

International Summit on Past and Present Research Systems of Green Chemistry

August 25-27, 2014 Hilton Philadelphia Airport, USA

Novel synthesis and anti-tumor activity of 2-hydrazino-1H-benzimidazoles

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As a continuation to our previous work concerning anti-tumor activity of benzimidazole we have synthesized series of new derivatives of 2-(1H-benzimidazol-2-yl)-N-(substituted) hydrazine- carbothioamide, ethyl[2-(1H-benzimidazol-2-yl)-1-(phenylcarbamoyl)hydr- azinyl] acetate, 2-[2-(1H-benzimidazol-2-yl)hydrazinylidene]-3-benzyl-1,3-thiazolidin-4-one, 1,2-dihydro-3H-[1,2,4]tria-zolo[4,3-a]benzimidazole-3-thione, 2,2'-(1,4-dioxido-1,4,2,3,5,6-dithiatetrazinane-2,6-diyl)bis-(1H-benzimidazole)dihydrochloric acid, 2,10-dihydro[1,2,4]triazino[4,3-a]benzimidazol-4(3H)-one, 1-[2-(1H-benzimidazol-2-yl)hydra- zinyl]propan-2-one, 4-[2-(1H-benzimidazol-2-yl)hydrazinyl]-4-hydroxybut-3-en-2-one, N'-(1H-benzimidazol-2-yl)-2-chloroacetohydrazide, and 5-[2-(1H-benzimidazol-2-yl)hydrazinyl]-1,6-dihydro-1,2,4-triazine-3(2H)-thione. The anti-tumor effect compound 3 [IC₅₀=3.241 μM] was found to be more active than doxorubicin (IC₅₀=17.12 μM).

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