

Expanding the rubterolone family: Intrinsic reactivity and directed diversification of PKS-derived pyrans.

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Abstract

We characterized three key biosynthetic intermediates of the intriguing rubterolone family (tropolone alkaloids) that contain a highly reactive pyran moiety (1,5-dione) and undergo spontaneous pyridine formation in the presence of primary amines. We exploited the intrinsic reactivity of the pyran moiety and isolated several new rubterolone derivatives, two of which contain a unique thiazolidine moiety. Three rubterolone derivatives were chemically modified with fluorescence and biotin tags using peptide coupling and click reaction. Overall, eight derivatives were fully characterized by HRMS/MS and 1D and 2D NMR spectroscopy and their antimicrobial, cytotoxic, anti-inflammatory and antiparasitic activities evaluated.

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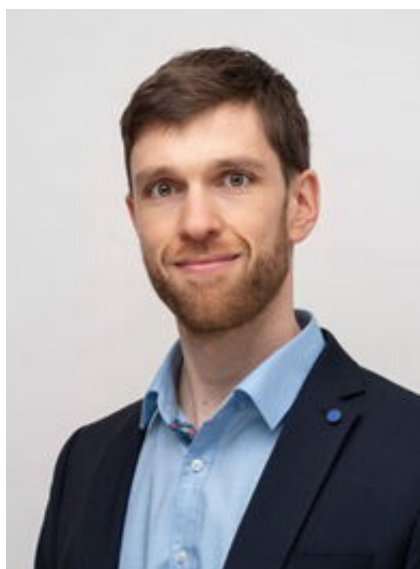
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