Antiprotozoal activity of Buxus sempervirens and activity-guided isolation of O-tigloylcyclovirobuxeine-B as the main constituent active against Plasmodium falciparum

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Buxus sempervirens L. (European Box, Buxaceae) has been used in ethnomedicine to treat malaria. In the course of our screening of plant extracts for antiprotozoal activity, a CH2Cl2 extract from leaves of B. sempervirens showed selective in vitro activity against Plasmodium falciparum (IC50 = 2.79 vs. 20.2 ţg/mL for cytotoxicity against L6 rat cells). Separation of the extract by acid/base extraction into a basic and a neutral non-polar fraction led to a much more active and even more selective fraction with alkaloids while the fraction of non-polar neutral constituents was markedly less active than the crude extract. Thus, the activity of the crude extract could clearly be attributed to alkaloid constituents. Identification of the main triterpene-alkaloids and characterization of the complex pattern of this alkaloid fraction was performed by UHPLC/+ESI-QTOF-MS analyses. ESI-MS/MS target-guided larger scale preparative separation of the alkaloid fraction was performed by 'spiral coil-countercurrent chromatography'. From the most active subfraction, the cycloartane alkaloid O-tigloylcyclovirobuxeine-B was isolated and evaluated for antiplasmodial activity which yielded an IC50 of 0.455 ţg/mL (cytotoxicity against L6 rat cells: IC50 = 9.38 ţg/mL). O-tigloylcyclovirobuxeine-B is thus most significantly responsible for the high potency of the crude extract.

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