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Utilization of Unsymmetric Diaryliodonium Salts in α-Arylation of α-Fluoroacetoacetamides

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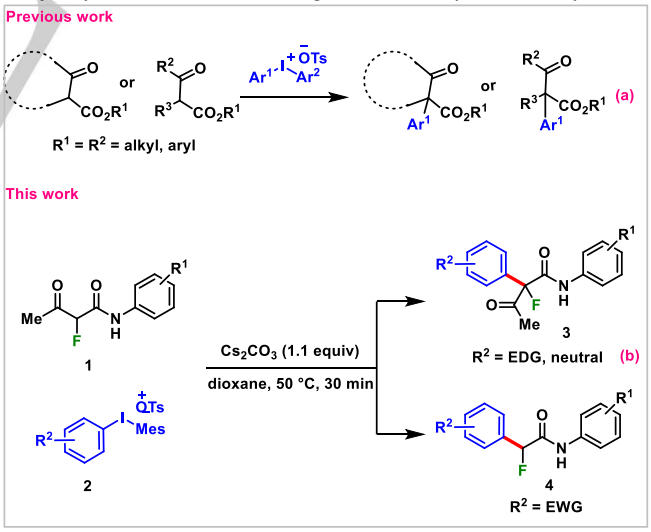
Abstract: The use of unsymmetric diaryliodonium salts as a versatile class of arylating agents has been demonstrated by developing a novel strategy to quickly access α-arylated α-fluoroacetoacetamides. The protocol provides a convenient metal-free method for the α-arylation of a diverse class of fluorinated acetoacetamides, and the products are obtained in good yields. The strategy, upon use of electron-deficient diaryliodonium salts as an arylating agent, provides α-fluoroacetamides through a spontaneous arylation/deacylation cascade.

Nowadays, the introduction of fluoroalkyl groups into arenes has become a common practice in medicinal chemistry to improve the biological properties of drug candidates.[1] Obviously, this is attributed to the unique electronic features possessed by fluorine, which, unlike the other halogens, often refine the biological properties, including metabolic stability, permeability, and protein binding affinity.[2] These medicinal applications and the widespread use in material science have fuelled the development of practical methods for introducing fluorinated units into arenes.[3] As such, the past two decades have witnessed remarkable progress in devising strategies for the effective preparation of F- and CF_3-containing arenes.[4,5] However, this field has been dominated by metal-catalyzed trifluoromethylation and fluoroalkylations.[6] Aromatic compounds containing α-fluorocarbonyl groups are of particular interest as non-enolizable analogues of the corresponding arylacetamides.[7] However, a vast majority of the methods to synthesize them utilize Pd or Cu catalyzed coupling reactions of aryl halides with fluoroenolates.[8] Given the importance of this class of compounds in drug discovery, a complementary method that obviates the need of expensive catalysts, harsh conditions and directly uses simple and readily available substrates would be highly important.

Recently, diaryliodonium salts have become broadly applied arylating agents for metal-catalyzed and metal-free electrophilic arylation reactions due to their wide scope and remarkable functional group tolerance.[9,10] The efficiency of diaryliodonium salts in transition metal-free arylation processes has been illustrated with a broad range of heteroatom nucleophiles such as O-, N-, S- and P-nucleophiles.[11] Furthermore, in recent years, several elegant methodologies have been devised for the straightforward α-arylation of a wide range of enolizable substrates under metal-free conditions (Scheme 1a).[12] Despite the immense synthetic potential of this strategy, the applicability in the arylation of fluorocarbon nucleophiles has been less studied. With our interest in developing novel metal-free methods to introduce fluoroalkyl groups into arenes,[13] we envisioned that diaryliodonium salts could be used for the direct arylation of α-fluoroacetoacetamides. Herein, we present an efficient protocol for the direct α-arylation of α-fluoroacetoacetamides under mild basic conditions to generate a quaternary benzylic fluoroalkyl center. Interestingly, when electron-deficient arenes were transferred to acetoacetamides, the products underwent deacylation, constituting a new strategy to access α-aryl-α-fluoroacetamides, a prominent structural subunit present in a wide array of pharmaceuticals and agrochemicals (Scheme 1b).[14]



Scheme 1. Approaches to electrophilic α-arylation of C-nucleophiles using diaryliodonium salts.

Initially, 2-fluoro-3-oxo-*N*-phenylbutanamide **1a** was chosen as an appropriate enolizable substrate for the reaction with phenyl(mesityl)iodonium salt **2a**. The reaction conducted in the presence of *t*-BuOK gave the α-arylated product **3a** in 11% yield in THF at 50°C (Table 1, entry 1). A brief survey of solvents was then conducted to improve the reaction efficiency (entries 2-7),

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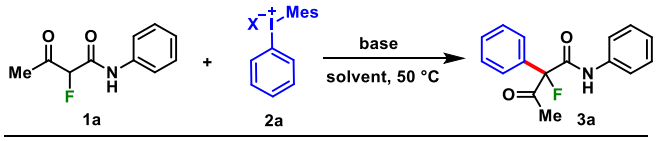
and dioxane was found optimal, delivering the anticipated product **3a** in 67 % yield in a reaction time of 30 min (entry 6). To improve the yields further, we focused on other inorganic bases, and a large set of frequently used inorganic bases including NaH, KOH, Na_2CO_3, Cs_2CO_3 and CsF were screened. The use of Cs_2CO_3 increased the yield of the product to 78% (entry 11). Moreover, the reactions attempted using organic bases such as DMAP and DABCO were unsuccessful (entries 13,14). Further, the variation of temperature was detrimental, and at a higher temperature, the reaction produced a complex mixture (entry 16). The reaction was subsequently checked for the influence of the counter anion using triflate and tetrafluoroborate salts, and in these cases, there was a decrease in the yield (entries 17,18).

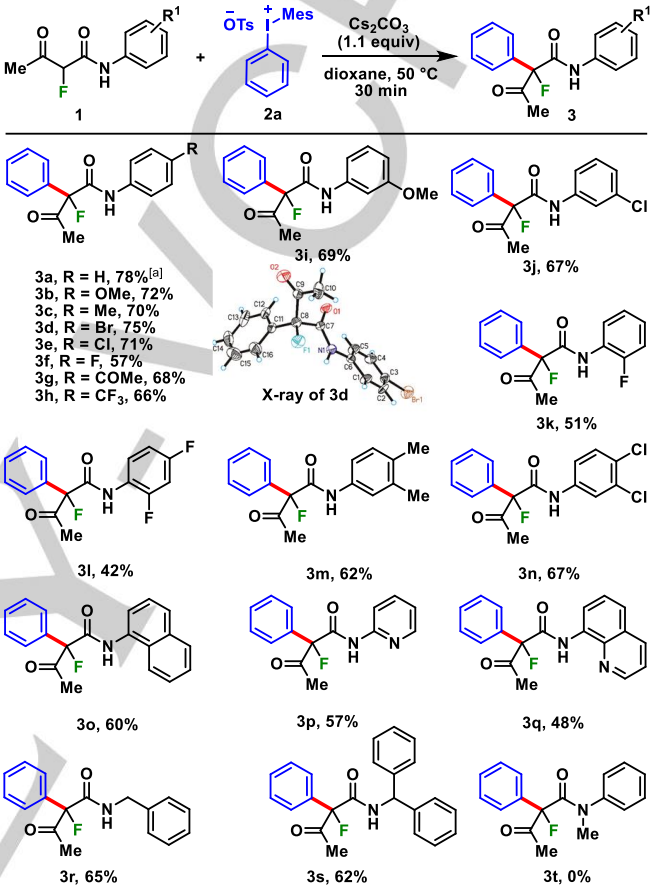
Table 1. Optimization of the reaction conditions[a]



entry	anion X	base	solvent	time (h)	yield[b] (%)
1	OTs	*t*-BuOK	THF	6	11
2	OTs	*t*-BuOK	TBME	6	52
3	OTs	*t*-BuOK	toluene	6	36
4	OTs	*t*-BuOK	xylene	6	45
5	OTs	*t*-BuOK	DME	6	55
6	OTs	*t*-BuOK	dioxane	0.5	67
7	OTs	*t*-BuOK	DMF	6	30
8	OTs	NaH	dioxane	6	57
9	OTs	KOH	dioxane	6	46
10	OTs	Na_2CO_3	dioxane	6	60
11	**OTs**	**Cs_2CO_3**	**dioxane**	**0.5**	**78**
12	OTs	CsF	dioxane	0.5	60
13	OTs	DMAP	dioxane	6	<5
14	OTs	DABCO	dioxane	6	<5
15[c]	OTs	Cs_2CO_3	dioxane	12	<5
16[d]	OTs	Cs_2CO_3	dioxane	0.5	55
17	BF_4	Cs_2CO_3	dioxane	0.5	68
18	OTf	Cs_2CO_3	dioxane	0.5	73

[a]**General conditions: 1a** (0.20 mmol), **2a** (0.22 mmol) and base (0.22 mmol) in solvent (2.0 mL) at 50 ˚C. [b]Isolated yield after silica gel column chromatography. [c]Reaction carried out at 25 ˚C. [d]Reaction carried out at 80 ˚C.

With the reliable conditions identified for this α-arylation protocol, we proceeded towards the evaluation of the substrate scope with respect to the amide component using phenyl(mesityl)iodonium salt **2a**. Notably, the reactions of a diverse range of electronically differentiated fluoroacetoacetamides delivered the α-arylated products in moderate to good yields (Scheme 2). The fluoroacetoacetamides bearing electron-donating substituents at the *para*-position of the phenyl ring provided the desired products in synthetically useful yields (**3b,3c**). Similarly, the reaction furnished arylated products for substrates containing bromo, chloro and fluoro moieties at the *para*-position of the phenyl ring (**3d-3f**). The structure of **3d** was ascertained by X-ray analysis.[15] Moreover, amides bearing electron-withdrawing functional groups such as trifluoromethyl, and acetyl at *para*-position of the aryl ring were also transformed to the corresponding products in good yields (**3g,3h**). The reaction also incorporated substrates having electronically varied functional groups at *meta*-position of the aryl ring, and the arylated products were obtained in moderate yields (**3i,3j**). The reaction scope was successfully extended to α-fluoroacetoacetamides derived from *ortho*-substituted anilines (**3k**). The compatibility of this protocol was evaluated using disubstituted α-fluoroacetoacetamides, and α-arylation occurred to deliver the products in good yields (**3l-3n**).



Scheme 2. Substrate scope of α-fluoroacetoacetamides. General conditions: **1** (0.2 mmol), **2a** (0.22 mmol), Cs_2CO_3 (0.22 mmol) in dioxane (2.0 mL) at 50 ˚C for 30 min. Isolated yield after silica gel column chromatography. [a]The reaction carried out using diphenyliodonium tosylate afforded **3a** in 52% yield.

Likewise, 1-naphthyl-substituted α-fluoroacetoacetamide was converted to the product **3o** in 60% yield. We were pleased to note that, besides aryl substrates, the heteroaryl substrates, such as those derived from 2-aminopyridine and 8-aminoquinoline, were also competent as a nucleophile for this arylation process (**3p**,**3q**). The scope of the reaction was further illustrated by using *N*-benzyl and *N*-benzhydryl substrates under the standard conditions, and the anticipated products were accomplished in good yields (**3r,3s**). Of note, the viability of symmetric diaryliodonium salts in this protocol was tested using diphenyliodonium tosylate, and the product **3a** was obtained in 52% yield. Disappointingly, the tertiary amide derivative was found unreactive under the reaction conditions (**3t**).

Next, to explore the scope of the arylating agent, various unsymmetric diaryliodonium salts retaining the mesityl group as "dummy-group" were tested (Scheme 3).[16] Delightfully, the iodonium salts bearing methoxy, *t*-butyl, *i*-propyl, ethyl, methyl,

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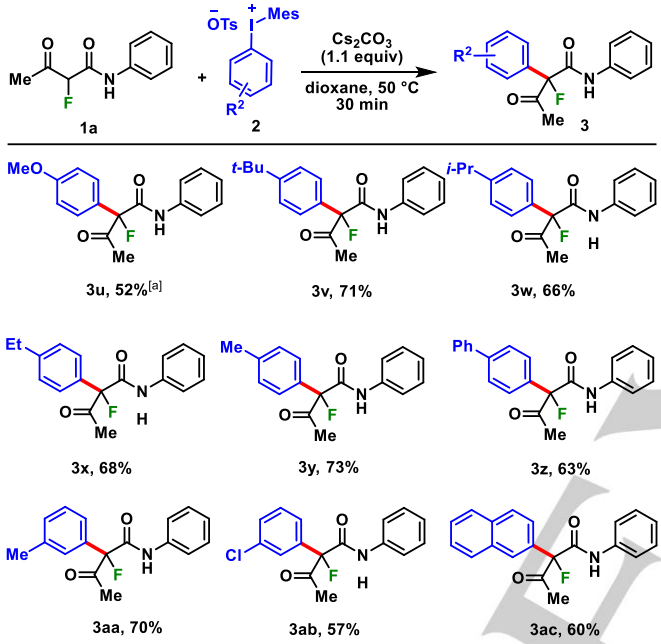
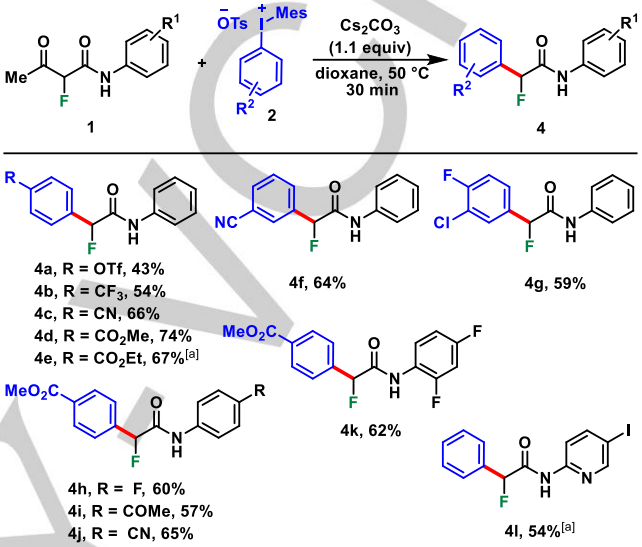
and phenyl substitutions at the *para*-position of the aryl group successfully participated to deliver the arylated fluoroacetoacetamides (**3u**-**3z**). The diaryliodonium salt having *meta*-substituted aryl moiety took part in the reaction effectively (**3aa**,**3ab**). Pleasingly, the reaction conducted using the 2-naphthyliodonium salt furnished the arylated product in moderate yield (**3ac)**. Surprisingly, while expanding the scope of this reaction employing electron-deficient diaryliodonium salts under the optimized conditions, we observed a concomitant deacylation process with arylation to provide access to arylfluoroacetamides **4** in good yield. This spontaneous deacylation may be attributed to a further increase in electrophilicity of the α-carbon center rendered by fluorine as well as the newly installed electron-deficient aryl group.



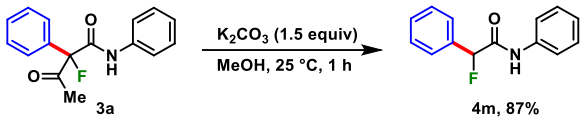
Scheme 3. Substrate scope of diaryliodonium salts. General conditions: **1a** (0.2 mmol), **2** (0.22 mmol), Cs_2CO_3 (0.22 mmol), in dioxane (2.0 mL) at 50 ˚C for 30 min. Isolated yield after silica gel column chromatography. [a]Reaction carried out with 0.30 mmol of Cs_2CO_3 for 2 h.

It is worth noting that this deacylative arylation protocol constitutes an efficient route for the synthesis of arylfluoroacetamides, which are valuable synthetic building blocks in medicinal chemistry. Encouraged by this finding, we sought to further explore the substrate scope for this methodology by varying aryl(mesityl)iodonium salts bearing electron-withdrawing substituents. As shown in Scheme 4, a wide variety of diaryliodonium salts bearing electron-deficient aryl moieties smoothly underwent deacylative arylation to produce the arylfluoroamides **4** as the sole product. The reaction tolerated various electron-deficient functionalizable handles, such as triflate, trifluoromethyl, cyanide, carbomethoxy, and carboethoxy groups at the *para*-position of the aryl group, and the products were obtained in moderate to good yields (**4a-4e**). In addition, the aryl group having a cyano substitution at the *meta*-position was readily transferred, and the desired product **4f** was obtained in 64% yield. In addition to monosubstituted salts, the reaction proceeded smoothly with 3,4-disubstituted iodonium salt, and the anticipated product was obtained in reasonable yield (**4g**). Finally, to check whether the deacylative arylation strategy is applicable to other fluoroacetoacetamides, a series of electronically differentiated amide substrates were reacted with 4-carbomethoxyphenyl(mesityl)iodonium salt, and we were delighted to find that the reaction proceeded smoothly to afford the corresponding products in good yields (**4h-4k)**. Besides aromatic amides, the heteroaryl amide derived from 5-iodo-2-aminopyridine was also viable for this deacylative transformation; however, the reaction required longer time, and the product **4l** was obtained in moderate yield.



Scheme 4. Substrate scope for α-aryl-α-fluoroacetamide synthesis. General conditions: **1** (0.2 mmol), **2** (0.22 mmol), Cs_2CO_3 (0.22 mmol), in dioxane (2.0 mL) at 50 ˚C for 30 min. Isolated yield after silica gel column chromatography. [a]Reaction run for 3 h.

Finally, we were pleased to find that this new arylation reaction can be used to access α-aryl-α-fluoroacetamides by K_2CO_3-mediated deacylation of the corresponding arylated acetoacetamides. For instance, the methanolysis of compound **3a** provided fluoroacetamide **4m** in 87% yield (Scheme 5).



Scheme 5. Deacylative route to α-aryl-α-fluoroacetamides.

It has been established that there are two possible pathways for the metal-free arylation; 1) it proceeds through SET mechanism[17] or 2) through the formation of a T-shaped intermediate.[18] To test whether a radical pathway is involved in this case, a control experiment was conducted for the arylation of α-fluoroacetoacetamide **1a** in the presence of radical-inhibitor TEMPO, and the desired α-arylation product **3a** was obtained in 64% yield. Thus, radical mechanism can be excluded. On the basis of this transformation and literature reports, a reaction pathway is posited in Scheme 6. The reaction likely operates through one of the two possible tricoordinated iodine intermediates **I**/**II**, formed by the coordination of the enolate through the C-I or O-I bond. These intermediates are in fast

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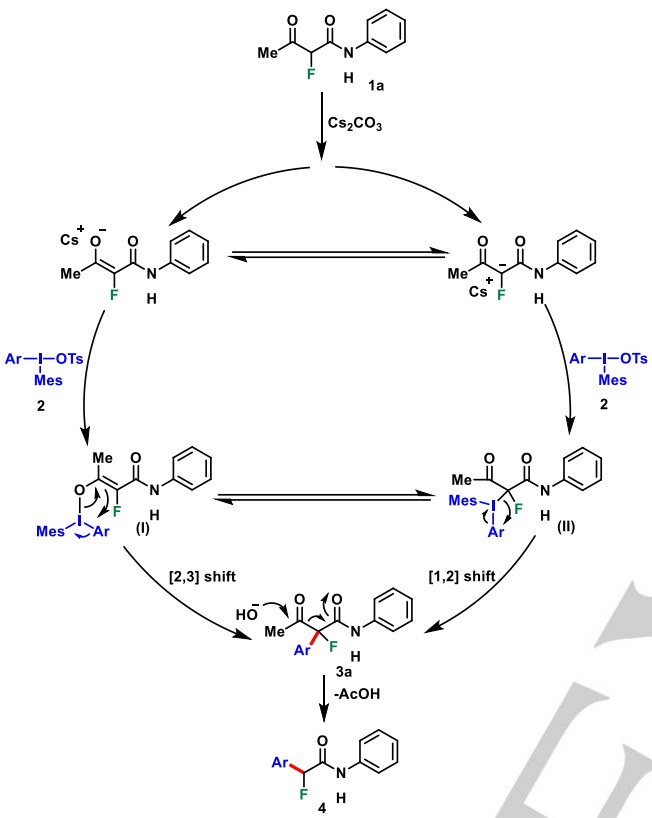
equilibrium with each other, and subsequently undergo normal [1,2] ligand coupling or [2,3]-rearrangement to furnish the arylated product **3**. Although not clear, we presume that the increased electrophilicity of the resulting tetrasubstituted fluorocarbon center upon installation of an electron-deficient aryl group facilitates the base-mediated deacylation to afford the desired arylfluoroamide **4**.



Scheme 6. Plausible reaction mechanism.

In summary, we have presented a convenient, metal-free procedure for the α-arylation of α-fluoroacetoacetamides using unsymmetric diaryliodonium salts to access a wide variety of synthetically valuable tetrasubstituted α-aryl-α-fluoroacetoacetamides. This strategy was found to readily arylate a wide range of α-fluoroacetoacetamides having electronically distinct substitutions on aryl rings, aliphatic and heterocyclic moieties. Importantly, upon using electron-deficient diaryliodonium salts, a concomitant deacylation was observed, constituting a straightforward approach to arylfluoroacetamides. A wide range of arylfluoroacetamides were synthesized using this approach. It was further shown that a sequential base-mediated deacylation protocol could be used to rapidly access arylfluoroacetamides from arylated fluoroacetoacetamides.

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Keywords: α-Fluoroacetoacetamides • Diaryliodonium salts • α-Arylation • Metal-free • Deacylation

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[15] CCDC 2024818 (**3d**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

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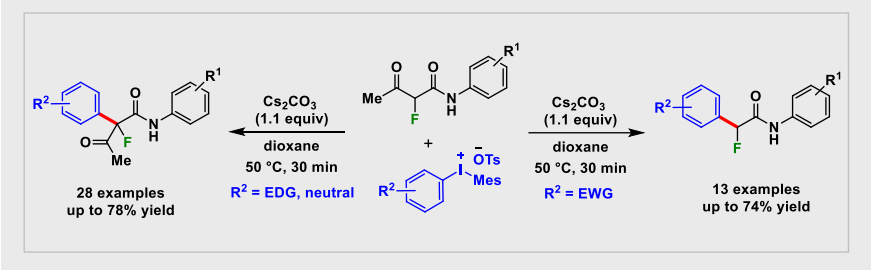

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Utilization of Unsymmetric Diaryliodonium Salts in α-Arylation of α-Fluoroacetoacetamides

The use of diaryliodonium salts as a versatile class of arylating agents has been demonstrated by developing a novel strategy to quickly access α-arylated α-fluoroacetoacetamides.