Synthesis and biological evaluation of hydrazidomycin analogues.

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Details

Abstract

Hydrazidomycin A is an unusual secondary metabolite of Streptomyces atratus that features a rare enehydrazide core. To learn more about structure-activity relationships of the reported cytotoxic and antiproliferative agent several synthetic routes were explored to synthesize a variety of hydrazidomycin derivatives. Specifically, the size of the side chains, the nature of the double bond and the polar head group were altered. Overall, fourteen analogues were tested for their cytotoxic and antiproliferative effects. Re-examination of synthetic hydrazidomycin A suggests that the antiproliferative activity is attributed to a yet unknown compound that results from degradation or rearrangement. Several of the less complex analogues, however, show antiproliferative activities against individual cancer cell lines and turned out to be more potent than hydrazidomycin A.

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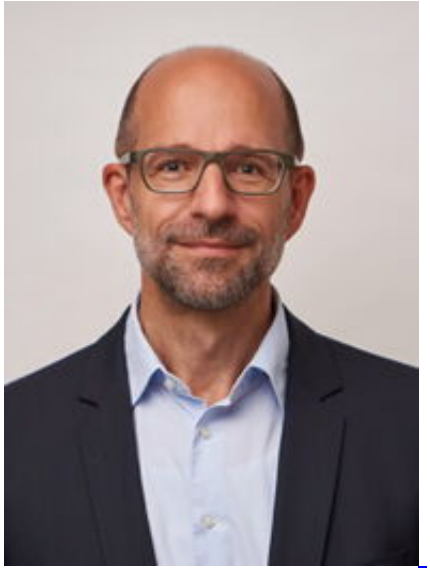

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