Eur. J. Org. Chem. **2009**, 4757–4761

A new synthetic route to unsymmetrical 9-arylxanthenes

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Keywords: xanthene/ thioxanthene , intramolecular friedel crafts reaction, unsymmetrical.

A facile and general three-step synthetic route towards unsymmetrical 9-arylxanthenes has been developed. The reaction sequence involves nucleophilic substitution reaction of commercially available 2-fluorobenzaldehydes with arenoxides, Grignard reaction of the resulting 2-arenoxybenzaldehydes with arylmagnesium bromides followed by 10 mol% $FeCl_3$ catalyzed intramolecular diarylmethylation of the resulting carbinols. This strategy was extended to access symmetrical as well as unsymmetrical 9-arylthioxanthenes.

Introduction

Xanthenes and dibenzo[*a*,*j*]xanthenes have attracted considerable attention over the years due to their wide range of biological properties such as antiviral,[1] anti-inflammatory,[2] and antibacterial activities.[3] These compounds have also been utilized as antagonists for paralyzing action of zoxazolamine[4] and in photodynamic therapy (PDT).[5] The flat rigid structure of xanthenes has been used to advantage as a linker for peptide synthesis and in unnatural amino acids and related pharmaceutical precursors.[6] More importantly, xanthenes and the related condensed ring system variants have also been used as dyes, fluorescent materials for visualization of biomolecules and in laser technologies due to their useful spectroscopic properties.[7] Oxidation of these compounds produce xanthylium salts which are also useful as dyes and fluorescent materials.[8] For example, fluorescein and fluorone are structurally related xanthene dyes (Figure 1). Fluorone derivatives have been found numerous applications such as in the detection of a variety of metal ions,[9] sugars,[10] phosphorylated molecules,[11] HIV-1 nucleocapsid protein,[12] reactive oxygen species,[13] in screening assays for mitochondrial permeability,[14] acetylcholinesterase inhibition,[15] and telomerase inhibition.[16].

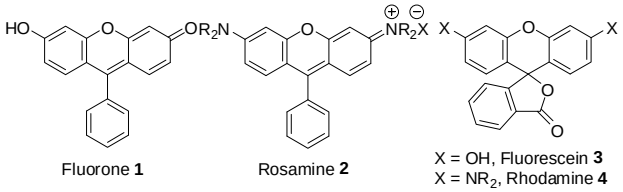

Figure 1. Structures of some common xanthene dyes

Results and Discussion

Over the past several years we have been involved in the design, synthesis and antitubercular and anticancer activities of various triarylmethanes (TRAMs).[17] In continuation of our research programme in this direction, we became interested in synthesizing 9-arylxanthenes as conformationally constrained analogues of TRAMs.

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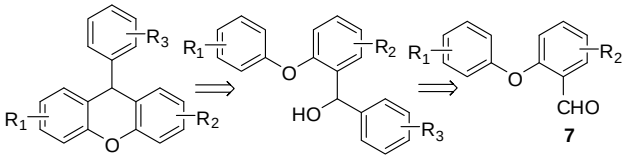
However, a literature survey revealed that the synthesis of 9-arylxanthenes are known by three routes, namely (a) reaction of xanthones with arylmagnesium halides (ArMgX) or aryllithiums (ArLi) followed by subsequent conversion of the resulting carbinols,[18] (b) condensation of β-naphthol with aromatic aldehydes,[19] and (c) coupling of arynes with aromatic aldehydes.[20] However, only the first route (a) can permit the synthesis unsymmetrical 9-arylxanthenes. Since substituted xanthones are not commercially available, this method of synthesizing unsymmetrical 9-arylxanthenes also requires the synthesis of the starting xanthones which, in turn, may be a multi-step process. Therefore, access to symmetrical as well as unsymmetrical 9-arylxanthenes by a short and efficient method incorporating a wide range of substituent pattern would further expand the scope of their applications in our ongoing research programme.

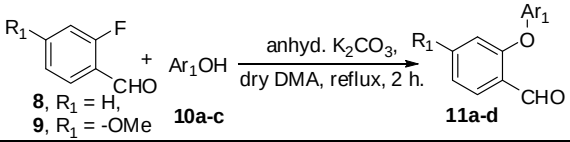
In 2005, we reported the synthesis of unsymmetrical trisubstitutedmethanes (TRSMs) by intermolecular diarylmethylation of electron-rich arenes using diaryl carbinols as alkylating agents.[21] Accordingly, it was envisaged that utilization of this diarylmethylation of electron rich arene reaction could be applied for the synthesis of various symmetrical as well as unsymmetrical 9-arylxanthenes **5** by an intramolecular fashion in a diarylcarbinol **6** containing a tethered arenoxy group (Scheme 1). Carbinol **6** could be obtained by the Grignard reaction of aromatic halides with 2-arenoxybenzaldehydes **7**.



Scheme 1. Retrosynthetic analysis of 9-arylxanthenes

Our study began with the synthesis of a series of four 2-arenoxybenzaldehydes **11a-d** by following the literature procedure which involved refluxing a solution of 2-fluorobenzaldehydes (**8, 9**)[29] and aromatic hydroxy compounds **10 a-c** in dry DMA in the presence of anhyd. K_2CO_3 as a base (Table 1).[22] With 2-arenoxybenzaldehydes **11a-d** in hand, we turned our attention for the next steps. Thus, initially 2-phenoxybenzaldehyde **11a** was reacted with freshly prepared phenyl magnesium bromide to get carbinol **12a** in very high yield (See scheme Table 3). It was subsequently chosen as a model substrate for the investigation of the intramolecular diarylmethylation reaction leading to 9-phenylxanthene. In view of the use of catalytic amount conc.

Table 1. Synthesis of 2-arenoxybenzaldehydes 11a-d

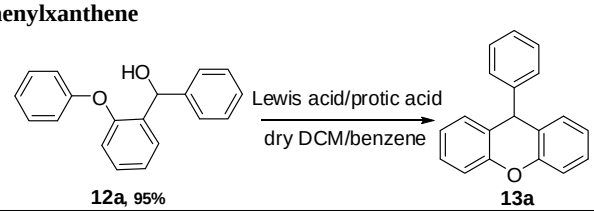


entry	aldehyde	Ar_1OH	Product (yield)
1	8	**Ar_1 =** Ph **10a**	**R_1** = H **Ar_1** = Ph **11a, 90%**

2	8	**Ar_1 =** 4-OMe-Ph **10b**	**R_1** = H **Ar_1** = 4-OMe-Ph **11b, 93%**
3	8	**Ar_1 =** 2-naphthyl **10c**	**R_1** = H **Ar_1** = 2-naphthyl **11c, 91%**
4	9	**Ar_1 =** Ph **10a**	**R_1** = OMe **Ar_1** = Ph **11d, 85%**

H_2SO_4 in our previous work on the synthesis of unsymmetrical trisubstitutedmethanes (TRSMs),[21] we first examined the cyclization of alcohol **12a** in the presence of conc. H_2SO_4 (Table 2, entry 1). Thus, a solution of **12a** in dry benzene was refluxed for 30 min to afford 9-arylxanthene **13a** (75% yield). Similarly, the use of some other well-known Friedel-Crafts protic and Lewis acid catalysts such as anhyd. $AlCl_3$, $Sc(OTf)_3$ and TfOH in the above reaction was also effective under suitable reaction conditions. Also, it was found that **12a** could be transformed to **13a** in high yield by treating a solution of **12a** in dry CH_2Cl_2 at r.t. using 10 mol% $FeCl_3$**.**

. **Table 2. Optimization studies for the synthesis 9-phenylxanthene**



12a, 95% **13a**

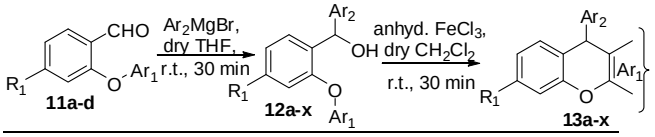
entry	Lewis or protic acid	condition	yield[a]
1	conc. H_2SO_4	benzene, 80°C, 30 min.	75%
2	Anhyd. $AlCl_3$ (1 equiv.)	benzene, r.t., 30 min.	85%
3	TfOH (10 mol%)	CH_2Cl_2, r.t., 20 min.	90%
4	$Sc(OTf)_3$ (10 mol%)	CH_2Cl_2, r.t., 20 min.	88%
5	Anhyd. $FeCl_3$ (10 mol%)	CH_2Cl_2, r.t., 20 min.	91%

[a]Isolated yield of **13a** after silica gel column chromatography

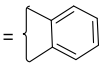
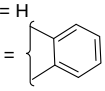
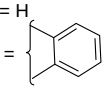
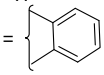
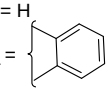
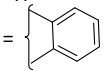
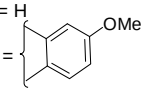
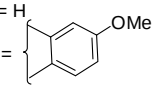
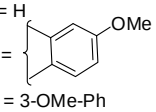
It appeared that the high stabilization of the diarylmethyl carbocation made the cyclization reaction very effective. However, although the above intramolecular diarylmethylation of electron rich arenes could be done by using wide range of Lewis and Bronsted acid catalysts, we were interested to do the reaction using less expensive anhyd. $FeCl_3$ which has already been used in alkylation of electron-rich arenes with aromatic aldehydes,[23] arylation of benzyl alcohols and benzyl carboxylates,[24] hydroarylation of styrenes,[25] benzylation of 1,3-dicarbonyl compounds,[26] and intramolecular hydroamination and hydroalkoxylation of alkenes.[27] Also owing to the toxic nature of benzene, [28] we chose DCM as the reaction medium.

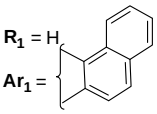
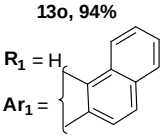
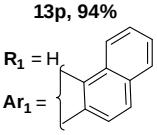
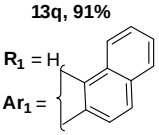
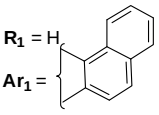
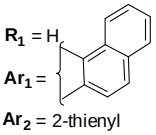
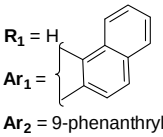
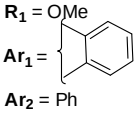
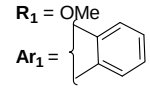
Based on these facts and above optimization results, we then turned our attention to explore the scope of $FeCl_3$-catalyzed diarylmethylation of electron rich arenes with various diarylcarbinols containing tethered arenoxy group. Towards that objective, first a series of carbinols **12b-x** was synthesized by the addition of freshly prepared various aryl magnesium bromides on **11a-d** (Table 3). All the reactions were very high-yielding (90-96%). Next, treatment of the resulting carbinols with 10 mol % $FeCl_3$ in dry CH_2Cl_2 at r.t. furnished the corresponding 9-arylxanthenes **13b-x** in high yields (Table 3). The reaction was amenable to a variety of aromatic rings for the synthesis of unsymmetrical 9-arylxanthenes. The reaction sequence shown in Table 3 involves several salient features: (a) the diverse collection of 9-arylxanthenes demonstrates the potential to utilize any 2-arenoxybenzaldehyde as a common precursor to a library of

Table 3. Synthesis of 9-arylxanthenes 13b-x



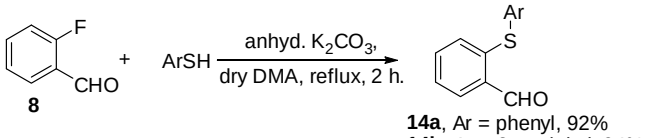
11a-d **12a-x** **13a-x**

entry	Carbinol (yield)	9-arylxanthene (yield)
1	**R_1** = H **Ar_1** = Ph **Ar_2** = 2-OMe-Ph **12b, 96%**	**R_1** = H **Ar_1** =  **Ar_2** = 2-OMe-Ph **13b, 88%**
2	**R_1** = H **Ar_1** = Ph **Ar_2** = 3-OMe-Ph **12c, 93%**	**R_1** = H **Ar_1** =  **Ar_2** = 3-OMe-Ph **13c, 91%**
3	**R_1** = H **Ar_1** = Ph **Ar_2** = 4-OMe-Ph **12d, 95%**	**R_1** = H **Ar_1** =  **Ar_2** = 4-OMe-Ph **13d, 92%**
4	**R_1** = H **Ar_1** = Ph **Ar_2** = 2-SMe-Ph **12e, 97%**	**R_1** = H **Ar_1** =  **Ar_2** = 2-SMe-Ph **13e, 92%**
5	**R_1** = H **Ar_1** = Ph **Ar_2** = 2-thienyl **12f, 90%**	**R_1** = H **Ar_1** =  **Ar_2** = 2-thienyl **13f**, 85%
6	**R_1** = H **Ar_1** = Ph **Ar_2** = 9-phenanthryl **12g, 96%**	**R_1** = H **Ar_1** =  **Ar_2** = 9-phenanthryl **13g, 93%**
7	**R_1** = H **Ar_1** = 4-OMe-Ph **Ar_2** = Ph **12h, 97%**	**R_1** = H **Ar_1** =  **Ar_2** = Ph **13h, 92%**
8	**R_1** = H **Ar_1** = 4-OMe-Ph **Ar_2** = 2-OMe-Ph **12i, 94%**	**R_1** = H **Ar_1** =  **Ar_2** = 2-OMe-Ph **13i, 90%**
9	**R_1** = H **Ar_1** = 4-OMe-Ph **Ar_2** = 3-OMe-Ph **12j, 95%**	**R_1** = H **Ar_1** =  **Ar_2** = 3-OMe-Ph **13j, 93%**

10	R_1 = H Ar_1 = 4-OMe-Ph Ar_2 = 4-OMe-Ph **12k, 95%**	R_1 = H Ar_1 =  Ar_2 = 4-OMe-Ph **13k, 92%**
11	R_1 = H Ar_1 = 4-OMe-Ph Ar_2 = 4-t-butyl-Ph **12l, 93%**	R_1 = H Ar_1 =  Ar_2 = 4-t-butyl-Ph **13l, 90%**
12	R_1 = H Ar_1 = 4-OMe-Ph Ar_2 = 2-thienyl **12m, 90%**	R_1 = H Ar_1 =  Ar_2 = 2-thienyl **13m, 88%**
13	R_1 = H Ar_1 = 4-OMe-Ph Ar_2 = 9-phenanthryl **12n, 96%**	R_1 = H Ar_1 =  Ar_2 = 9-phenanthryl **13n, 91%**
14	R_1 = H Ar_1 = 2-naphthyl Ar_2 = Ph **12o, 96%**	R_1 = H Ar_1 =  Ar_2 = Ph **13o, 94%**
15	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 2-OMe-Ph **12p, 95%**	R_1 = H Ar_1 =  Ar_2 = 2-OMe-Ph **13p, 94%**
16	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 3-OMe-Ph **12q, 93%**	R_1 = H Ar_1 =  Ar_2 = 3-OMe-Ph **13q, 91%**
17	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 4-OMe-Ph **12r, 95%**	R_1 = H Ar_1 =  Ar_2 = 4-OMe-Ph **13r, 91%**
18	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 2-SMe-Ph **12s, 94%**	R_1 = H Ar_1 =  Ar_2 = 2-SMe-Ph **13s, 90%**
19	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 2-thienyl **12t, 92%**	R_1 = H Ar_1 =  Ar_2 = 2-thienyl **13t, 89%**
20	R_1 = H Ar_1 = 2-naphthyl Ar_2 = 9-phenanthryl **12u, 96%**	R_1 = H Ar_1 =  Ar_2 = 9-phenanthryl **13u, 90%**
21	R_1 = OMe Ar_1 = Ph Ar_2 = Ph **12v, 96%**	R_1 = OMe Ar_1 =  Ar_2 = Ph **13v, 90%**
22	R_1 = OMe Ar_1 = Ph Ar_2 = 3-OMe-Ph **12x, 94%**	R_1 = OMe Ar_1 =  Ar_2 = 3-OMe-Ph **13x, 91%**

9-arylxanthenes; (b) many of the products obtained would not be readily accessible by conventional routes; (c) reaction of 2-arenoxy heteroarene-1-aldehydes with heteroarylmagenesium halides or heteroaryllithiums (other than 2-thienylmagnesium bromide) remains unexplored; thus one or more heterocycles should be easily incorporated in the 9-arylxanthene system if so desired; (d) high-yielding access to these compounds is only made possible by the mild reaction conditions employed. (e) symmetrical as well as unsymmetrical 9-arylxanthenes could be synthesized.

Next, with the goal of accessing 9-arylthioxanthenes products, the propensity of $FeCl_3$-catalyzed diarylmethylation of electron rich arenes with various diarylcarbinols containing a tethered arylsulfanyl group was investigated. Towards that objective, 2-arylsulfanylbenzaldehydes **14a-b** were first synthesized by essentially following the similar strategy as that described for 2-arenoxybenzaldehydes **11a-d** (Scheme 2).

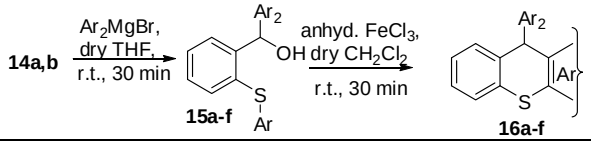


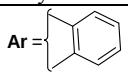
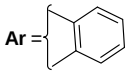
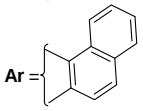
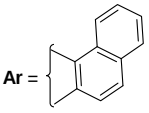
14a, Ar = phenyl, 92%
14b, Ar = 2-naphthyl, 94%

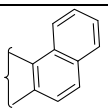
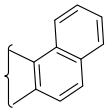
Scheme 2. Synthesis of 2-arylsulfanylbenzaldehydes 14a-b

Subsequently, treatment of freshly prepared arylmagnesium bromides on **14a-b** furnished carbinols **15a-f** in high yields. Next, treatment of the resulting carbinols with 10 mol % $FeCl_3$ in dry CH_2Cl_2 at r.t. furnished the corresponding 9-arylthioxanthenes **16a-f** in high yields (Table 4). In this case also symmetrical as well as unsymmetrical 9-arylthioxanthenes could be synthesized depending on the choice of the starting aldehydes and Grignard reagents.

Table 4. Synthesis of 9-arylthioxanthenes 16a-f



entry	Carbinol (yield)	9-arylxanthene (yield)
1	**Ar** = Ph Ar_2 = Ph **15a, 96%**	**Ar** =  Ar_2 = Ph **16a, 94%**
2	**Ar** = Ph Ar_2 = 4-OMe-Ph **15b, 95%**	**Ar** =  Ar_2 = 4-OMe-Ph **16b, 94%**
3	**Ar** = 2-naphthyl Ar_2 = 4-OMe-Ph **15c, 96%**	**Ar** =  Ar_2 = 4-OMe-Ph **16c, 92%**
4	**Ar** = 2-naphthyl Ar_2 = 2-OMe-Ph **15d, 93%**	**Ar** =  Ar_2 = 2-OMe-Ph **16d, 90%**

5	**Ar** = 2-naphthyl **Ar_2** = 3-OMe-Ph **15e, 95%**	**Ar** =  **Ar_2** = 3-OMe-Ph **16e, 91%**
6	**Ar** = 2-naphthyl **Ar_2** = 4-OMe-Ph **15f, 95%**	**Ar** =  **Ar_2** = 4-OMe-Ph **16f, 92%**

Conclusions

In conclusion, we have demonstrated a new synthetic route of 9-arylxanthenes and 9-arylthioxanthenes by $FeCl_3$ catalyzed diarylmethylation of electron rich arenes. The reaction was driven by cationic activation of diaryl carbinols by 10 mol % $FeCl_3$. Our synthetic strategy could allow significant variation of all aryl rings of symmetrical as well as unsymmetrical 9-arylxanthenes which were not easily available.

Supporting Information (see also the footnote on the first page of this article): Experimental procedures and analytical data of selected compounds.

Acknowledgments

This work was supported by Department of science and technology (DST), India. Sajal and Ritesh thank Council of Scientific and Industrial Research (CSIR), India for research fellowships.

CDRI communication number 7732

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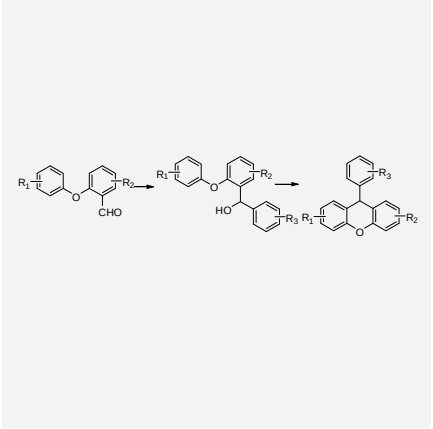
Eur. J. Org. Chem. **2009**, 4757–4761

Entry for the Table of Contents

Layout 1:

A facile and general three-step synthetic route towards unsymmetrical 9-arylxanthenes has been developed. The reaction sequence involves nucleophilic substitution reaction of commercially available 2-fluorobenzaldehydes with arenoxides, Grignard reaction of the resulting 2-arenoxybenzaldehydes with arylmagnesium bromides followed by 10 mol% $FeCl_3$ catalyzed intramolecular diarylmethylation of the resulting carbinols. This strategy was extended to access symmetrical as well as unsymmetrical 9-arylthioxanthenes .



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A new synthetic route to unsymmetrical 9-arylxanthenes

Keywords: xanthene/ thioxanthene , Friedel crafts reaction, unsymmetrical