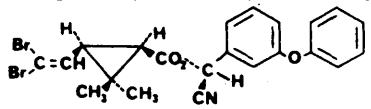
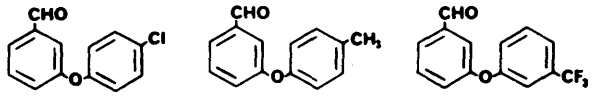
m-Phenoxybenzaldehydes

The synthetic pyrethroids are soon likely to be among the most important insecticides, because they are tremendously active, biodegradable and harmless to mammals.[1] The most active compounds, of which **NRDC 161** is an example, are esters of ***m*-phenoxybenzaldehyde cyanohydrin** or ***m*-phenoxybenzyl alcohol.**[1] At first sight, one would think that the activity must be due to the cyclopropane moiety. This, however, is not the case: Ohno *et al.*[2] have shown that quite simple esters of the ***m*-phenoxybenzaldehyde cyanohydrin** are very active. Thus, the unique insecticidal activity of these pyrethroids is due primarily to the ***m*-phenoxyphenyl moiety**.



NRDC 161

We have developed a simple method for the preparation of ***m*-phenoxybenzaldehyde,** and so we also prepared a number of *substituted* ***m*-phenoxybenzaldehydes** in the hope that these benzaldehydes will be of special interest to medicinal chemists: compounds related to the active center of pyrethroids may well show surprising medicinal activities!



References:

1) For a review, with leading references, see A. Bader, *Aldrichimica Acta*, **9**, 49 (1976).
2) N. Ohno *et al.*, *Agric. Biol. Chem.*, **38**, (4), 881 (1974).

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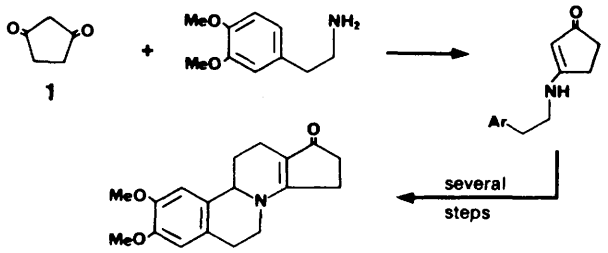
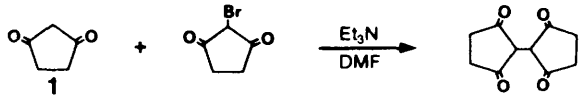
1,3-Cyclopentanedione

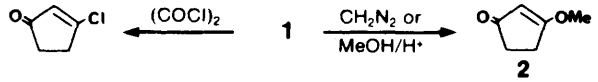
1,3-Cyclopentanedione (1) has been found to be a very useful compound with a variety of synthetic applications. For example, **1,3-cyclopentanedione** has been used as a key starting material for 8-azasteroids.[1]



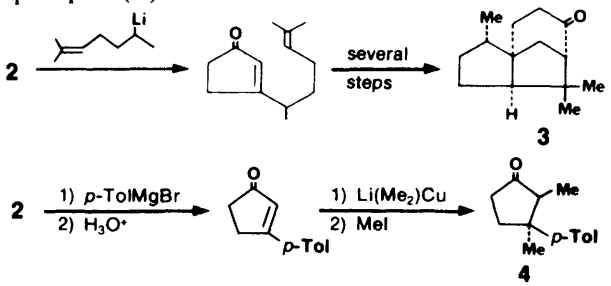
The antibacterial 2,2'-bi-(1,3-cyclopentanedione) has been synthesized by treating 2-bromo-1,3-cyclopentanedione with **1** in the presence of base.[2]



1,3-Cyclopentanedione may be conveniently modified by chlorination[3] or by O-alkylation[4] to make other useful synthetic intermediates.



The O-methylated derivative **2** has been used in Corey's synthesis of the tricyclic sesquiterpene cedrone **(3)**.[5] Compound **2** has recently been used by Posner[6] for the synthesis of *trans*-2,3-dimethyl-3-*p*-tolylcyclopentanone **(4)**. The scheme constitutes a formal synthesis of the sesquiterpene (±)-laurene.[7]



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References:

1) R.E. Lyle and G.A. Heavner, *J. Org. Chem.*, **40**, 50 (1975).
2) Japan Kokai Patent, 73 99,151; *Chem. Abstr.*, **80**, 95360*f* (1974).
3) R.D. Clark and C.H. Heathcock, *J. Org. Chem.*, **41**, 636 (1976).
4) J.M. McIntosh and P.M. Beaumier, *Can. J. Chem.*, **51**, 843 (1973).
5) E.J. Corey and R.D. Balanson, *Tetrahedron Lett.*, 3153 (1973).
6) G.H. Posner and C.M. Lentz, submitted for publication in *J. Amer. Chem. Soc.*
7) J.E. McMurry and L.A. von Beraldingen, *Tetrahedron*, **30**, 2027 (1974).

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