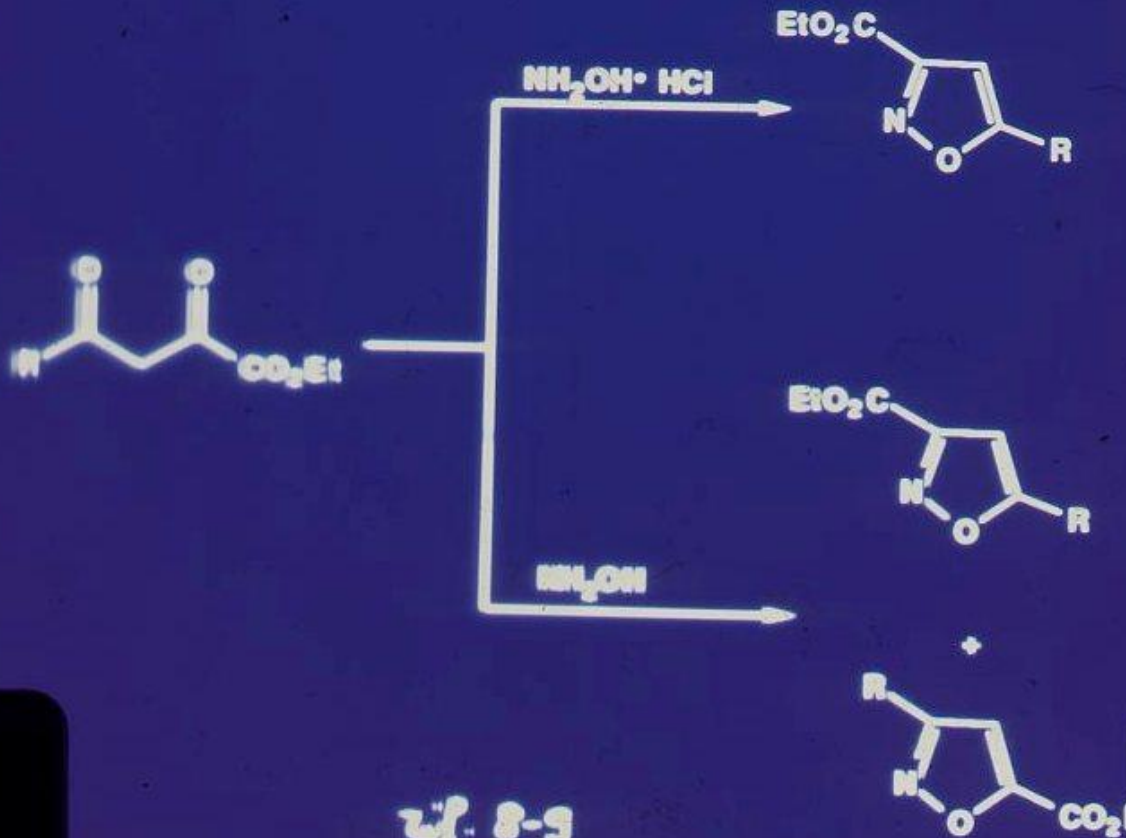
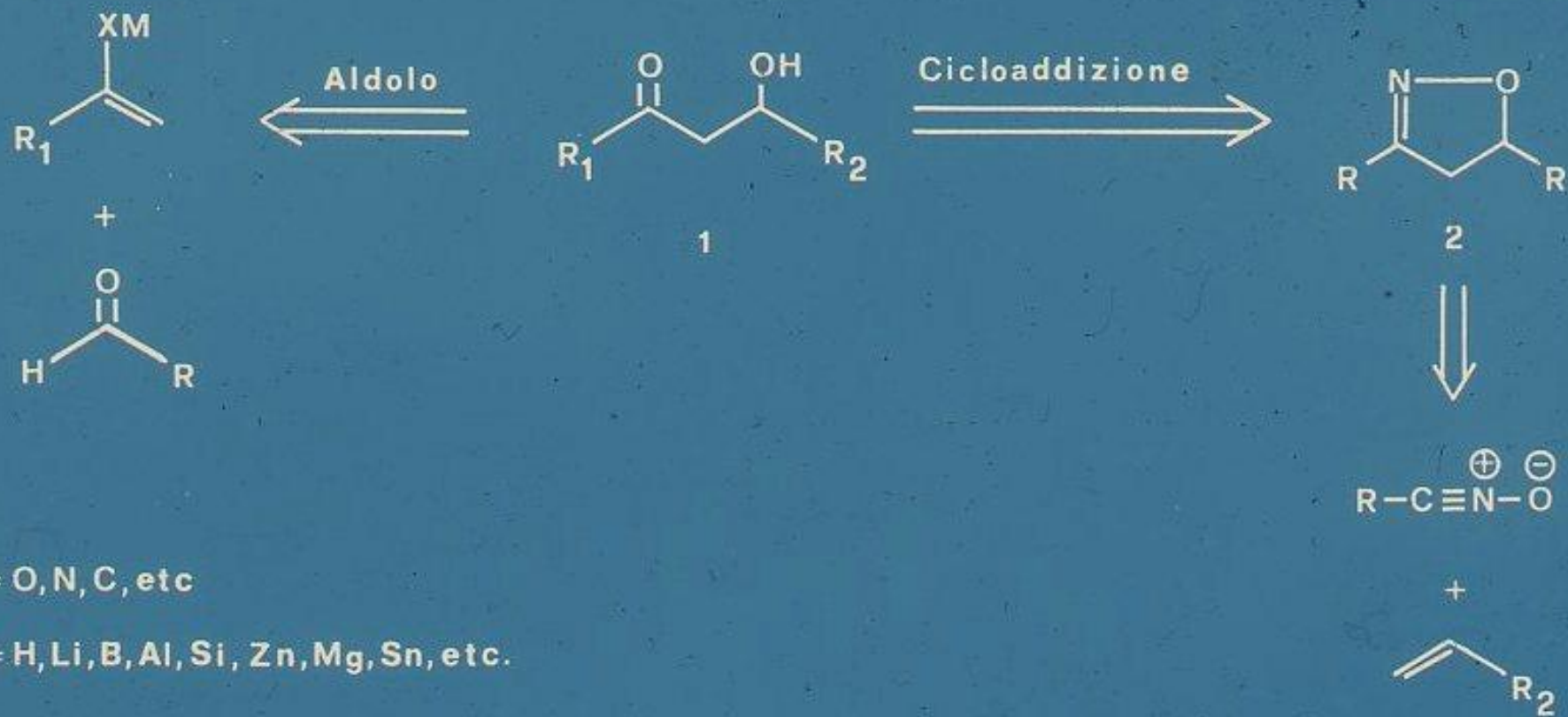


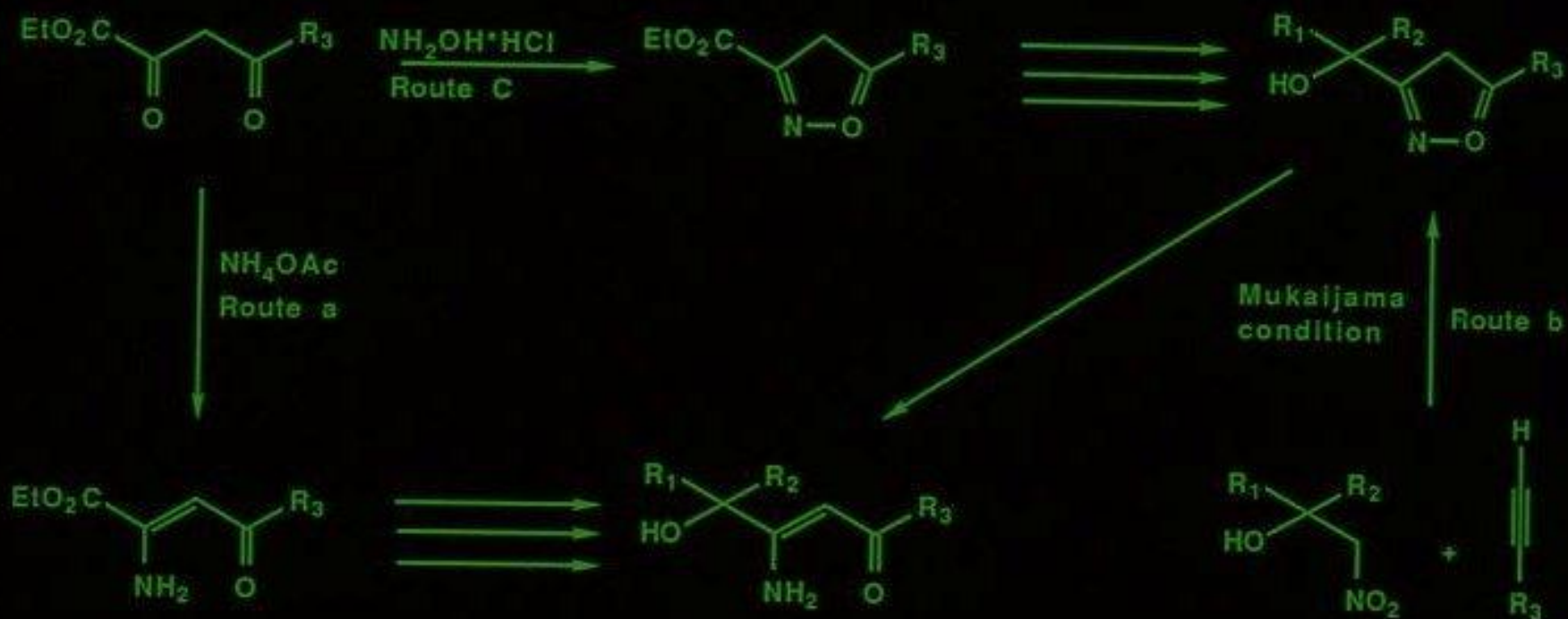
Chemistry Department – Portsmouth University
March 1992

**Design, Synthesis and Biological
Evaluation of Geiparvarin Analogues**

Prof. Pier Giovanni Baraldi

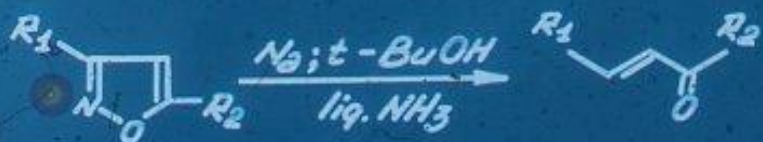
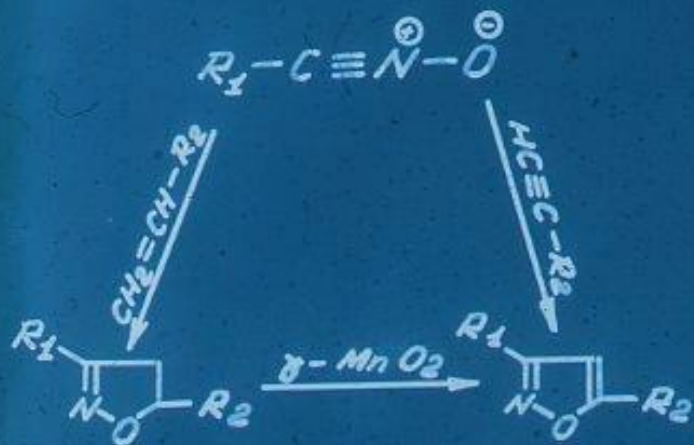






P.G.Baraldi, A.Barco, S.Benetti, S.Manfredini, G.P.Pollini, D.Simoni:

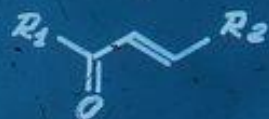
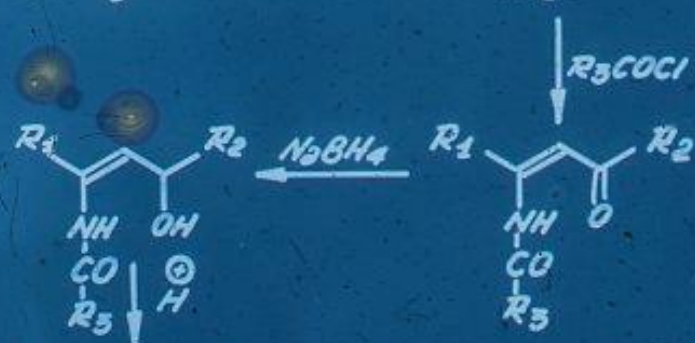
Tet.Lett. 4313, 38, (1984); Tet.Lett. 5319, 43, (1985); Tetrahedron 242, 43, (1987);
Tetrahedron 44, 1267, (1988)



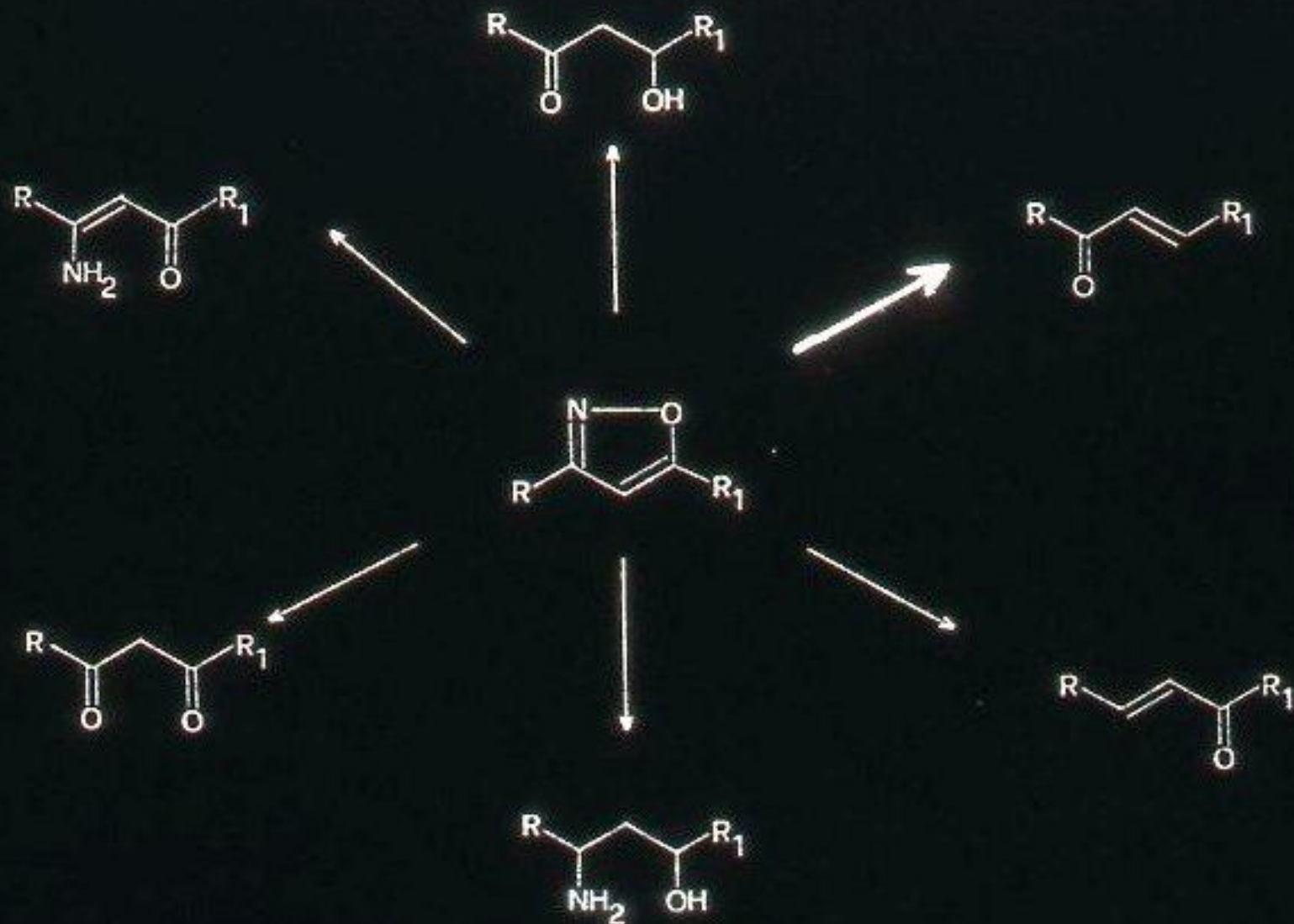
G. Büchi et al.
J.A.C.S. 94,
 9128 (1972)

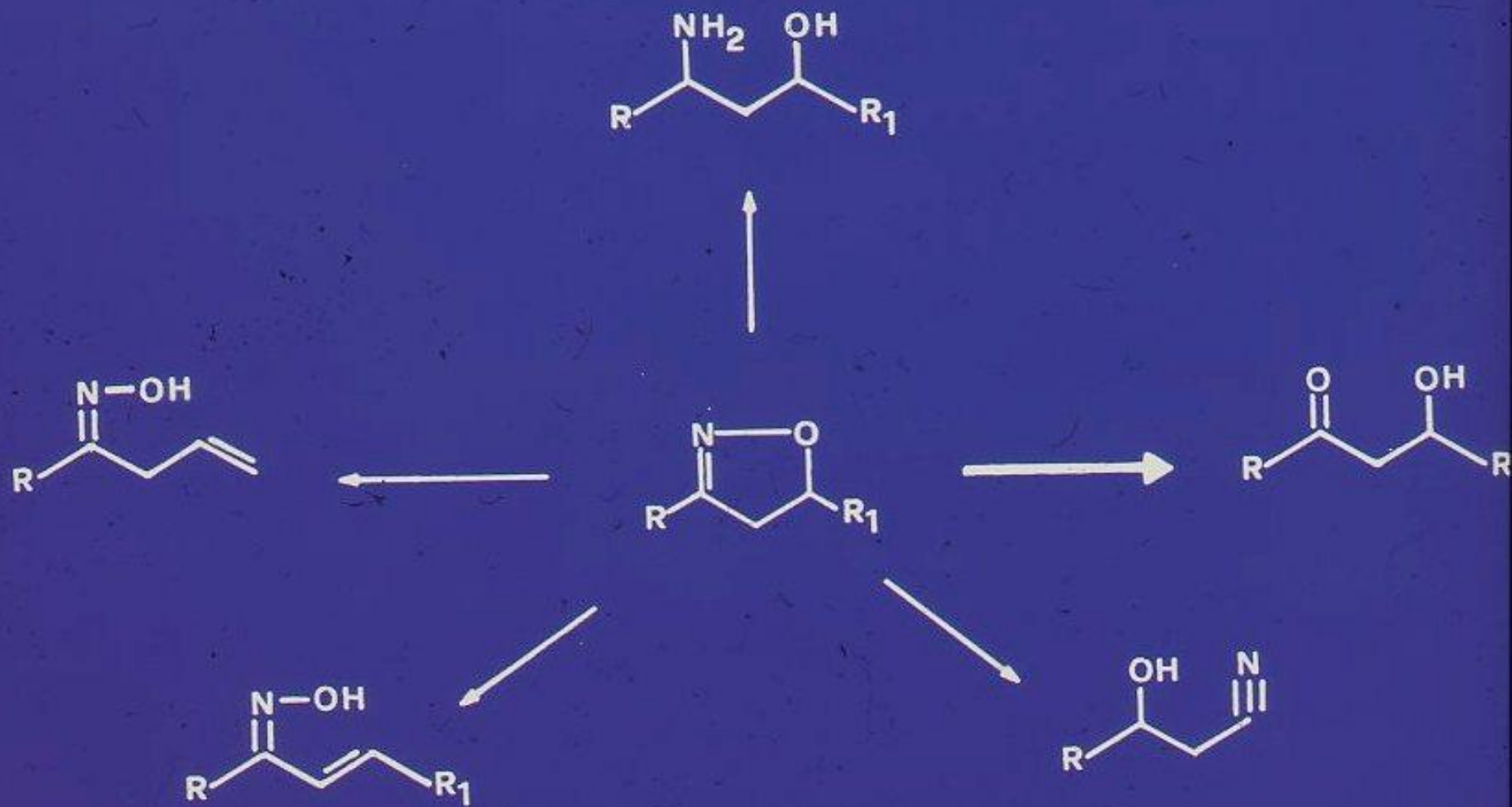


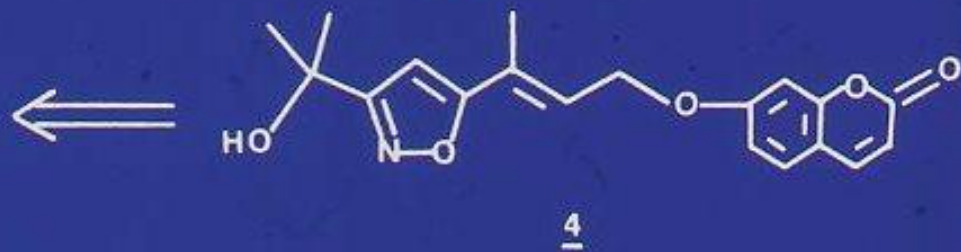
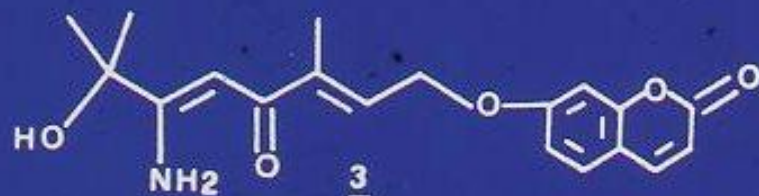
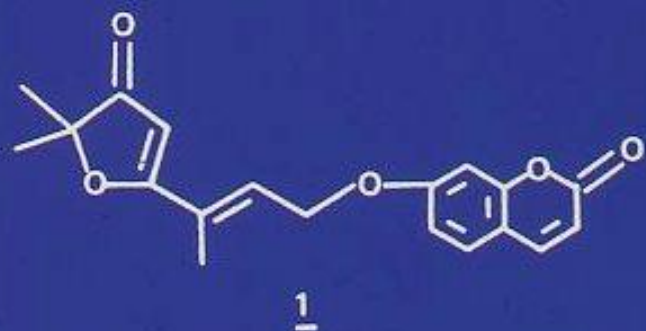
C. Kashima et al.
J. Org. Chem. 40,
 526 (1975)



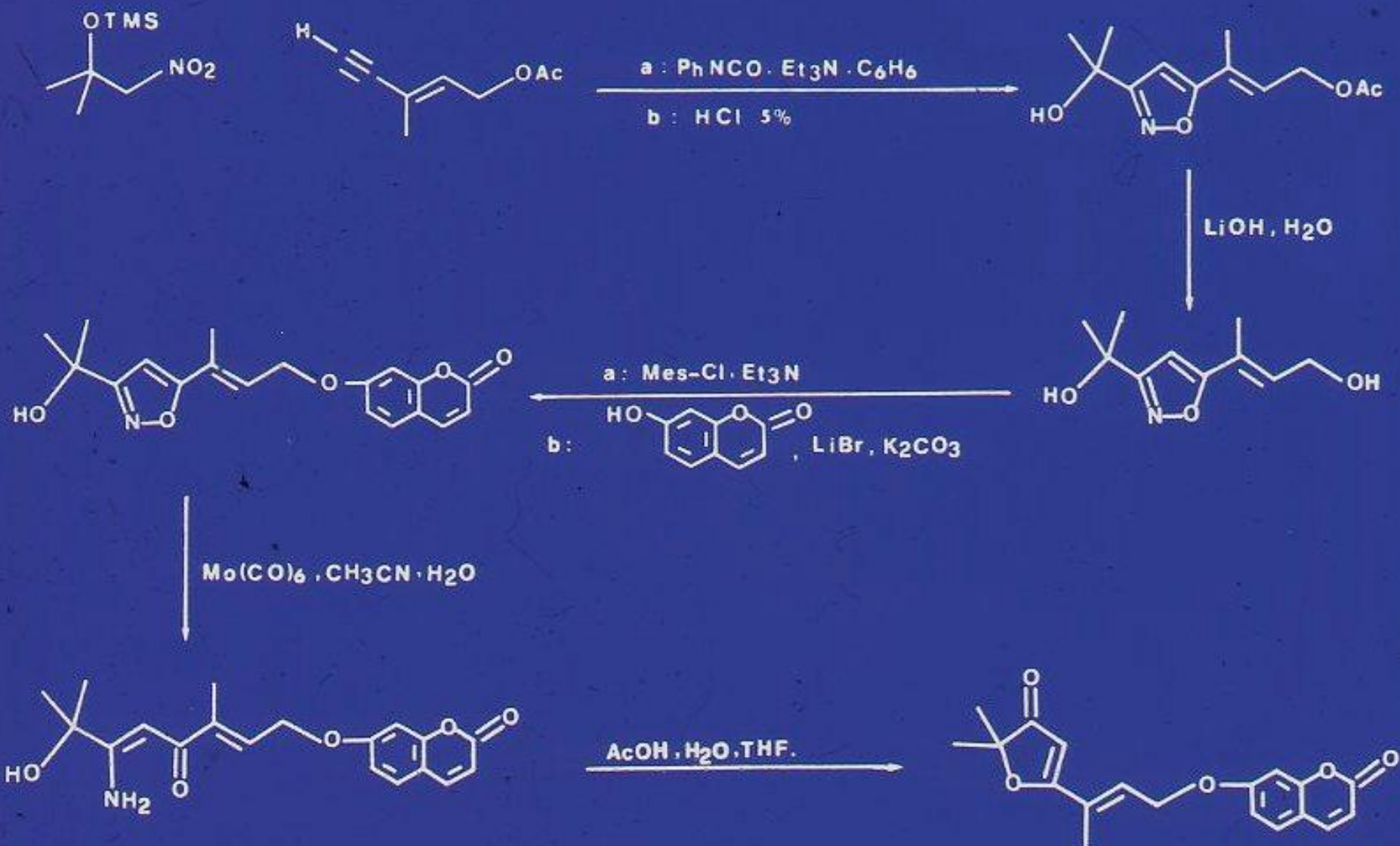
SCHEME I



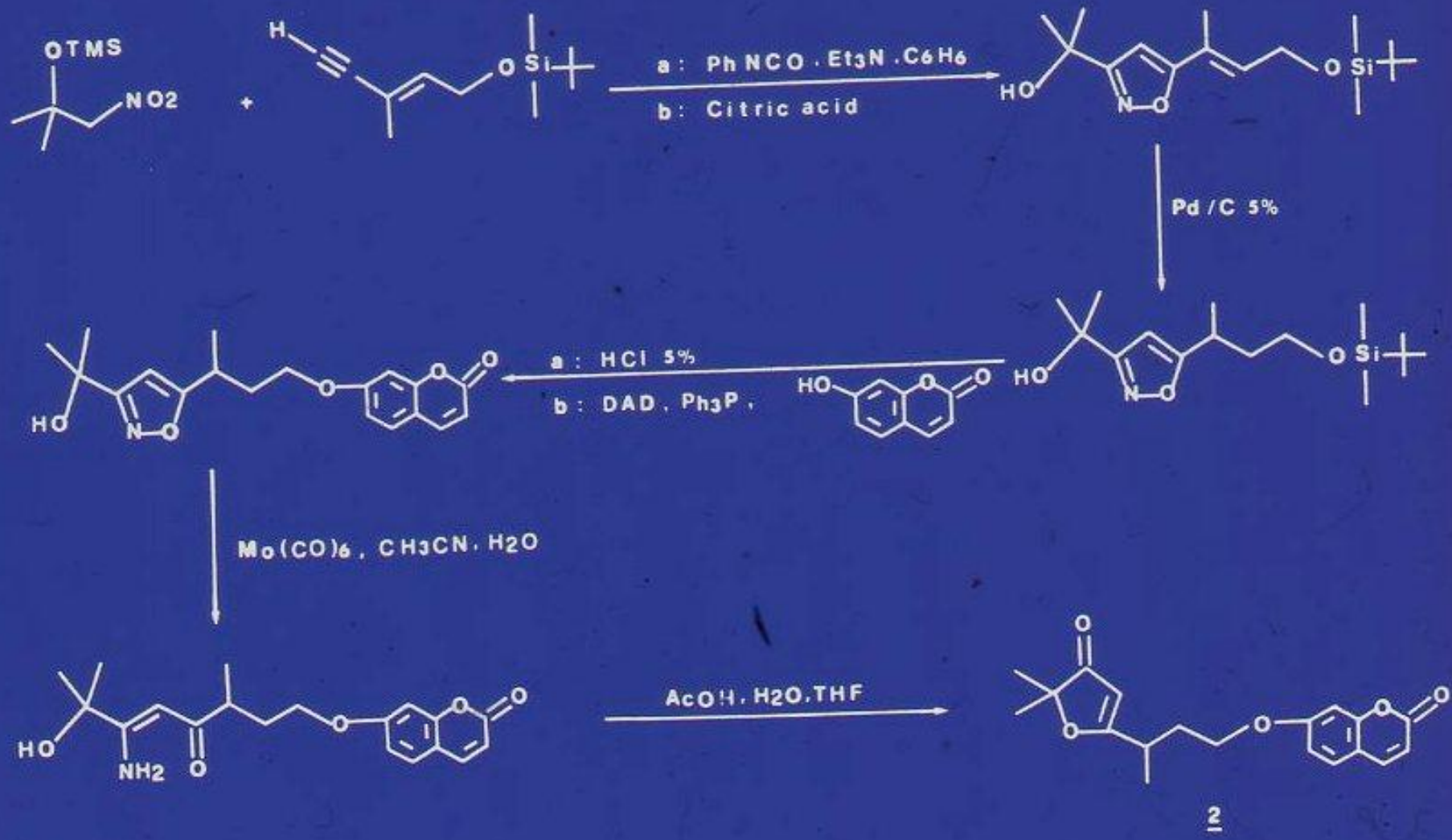


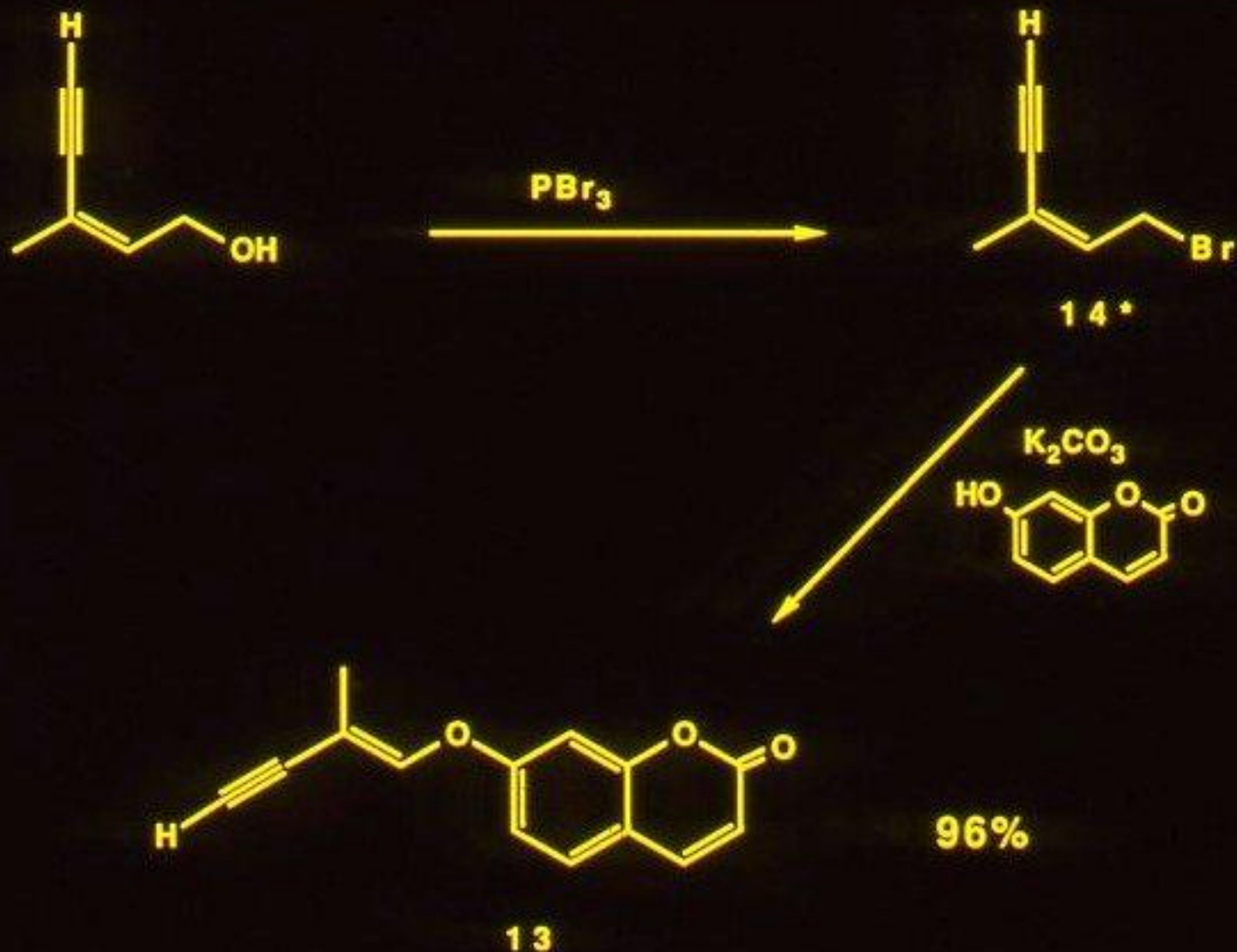


synthetic plan for 1.

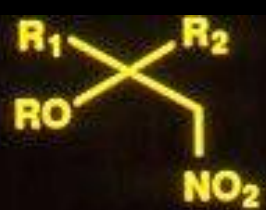


The overall synthetic plan for 2.



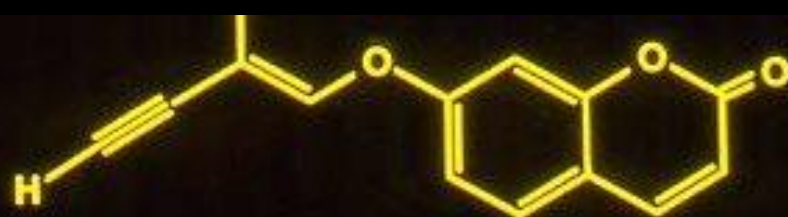


^{*)} Parker w., Raphael R.A., Wilkinson D.J.; J. Chem. Soc. 3871 (1985)



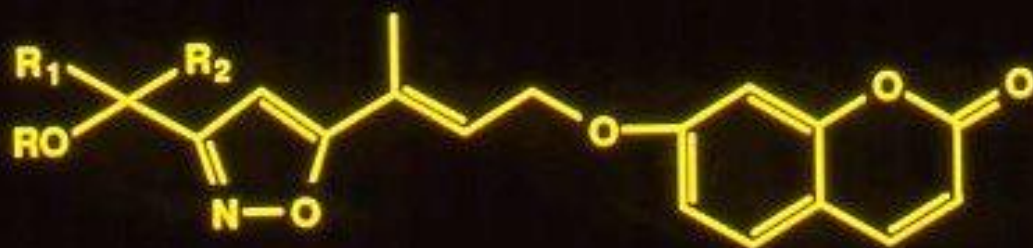
7

+



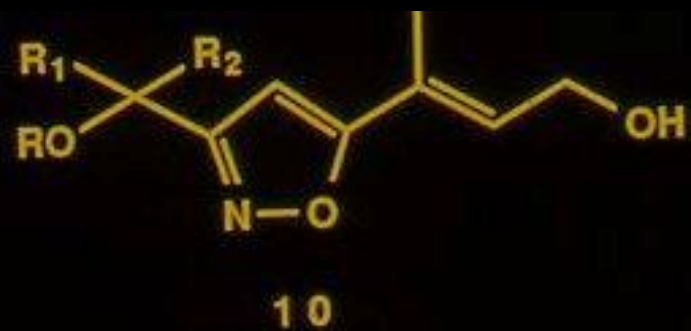
13

PhNCO, TEA
Benzene



11

30%

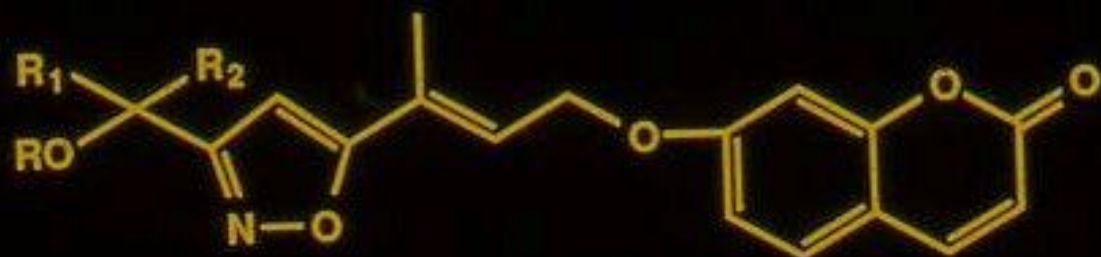


+

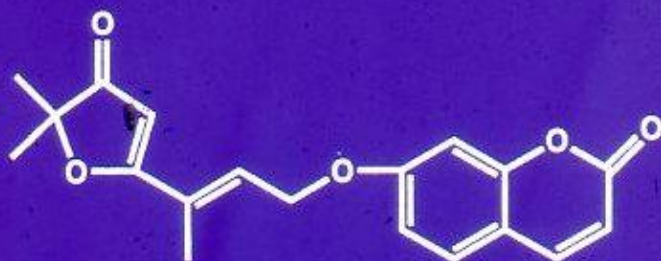
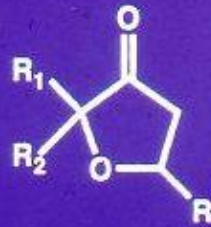
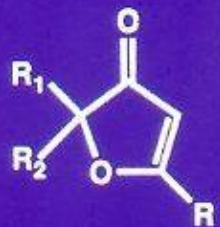


Ph_3P

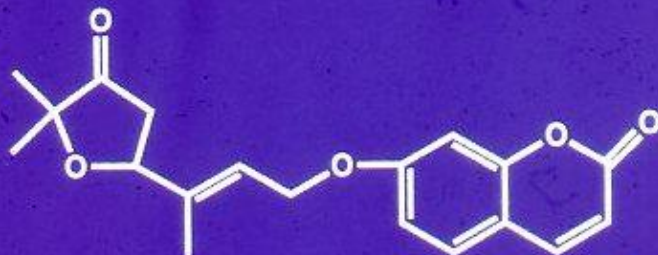
$\text{EtO}_2\text{C-N=N-CO}_2\text{Et}$



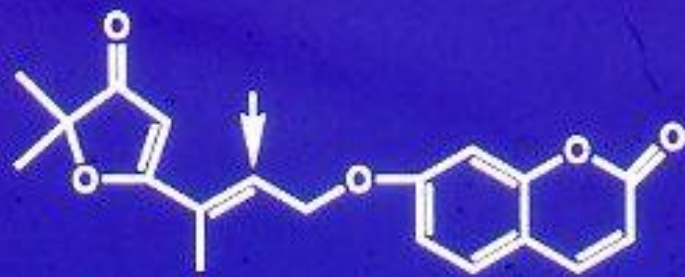
50%



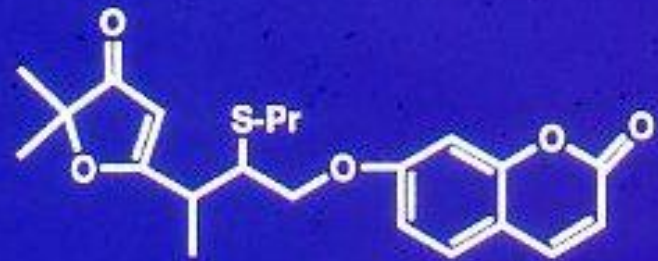
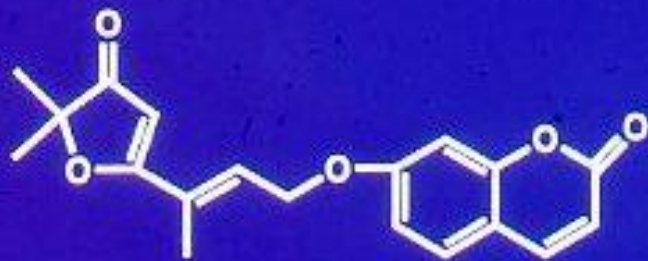
Geiparvarina



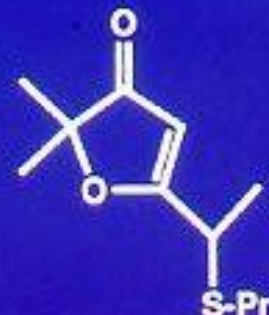
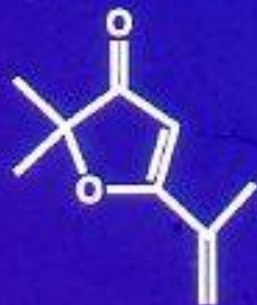
2'', 3''-dihydrogeiparvarina



Gelparvarina

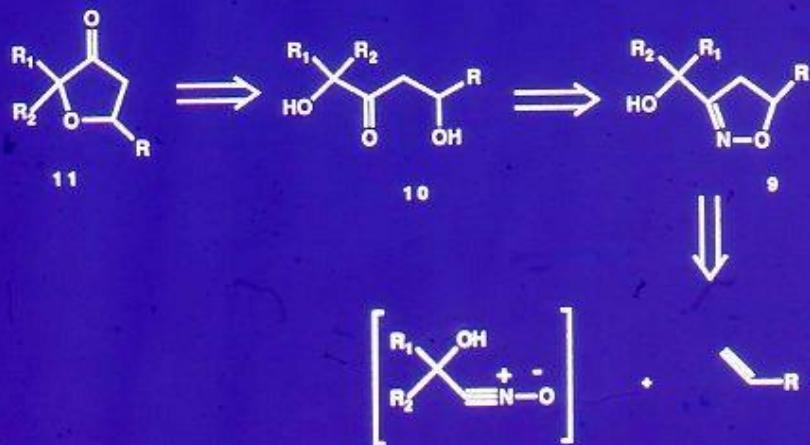
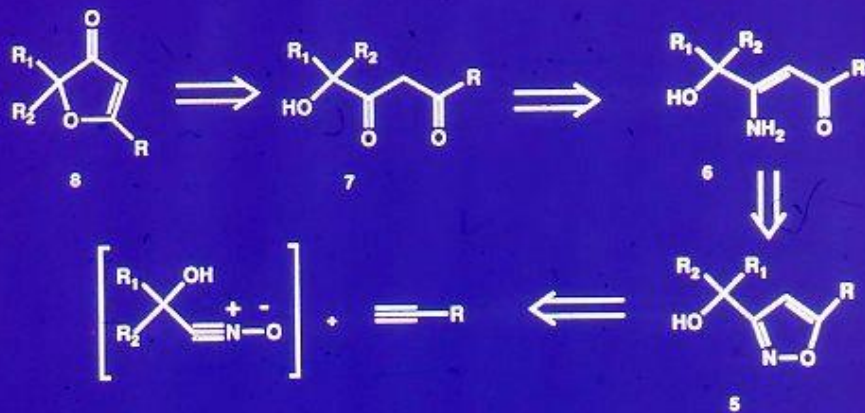
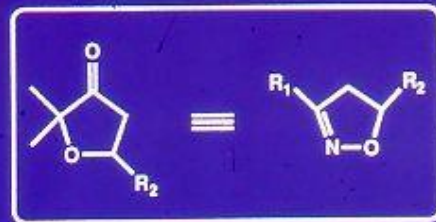
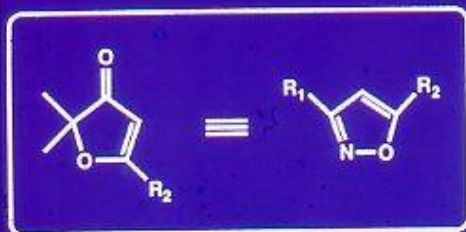


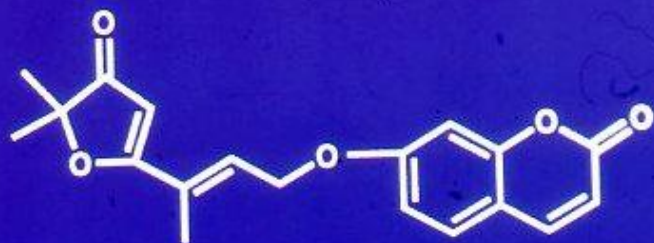
2



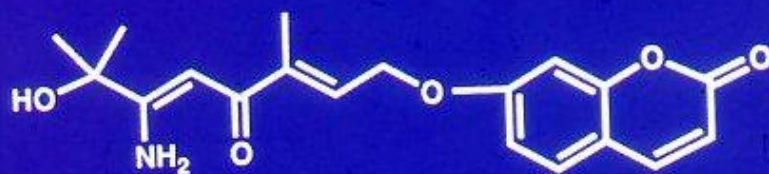
3

4

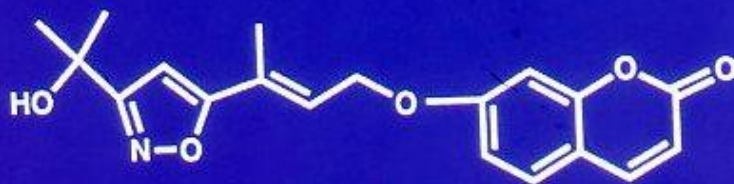




12



13

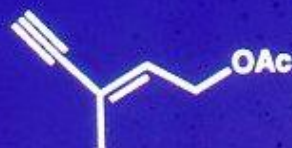


14



15

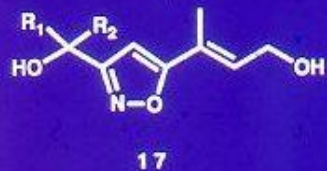
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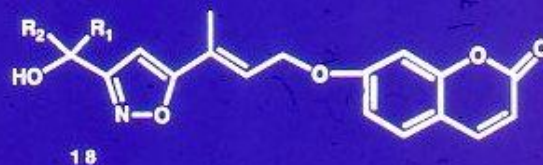
16



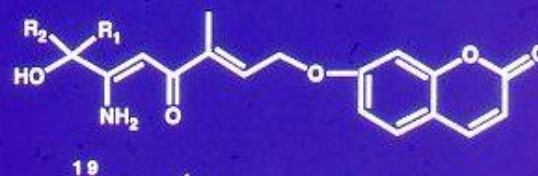
1) PhNCO, TEA
2) LiOH



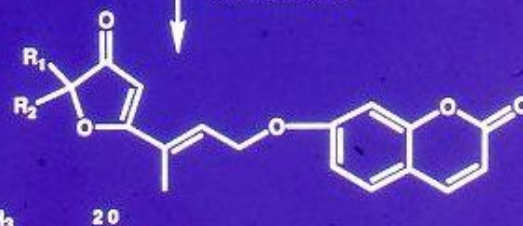
1) CH₃SO₂Cl
2) 7-Idrossicumarina



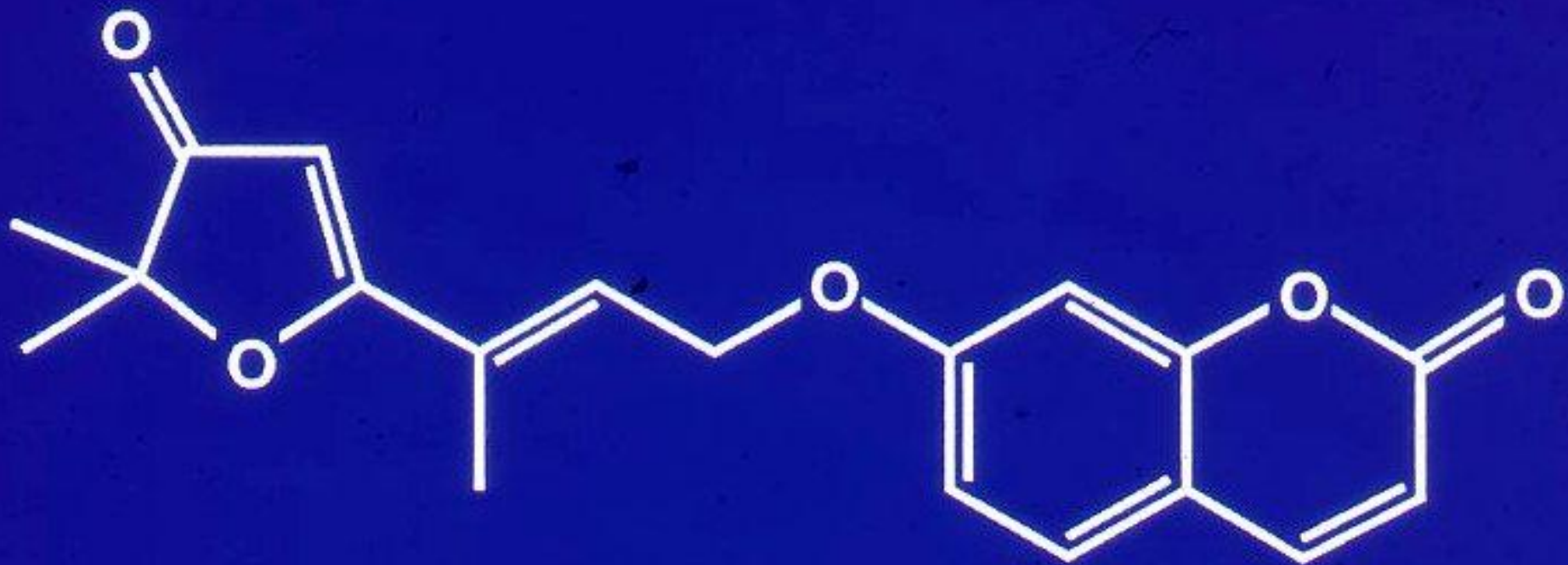
Mo(CO)₆
CH₃CN/H₂O



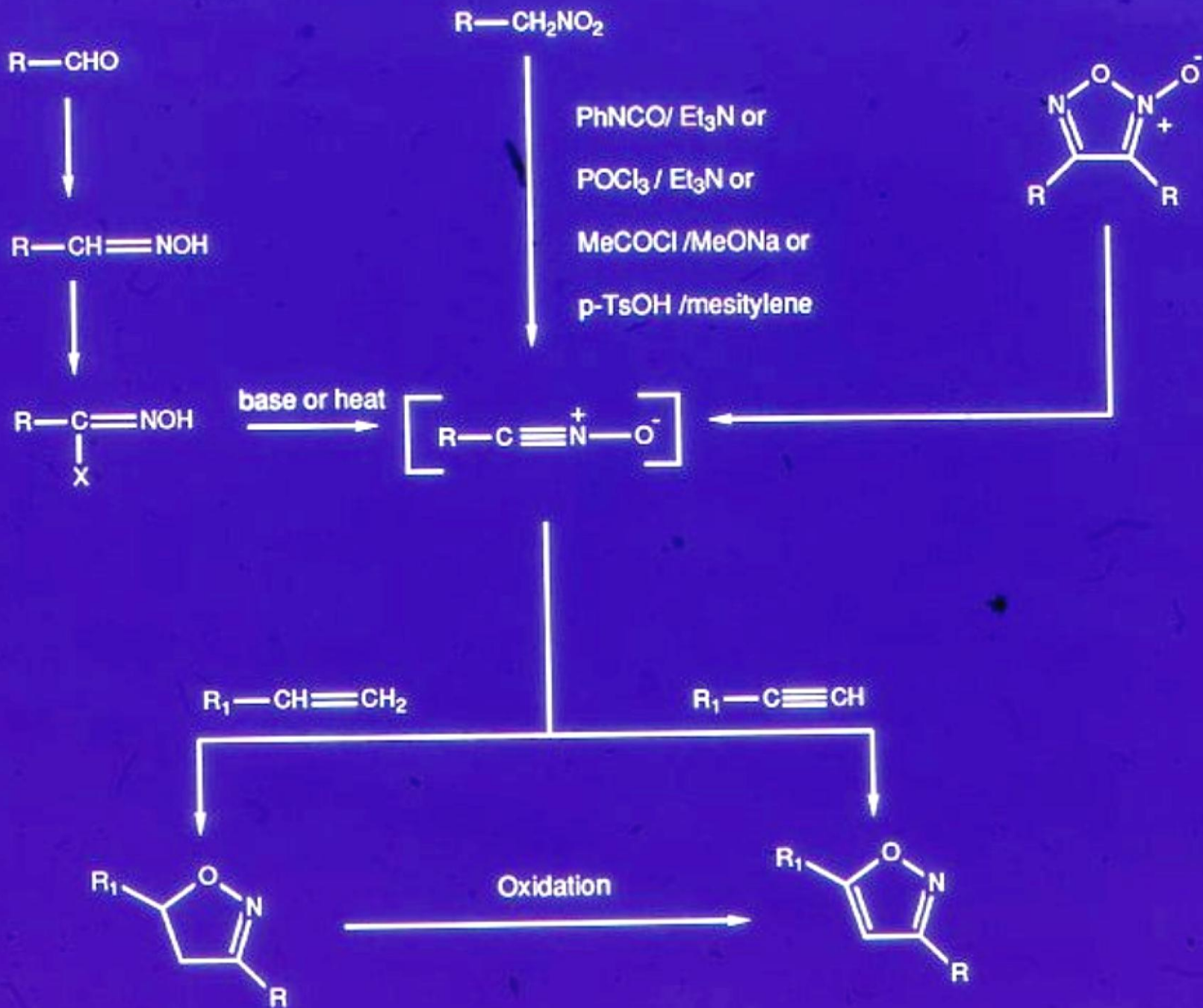
CH₃COOH/H₂O

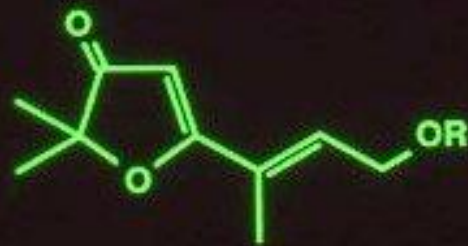


R₁ = R₂ = CH₃
Gelparvarina

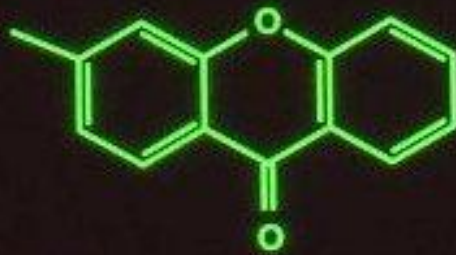


Geiparvarin

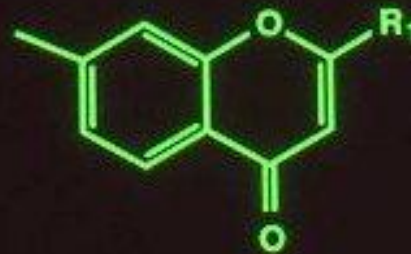




R =



;



R₁ = H,



P.Valenti, M.Recanatini, P.Da Re, W.Galimbeni, N.Avanzi, S.Filippeschi;
Arch. Pharm. 318, 923, (1985)

