

## A General Synthesis of $\alpha$ -Acylaminoacrylic Esters

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**Summary** A general synthesis of  $\alpha$ -acylaminoacrylic esters from cysteine derivatives and silver carbonate is described.

In a recent paper<sup>1</sup> we mentioned our observation of the ring opening of the perhydro-1,4-thiazepine derivative (1) under the influence of silver oxide in refluxing methanol. The reaction product (2) obtained in essentially quantitative yield was interesting in that (i) it contained an  $\alpha$ -acylaminoacrylate group and (ii) C-7 had been smoothly converted into the corresponding dimethylacetal.

We report here a general synthesis of these acrylates which are versatile synthetic and polymerization intermediates.

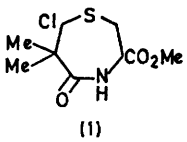
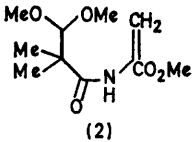
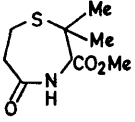
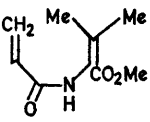
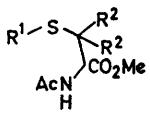
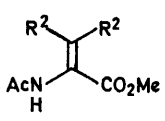
In a typical experiment, a mixture of methyl *N*-acetylcysteinate (190 mg, 1.07 mmol), silver carbonate (420 mg, 1.51 mmol), and methanol (20 ml) was heated to reflux for 1 h to give methyl  $\alpha$ -acetamidoacrylate (78%). M.p. 50.5–51.5°, identical with an authentic sample.<sup>2</sup>

The Table shows the various cysteine and penicillamine derivatives examined. It is clear from the results that the reaction is general and presents a definite advantage over the conventional method starting from pyruvic acid or serine.<sup>2,3</sup>

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TABLE

Starting Material	Conditions	Product	Yield (%)
 (1)	Ag <sub>2</sub> O, 1h	 (2)	92
	Ag <sub>2</sub> CO <sub>3</sub> , 8h		48
			
R <sup>1</sup> = MeOCH <sub>2</sub> R <sup>2</sup> = H	Ag <sub>2</sub> CO <sub>3</sub> , 8h	R <sup>2</sup> = H	62
R <sup>1</sup> = R <sup>2</sup> = H	Ag <sub>2</sub> CO <sub>3</sub> , 1h	R <sup>2</sup> = H	78
R <sup>1</sup> = H; R <sup>2</sup> = Me	Ag <sub>2</sub> CO <sub>3</sub> , 6h	R <sup>2</sup> = Me	76
	Ag <sub>2</sub> O, 5h		72

<sup>1</sup> P. Blondeau, R. Gauthier, C. Berse, and D. Gravel, *Canad. J. Chem.*, 1971, **49**, 3866; see also M. H. Benn and R. E. Mitchell, *Canad. J. Chem.*, 1972, **50**, 2195.

<sup>2</sup> P. Rambacher, *Chem. Ber.*, 1968, **101**, 3433; E. Rothstein, *J. Chem. Soc.*, 1949, 1968.

<sup>3</sup> R. M. Herbst, *J. Amer. Chem. Soc.*, 1939, **61**, 483; H. W. Coover and J. B. Dickey, U.S.P. 2,548,518/1951.