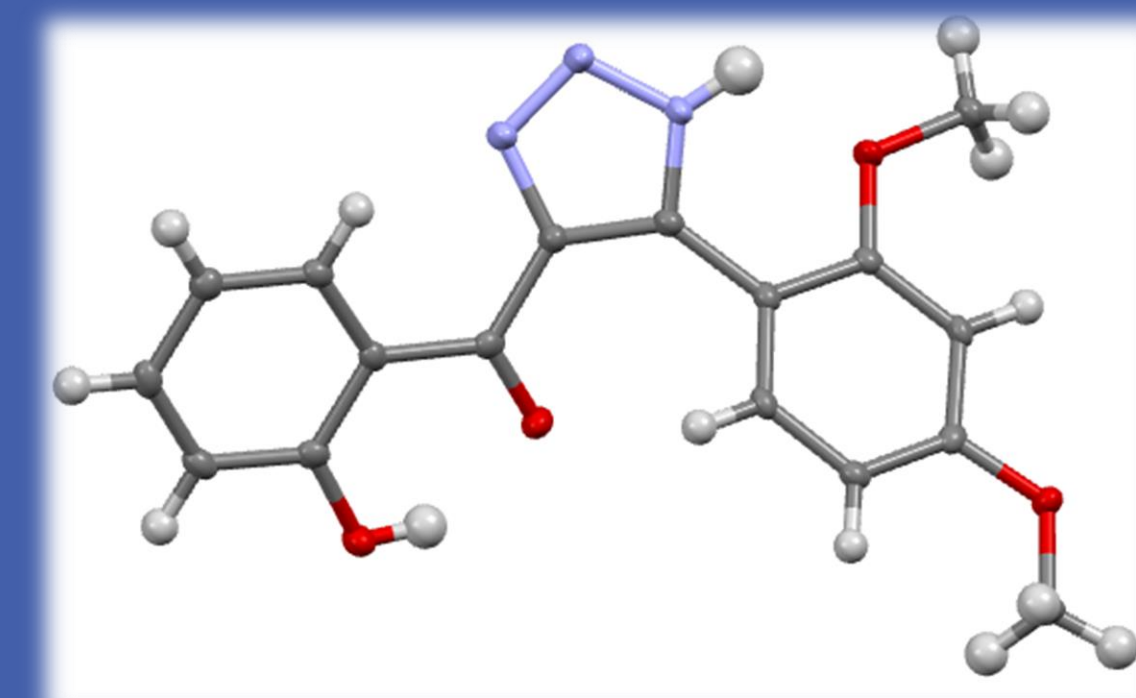


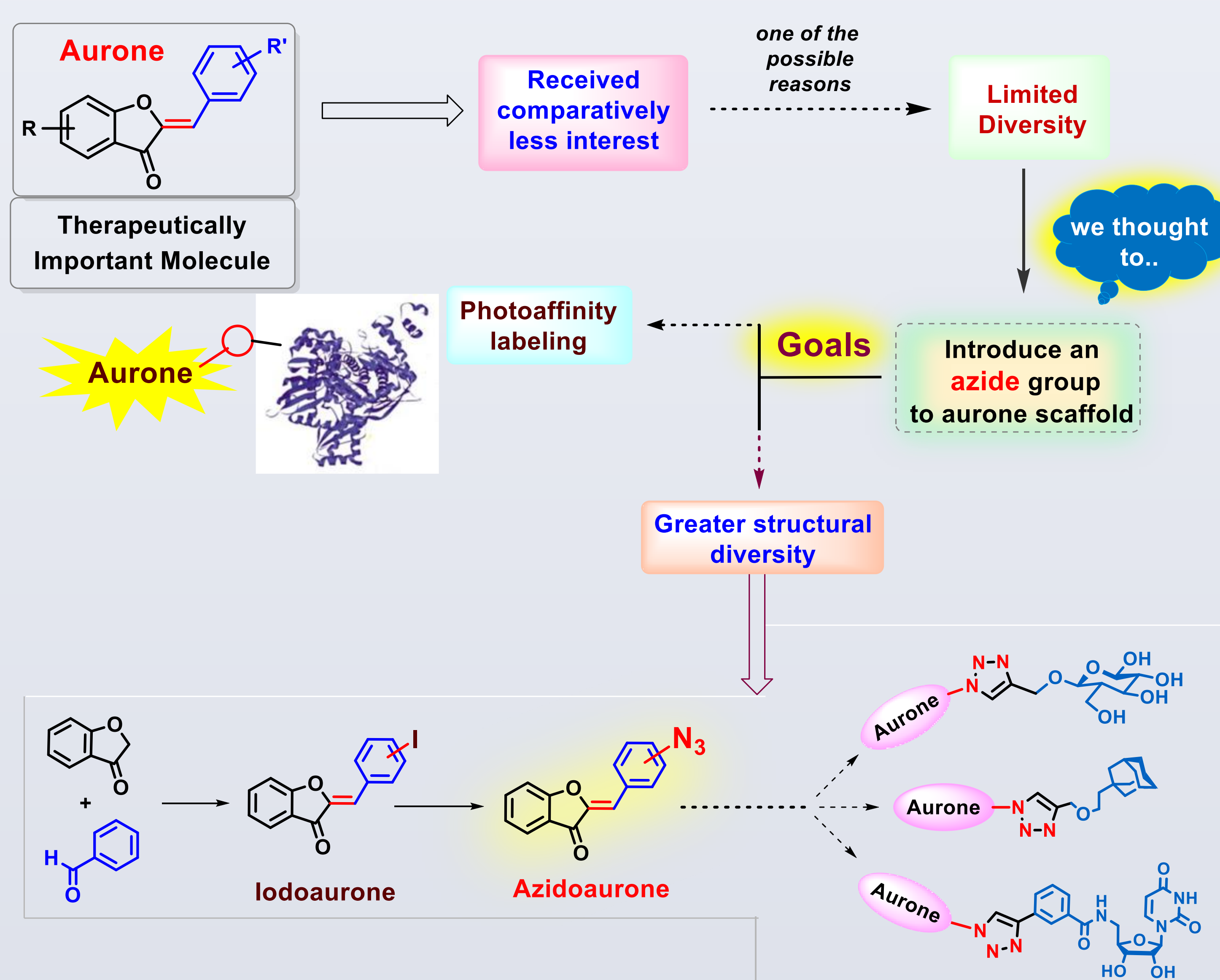
An Unusual Triazole Synthesis From Aurones

Arjun Kafle¹, Shrijana Bhattarai¹, Scott T. Handy^{1,2}¹Molecular Biosciences Program, Middle Tennessee State University, Murfreesboro, TN, 37132, U.S.²Department of Chemistry, Middle Tennessee State University, Murfreesboro, TN, 37132, U.S.

ABSTRACT

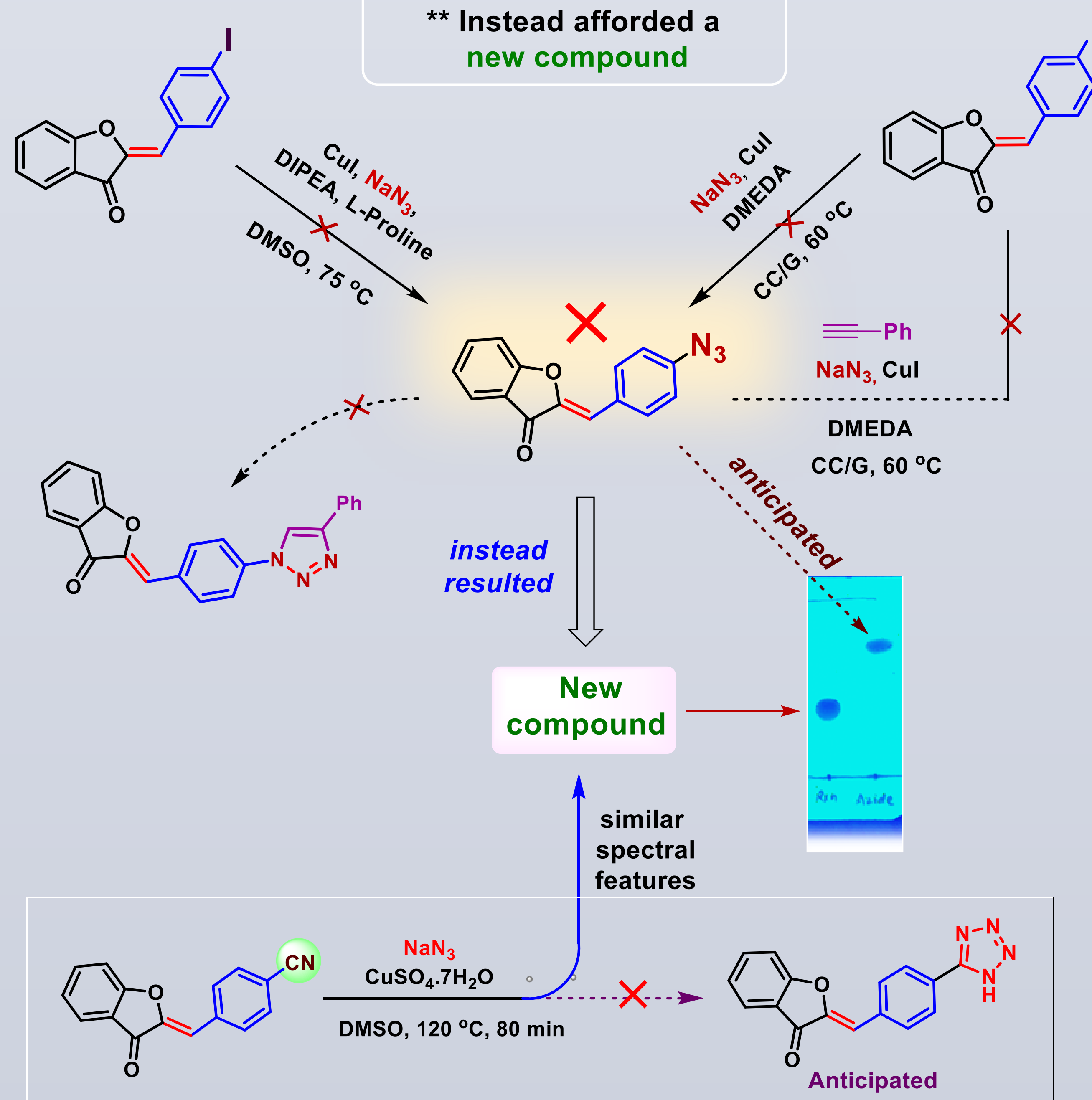
Failure of the copper-catalyzed direct azidation of halo substituted aurones to prepare azido-aurones for the photoaffinity labeling studies resulted in an unusual salicyl-substituted triazole formation reaction. The catalyst independent thermally mediated transformation proceeds in the presence of sodium azide in polar protic solvents DMSO and DMF. A wide range of substitution patterns was tolerated to afford triazoles in modest to excellent yield featuring two clear sites for alkylation chemistry, along with the great opportunity of modification in the ring system. Given this potential utility and the ease of access, they could prove to be a new and unexplored scaffold for further development.

INTRODUCTION

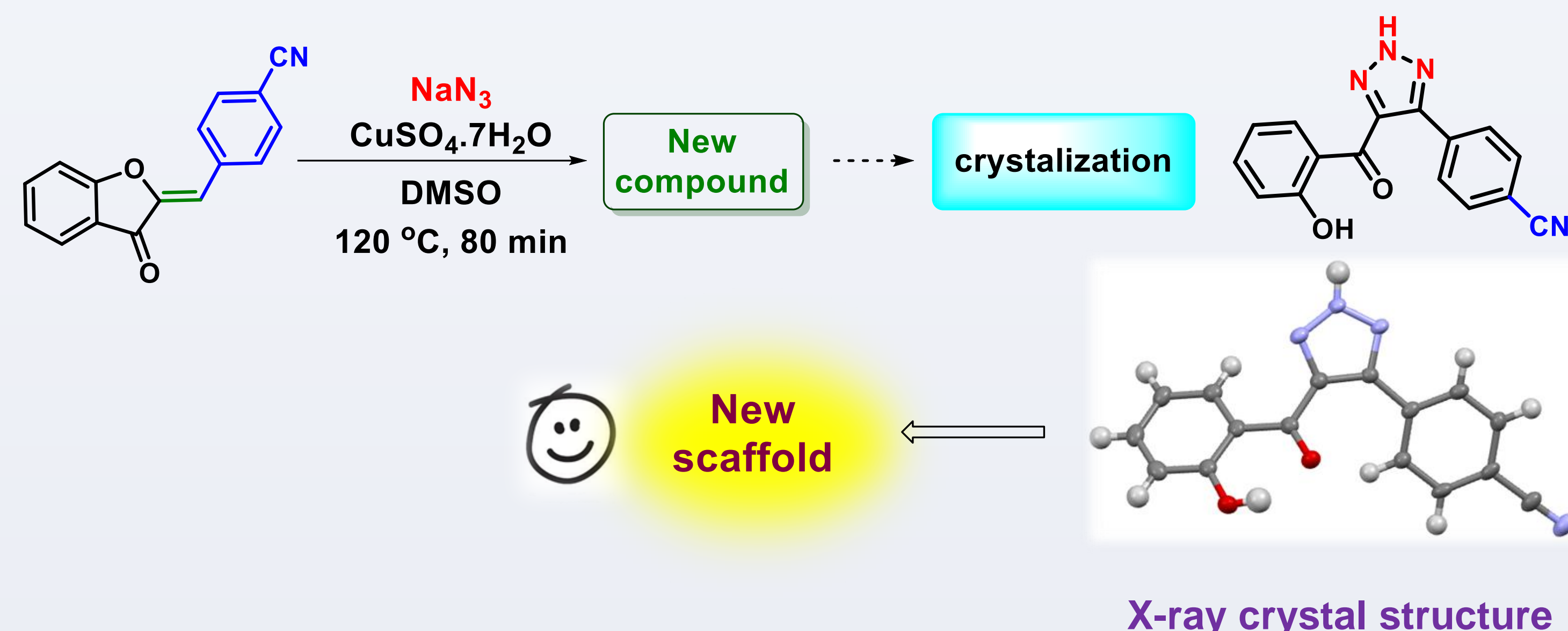


Preliminary observations:

**** Direct azidation attempts FAILED !**
**** Instead afforded a new compound**



Characterization:



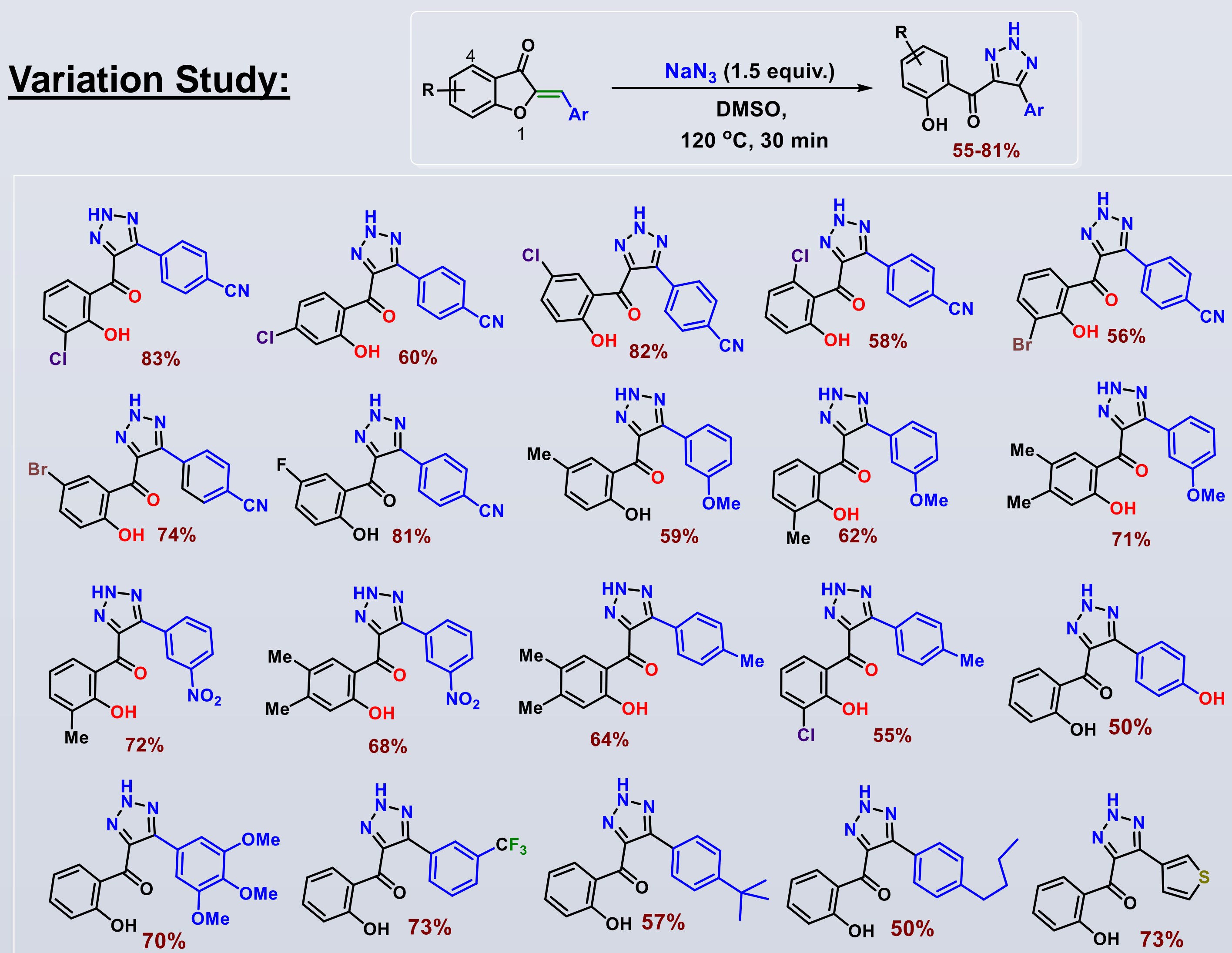
RESULTS

Optimization:

Entry	Condition Modification	Time	Yield ^a (%)
1	CuSO ₄ · 7H ₂ O, 140 °C	80 min	100 (75)
2	None	30 min	100 (83)
3	80 °C	6 hr	100 (ND)
4	100 °C	3 hr	100 (ND)
5	DMF, 105 °C	30 min	100 (86)
6	Dioxane, 105 °C	15 hr	NR
7	THF, 65 °C	24 hr	NR
8	EtOH (95%), 105 °C	15 hr	75 (ND)
9	Glacial Acetic Acid, 120 °C	12 hr	NR

^a Conversion yield by ¹H NMR. Values in parentheses is isolated yield, ND = not determined,

Variation Study:



CONCLUSIONS

- An efficient protocol for the synthesis of a unique and therapeutically interesting triazole scaffold was developed from the reaction of an aurone with sodium azide.
- This new and versatile scaffold will contribute in the field of drug discovery.

REFERENCES

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Molecular Biosciences
 Department of Chemistry
 Middle Tennessee State University