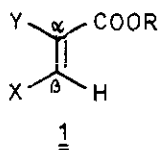
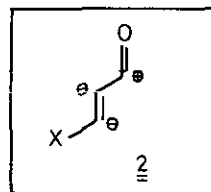


HETEROCYCLIC SYSTEMS VIA FUNCTIONALLY SUBSTITUTED VINYL CARBANIONS

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Highly selective reactions of polyfunctional systems are of great importance in synthetic organic chemistry. Direct β - and/or α -C-lithiation of β -functionally substituted acrylates 1 yields versatile building units without previous protection of the carboxylic functionality. This means that the generation of stable vinyl lithium derivatives prevails over the expected 1.2- or 1.4-addition of the lithiating agent to the acrylate system. The possible twofold lithiation offers a preparative versatility, which for structural reasons is not accessible to aryl- and hetaryl systems.

Y = H, alkyl, aryl, RO, R₂NX = RO, R₂N, RS, ROOC

Butenolides, tetronates, cyclopentenones and derivatives, which are portions of many natural products, are easily accessible by applying this reaction principle¹⁾. A wide variety of substituents are compatible with this lithiation: alkyl-, aryl-, and electron donating substituents in α -position or for instance an electron withdrawing ester group in β -position. Besides, lithiation of aminomethylene malonitrile derivatives led to a new azafulvene.

New extensions and applications of this valuable synthon 2 for highly functionalized heterocyclic syntheses will be discussed.

1) R.R. Schmidt, J. Talbiersky and R. Betz, Chem.Ber. 115, 2674 (1982); O. Miyata and R.R. Schmidt, Angew.Chem. 94, 651 (1981); Angew.Chem.Int.Ed.Engl. 21, 775 (1982); and ref.