Synthesis and Biological Evaluation of Novel bis-Aziridinylnaphthoquinone Derivatives

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摘要

Abstract

A series of bis-aziridinylnaphthoquinone derivatives has been prepared. The cytotoxic activities and DNA alkylation abilities of these synthetic bis-aziridinylnaphthoquinone derivatives were investigated. They displayed significant cytotoxicity against human carcinomna cell lines and weak cytotoxic activities against HL60 and skin fibroblast (SF). The bisaziridinylnaphthoquinone 1a was the most potent agent among those tested, with an LD50 value of 0.57 microM against the BC-M1 cell line. It exhibited the weakest activity against SF and HL60 with LD50 values of 5.67 and 20.1 microM, respectively, and it was able to alkylate DNA after chemical reduction in vitro. The analogues without aziridinyl moiety 2a and 3a lack DNA alkylation abilities.