NUCLEOPHILIC SUBSTITUTION-1,3 DIPOLAR CYCLOADDITION REACTIONS OF OXIMES WITH AZIRIDINES AND DIPOLAROPHILES

H. Ali Dondas\textsuperscript{a} and Ronald Grigg\textsuperscript{b}

a. Mersin University, Science and Arts Faculty, Chemistry Department Mersin -Turkey

Introduction

Regiospecific nucleophilic substitution involving attack of the nitrogen atom of oximes on aziridines is used to generate nitrones which then trapped in 1,3-dipolar cycloaddition reactions. These sequential processes are shown to be flexible and to have wide synthetic scope for the construction of complex molecular frameworks.

Results and Discussion

We have shown regiospecific nucleophilic ring opening reactions of epoxide by oximes generates nitrones\textsuperscript{1}. The nitrones when trapped by dipolarophiles gave isoxazolidine in good yield.\textsuperscript{2} To date oximes have not been used as nucleophiles in the ring opening reactions of aziridines. We now report that nucleophilic ring opening of aziridines by oximes occurs under mild conditions to generate nitrones which then reacted with dipolarophiles to give isoxazolidines (Scheme 1).

A series of oximes (1) were evaluated in the ring opening reaction with aziridine (2) to afford nitrone (3) which then trapped with dipolarophile gave cycloadducts in good to excellent yield. The stereochemistry of products were determined from n.O.e. data, \textsuperscript{2}D-COSY studies and X-ray crystal structure.

![Diagram](https://via.placeholder.com/150)

Conclusion

This new sequential process allows access to a range of novel isoxazolidines, in good to excellent yield, under mild conditions.

References

(2) Markandu, J; Dondas, H.A; Frederickson, M; Grigg, R.; Tetrahedron, 1997, 38, 13165