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One-pot Synthesis of 2, 5-Disubstituted Oxazoles Using Poly[styrene(iodosodiacetate)]

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Abstract: 2, 5-Disubstituted oxazoles were prepared conveniently by treatment of aromatic α-methyl ketones and nitriles with poly[styrene(iodosodiacetate)] in one-pot process.

Keywords: Poly[styrene(iodosodiacetate)], one-pot synthesis, 2, 5-disubstituted oxazoles.

Polymer-supported organic reagents have been rapidly applied to the preparation of small organic molecules[1]. Recently, polymer-supported hypervalent iodine compounds are increasingly used in organic synthesis and in the pharmaceutical industries as environmentally friendly reagents with their versatile reactivity. Among them, poly[styrene(iodosodiacetate)] is most widely used as a mild and clean oxidant[2].

Oxazole derivatives have attracted attention because of their potential biological activity[3]. Herein, we report a convenient method for the conversion of aromatic α-methyl ketones to oxazoles using poly[styrene(iodosodiacetate)] in one-pot process with outstanding advantages of easy operations, high yields, and environmental benign characteristics compared with the same reaction carried out in solution conditions[4].

The synthesis of oxazole derivatives was simply carried out by stirring a mixture of resin (2.0 mmol) with the aromatic α-methyl ketones **1** (1.0 mmol) and nitriles **2** (1.0 mmol) in the presence of trifluoromethanesulfonic acid in dichloromethane and refluxed for 5 h (**Scheme 1**). The results are summarized in **Table 1**. The resin could be regenerated and reused[5] (**Scheme 1**).

Scheme 1

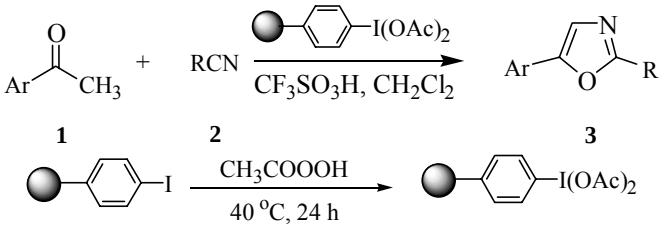

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Table 1 Synthesis of 2, 5-disubstituted oxazoles

Entry	Ar	R	Yield[a] (%)	Entry	Ar	R	Yield[a](%)
1	C_6H_5	CH_3	93	6	2,4-$Cl_2C_6H_3$	CH_3	83
2	4-ClC_6H_4	CH_3	89	7	C_6H_5	CH_3OCH_2	78
3	4-BrC_6H_4	CH_3	87	8	C_6H_5	$ClCH_2$	83
4	4-$CH_3C_6H_4$	CH_3	90	9	C_6H_5	CH_3	92[b]
5	4-$CH_3OC_6H_4$	CH_3	92				

a. The yields are based on the aromatic α-methyl ketones. b. Using regenerated resin.

We have developed a convenient method to prepare oxazole derivatives with poly[styrene(iodosodiacetate)]. The reaction is easily operated and environmental benign. And also the poly[styrene(iodosodiacetate)] can be regenerated and reused.

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References

1. F. Guillier, D. Orain, M. Bradley, *Chem. Rev*., **2000**, *100*, 137.
2. H. Togo, K. Sakuratani, *Synlett*, **2002**, 1966.
3. P. Wipf, *Chem. Rev*., **1995**, *95*, 2115.
4. R. S. Varma, D. Kumar, *J. Heterocyclic Chem*., **1998**, *35*, 1533
5. X. Huang, Q. Zhu, *J. Chem. Research (s)*, **2000**, 300.

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