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Abstract

New series of 1-(1-adamantyl)semicarbazide 3a, 1-(1-adamantyl)-4-(4-substituted phenyl)semicarbazides 3b-e, 1-(1-adamantyl)-3-(substituted aminosulfonyl)ureas 5a-g, 1-(1-adamantyl)-4-(1-adamantylamino-methylene)-semi-carbazide 7, 1-(1-adamantyl)-4-(1-adamantylcarbonylmethyl)semicarbazide 8, 1-(1-adamantyl)-4-acylsemicarbazides 9a-d and 1-(1-adamantyl)-4-(1-adamantylaminocarbonyl)thiosemicarbazide 10 have been synthesized and tested for their antitumor activity. Among them, compounds 3a, 5a 9a and 9d exhibited a broad spectrum antitumor activity with full panel (MG-MID) median growth inhibition (GI50) of 10.5, 12.0, 6.8 and 5.5 µM respectively. In addition, compounds 3a, 3c and 5d proved to be of moderate selectivity toward leukemia cell lines with ratios of 3.0, 3.9 and 4.0 respectively. Moreover, compounds 5a and 5g showed moderate selectivity toward melanoma cell lines with ratios of 3.6 and 4.4 respectively. The detailed synthesis, spectroscopic and biological data are reported.