

# Inhibition of Mushroom Tyrosinase by Kojic Acid Octanoates

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Octanoic acid 2-hydroxymethyl-4-oxo-4*H*-pyran-5-yl ester (kojic acid 5-*O*-capryloate, **2**), octanoic acid 4-oxo-2-(1-oxooctyloxymethyl)-4*H*-pyran-5-yl ester (kojic acid 5,7-di-*O*-di-capryloate, **3**), and octanoic acid (5-hydroxy-4-oxo-4*H*-pyran-2-yl)-methyl ester (kojic acid 7-*O*-capryloate, **5**) were prepared from 5-hydroxy-2-hydroxymethyl-4*H*-4-pyrone (kojic acid, **1**) and caprylic acid. We also describe the synthesis of 11-aminoundecanoic acid (5-hydroxy-4-oxo-4*H*-pyran-2-yl)-methyl ester (**6**). In solution, the monoesters are non-competitive inhibitors of mushroom tyrosinase (EC 1.14.18.1) (**2**: IC<sub>50</sub> = 107  $\mu$ M, **5**: IC<sub>50</sub> = 15  $\mu$ M, **6**: IC<sub>50</sub> = 20  $\mu$ M; cf. **1**: IC<sub>50</sub> = 45  $\mu$ M, mixed type inhibition). When tyrosinase is immobilized in a polyvinylalcohol membrane, **5** is a weaker inhibitor than **1** or **2**.

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