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Synthesis and Biological Evaluation of 4-Phenyl-1-(indoline-5-sulfonyl)-2-imidazolone Derivatives as Potential Antitumor Agents

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A series of 4-phenyl-1-(indoline-5-sulfonyl)-2-imidazolone derivatives has been synthesized starting from 2-bromoacetophenone. Reaction of 2-aminoacetophenone obtained from 2-bromoacetophenon by Delepin synthesis and potassium cyanate affords 1,3-dihydro-4-phenyl-2-imidazolone. This key intermediate was treated with sodium hydride and N-trifluoroacetyl-indoline-5-sulfonylchloride, and trifluoroacetyl group was deprotected to give 4-Phenyl-1-(indoline-5-sulfonyl)-2-imidazolone. Various substituents were introduced on the nitrogen of indoline. Antitumor activity of this series of compound was evaluated by MTT method. Nearly all of the compounds showed broad-spectrum activity.