

INTRODUCTION

Within the family of biologically active heterocyclic templates, the quinoxalinone core has received much attention in recent years as an important pharmacophore in numerous biologically active compounds¹

RESULTS AND DISCUSSION

The reaction Methodology involves a Ugi 4CR² to form Ugi adduct mentioned 5 (table 1), Scheme 1, in which equimolar amounts of aldehyde, amine, isocyanide, and acid is stirred in anhydrous methanol (1M) to obtain required Ugi adducts in good to moderate yields. The second step Palladium mediated heterocyclisation involves formation C-N bond formation in one step to obtain 6 with moderate yields.

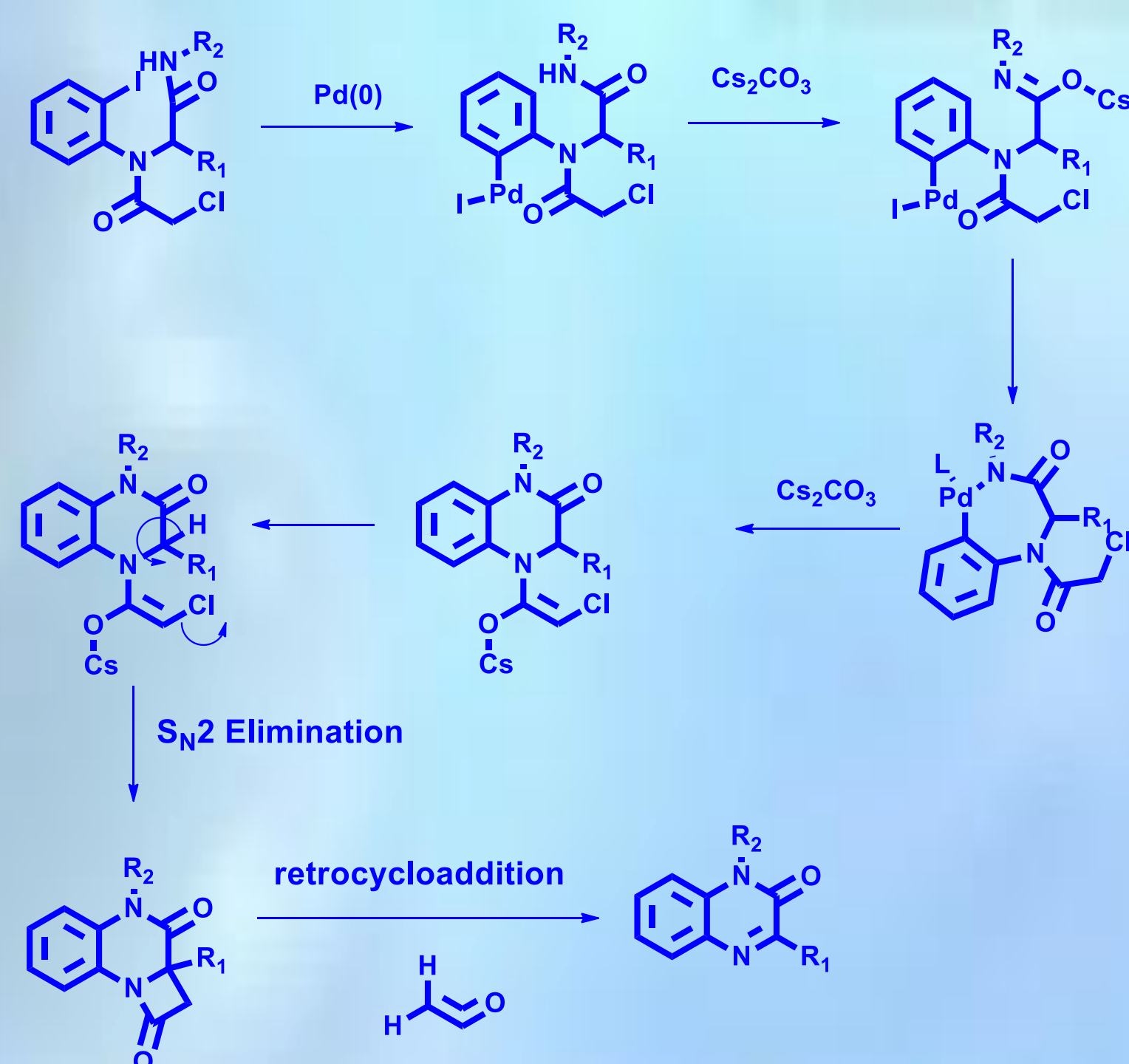
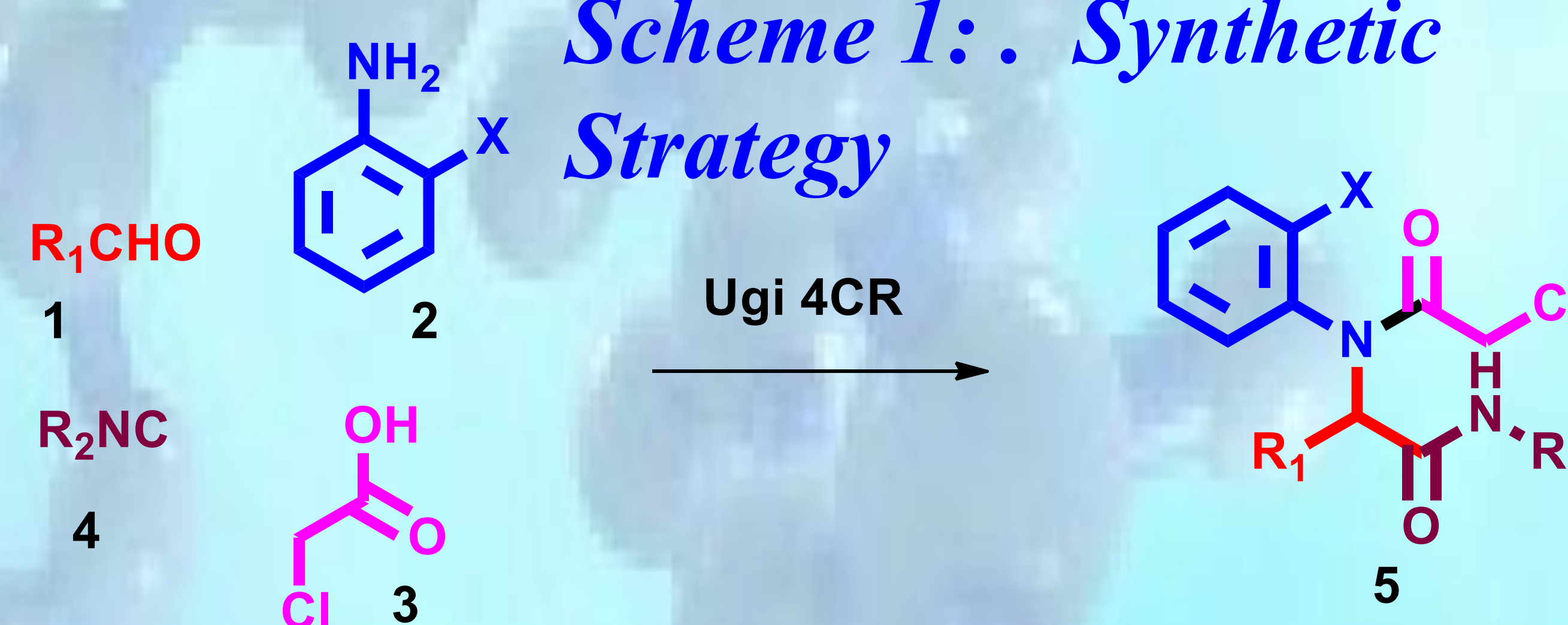


Table 1: Ugi Adducts

ENTRY	R1	R2	%YIELD 5
a	p-OMe-C ₆ H ₅	p-OMe-C ₆ H ₅ CH ₂	65.5
b	C ₆ H ₅	p-OMe-C ₆ H ₅ CH ₂	61.4
c	2-Me-Furyl	p-OMe-C ₆ H ₅ CH ₂	58
d	C ₆ H ₅	p-Cl-C ₆ H ₅ CH ₂	55

Scheme 1: . Synthetic Strategy



Palladium cyclisation

6a, R1=p-OMe-C₆H₅, R2=p-OMe-C₆H₅CH₂, 55%
6b, R1=C₆H₅, R2=p-OMe-C₆H₅CH₂, 50%

L1 (2(di-t-butylPhosphino) Biphenyl)

Table 2: Palladium catalysed reaction optimisation, Base : Cs₂CO₃ (1.5 equiv)

Entry	5 ^a	Catalyst mol%	Ligand	Solvent	Yield
1	1 equiv	6mol%, Pd(OAc) ₂	12mol%, PPh ₃	PhMe	5%
2	1 equiv	3mol%, Pd(OAc) ₂	6mol%, PPh ₃	MeCN	24%
3	1equiv	6mol%, Pd(OAc) ₂	12mol%, PPh ₃	DMF	36.8%
4	1equiv	6mol% PdCl ₂ (CH ₃ CN) ₂	12mol% L1	DMF	51.67%
5	1equiv	6mol% PdCl ₂ (CH ₃ CN) ₂	12mol% L1	DMA	55.67%

CONCLUSION

We have developed an alternative methodology to obtain quinoxalin-2(1H)-one (6a-b) derivatives in two step process with moderate yields via Palladium mediated C-N Bond in moderate yields.

REFERENCES

- Li, X., Yang, K.-H., Li, W.-L., Xu, W.-F, *Drugs Fut* **2006**, 31, 979
- a) Gámez-Montaña, R.; Ibarra-Rivera, T.; El Kaim, L.; Miranda, L. *Synthesis*, **2010**, 8, 1285. b) Gámez-Montaña, R.; Ibarra-Rivera, T.; El Kaim, L.; Miranda, L. *Synthesis*, **2010**, 8, 1285. c) Islas-Jácome, A.; González-Zamora, E.; Gámez-Montaña, R. *Tetrahedron Lett.* **2011**, 52, 5245. d) Islas-Jácome, A.; Cárdenas-Galindo, L. E.; Jerezano, A. V.; Tamariz, J.; González-Zamora, E.; Gámez-Montaña, R. *Synlett* **2012**, 23, 2951.