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Synthesis and Reactivity of Tetrahydroimidazo [1,5-b][1,2,4]oxadiazol- 2(1H)-thiones

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Necdet COŞKUN, Fatma Tirli TAT
Uludağ University, Department of Chemistry, 16059-Bursa-TURKEY
e-mail: coskun@uludag.edu.tr



Abstract: 1,3-Dipolar cycloaddition of imidazoline 3-oxides 1 with methylisothiocyanate proceeds regio-and diastereoselectively to give tetrahydroimidazo[1,5-b][1,2,4]oxadiazol-2(1H)-thiones 3 in high yields. The cis configuration of the adducts was proved by our double cis elimination test as well as by NOESY experiments. Adducts 3a-c undergo ring opening at reflux in acetonitrile to give imidazoles while 3d-e undergo retro dipolar cycloaddition to give the starting nitrones 1d-e. The imidazooxadiazol-2-thiones 3a-e were treated with concentrated HCl in ethanol at 50 °C to give the corresponding 4H-[1,2,4]oxadiazole-5-thione only in cases in which the substituent at C-6 is an aryl.



<u>Key Words:</u> Imidazoline 3-oxides, 1,3-Dipolar cycloaddition, Tetrahydroimidazo[1,5-b][1,2,4]oxadiazol-2 (1H)-thiones, 4H-[1,2,4]oxadiazole-5-thione

chem@tubitak.gov.tr

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