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AN EFFICIENT ONE-POT SYNTHESIS OF 1,8-DIOXO-DECAHYDROACRIDINES BY INDIUM(III)CHLORIDE UNDER AMBIENT TEMPERATURE IN ETHANOL

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ABSTRACT
Indium(III)chloride was employed as a catalyst for facile preparation of 1,8-dioxo-decahydroacridines via the one-pot condensation of various aldehydes, 1,3-diketones with aromatic amines or ammonium acetate. Various aromatic aldehydes were utilized in the reaction and in all situations the desired product were synthesized successfully. The described novel synthesis method propose several advantages of mild condition, short reaction times, high yields, simplicity and easy workup compared to the traditional method of synthesis.

KEYWORDS
Indium(III)chloride, 1,8-dioxo-decahydroacridines, One-pot synthesis, Three component, Chemoselective.

INTRODUCTION
Acridine and acridine-1,8-dione derivatives are polyfunctionalized 1,4-dihydropyridine derivatives. They have a wide range of pharmacological properties such as antimalarial[1], anticancer[2], anticarcinogenic[3], antitumor[4], cytotoxic[5], antimicrobial[6], anti-multidrug-resistant[7], fungicidal[8], and widely prescribed as calcium b-blockers[9]. Also, 1,8-dioxo-decahydroacridines were created to act as laser dyes[10], and used as photoinitiators[11].

Many procedures were explained the synthesis of acridine derivatives containing 1,4-dihydropyridines, from dimedone, aldehydes and different nitrogen sources such as under microwave irradiation[12], hydroxylamine[13], ammonium bicarbonate[14], via conventional heating in organic solvents, in the presence of Amberlyst-15[15], triethylbenzylammonium chloride (TEBAC)[16], ammonium acetate on basic alumina[17], *p*-dodecylbenzenesulfonic acid (DBSA)[18], and using ionic liquids[19], such as 1-methylimidazolium triflouroacetate ([Hmim]TFA)[20], bronsted acidic imidazolium salts containing perfluoroalkyl tails[21].

However, some of these reported methods have one or more disadvantages such as moisture sensitive, using the excess of catalysts, prolonged reaction time, low yields, toxic organic solvents, a microwave oven and unpleasant experimental procedure and reagents which are expensive. As mentioned above, considering the importance of 1,8-dioxo-decahydroacridines derivatives in pharmaceutical and industrial chemistry as well as taking into account the disadvantages associated with earlier reported protocols necessitate the development of simple,

well-organized, and eco-friendly synthetic methods for the efficient preparation of 1,8-dioxo-decahydroacridines.
Performing organic reactions in aqueous media has attracted much attention because of wonderful water properties. It would be significantly safe, cheap, non-toxic and environmentally friendly compared to organic solvents[22]. Additionally, the catalyst system can be recycled using the water soluble catalyst and the insoluble products can be separated by simple filtration. So, development of a mild and efficient catalyst system for the synthesis of 1,8-dioxo-decahydroacridines is highly desirable. It should not only be stable in water but also should be completely soluble in it. In the present research, we report the indium(III)chloride catalyzed reaction of various aldehydes, 1,3-diketones with aromatic amines or ammonium acetate. The catalyst offers several advantages including mild reaction conditions, shorter reaction times, cleaner reactions, high yield of the products, lower catalytic loading as well as simple experimental and isolation procedures which make it useful for the synthesise of 1,8-dioxo-decahydroacridines.

In continuation of our investigations on the development of new synthetic methodologies[23], we herein report a new, convenient, mild and efficient procedure for the synthesis of 1,8-dioxo-decahydroacridines from one-pot condensation of various aromatic aldehydes, 1,3-diketones with aromatic amines or ammonium acetate using $InCl_3$ under ambient temperature.

RESULTS AND DISCUSSION

First, we studied three-component condensation of dimedone (2 mmol), 4-chlorobenzaldehyde (1 mmol) and *p*-toluidineiline (1 mmol) to optimize the reaction conditions with respect to temperature, time, solvent and the molar ratio of $InCl_3$ to the substrate. It was found that 1 mol% of $InCl_3$ was sufficient to obtain the desired 1,8-dioxo-decahydroacridines in 94% yield within 21 min at room temperature in ethanol (Scheme 1).

<Scheme 1>

The effect of solvent on the yield of 1,8-dioxo-decahydroacridines is given in Table 1. The reaction of between dimedone, aniline and benzaldehyde was chosen as a model reaction for investigating the effect of solvent. Among the solvents examined, water was found to be the most effective solvent.

<Table 1>

In order to show the merit of $InCl_3$ in comparison with the other catalysts used for the similar reaction, some of the results are tabulated in Table 2. According to Table 2, the required ratio for the most catalysts used for this purpose is >1 mol% and also the required reaction times are much longer (5–6 h).

<Table 2>

After finding the optimized reaction conditions, the investigation was preceded by performing the reaction between a series of aromatic aldehydes and primary amines or ammonium acetate with 1,3-diketones. To show the general applicability of this method, various aldehydes and amines were efficiently reacted with two equivalents of 1,3-diketones in the same conditions.

These results encouraged us to investigate the scope and the generality of this new protocol for various aldehydes and amines under optimized conditions. As shown in Table 3, a series of aromatic aldehydes and amines underwent electrophilic substitution reaction with 1,3-diketones to afford a wide range of substituted 1,8-dioxo-decahydroacridines in good to excellent yields. The nature and electronic properties of the substituents on the aromatic ring effect the conversion rate, and aromatic aldehydes having electron-withdrawing groups on the aromatic ring (Table 3, entries 5, 10, 14, 18) react faster than electron-donating groups (Table 3, entries 3, 4, 8, 9, 27). Also, both aromatic amines and ammonium acetate similarly underwent well to the conversion.

<Table 3>

Surprisingly, when isophthalaldehyde (**5**) was used with 4 molar equivalents of 1,3-diketones and 2 molar equivalents of aromatic amines, bisacridine-1,8-diones (**6**) was obtained in excellent yield[25] (Scheme 2).

<Scheme 2>

The high chemoselectivity of this reaction had also been verified by a competitive reaction between dimedone, acetophenone and aniline, as shown in Scheme 3. The result showed that aniline was carried out with dimedone in excellent yield and acetophenone obsereved with product under identical conditions. The high chemoselectivity of this reaction is the result of more reactivity 1,3-diketone with compared ketone.

<Scheme 3>

Under the conditions of an one-pot synthesis using 1,2-phenylenediamine (9), dimedone, and 4-chlorobenzaldehydes the sole product was 3,3-Dimethyl-11-phenyl-2,3,4,5,10,11-hexahydro-1*H*-dibenzo[*b,e*]-1,4-diazepin-1-one (10). This Compound was synthesized on dimedone with 1,2-phenylenediamine in ethanol for 23 min in the presence of catalytic amounts of $InCl_3$ and subsequent addition of aromatic aldehyde to the reaction mixture (Scheme 4).

<Scheme 4>

EXPERIMENTAL

General procedure for the synthesis of bis(indolyl)methanes: A mixture of 1,3-diketone (2.0 mmol), aromatic aldehyde (1.0 mmol), aromatic amine or ammonium acetate (1.0 mmol) and indium(III)chloride (1 mol%) in ethanol (2 mL) were stirred at room temperature for an appropriate time. The progress of the reaction was monitored by TLC (*n*-hexan/ethyl acetate 4:1). After completion of the reaction, the resulting solid (crude product) was filtered and then recrystallized from ethanol–water to obtain pure product. The physical data (mp, NMR, IR) of these known compounds were found to be identical with those reported in the literature.

3,3,6,6-tetramethyl-9-phenyl-3,4,6,7,9,10-hexahydroacridine-1,8-(2H,5H)-dione (Table III, entry 6): yield: 90 %; mp 291-293 °C (lit.[24b] 290-292 °C); IR spectrum (KBr), ν, cm^{-1}: 755 (–CH out of bending of aromatic ring), 1226 (CN stretching), 1486, 1585 (C=C– stretching of aromatic ring), 1635 (C=O- of 1,3- diketone), 2960 (CH stretching of aliphatic), 3054 (–CH stretching of

aromatic ring), 3745 (–NH stretching); ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm (*J*, Hz): 0.85 (s, 6H), 1.01 (s, 6H), 1.83-2.49 (m, 8H), 4.83 (s, 1 H), 7.02-7.16 (m, 5H), 9.43 (br s, 1H, NH); ^{13}C NMR spectrum (100 MHz, DMSO-d_6), δ, ppm: 22.1, 26.4, 29.1, 30.2, 30.5, 30.9, 32.3, 32.7, 50.1, 111.4, 114.2, 123.2, 125.1, 126.7, 127.3, 127.7, 146.5, 149.3, 194.1.

9-(4-chlorophenyl)-3,3,6,6-tetramethyl-10-phenyl-3,4,6,7,9,10-hexahydroacridine-1,8-(2H, 5H)-dione (Table III, entry 16): yield: 94 %; mp 245-247 (lit.[24d] 244-246 °C); IR spectrum (KBr), ν, cm^{-1}: 835 (–CH out of bending of aromatic ring), 1247 (CN stretching), 1365, 1587 (C=C– stretching of aromatic ring), 1633 (C=O– of 1,3- diketone), 2955 (CH stretching of aliphatic), 3055 (–CH stretching of aromatic ring), 3745 (–NH stretching); ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm (*J*, Hz): 0.83 (s, 6H), 0.95 (s, 6H), 1.80-2.23 (m, 8H), 5.21 (s, 1H), 7.22-7.27 (m, 4H), 7.38-7.58 (m, 5H); ^{13}C NMR spectrum (100 MHz, DMSO-d_6), δ, ppm: 22.1, 26.7, 29.5, 31.2, 32.3, 32.2, 38.1, 41.8, 50.1, 53.7, 59.6, 114.1, 115.3, 119.3, 128.1, 129.3, 129.4, 129.7, 131.3, 138.8, 144.6, 149.8, 195.5.

9,9'-(1,3-phenylene)-bis-(10-(3-methoxyphenyl)-3,4,6,7-tetrahydroacridine-1,8-(2H, 5H, 9H, 10H)-dione) (Figure 2, entry 1): yield: 91 %; mp 290-291 °C (lit.[25] 258-260 ^{o}C); IR spectrum (KBr), ν, cm^{-1}: 835 (–CH out of bending of aromatic ring), 1229 (CN stretching), 1574, 1365 (C=C– stretching of aromatic ring), 1637 (C=O– of 1,3-diketone), 2941 (CH stretching of aliphatic), 3053 (–CH stretching of aromatic ring); ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm (*J*, Hz): 1.74–1.91 (m, 4H, 2 * CH_2), 1.925–2.13 (m, 4H, 2 * CH_2), 2.21–2.43 (m, 12H, 6 * CH_2), 2.48–2.55 (m, 2H, CH_2), 2.71–2.75 (m, 2H, CH_2), 3.87 (s, 6H, 2 * OCH_3), 4.83 and 5.34 (2 * d, 2H, *J* = 18.6 Hz, CH), 6.72–6.82 (m, 4H, ArH), 7.05–7.23 (m, 6H, ArH), 7.40–7.53 (m, 2H, ArH).

9,9'-(1,3-phenylene)-bis-(10-(2-methoxyphenyl)-3,4,6,7-tetrahydroacridine-1,8-(2H, 5H, 9H, 10H)-dione) (Figure 2, entry 3): yield: 90 %; mp 290-291 °C (lit.[25] 165-167 ^{o}C); IR spectrum (KBr), ν, cm^{-1}: 785 (–CH out of bending of aromatic ring), 1230 (CN stretching), 1574, 1375 (C=C– stretching of aromatic ring), 1634 (C=O– of 1,3-diketone), 2945 (CH stretching of aliphatic), 3065 (–CH stretching of aromatic ring); ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm (*J*, Hz): 1.63–1.98 (m, 8H, 4 * CH_2), 2.05–2.33 (m, 12H, 6 * CH_2), 2.51–2.54 (m, 2H, CH_2), 2.64–2.68 (m, 2H, CH_2), 3.93 (s, 6H, 2 * OCH_3), 4.54 and 5.15 (2 * d, 2H, *J* = 15.7 Hz, CH), 6.52–6.76 (m, 2H, ArH), 6.93–7.15 (m, 5H, ArH), 7.22–7.51 (m, 5H, ArH).

3,3'-(9,9'-(1,3-phenylene)-bis-(1,8-dioxo-1,2,3,4,5,6,7,8-octahydroacridine-10,9-(9H)-diyl))-dibenzonitrile (Figure 2, entry 5): yield: 93 %; mp 290-291 °C (lit.[25] 182-184 ^{o}C); IR spectrum (KBr), ν, cm^{-1}: 745 (–CH out of bending of aromatic ring), 1225 (CN stretching), 1576, 1365 (C=C– stretching of aromatic ring), 1639 (C=O– of 1,3-diketone), 2945 (CH stretching of aliphatic), 3061 (–CH stretching of aromatic ring); ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm (*J*, Hz): 1.81–1.93 (m, 6H, 3 * CH_2), 2.05–2.110 (m, 6H, 3 * CH_2), 2.21–2.41 (m, 8H, CH_2), 2.55–2.61 (m, 2H, CH_2), 2.67–2.71 (m, 2H, CH_2), 4.76 and 5.37 (2 * d, 2H, *J* = 10.3 Hz, CH), 6.93 (m, 1H, ArH), 7.03–7.17 (m, 3H, ArH), 7.21–7.25 (m, 2H, ArH), 7.43–7.73 (m, 4H, ArH), 7.85 (t, 2H, *J* = 6.2 Hz, ArH).

CONCLUSION

The objective of this paper is to describe, $InCl_3$ was found to be an efficient catalyst for synthesis of 1,8-dioxo-decahydroacridines which resulted to better yields in shorter reaction times. Indium(III)chloride effectively catalyses the reaction of various aldehydes, 1,3-diketones with aromatic amines or ammonium acetate in ethanol to produce 1,8-dioxo-decahydroacridines in excellent yields. The catalyst offers several advantages including mild reaction conditions, cleaner reactions, shorter reaction times, high yield of the products, lower catalytic loading as well as simple experimental and isolation procedures, which make it useful for the synthesis of 1,8-dioxo-decahydroacridines.

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TABLES:

Table 1. Solvent effect on the reaction of between dimedone, aniline and benzaldehyde[a]

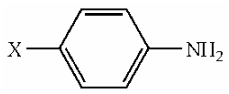
Entry	Solvent	Reaction time/min	Yield[b]/%
1	C_2H_5OH	26	91
2	H_2O	57	31
3	CH_3CN	33	86
4	CH_2Cl_2	39	83
5	$PhCH_3$	47	51
6	$CH_3CO_2C_2H_5$	39	77

[a] Reaction condition: dimedone (2 mmol); aniline (1 mmol); PhCHO (1 mmol); catalyst (1 mol%); solvent (2 mL); [b] Isolated yield.

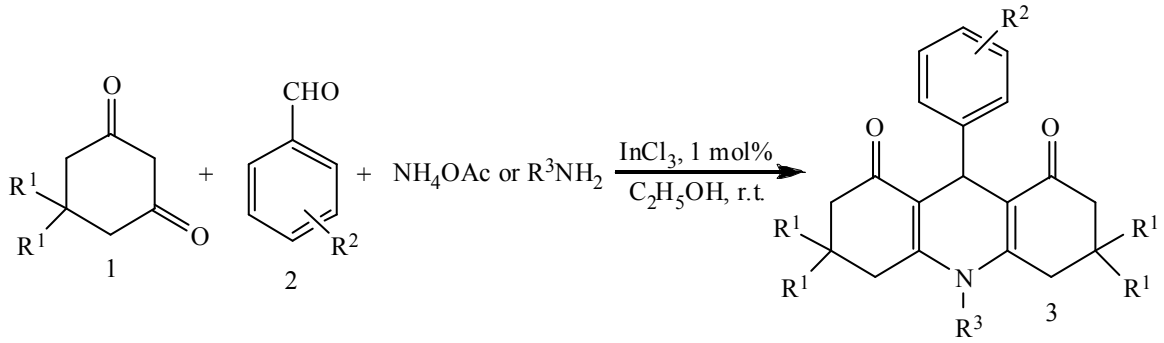
Table 2. Reaction of dimedone, 4-chlorobenzaldehyde and *p*-toluidineiline in the presence of different catalysts

Entry	Catalyst/mol%	Amount of catalyst (mol%)	Time/min	Yield/%	[Ref.]
1	$InCl_3$	1	21	94	This work
2	DBSA	2	360	41	[17]
3	TsOH	10	360	13.2	[14]
4	PTSA	2	360	18	[17]
5	[HMIM]TFA	0.1 gr	300	84	[13]
6	$C_{11}H_{23}COOH$	10	360	26.8	[14]
7	$C_7F_{15}COOH$	2	360	31	[17]
8	$Sc(DS)_3$	10	360	78.3	[14]

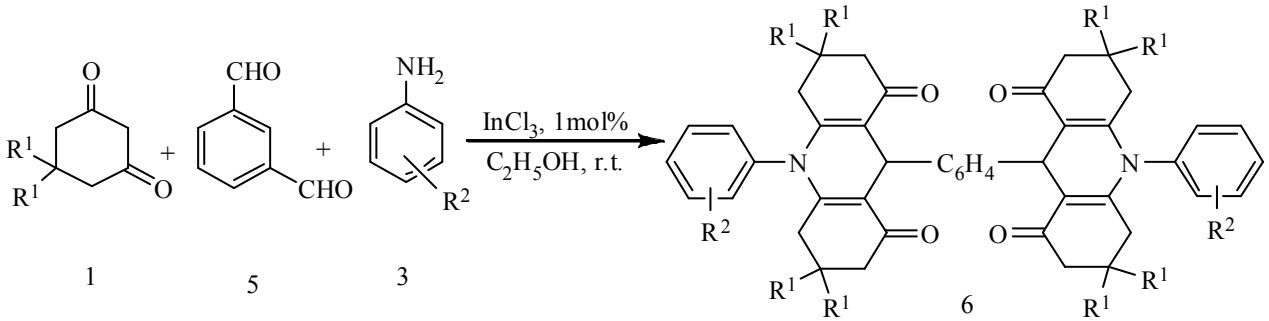
Table 3. Synthesis of 1,8-dioxodecahydroacridine derivatives employing of 1 mol% $InCl_3$

Entry	R^1	R^2	Amine	Mp (^oC)	Time (min)	Yield (%) [Ref.]
1	H	H	NH_4OAC	278-280	33	90 [24a]
2	H	4-Cl	NH_4OAC	296-298	30	92 [24a]
3	H	4-OH	NH_4OAC	304-306	33	91 [24a]
4	H	4-OMe	NH_4OAC	303-305	31	91 [24a]
5	H	3-NO_2	NH_4OAC	284-286	27	94 [24a]
6	Me	H	NH_4OAC	291-293	33	90 [24b]
7	Me	4-Cl	NH_4OAC	299-301	27	93 [19c]
8	Me	4-OH	NH_4OAC	308-309	31	93 [19c]
9	Me	4-OMe	NH_4OAC	275-277	31	93 [19c]
10	Me	3-NO_2	NH_4OAC	307-309	25	96 [19c]
						
11	H	H		275-277	28	91 [24c]
12	H	4-Cl		293-295	24	93 [24d]
13	H	2-OMe	H	271-273	27	93 [24e]
14	H	3-NO_2	H	274-276	21	95 [24d]
15	Me	H	H	253-255	26	91 [15]
16	Me	4-Cl	H	245-247	24	94 [24d]
17	Me	2-OMe	H	210-212	25	93 [15]
18	Me	3-NO_2	H	295-297	20	97 [24d]
19	Me	H	H	263-265	25	92 [18]
20	Me	4-Cl	H	271-273	21	94 [18]
21	Me	3-NO_2	Me	285-287	19	97 [18]
22	Me	2,4-Cl_2	Me	321-323	21	95 [18]
23	Me	3,4-Cl_2	Me	253-255	21	95 [18]
24	Me	4-Me	Me	297-299	24	94 [19c]
25	Me	H	Me	215-217	24	93 [21]
26	Me	4-Cl	Me	252-254	19	96 [21]
27	Me	4-OMe	4-OMe	213-215	21	95 [21]
28	Me	4-Me	4-OMe	237-239	21	95 [21]
			4-OMe			
			4-OMe			

SCHEMES:



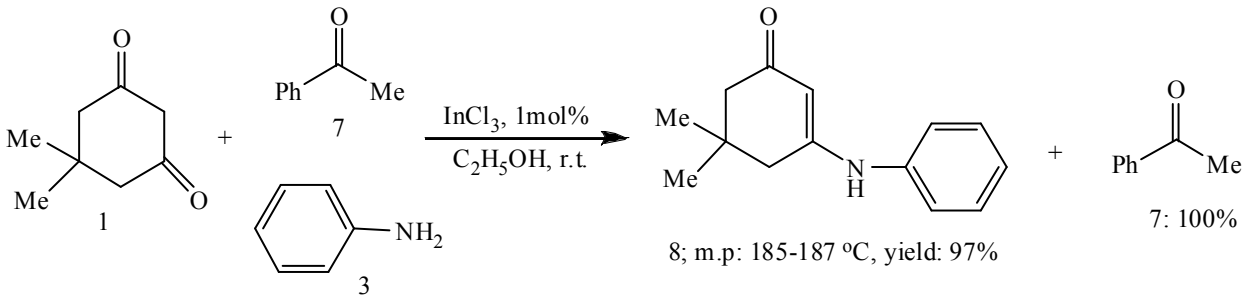
Scheme 1. Synthesis of 1,8-dioxodecahydroacridines



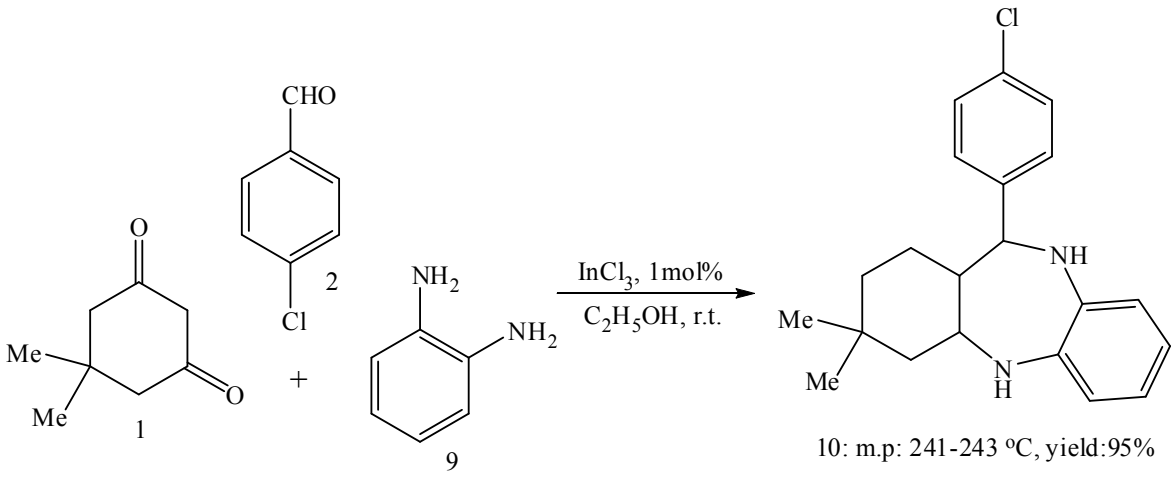
Entry	R^1	R^2	Mp[a] (^oC)	Time (min)	Yield (%)[b] [Ref]
1	H	2-OMe	258-260	32	91 [25]
2	Me	2-OMe	266-267	29	93 [25]
3	H	3-OMe	165-167	29	90 [25]
4	Me	3-OMe	227-229	27	93 [25]
5	H	3-CN	182-184	25	93 [25]
6	Me	3-CN	291-293	22	95 [25]

[a]Isolated yield; [b]Decomposition

Scheme 2. Synthesis of bisacridine-1,8-diones employing of 1 mol% $InCl_3$



Scheme 3. Chemoselectivity of aniline in reaction with dimedone in the presence of acetophenone



Scheme 4. Synthesis of hexahydrobenzotriazepinone