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NEW SYNTHETIC ROUTES TO TRIFLUOROMETHYL SUBSTITUTED N-PROPARGYLIC AMIDES, 4H-1,3-OXAZINES AND 2-OXAZOLINES

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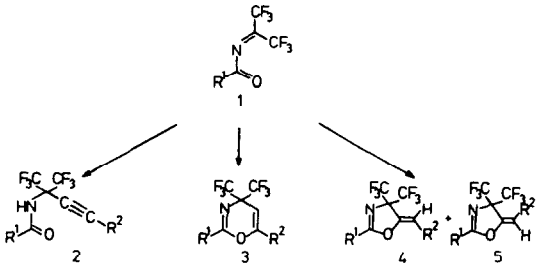
Alkynes react with trifluoromethyl substituted 1,3-heterodienes of type **1** to give open-chain trifluoromethyl substituted N-propargylic amides, 4H-1,3-oxazines and 2-oxazolines, respectively [1-3]. The selectivity of the reaction can be controlled by the reaction conditions used.



Heterodienes **1** react with electron-rich terminal alkynes, alkali metal salts of alkynes or alkynyl Grignard compounds to form trifluoromethyl substituted N-propargylic amides **2**. Acid-catalyzed cyclisation of these compounds leads quantitatively to trifluoromethyl substituted 4H-1,3-oxazines **3**. Electron-deficient alkynes, however, react with heterodienes **1** to give five membered ring systems with only the terminal alkyne carbon atom being incorporated in the ring system. Addition of 4-dimethylaminopyridine to compounds **1** results in a reversible blocking of the electrophilic position 4 of the heterodiene and an increase of the nucleophilic capacity at position 1. Consequently, with terminal alkynes formation of trifluoromethyl substituted 2-oxazolines **4** and **5** is observed exclusively.

1. K. Burger, U. Waßmuth, E. Huber and R. Ottlinger, J. Chem. Soc., Chem. Commun., 945, (1983).
2. a) E. Huber, Thesis, Technische Universität München 1986.
   b) N. Sewald, Thesis in preparation.
3. K. Burger, N. Sewald, E. Huber and R. Ottlinger, Z. Naturforsch., in press.