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Lanthanum Nitrate Catalyzed an Efficient Synthesis of 2,3-Dihydroquinazolin-4(1H)-Ones

U. N. Chaudhar[*1], J. R. Deshmukh[2], S. R. Kande[3], V. B.Ningdale[1]
[1]Department of Chemistry, Kalikadevi Art's, Science & Commerce College, Shirur (Ka.), Beed, Maharashtra, India
[2]K.G. Kataria College, Daund, Pune, Maharashtra, India
[3]New Arts, Commerce and Science College, Shevgaon, Ahmednagar, Maharashtra, India

ABSTRACT
A simple, efficient and convenient one-pot synthesis of 2,3-dihydroquinazolin-4(1H)-one derivatives using Lanthanum nitrate and mild reaction conditions by the reaction of aromatic aldehydes and 2- aminobenzamide was reported. The advantages of this protocol include short reaction time, mild reaction conditions, easy work-up, high yields, and environmental friendliness.
Keywords: 2,3-dihydroquinazolin-4(1H)-ones, Aldehydes, Lanthanum nitrate, 2-aminobenzamide.

I. INTRODUCTION

Multi-component Reactions (MCRs) are powerful tools for build the products in organic chemistry. In which more than two starting materials react to form a product for their high degree of atom economy, save time, minimize cost, environmental friendliness and application in the diversity-oriented of convergent synthesis of complex organic molecules from simple and readily available substrates in a single synthetic operation [1-2]. 2,3-Dihydroquinazolin-4(1H)-ones are an important class of fused heterocyclic compounds that have drawn much attention because of their variety of biological and pharmaceutical activities [3-6]. Recently, number of organic reactions is reported in the literature by employing various catalysts like clay [7-9], phosphates [10-12] etc.

However, many of these methods suffer from one or more of the drawbacks such as requirement of strong acidic conditions, long reaction times, low yields, tedious work-up procedures, requirement of excess amounts of reagent and use of toxic reagents, catalysts or solvents. Therefore, there is a strong demand for a highly efficient and environmentally benign method.

Lanthanum (III) nitrate have recently attracted much attention in organic transformations due to its high acidity, thermal stability, low toxicity, low cost and good stability, Furthermore, current literature reveals that Lanthanum (III) nitrate has been utilized as an effective catalyst in the synthesis of 4-(3*H*)-quinazolinones under solvent-free conditions, chiral tetrahydroquinolino pyranose derivatives, chemoselective deprotection of acetonides, chemoselective protection of amines as *N*-benzyloxycarbonyl derivatives, acetylation of alcohols, phenols and amines with acetic anhydride and synthesis of α-amino nitriles [13-18]. In continuation of our ongoing research to develop novel methodologies in synthetic organic chemistry, [19-21] we report herein an efficient, low cost and environmentally benign protocol for the synthesis of 2,3-dihydroquinazolin-4(*1H*)-ones using Lanthanum (III) nitrate hexahydrate catalyst under mild reaction condition.

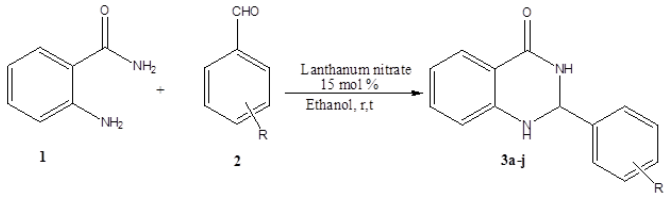
II. EXPERIMENTAL

All commercially available reagents used without further purification and the reactions were monitored by thin layer chromatography (TLC) Merck 60 F_{250} silica-gel plates. All yields refer to isolated products after purification. Melting points were recorded by open tube capillary method and are uncorrected.

General procedure for the synthesis of 2, 3-dihydroquinazolin-4(1H)-ones 3a-j:
To a mixture of 2- aminobenzamide 1 (1 mmol) and aldehyde 2 (1 mmol) taken in round bottam flask was

added Lanthanum nitrate (15 mol %) and the reaction mixture was stirred at room tempreture for the time indicated in Table1. The progress of the reaction was checked by TLC (ethyl acetate: n-hexane, 1:9). After completion of reaction, the solid residue was washed with ethanol. The obtained solid was collected by filtration and purified by recrystallization from ethanol.

All products are known compounds; their physical and spectroscopic data (IR and ^{1}HNMR) were compared with those reported in the literature and found to be identical.



Scheme 1. Synthesis of 2,3-dihydroquinazolin-4(1H)-one

III. RESULT AND DISCUSSION

To explore the use of Lanthanum nitrate as catalysts, a reaction of 2- aminobenzamide 1 and aldehyde 2 was conducted as a standard model reaction for the preparation of 2-arylbenzothiazoles (3a-3j) (Scheme 1). In general, all the reactions were very clean and the 2,3-dihydroquinazolin-4(1H)-ones derivatives were obtained in high yields under mild reaction conditions.

Encouraged by this result, we examined the scope and limitations of this approach by applying the optimal reaction conditions to a number of aromatic aldehydes bearing electron-withdrawing and electron-donating substituents. We found that the property of substituent groups of the aromatic aldehydes did not affect these reactions. The results of the reaction are listed in Table 1.

Table 1. Multicomponent reaction of aromatic aldehyde 2 and 2-aminobenzamide 1 for the synthesis of 3a-j[a]

Entry	Aldehyde	Product	Time (min)	Yield[b] (%)
1	C_6H_5	**3a**	45	91
2	4-$CH_3OC_6H_4$	**3b**	50	88
3	4-BrC_6H_4	**3c**	47	89
4	4-OHC_6H_4	**3d**	60	82
5	4-$NO_2C_6H_4$	**3e**	51	90
6	4-$CH_3C_6H_4$	**3f**	45	91
7	2-ClC_6H_4	**3g**	52	88
8	2-OHC_6H_4	**3h**	60	84
9	3-$NO_2C_6H_4$	**3i**	52	88
10	4-Cl-C_6H_4	**3j**	45	90

[a]Reaction conditions: Aromatic aldehyde (1 mmol), 2-aminobenzamide(1 mmol), Lanthanum nitrate (15 mol %) at room temperature. [b]Isolated yield.

IV. CONCLUSION

We have developed a new protocol for the synthesis of 2,3-dihydroquinazolin-4(1H)-one derivatives via the one-pot multi-components reaction under mild reaction conditions. High efficiency, easy availability, low cost, operational simplicity, mild reaction conditions, and improved yields within short reaction times are the advantages of this new method.

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