

SUBSTITUTED 1,3-PHENYL(PYRIDYL) PROPENONES AND DERIVATIVES WITH THIOSEMICARBAZIDIC GROUPS. STRUCTURE – (HL-60) ANTILEUKEMIA ACTIVITY RELATIONSHIP

Ana Popusoi^{a*}, Nicanor Barba^a, Aurelian Gulea^a, Jenny Roy^b, Donald Poirier^b

^aMoldova State University, 60, Mateevici str., Chisinau, MD-2009, Republic of Moldova

^bLaboratory of Medicinal Chemistry, CHUQ (CHUL) - Research Center and Université Laval, 2705 Boulevard Laurier, Québec City, G1V 4G2, Canada

*e-mail: popusoi.ana@gmail.com; phone: (+373 22) 57 76 96

Abstract. 3-(4-(Dimethylamino)phenyl)-1-(4-isothiocyanatophenyl)prop-2-en-1-one was obtained from the corresponding N,N-dimethylthioureas by elimination of dimethylamine at heating with gaseous hydrogen chloride in chloroform and 1-(4-isothiocyanatophenyl)-3-(pyridin-2-yl)prop-2-en-1-one by treating 1,1-dimethyl-3-(4-(3-(pyridin-2-yl)-acryloyl)-phenyl)thiourea with acetic anhydride. The difference in the reactivity of the groups >C=O and NCS in the synthesis with hydrazine hydrate and its derivatives allows the synthesis of some 1,3-disubstituted propenones with thiosemicarbazide groups (4- and 1,4-disubstituted) in good yields. From 4-substituted thiosemicarbazides and 2-formylpyridine thiosemicarbazones were obtained. In the case of some derivatives, the propenone group in the reaction with hydrazine hydrate allows the formation of pyrazole derivatives. All obtained compounds were investigated for antileukemia activity. It was found that this activity is more pronounced for thiosemicarbazide derivatives with two pyridine nuclei at concentrations 10^{-5} - 10^{-7} mol/L.

Keywords: chalcones, isothiocyanatopropenones, thioureas, antileukemia activity.