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ACCEPTED MANUSCRIPT

Trans-aconitic acid-based hetero-Diels-Alder reaction in the synthesis of thiopyrano[2,3-d][1,3]thiazole derivatives

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Abstract: The *hetero*-Diels-Alder reaction of 5-arylideneisorhodanines with trans-aconitic acid proceeds as a regio- and diastereoselective process with spontaneous decarboxylation of the [4+2]-adduct to furnish thiopyrano[2,3d][1,3]thiazole chromeno[4',3':4,5]thiopyrano[2,3-d]thiazole and **(3)** derivatives analogously to the use of itaconic acid as a dienophile. Conversely, the one-pot, three-component reaction of 5-arylideneisorhodanines, trans-aconitic acid and anilines proceeded without decarboxylation, leading to novel rel-(5'R,6'R,7'R)-5'-carboxy-7'-aryl-1-aryl-3',7'-dihydro-2H,2'H,5H-spiro[pyrrolidin-3,6'thiopyrano[2,3-d]thiazol]-2,2',5-triones 4. Interestingly, the use of trans-aconitic acid trimethyl ester led to the opposite regioselectivity, yielding rel-(5R,6S,7S)-5methyloxycarbonylmethyl-2-oxo-7-aryl-3,5,6,7-tetrahydro-2*H*-thiopyrano[2,3d|thiazol-5,6-dicarboxylates 5. Selected compounds were examined for trypanocide activity against the bloodstream forms of Trypanosoma brucei where compound 4e showed the highest activity (IC₅₀ = $6.74 \mu M$).

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