COMMUNICATIONS

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A Convenient Preparation of [1,2,5]Oxa- and [1,2,5]Thiadiazolo[3,4-*c*]pyridines

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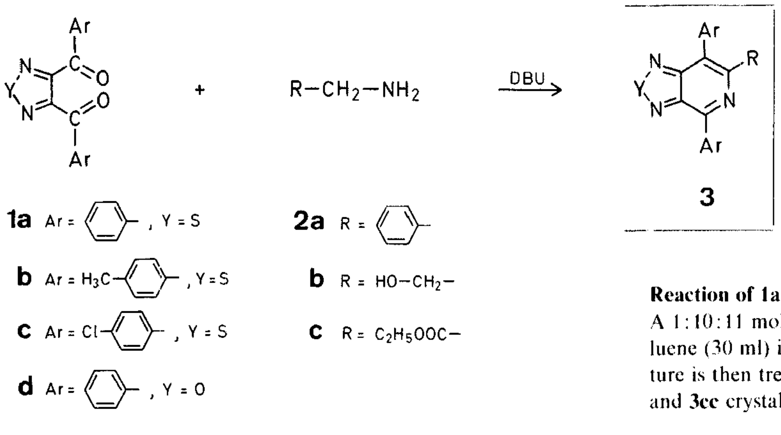
We report a convenient synthesis of the condensed pyridine systems, [1,2,5]oxa- and [1,2,5]thiadiazolo[3,4-*c*]pyridines **3**, by the reaction of alkylamines **2** with 3,4-diaroyl-1,2,5-thia- **(1a–c)**[1] and -oxadiazoles **(1d)** under the influence of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

Reaction of 3,4-Diaroyl-1,2,5-thiadiazoles (1a–c) with Benzylamine (2a); Preparation of 3aa, 3ba, and 3ca:

A 1:1.2:1 molar mixture of the 1,2,5-thiadiazole **1** (200 mg), benzylamine **(2a)**, and DBU in toluene (30 ml) is heated under reflux for 5 h. The solvent is then removed in vacuo and the residue is subjected to column chromatography on silica gel (Wako gel, C – 300) using benzene as eluent. Products **3aa** and **3ba** are crystallized from hexane as pale green needles and **3bc** as pale yellow plates.

4,7-Diphenyl-6-hydroxymethyl-[1,2,5]thiadiazolo[3,4-*c*]pyridine (3ab):

A mixture of **1a** (100 mg), **2b** (0.5 ml), and DBU (0.5 ml) in toluene (30 ml) is heated under reflux for 5 h and the reaction mixture is treated as described above. The compound **3ab** crystallizes as yellow plates from hexane.



Reaction of 1a–c with Glycine Ethyl Ester (2c):

A 1:10:11 molar mixture of **1** (200 mg), **2c**·HCl, and DBU in toluene (30 ml) is heated under reflux for 8 h and the reaction mixture is then treated as described above. The compounds, **3ac**, **3bc**, and **3cc** crystallize as pale green needles from hexane.

Table. [1,2,5]Oxa- and [1,2,5]Thiadiazolo[3,4-*c*]pyridines **3**

Product	Ar	R	Y	Yield [%]	m.p.	Molecular formula[a]	
3aa	C_6H_5	C_6H_5	S	88	187–189°	$C_{23}H_{15}N_3S$	(365.5)
3ba	4-H_3C C_6H_4	C_6H_5	S	77	238–239°	$C_{25}H_{19}N_3S$	(393.5)
3ca	4-Cl C_6H_4	C_6H_5	S	86	248–249°	$C_{23}H_{13}Cl_2N_3S$	(434.3)
3ab	C_6H_5	$HOCH_2$	S	42	152–154°	$C_{18}H_{13}N_3OS$	(319.4)
3ac	C_6H_5	C_2H_5OOC	S	54	149–150°	$C_{20}H_{15}N_3O_2S$	(361.4)
3bc	4-H_3C C_6H_4	C_2H_5OOC	S	18	157–159°	$C_{22}H_{19}N_3O_2S$	(389.5)
3cc	4-Cl C_6H_4	C_2H_5OOC	S	51	147–148.5°	$C_{20}H_{13}Cl_2N_3O_2S$	(430.3)
3da	C_6H_5	C_6H_5	O	13	161°	$C_{23}H_{15}N_3O$	(349.4)

[a] The microanalyses were in satisfactory agreement with the calculated values (C ±0.36, H ±0.15, N ±0.31).

The reaction of compounds **1** with benzylamine **(2a)**, β-hydroxyethylamine **(2b)** and glycine ethyl ester **(2c)** in the presence of DBU are carried out in refluxing toluene and the results are summarized in the Table. The [1,2,5]thiadiazolo[3,4-*c*]pyridines **(3aa-cc)** are obtained in fair to good yields, however, [1,2,5]oxadiazolo[3,4-*c*]pyridine **3da** (pyrido[3,4-*c*]furazan) is formed in a poor yield and is accompanied by a large amount of tarry products.

As many diaroyl substituted five-membered heterocycles are easily accessible via 1,3-dipolar cycloaddition reactions with diaroylacetylenes, the above reaction might provide a potentially useful method for the preparation of pyridine-condensed five-membered heterocycles.

4,6,7-Triphenyl-[1,2,5]oxadiazolo[3,4-*c*]pyridine (3da; 4,6,7-Triphenylpyrido[3,4-*c*]furazan):

A mixture of **1d** (200 mg), **2a** (0.5 ml), and a catalytic amount of DBU in toluene (30 ml) is heated under reflux for 2 h and the reaction mixture is treated as described above. The compound **3da** crystallizes as green needles from hexane.

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[1] S. Mataka, K. Takahashi, Y. Yamada, M. Tashiro, *J. Heterocycl. Chem.*, in press.

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