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Synthesis and biological activity evaluation of 2-(5-substituted1-((piperazino) methyl)-2-oxoindolin-3-ylidene) N-substituted-hydrazinecarbothioamides

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Various 5-substituted-2-(1-((piperazino) methyl) 2-oxoindolin-3-ylidene) hydrazine carbothioamide and 5-substituted-2-(1-((piperazino) methyl)-2-oxoindolin3-ylidene)-N-(phenyl-4-substituted) hydrazine carbothioamide derivatives were synthesized. The compounds were screened for cytotoxicity against human HeLa and CEM T-lymphocytes as well as murine L1210 cells. Several of these compounds were endowed with low micromolar 50% cytostatic concentration (IC50) values, and some were virtually equally potent as melphalan. The most potent inhibitors against the murine leukemia cells (L1210) were also the most inhibitory against human T-lymphocyte (CEM) tumor cells. Derivative 2-(1-((piperazino) methyl)-2-oxoindolin-3-ylidene)-N-(4 methoxyphenyl)hydrazinecarbothioamide 5c emerged as the most potent cytostatic compound among the tested compounds. All derivatives showed antiviral activity against HeLa cell cultures (IC50 11–20 lM). The encouraging cytostatic and antiviral activity data provides an adequate rationale for further modification of these molecular scaffolds.

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