SYNTHESIS OF 2-(4-METHOXY-PHENYL)-6, 7, 8, 9 -TETRAHYDRO-2*H*-11-OXA-2, 4, 10-TRIAZA-BENZO[B]FLUOREN-1-ONE AS A NOVEL INHIBITOR

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ABSTRACT

The quinoline ring systems are important structural units in naturally occurring alkaloids and synthetic analogues with interesting biological activities. In this paper, we propose the synthesis of 2-(4-Methoxy-phenyl)-6, 7, 8, 9 - tetrahydro-2*H*-11-oxa- 2, 4, 10-triaza -benzo[b]fluoren-1-one. Therefore, the development of new and efficient synthetic route for the preparation of their analogues is of importance in both synthetic organic chemistry and medicinal chemistry.

Key words: Methylation, Synthetic Compound, anti-diabetic, quinoline, DMF, anti-diabetic

I. INTRODUCTION

In the last decade, much attention has been given to the organic ligands and transition metal complexes because of their biological relevance, interesting spectral and magnetic properties. The fused aromatic heterocyclic ligands and their metal complexes are being used extensively as pharmaceutical, anti-diabetic and chemotherapeutic agents [1-4]. On the other hand, quinoline and their derivatives form an interesting class of compounds which display attractive applications as pharmaceuticals [5-8] and are general synthetic building blocks, due to their chemical and biological relevance. Therefore, it was thought worthwhile to isolate and characterize some novel quinoline derivatives containing different donor atoms.

II. MATERIALS AND METHODOLOGY

A Synthesis of 2-(4-Methoxy-phenyl)-6,7,8,9-tetrahydro-2H-11-oxa-2,4,10-triaza-benzo [b] fluoren-1-one

(a) Preparation of the sodium salt of 1:

50 mg (0.21 mmoles) of **1** was dissolved into 15 mL of dry methanol and a slightly excess equivalent of sodium ethoxide in 2 mL dry ethanol was added slowly. After 2 hr stirring at RT, the solvent was dried to collect the sodium salt of **1**.

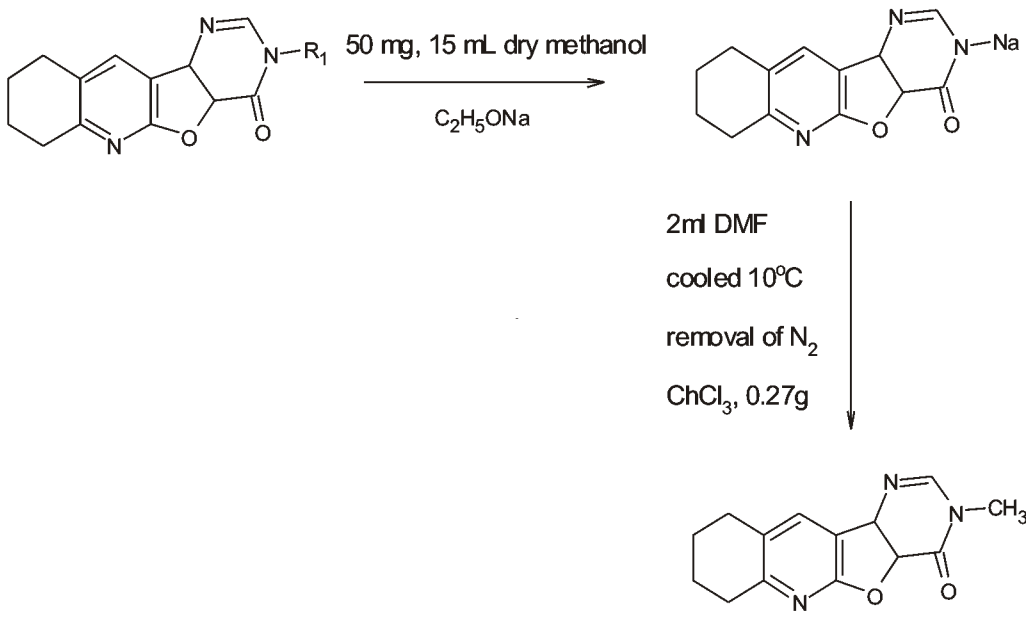
(b) N-methyl 4-pyrimidone derivative of 1:

The sodium salt of **1** was dissolved in 2 mL DMF, and the resulting solution was cooled to 10°C and deaerated with nitrogen gas for 10 min. A slightly excess equivalent of methyl iodide dissolved in DMF (1 mL) was cooled to 10°C and was added drop wise to the DMF solution of the sodium salt slowly over a period of 5 min. Then the reaction mixture was allowed to rise in temperature gradually to ~ 60°C. The reaction mixture was kept stirring at ~ 60°C for 3 hr. The solvent was removed at reduced pressure, and extraction of the solid product with chloroform gave 0.27 g of crude product after removal of the solvent. The compound was then recrystalized from chloroform.

Physico-chemical Properties of Predicted Compound *(Source: Chemspider)*

Compound Properties	Values
Molecular Formula	$C_{14}H_{15}N_3O_2$
Molecular Weight:	257.29
ACD/LogP:	0.009
# of Rule of 5 Violations:	Nil
Number of Hydrogen Bond Acceptors:	5
Number of Hydrogen Bond Donors:	0
Polar Surface Area:	54.790
Boiling Point:	432.9 Celsius
Molar Volume:	167.07 cm^3
Index of Refraction:	1.764
Molar Refractivity:	68.988 cm^3
Polarizability:	27.35 cm^3
Surface Tension:	60.871 dyne/cm
Density	1.540 g/cm^3

Scheme 1



^{1}H-NMR (DMF): δ (ppm): 3.73 (s, 3H, CH3); 1.62 (s, 4H, Methylene).

CONCLUSION

Our present study demonstrated the synthesis of synthetic molecule. This molecule was prepared and analyzed and confirmed the structure by NMR approach. Then, the physic-chemical values also predicted using Chemspider. From this, it shows an evidence of being a drug molecule by satisfying Lipinski's rule of 5.

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