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NOTE

Synthesis of 1,2,4-Trisubstituted 2-Imidazolin-5-Ones

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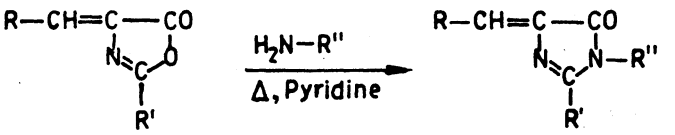
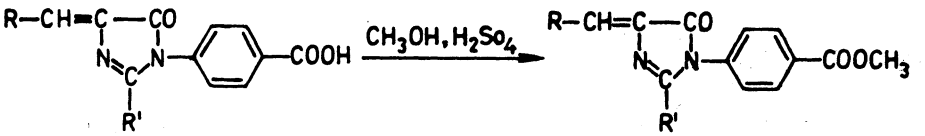
Thirtyfive 4-arylidene-1,2-disubstituted-2-imidazolin-5-ones have been synthesised by the reaction between azlactones and amino compounds and derivatising the imidazolinones thus obtained.

Imidazolinones exhibit diverse biological properties.[1] Hence synthesis of new imidazolinones is of considerable interest. In the present work azlactones were converted to imidazolinones (1) by refluxing them with compounds containing primary amino groups (Scheme I) in pyridine.[2] The compounds containing primary amino groups used were phenylhydrazine, *p*-aminobenzoic acid and *p*-aminobenzenesulphonic acid. The carboxylic acid group present in the imidazolinone obtained by condensing *p*-aminobenzoic acid was converted to methyl ester (2) and amide (3) by known methods (Scheme II). The imidazolinones thus prepared are given in Table 1.



1

Scheme I



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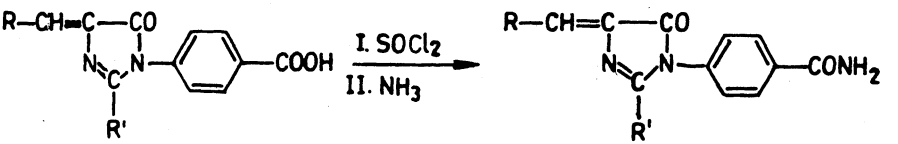

3

Scheme II

TABLE-1
PHYSICAL DATA OF THE IMIDAZOLINONES

Compd. No.	R	R′	R″	Yield %	m.p (°C)	% N	
						Calcd.	Found
1.	C_6H_5	C_6H_5	C_6H_4COOH	81	270	7.40	7.3
2.	*p*-$CH_3C_6H_4$	"	"	79	234	7.20	7.1
3.	*o*-ClC_6H_4	"	"	63	220	6.96	7.0
4.	*p*-$OCH_3C_6H_4$	"	"	75	282	7.03	6.9
5.	*p*-ClC_6H_4	"	"	90	275	6.96	6.8
6.	C_6H_5	*p*-ClC_6H_4	"	64	269	6.96	6.7
7.	*p*-$CH_3C_6H_4$	"	"	100	242	6.72	6.6
8.	*o*-ClC_6H_4	"	"	100	233	6.40	6.2
9.	*p*-$OCH_3C_6H_4$	"	"	83	251	6.47	6.4
10.	*p*-ClC_6H_4	"	"	82	226	6.40	6.3
11.	C_6H_5	C_6H_5	NHC_6H_5	73	150	12.39	12.4
12.	*p*-$CH_3C_6H_4$	"	"	91	195	11.90	12.0
13.	*o*-ClC_6H_4	"	"	91	162	11.24	11.1
14.	*p*-$OCH_3C_6H_4$	"	"	69	174	11.38	11.4
15.	*p*-ClC_6H_4	"	"	85	198	11.24	11.2
16.	C_6H_5	"	$C_6H_4SO_3H$	94	246	6.93	7.0
17.	*p*-$CH_3C_6H_4$	"	"	98	292	6.69	6.6
18.	*o*-ClC_6H_4	"	"	92	282	6.39	6.4
19.	*p*-$OCH_3C_6H_4$	"	"	80	254	6.45	6.5
20.	*p*-ClC_6H_4	"	"	89	264	6.39	6.3
21.	C_6H_5	"	$C_6H_4COOCH_3$	61	174	7.33	7.2
22.	*p*-$CH_3C_6H_4$	"	"	72	193	7.07	7.0
23.	*o*-ClC_6H_4	"	"	73	189	6.72	6.8
24.	*p*-$OCH_3C_6H_4$	"	"	87	182	6.80	6.8
25.	*p*-ClC_6H_4	"	"	73	189	6.72	6.9
26.	C_6H_5	*p*-ClC_6H_4	"	78	235	6.72	7.0
27.	*p*-$CH_3C_6H_4$	"	"	88	185	6.50	6.3
28.	*o*-ClC_6H_4	"	"	87	220	6.20	6.2
29.	*p*-$OCH_3C_6H_4$	"	"	100	229	6.26	6.3
30.	*p*-ClC_6H_4	"	"	78	214	6.20	6.1
31.	C_6H_5	C_6H_5	$C_6H_4COONH_2$	80	154	11.44	11.4
32.	*p*-$CH_3C_6H_4$	"	"	100	142	11.02	11.1
33.	*o*-ClC_6H_4	"	"	100	134	10.46	10.3
34.	*p*-$OCH_3C_6H_4$	"	"	91	197	10.57	10.6
35.	*p*-ClC_6H_4	"	"	100	189	10.46	10.4

Melting points given are uncorrected. All compounds gave satisfactory analytical data corresponding to the given structures.

4-Arylidene-1,2-disubstituted 2-imidazolin-5-ones (1): A mixture of 4-arylidene-2-aryl-oxazol-5-one (0.01 mol), amino compound (0.01 mol) and 10 mL of pyridine were taken in a round-bottomed flask and heated under reflux for 5 h on a sand bath, kept overnight, filtered, washed with benzene (2 × 5 mL) and dried.

1-(4-carbomethoxy)phenyl-2-aryl-4-arylidene-2-imidazoline-5-ones (2): Imidazolinone 2 g (1, R″ = —C_6H_4—COOH), conc. sulphuric acid (0.5 mL) and methanol (10 mL) were taken in a round-bottomed flask and heated under reflux for 2 h over a water bath. The product formed was filtered after cooling, washed with methanol and dried.

1-(4-carboxamido)phenyl-2-aryl-4-arylidene-2-imidazolin-5-ones (3): 1-(4-carboxy)phenyl-2-aryl-4-arylidene-2-imidazolin-5-one (1 g) and thionyl chloride (3 mL) were heated under reflux in a round-bottom flask for 2 h. After cooling 10 mL of ammonia solution was added and the products formed filtered and dried.

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