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SYNTHESIS AND ANTITUMOR PROPERTIES OF DERIVATIVES 4-SUBSTITUTED-5-(PIRIDIN-4-YL)-4*H*-1,2,4-TRIAZOLE-3-THIOL

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In recent years, the chemistry of triazoles and their fused heterocyclic derivatives has received considerable attention owing to their synthetic and effective biological importance [1].

Literature survey reveals that 1,2,4-triazole derivatives exhibit a wide range of biological activities including Antibacterial, Antifungal, Antitumour, Anti-inflammatory, Antitubercular, Hypoglycemic, Antidepressant, Anticonvulsant, Anticancer, Antimalarial, Antiviral, Antiproliferative, Analgesic and Antimigraine [1,2]. The derivatives of 4-substituted-5(piridin-4-yl)-4*H*-1,2,4-triazole-3-thiol were synthesized according to scheme:

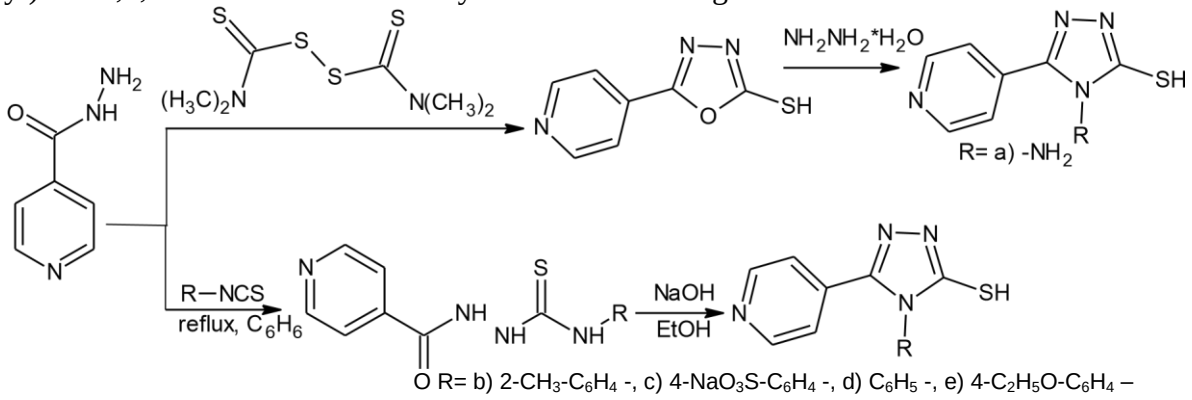


Table. The antiproliferative activity of 4-substituted-5-(piridin-4-yl)-4*H*-1,2,4-triazole-3-thiol on human on leukemia (HL-60) cells.

Inhibition of cells proliferation (%)			
Compound	0.1μM	1 μM	10 μM
a	0	0	0
b	3.26	11.08	10.20
c	7.24	9.46	11.15

The obtained data demonstate that the synthesized compounds show moderate (3-11%) antiproliferative activity. Compounds *e* and *d* were tested on melanoma (MeW-164) and on human leukemia (HL-60) cells, in the range of (0,1-10 μM) concentrations. The results proved thait they have a higher (16-23%) antiproliferative activity.

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