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Synthesis and Biological Evaluation of Novel Asymmetrical 1,2-Disubstituted Amido-anthraquinone Derivatives as Anticancer Agents

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Telomerase activity is an important biomarker for determining the proliferative capacity in cancer cells, which can be detected in *ca.* 85-90% of the immortalized or tumor cells. Developments of anthraquinone-based compounds such as adriamycin and mitoxantrone as reference drug are attractive target for design of novel chemotherapeutic agents. We have synthesized a series of new symmetrical (identical side chains) or asymmetrical 1,2-diamido-anthraquinone derivatives (**CC-01 – CC-50**) with potentially anti-cancer activities have been synthesized. These compounds were evaluated for their effects on telomerase activity, which used the report gene SEAP assay to monitor the hTERT expression, and TRAP assay to measure the relative activity. Moreover, the results of cytotoxic activities can be found out for the compound **CC-01**、**CC-06**、**CC-14**、**CC-15** and **CC-28** by MTT assay. Even if the results of biological assy are no significant correlation between telomerase activity and cytotoxicity, these 1,2-diamido-anth-raquinone compounds still represent cytostatic properties against the panel of various cancer cell lines *in vitro*. Besides, compound **CC-04**, **CC-12**, **CC-23**, **CC-38**, and **CC-43** were selected by the NCI and demonstrated high anti-proliferative activity against 60 human cancer cell lines. Especially, compounds **CC-12** and **CC-43** were more potent. Implications for these compounds cytotoxicity as potential anticancer agents are discussed.