2002 thiazole derivatives

thiazole derivatives

R 0260 50 - 095 Simultaneous Assembly of the β-Lactam and Thiazole Moiety by a New Multicomponent Reaction. — β-Aminothiocarboxylic acids (III), aliphatic aldehydes (IV) and 3-dimethylamino-2-isocyanoacylates (V) undergo a novel three component reaction to yield thiazole-β-lactams (VI) under mild conditions. — (KOLB, JUERGEN; BECK, BARBARA; DOEMLING, ALEXANDER; Tetrahedron Lett. 43 (2002) 39, 6897-6901; Morphochem AG, D-81379 Muenchen, Germany; EN)

$$\begin{aligned} \text{IIIa,c} & \xrightarrow{\text{1 equiv. } R^2 - \text{CHO (IV) }, \text{1 equiv.}} & \xrightarrow{\text{NMe}_2} & \text{(V)} \\ & \xrightarrow{\text{MgSO}_4, \text{ MeOH, } -15 \ -> \ +20^{\circ}\text{C, } [24 \text{ h}]} & \xrightarrow{\text{R}^2} & \text{VI} \\ & & \text{VI} \\ & & \text{a R}^1 : -\text{Me} : \text{R}^2 : -\text{iPr} : \text{R}^3 : -\text{CO-O-Me} & 69\% & (84 : 16 \text{ m.d.}) \\ & & \text{b R}^1 : -\text{Me} : \text{R}^2 : -\text{iPr} : \text{R}^3 : -\text{VI} & 38\% & (\text{m.d.}) \\ & & \text{c R}^1 : & \xrightarrow{\text{O}_2 \text{N}} : \text{R}^2 : -\text{Me} : \text{R}^3 : -\text{CO-O-Me} & 36\% & (\text{m.d.}) \end{aligned}$$

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