.17)	6.75 (6.79)

### Antimicrobial Activity

The antimicrobial activity of the synthesized compounds was tested against *Escherichia coli* DSM 423 and *Staphylococcus aureus* DSM 346 using the agar cup diffusion technique<sup>33</sup> and results of the biological testing are given in Table 2. The data showed that most of the newly synthesized compounds exhibited remarkable effects.

**Table 2:** Antimicrobial Screening of Compounds (**3a,b-15a,b**) (inhibition zones mm)

Compd. No.	<i>Escherichia coli</i> DSM 423	<i>Staphylococcus aureus</i> DSM 346
3a	54	71
3b	27	40
4a	33	29
4b	18	22

(Continued)

Table 2. (Continued) Antimicrobial Screening of Compounds (3a,b-15a,b) (inhibition zones mm)

Compd. No.	<i>Escherichia coli</i> DSM 423	<i>Staphylococcus aureus</i> DSM 346
5a	23	21
5b	9	36
6a	—	25
6b	—	55
7a	24	19
7b	40	27
8a	25	22
8b	—	25
9a	45	17
9b	15	12
10a	22	57
10b	—	50
11a	55	21
11b	27	38
12a	65	30
12b	25	37
13a	58	51
13b	26	87
14a	54	71
14b	27	40
15a	33	29
15b	18	22
Tetra-cycline	9	11

## EXPERIMENTAL

The time required for completion of each reaction was monitored by TLC. Melting points are uncorrected. NMR ( $\delta$ , ppm) spectra were measured on an EM-360 90-MHz spectrometer using TMS as internal standard. IR ( $\nu$ ,  $\text{cm}^{-1}$ ) spectra were recorded on a Pye-Unicam SP 200-G spectrophotometer. Elemental analyses were determined on a Perkin Elmer 240 C microanalyser. MS spectra were recorded on Jeol JMS 600 instrument.

2-Amino-4-aryl-3-cyano-6-dimethylaminosulfonyl-4*H*-pyrano[3,2-*h*]quinoline Derivatives (3a,b)

A mixture of 5-dimethylaminosulfonyl-8-quinolinol (1) (2.52 g, 0.01 mol) and cinnamionitrile derivatives (2a,b) (0.01 mol) was heated under reflux in ethanol (50 mL) and catalytic amount of piperidine for 10 h. The solvent was evaporated under reduced pressure and the residue was cooled. The precipitated product was collected by filtration and recrystallized from ethanol (Table 1).

2-Amino-4-aryl-3-(4,5-dihydro-1*H*-imidazol-2-yl)pyrano[3,2-*h*]quinolines (4a,b)General Procedure:

A mixture of 3a,b (0.01 mol), ethylenediamine (0.64 g, 0.011 mol, 0.8 mL) and *p*-toluenesulfonic acid monohydrate (2.28 g, 0.012 mol) was heated under reflux at 200 °C for 12 h. The reaction mixture was made alkaline with a saturated aqueous solution of sodium carbonate and the precipitate was filtered off and recrystallized from ethanol (Table 1).

2,3-Dihydroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (5a,b)General Procedure:

To a suspension of 4a,b (0.01 mol) in triethyl orthoformate (3 mL, 0.018 mol), was added small amount of formic acid (0.61 g, 0.013 mol, 0.5 mL), the mixture was heated under reflux for 6 h. After cooling to rt, the product was collected by filtration and chromatographed on a silica gell column eluting with ethyl acetate/methanol 7:3 as eluent. Evaporation of the eluate gave an oily residue which solidifies upon scratching (Table 1).

2,3,6-Trihydroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (6a,b-14a,b)General Procedure:

To a solution of 2a,b (0.01 mol) and the appropriate aldehyde (0.011 mol) or ketone (0.02 mol) in absolute ethanol (30 mL) was added conc. HCl (0.3 mL), and the mixture was stirred at 80-100 °C in a well stoppered round bottom flask fitted with reflux condenser for 12 h. The product was isolated by column chromatograph on silica gel with ethyl acetate/ methanol/ aq. NH<sub>3</sub> (6:2:2) as eluent.

5-Thioxo-2,3,6-trihydroimidazo[1,2-*c*]pyrimido[4',5':6,5]pyrano[3,2-*h*]quinolines (15a,b)

A mixture of 4a,b (0.0012 mol), carbon disulfide (6.33 g, 0.083 mol, 5 mL) in ethanol (50 mL) and two pellets of potassium hydroxide (0.17 g, 0.003 mol) was heated on water bath for 6 h. The solid product obtained was dissolved in water and then acidified with acetic acid. Recrystallization from acetic acid gave brown crystals.



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