Cytotoxic Activity of Orsellinates

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The series of 2,4-dihydroxy-6-methylbenzoates 2-10 (methyl to hexyl orsellinates) prepared by alcoholysis of lecanoric acid (1) – a natural product from the lichen *Parmotrema* tinctorum (Nyl.) Hale – was submitted to the brine shrimp lethality test (BST), which was also performed for 2,4-dihydroxy-6-methylbenzoic acid (11) (orsellinic acid) and the derivative ethyl-2-hydroxy-4-methoxy-6-methylbenzoate (12) (4-methoxy-ethyl orsellinate), in order to detect new substances with probable antineoplasic activity. Results showed that chain elongation – increase in lipophilicity (log P) – causes a rise in the cytotoxic activity of orsellinates. Hexyl orsellinate (7) showed the highest cytotoxic activity (LC₅₀ = 31 μ M). A correlation between lipophilicity (log P) and cytotoxic activity (log 1/LC₅₀) is presented. Compounds with ramified chains -iso-propyl, sec-butyl and tert-butyl orsellinates (8–10) were less active than those with the correspondent linear chain. The activities presented by 4-methoxy-ethyl orsellinate (12) and ethyl orsellinate (3) suggest that the hydroxy group at the C-4 position causes effect in the cytotoxic activity of orsellinates against Artemia salina. Key words: Orsellinates, Lichen, Artemia salina, Parmotrema tinctorum